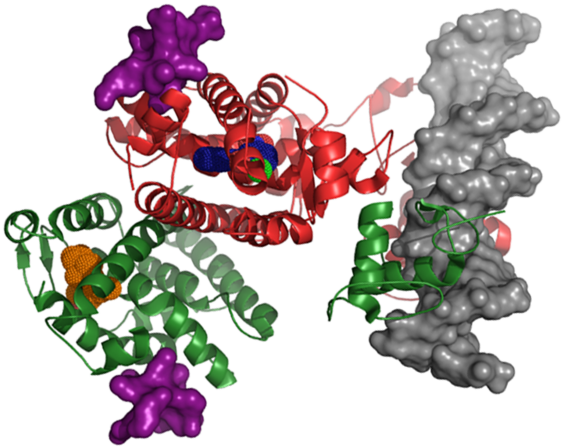
IUPHAR/BPS
Guide to
PHARMACOLOGY



Tutorial

Contents

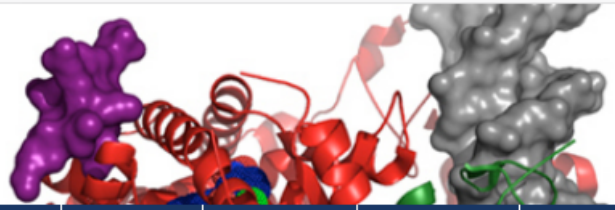
See our About Pages for more information on the IUPHAR/BPS Guide to PHARMACOLOGY database

A PDF outlining pharmacological terms and symbols used on the Guide to PHARMACOLOGY can be found at: https://www.guidetopharmacology.org/pdfs/termsAndSymbols.pdf

For definitions of terms used in this document and on the Guide to PHARMACOLOGY please see our glossary page.

Email enquiries@guidetopharmacology.org with comments/queries/suggestions about the Guide to PHARMACOLOGY

Home Page



IUPHAR/BPS
Guide to PHARMACOLOGY

Search Database

Home | About | Targets | Ligands | Diseases | Resources | Advanced search | Immuno Portal | Malaria Portal

An expert-driven guide to pharmacological targets and the substances that act on them.

Quick links

Targets

- G protein-coupled receptors
- Ion channels
- Nuclear hormone receptors
- Kinases
- Catalytic receptors
- Transporters
- Enzymes
- Other protein targets

Ligands

- Approved drugs
- Synthetic organics
- Metabolites
- Natural products
- Endogenous peptides
- Other peptides
- Inorganics
- Antibodies
- Labelled ligands

Resources

- Help documentation
- FAQ
- Tutorial
- Download data & reports
- REST web services

Recent Twitter activity

Tweets by @GuidetoPHARMI

What's new to Guide to PHARMACOLOGY

Coronavirus (Covid-19) - view our information page

New database version 2020.3 (19 Jun 2020) - full details in our blog post

Our latest release includes:

- SARS-Cov-2 protein family which includes 13 members and is found under 'anti-infective targets' in our hierarchy
- An emerging oncology target, ACSS2, has been added plus two inhibitor probe compounds (example 265 and ADG-207).
- Updates have also been made to targets across 20+ different protein families
- Over 200 ligands are now marked as antibiotic and more than 100 of these have with links to Antibiotic DB
- Improvements have been made to ligand summary pages. Key information, such as synonyms, curator comments, links to activity graph and SMILES and InChI Keys have been prioritised.

Please read our latest Database Report (April 2020) - download/view PDF

Latest News and Hot Topics in Pharmacology

Accessing WHO Essential Medicines in GtoPdb
The Guide to Pharmacology (GtoPdb) currently contains data on over 10,000 differen...

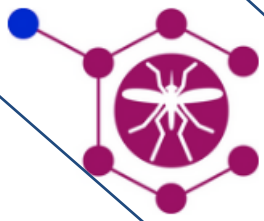
Jun 26, 2020

Database Release 2020.3
The latest release of the Guide to PHARMACOLOGY database, version 2020.3, has now ...

Jun 19, 2020

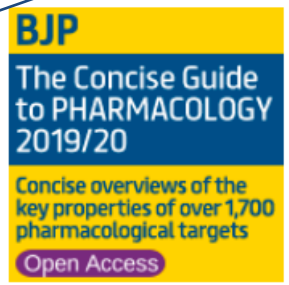
GtoMPdb

IUPHAR/MMV Guide to Malaria Pharmacology



Visit the IUPHAR/MMV Guide to MALARIA PHARMACOLOGY portal
Launched in September 2019 to provide optimised access to GtoPdb data for the malaria research community

The Concise Guide to PHARMACOLOGY 2019/20



Access the table of contents GO

Please see the 5 minute introductory video on the Concise Guide:

Perform a '**quick search**' using the search box at the top. This uses predictive text to suggest terms.

Access the **Guide to IMMUNOPHARMACOLOGY (GtoImmuPdb Tutorial)** and **MALARIA PHARMACOLOGY (GtoMPdb Help Page)** portals.

See the **GtoImmuPdb Tutorial** and **GtoMPdb Help Page**

Summaries of what's new in the Guide to PHARMACOLOGY and latest news

The Guide to PHARMACOLOGY provides links to the latest edition of the **Concise Guide to PHARMACOLOGY**

Quick links to target and ligands categories, and to key website resources

Home Page

Recent Twitter activity

Tweets by @GuidetoPHARM

GuidetoPharmacology Retweeted

EMBL-EBI @emblebi

Understanding how the new #coronavirus infects cells is crucial in the race to fight #COVID19. A study by @pedrobeltrao, @QBI_UCSF &

Embed View on Twitter

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Jun 19, 2020

Hot Topics: A trio of GPCR peptide publications

This post covers three recent publications with a common theme and whose authors a...

May 17, 2020

Powered by feedwind

All news GO Our blog GO Hot topics GO Latest pairings GO

Access the table of contents GO

Please see the 5 minute introductory video on the Concise Guide:

Follow us on social media, including our Twitter feed

Access to our blog containing regular hot topics in pharmacology, technical updates and news of database updates

Recent Publications

A rational roadmap for SARS-CoV-2/COVID-19 pharmacotherapeutic research and development. IUPHAR Review 29

Alexander SPH, Armstrong J, Davenport AP, Davies JA, Faccenda E, Harding SD, Levi-Schaffer F, Maguire JJ, Pawson AJ, Southan C, Spedding MJ (2020) *Br J Pharmacol.* doi: 10.1111/bph.15094. GO

Inhibitory checkpoints in human natural killer cells: IUPHAR Review 28

Mariotti FR, Quatrini L, Munari E, *et al.* (2020) *Br J Pharmacol.* [online ahead of print]. doi: 10.1111/bph.15081. GO

IUPHAR review article on Calcium-Sensing Receptor Nomenclature, Pharmacology, and Function

Leach K, Hannan FM, Josephs TM, Keller AN, Møller TC, Ward DT, Kallay E, Mason RS, Thakker RV, Riccardi D, Conigrave AD, Bräuner-Osborne H (2020) *Pharmacol Rev.* **72**: 558-604. GO

IUPHAR review article on Structure and Pharmacology of the Apelin Receptor

Read C, Nyimanu D, Williams TL, Huggins DJ, Sulentic P, Macrae RGC, Yang P, Glen RC, Maguire JJ and Davenport AP (2019) *Pharmacol Rev.* **71**: 764-502. GO

Publication list GO

Links to recent publications from NC-IUPHAR

Pharmacology Education

IUPHAR Pharmacology Education Project

The IUPHAR Pharmacology Education Project is being developed by IUPHAR with support from ASPET as a learning resource for pharmacology and clinical pharmacology.

synPHARM

synPHARM

SynPharm is a database of ligand-responsive protein sequences, derived from interactions from the Guide to PHARMACOLOGY and using data from the Protein Data Bank.

ELIXIR-UK

elixir UNITED KINGDOM

The IUPHAR/BPS Guide to PHARMACOLOGY is one of the ELIXIR-UK node services.

Coronavirus

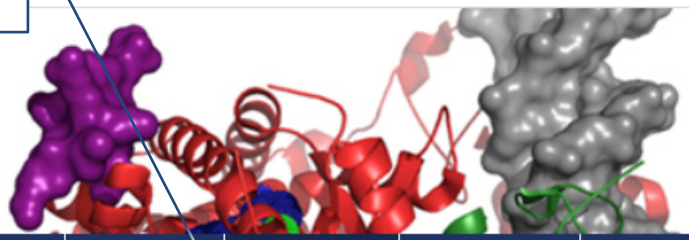
Our coronavirus information page has details of pharmcological strategies aimed at mitigating against COVID-19. The page also contains links to other useful resources and publications.

Please see our pre-print manuscript on "A rational roadmap for SARS-CoV-2/COVID-19 pharmacotherapeutic research and development"

A periodically updated set of information and links to other key resources, organisations and events of relevance.

Accessing Target Families

The **drop-down target menu bar** item also links to each protein class. It also links to the **target search** tools.



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- GPCRs
- Ion channels
- Nuclear receptors
- Kinases
- Catalytic receptors
- Transporters
- Enzymes
- Other protein targets
- Target search

An expert-driven guid…ets and the substances that act on them.

Use the **quick links** on the home page to view the target family page for a given protein class

Quick links

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- Ion channels
- Nuclear hormone…
- Kinases
- Catalytic recepto…
- Transporters
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Ligands
- Approved drugs
- Synthetic organics
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- Natural products
- Endogenous peptides
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Resources
- Help documentation

…new to Guide to PHARMACOLOGY

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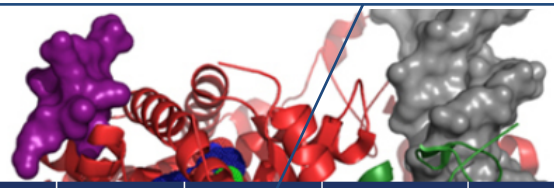
The Concise Guide to PHARMACOLOGY 2019/20

BJP
The Concise Guide to PHARMACOLOGY

Target Families List: GPCRs example

The general features described here are common to all target classes

For any given target class the list of families are displayed as a hierarchal list, which can include some sub-family divisions.



Search Database

IUPHAR/BPS
Guide to PHARMACOLOGY

Home | About | Targets | Ligands | Diseases | Resources | Advanced search | Immuno Portal | Malaria Portal

Home ▸ Targets ▸ G protein-coupled receptors

G protein-coupled receptors

View a list of class A GPCRs, class B GPCRs, class C GPCRs, class frizzled GPCRs, adhesion class GPCRs or other 7TM proteins

GtoImmuPdb View OFF | Expand all nodes | Collapse all nodes

- **G protein-coupled receptors** OVERVIEW
  - Orphan and other 7TM receptors OVERVIEW
    - Class A Orphans
    - Class B Orphans
    - Class C Orphans
    - Opsin receptors
    - Taste 1 receptors
    - Taste 2 receptors
    - Other 7TM proteins
  - 5-Hydroxytryptamine receptors
  - Acetylcholine receptors (muscarinic)
  - Adenosine receptors
  - Adhesion Class GPCRs
  - Adrenoceptors
  - Angiotensin receptors
  - Apelin receptor
  - Bile acid receptor
  - Bombesin receptors
  - Bradykinin receptors
  - Calcitonin receptors
  - Calcium-sensing receptor
  - Cannabinoid receptors
  - Chemerin receptors
  - Chemokine receptors
  - Cholecystokinin receptors
  - Class Frizzled GPCRs
  - Complement peptide receptors
  - Corticotropin-releasing factor receptors
  - Dopamine receptors
  - Endothelin receptors
  - G protein-coupled estrogen receptor
  - Formylpeptide receptors
  - Free fatty acid receptors
  - $GABA_B$ receptors
  - Galanin receptors
  - Ghrelin receptor

GPCRs can be viewed in lists by **class**

Nodes in the tree can be **expanded and collapsed** using these buttons

Toggle button to switch on/off the **Guide to IMMUNOPHARMACOLOGY** view (highlighting immuno-relevant content)

Detailed **overviews** are available for target classes and sub-sections

Each of the families listed links to a **concise overview page** for the that family

Target Families List: Ion Channels example

Search Database

IUPHAR/BPS
Guide to PHARMACOLOGY

Home | About | Targets | Ligands | Diseases | Resources | Advanced search | Immuno Portal | Malaria Portal

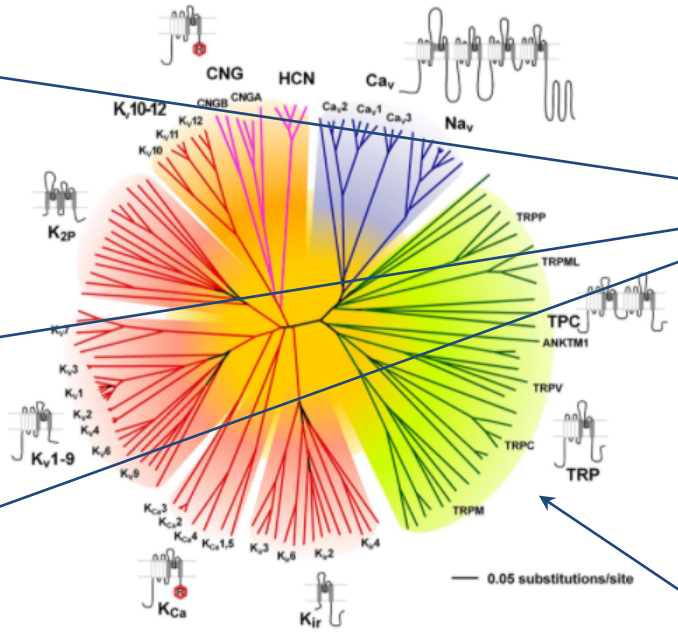
Home ▸ Targets ▸ Ion channels

Ion channels

View a list of voltage-gated ion channels, ligand-gated ion channels or other ion channels

GtoImmuPdb View OFF | Expand all nodes | Collapse all nodes

- Ion channels OVERVIEW
  - Ligand-gated ion channels OVERVIEW
    - 5-HT$_3$ receptors
    - Acid-sensing (proton-gated) ion channels (ASICs)
    - Epithelial sodium channel (ENaC)
    - GABA$_A$ receptors
    - Glycine receptors
    - Ionotropic glutamate receptors
    - IP$_3$ receptors
    - Nicotinic acetylcholine receptors
    - P2X receptors
    - ZAC
  - Voltage-gated ion channels OVERVIEW
    - CatSper and Two-Pore channels
    - Cyclic nucleotide-regulated channels
    - Potassium channels OVERVIEW
    - Ryanodine receptors
    - Transient Receptor Potential channels
    - Voltage-gated calcium channels
    - Voltage-gated proton channel
    - Voltage-gated sodium channels
  - Other ion channels
    - Aquaporins
    - Chloride channels OVERVIEW
    - Connexins and Pannexins
    - Piezo channels
    - Sodium leak channel, non-selective
    - Store-operated ion channels



Ion Channels can also be viewed in lists by **class**

Ion channel families are grouped according to **gating regulator**. Click on the ion channel family name to view the channel page

Some classes include **figures or schematics** that summarise the main target families with that class. Click on the image for a larger view and citation info.

Target Families List: Transporters example

Transporters

GtoImmuPdb View OFF | Expand all nodes | Collapse all nodes

- **Transporters** OVERVIEW
  - ATP-binding cassette transporter family OVERVIEW
    - ABCA subfamily
    - ABCB subfamily
    - ABCC subfamily
    - ABCD subfamily of peroxisomal ABC transporters
    - ABCG subfamily
  - F-type and V-type ATPases OVERVIEW
    - F-type ATPase
    - V-type ATPase
  - P-type ATPases OVERVIEW
    - Na^+/K^+-ATPases
    - Ca^{2+}-ATPases
    - H^+/K^+-ATPases
    - Cu^+-ATPases
    - Phospholipid-transporting ATPases
  - SLC superfamily of solute carriers OVERVIEW
    - SLC1 family of amino acid transporters OVERVIEW
      - Glutamate transporter subfamily
      - Alanine/serine/cysteine transporter subfamily
    - SLC2 family of hexose and sugar alcohol transporters OVERVIEW
      - Class I transporters
      - Class II transporters
      - Proton-coupled inositol transporter
    - SLC3 and SLC7 families of heteromeric amino acid transporters (HATs) OVERVIEW
      - SLC3 family
      - SLC7 family
    - SLC4 family of bicarbonate transporters OVERVIEW
      - Anion exchangers
      - Sodium-dependent HCO_3^- transporters
    - SLC5 family of sodium-dependent glucose transporters OVERVIEW
      - Hexose transporter family
      - Choline transporter
      - Sodium iodide symporter, sodium-dependent multivitamin transporter and sodium-coupled monocarboxylate transporters
      - Sodium *myo*-inositol cotransporter transporters
    - SLC6 neurotransmitter transporter family OVERVIEW
      - Monoamine transporter subfamily
      - GABA transporter subfamily
      - Glycine transporter subfamily
      - Neutral amino acid transporter subfamily

An **overview to the transporters** class is available, in addition to separate overviews for each superfamily

The **SLC superfamily** of solute carriers is subdivided into families listed in numerical order

Transporters

Contents

Overview

« Hide

The majority of biological solutes are charged organic or inorganic molecules. Cellular membranes are hydrophobic and, therefore, effective barriers to separate them allowing the formation of gradients, which can be exploited, for example, in the generation of energy. Membrane transporters carry solutes across cell membranes, which would otherwise be impermeable to them. The energy required for active transport processes is obtained from ATP turnover or by exploiting ion gradients.

ATP-driven transporters can be divided into three major classes: P-type ATPases; F-type or V-type ATPases and ATP-binding cassette transporters. The first of these, P-type ATPases, are multimeric proteins, which transport (primarily) inorganic cations. The second, F-type or V-type ATPases, are proton-coupled motors, which can function either as transporters or as motors. Last, are ATP-binding cassette transporters, heavily involved in drug disposition as well as transporting endogenous solutes.

The second largest family of membrane proteins in the human genome, after the G protein-coupled receptors, are the SLC solute carrier family. Within the solute carrier family, there are a great variety of solutes transported, from simple inorganic ions to amino acids and sugars to relatively complex organic molecules like haem. The solute carrier family includes 65 families of almost 400 members. Many of these overlap in terms of the solutes that they carry. For example, amino acids accumulation is mediated by members of the SLC1, SLC3/7, SLC6, SLC15, SLC16, SLC17, SLC32, SLC36, SLC38 and SLC43 families. Further members of the SLC superfamily regulate ion fluxes at the plasma membrane, or solute transport into and out of cellular organelles. Some SLC family members remain orphan transporters, in as much as a physiological function has yet to be dtermined. Within the SLC superfamily, there is an abundance in diversity of structure. Two families (SLC3 and SLC7) only generate functional transporters as heteromeric partners, where one partner is a single TM domain protein. Membrane topology predictions for other families suggest 3,4,6,7,8,9,10,11,12,13 or 14 TM domains. The SLC transporters include members which function as antiports, where solute movement in one direction is balanced by a solute moving in the reverse direction. Symports allow concentration gradients of one solute to allow co-transport of a second solute across a membrane. A third, relatively small group are equilibrative transporters, which allow solutes to travel across membranes down their concentration gradients. A more complex family of transporters, the SLC27 fatty acid transporters also exhibit enzymatic function. Many of the transporters also manifest electrogenic properties of ion channels.

Target Family Pages

Overview

Overview: Brief introduction to the target family. For more detailed information click on the '**More detailed introduction**' link.

NC-IUPHAR review articles on nomenclature are shown in bold (where available) in receptor family overviews

Links to **HGNC and UniProt**

Links to **ligand pages**

Links to **reference list**

Target list: Click 'Show/Hide summary' to **see concise data** for each target. See examples on following pages

Comments: Click to 'Show/Hide' further information on the targets listed in the table

Further Reading: Click to 'Show/Hide' further information on the targets listed in the table

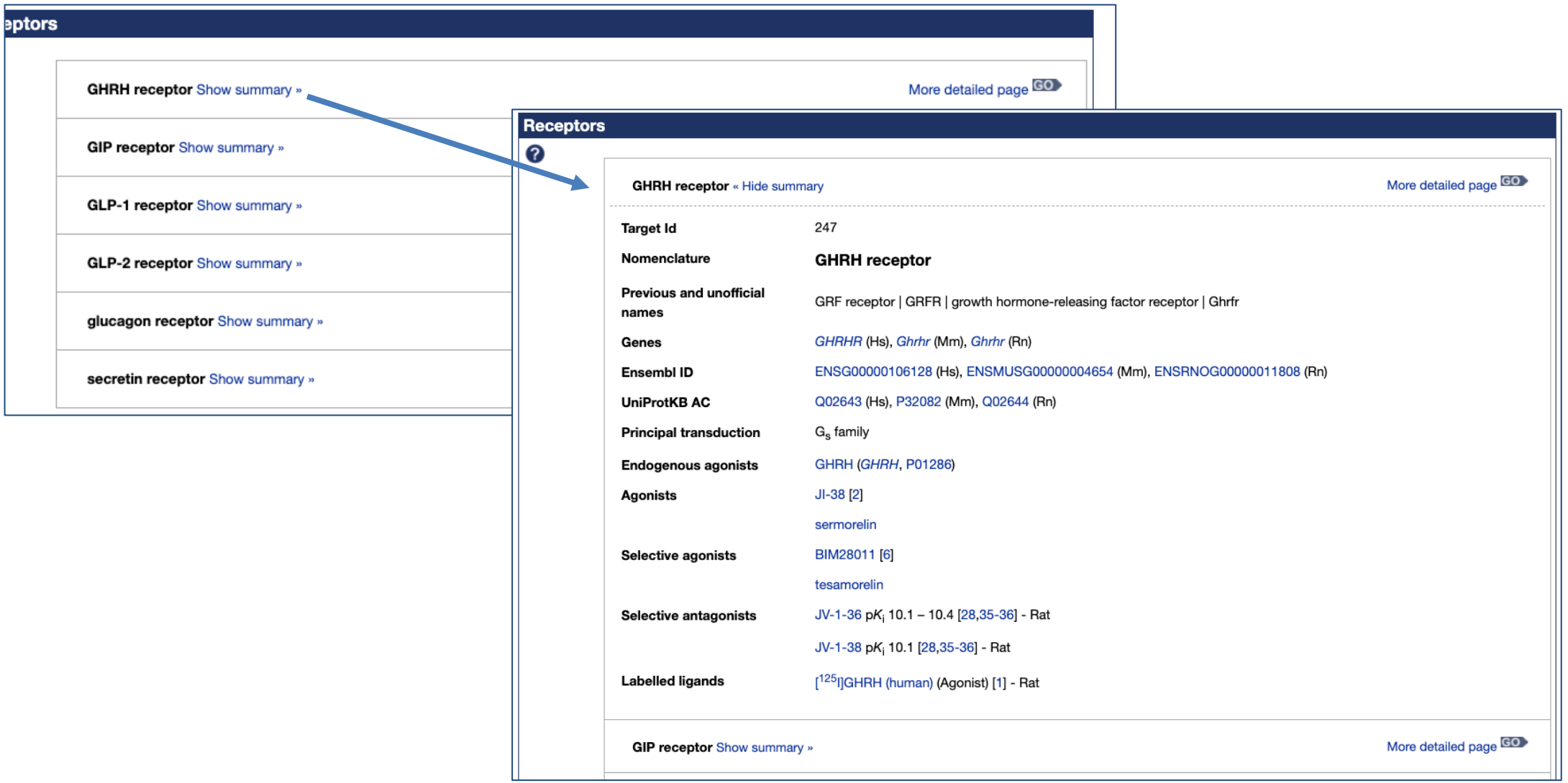
References: Click to 'Show/Hide' further information on the targets listed in the table

NC-IUPHAR subcommittee and list of other **contributors** for the family

How to cite this family: Show the citation for this family. Change format by using the dropdown selector.

Target Concise Views

The following pages show several examples of target concise views. Accessed from the target family pages, clicking on ‘Show/Hide’ in the list of targets displays concise details and information about that target. The concise views contain many common features but also some features specific to certain target classes.



Target Concise View (GPCRs)

GHRH receptor

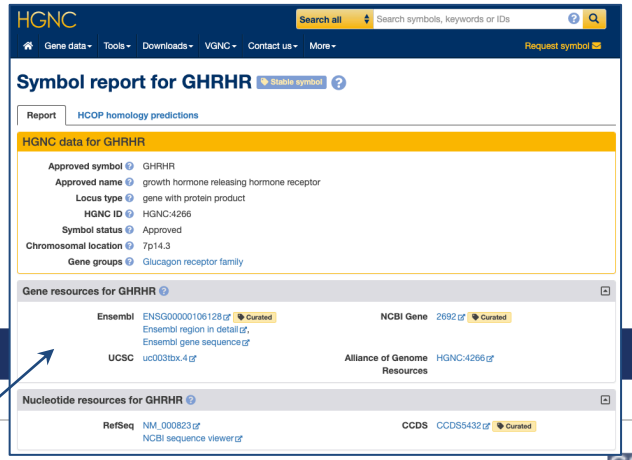
For a definition of nomenclature, see the **glossary on our help pages**

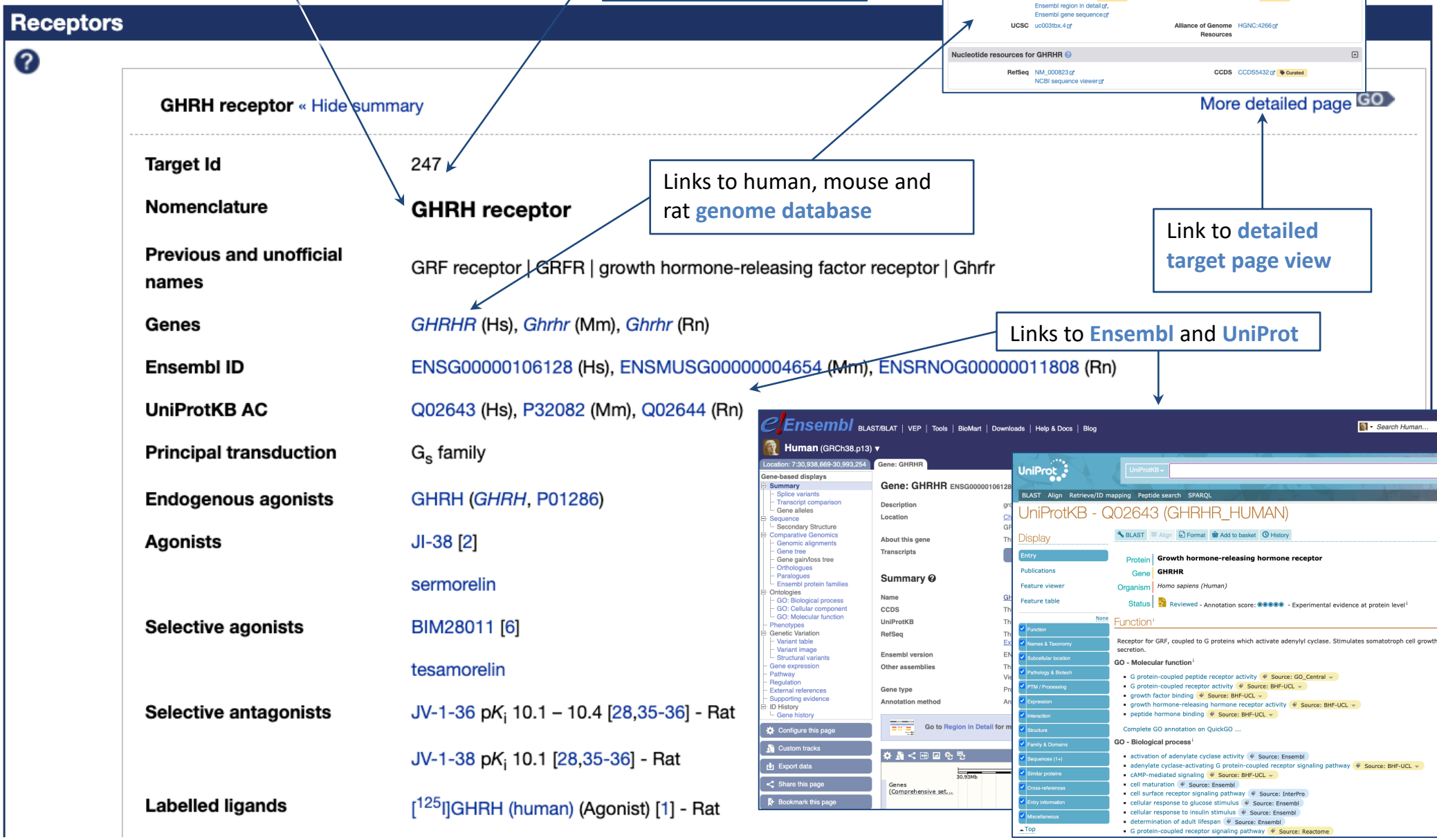
Unique **target Id** displayed at the top of each entry

Links to human, mouse and rat **genome database**

Link to **detailed target page view**

Links to **Ensembl** and **UniProt**





Target Concise View (GPCRs)

5-HT$_{1D}$ receptor

Receptors

5-HT$_{1A}$ receptor Show summary » — More detailed page GO

5-HT$_{1B}$ receptor Show summary » — More detailed page GO

5-HT$_{1D}$ receptor « Hide summary — More detailed page GO

Target Id	3
Nomenclature	**5-HT$_{1D}$ receptor**
Previous and unofficial names	5-HT$_{1Dα}$ [158] | HTRL | 5-HT1D | HT1DA | serotonin receptor 1D | Gpcr14 | Htr1db | 5-hydroxytryptamine (serotonin) receptor 1D, G protein-coupled
Genes	*HTR1D* (Hs), *Htr1d* (Mm), *Htr1d* (Rn)
Ensembl ID	ENSG00000179546 (Hs), ENSMUSG00000070687 (Mm), ENSRNOG00000012038
UniProtKB AC	P28221 (Hs), Q61224 (Mm), P28565 (Rn)
Principal transduction	G_i/G_o family
Agonists	dihydroergotamine [55,87-88]
	ergotamine [50]
	L-694,247 [160]
	zolmitriptan [107]
	naratriptan [36,107,128]
	frovatriptan [163]
	rizatriptan [107]
Selective agonists	PNU109291 [39] - Gorilla
	eletriptan [107]
Selective antagonists	SB 714786 pK_i 9.1 [157]
Labelled ligands	[^{3}H]eletriptan (Agonist) [107]
	[^{125}I]GTI (Agonist) [21,28] - Rat
	[^{3}H]alniditan (Agonist) [87]
	[^{3}H]GR 125,743 (Antagonist) pK_d 8.6 [161]
	[^{3}H]sumatriptan (Agonist) [107]

All data listed in the concise view refers to **human** protein unless otherwise stated.

Ligand **PNU109291** tested at **gorilla** receptor

Click on the ligand name to display the **ligand summary page**

Activity data, with numbered link to **reference**

eletriptan — **GtoPdb Ligand ID: 40**

Synonyms: Relpax® | UK 116044

eletriptan is an **approved drug** (FDA (2002))

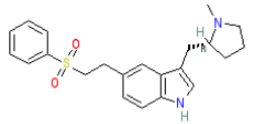
Compound class: Synthetic organic

Comment: Approved as eletriptan hydrobromide.

View interactive charts of activity data across species

View more information in the IUPHAR Pharmacology Education Project: eletriptan

2D Structure



Physico-chemical Properties

SMILES / InChI / InChIKey

Summary | Biological activity | Clinical data | References | Structure | Similar ligands | (Un)labelled forms

Classification

Compound class	Synthetic organic
Approved drug?	Yes (FDA (2002))

IUPAC Name

3-[[(2R)-1-methylpyrrolidin-2-yl]methyl]-5-(2-phenylsulfonylethyl)-1H-indole

International Nonproprietary Names

INN number	INN
7426	eletriptan

Target Concise View (Ion Channels)

IP_3R1 receptor, IP3 receptor family

Overview

« Hide

The inositol 1,4,5-trisphosphate receptors (IP_3R) are ligand-gated Ca^{2+}-release channels on intracellular Ca^{2+} store sites (such as the endoplasmic reticulum). They are responsible for the mobilization of intracellular Ca^{2+} stores and play an important role in intracellular Ca^{2+} signalling in a wide variety of cell types. Three different gene products (types I-III) have been isolated, which assemble as large tetrameric structures. IP_3Rs are closely associated with certain proteins: calmodulin (*CALM2*, *CALM3*, *CALM1*, P62158) and FKBP (and calcineurin *via* FKBP). They are phosphorylated by PKA, PKC, PKG and CaMKII.

Channels and Subunits

IP_3R1 « Hide summary

Target Id	743
Nomenclature	**IP_3R1**
Previous and unofficial names	INSP3R1 | IP3R1 | SCA15 | SCA16 | spinocerebellar ataxia 15 | spinocerebellar ataxia 16 | I145TR | inositol 1,4,5-triphosphate receptor 1 | InsP3R | IP3 receptor | InsP3R type I | Ip3r | Itpr-1 | opt | Pcp1 | inositol 1 | inositol 1,4,5-trisphosphate receptor 1
Genes	*ITPR1* (Hs), *Itpr1* (Mm), *Itpr1* (Rn)
Ensembl ID	ENSG00000150995 (Hs), ENSMUSG00000030102 (Mm), ENSRNOG00000007104 (Rn)
UniProtKB AC	Q14643 (Hs), P11881 (Mm), P29994 (Rn)
Endogenous activators	cytosolic Ca^{2+} Concentration range: < $7.5x10^{-4}$ M cytosolic ATP (< mM range) IP_3 (endogenous; nM - µM range)
Activators	adenophostin A (pharmacological; nM range) inositol 2,4,5-trisphosphate (pharmacological; also activated by other $InsP_3$ analogues)
Antagonists	caffeine (mM range) PIP_2 (µM range) decavanadate (µM range) xestospongin C (µM range)
Functional characteristics	Ca^{2+}: (P_{Ba}/P_K ~6) single-channel conductance ~70 pS (50 mM Ca^{2+})
Comment	IP_3 R1 is also antagonised by calmodulin at high cytosolic Ca^{2+} concentrations

Complete **synonym** list provided for targets, labelled as '**Previous and unofficial names**'

See the glossary on the help page for definitions of ligand types, e.g. **activator, anatagonist**

Functional characteristics: Provides details of the conductance, voltage-dependence, rectification and selectivity properties of ion channels

Comment: additional information on ligand activity at IP_3R1

Target Concise View (Nuclear Hormone Receptors)

Retinoic acid receptors

1B. Retinoic acid receptors

Unless otherwise stated all data on this page refer to the human proteins. Gene information is provided for human (Hs), mouse (Mm) and rat (Rn).

GtoImmuPdb View OFF | Expand all sections | Collapse all sections

Overview

« Hide

More detailed introduction GO

Retinoic acid receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on Nuclear Hormone Receptors [5]**) are nuclear hormone receptors of the NR1B family activated by the vitamin A-derived agonists tretinoin (ATRA) and alitretinoin, and the RAR-selective synthetic agonists TTNPB and adapalene. BMS493 is a family-selective antagonist [6].

Receptors

Retinoic acid receptor-α / NR1B1 « Hide summary

More detailed page GO

Target Id	590
Nomenclature	**Retinoic acid receptor-α**
Systematic nomenclature	NR1B1
Previous and unofficial names	RAR alpha 1 | RAR | RARα | retinoic acid receptor
Genes	*RARA* (Hs), *Rara* (Mm), *Rara* (Rn)
Ensembl ID	ENSG00000131759 (Hs), ENSMUSG00000037992 (Mm), ENSRNOG00000009972 (Rn)
UniProtKB AC	P10276 (Hs), P11416 (Mm)
Agonists	tretinoin [3]
Sub/family-selective agonists	tazarotene [3]
Selective agonists	Ro 40-6055 [4] BMS753 [7] tamibarotene [14]
Selective antagonists	Ro 41-5253 pIC_{50} 6.3 – 7.2 [1,8]

The **nomenclature** listed for many of our targets includes the nomenclature approved by NC-IUPHAR, in addition to the systematic or abbreviated name for the target. In the case of nuclear hormone receptors, systematic nomenclature is listed. For definitions of these terms, see the glossary

Target Concise View (Catalytic Receptors)

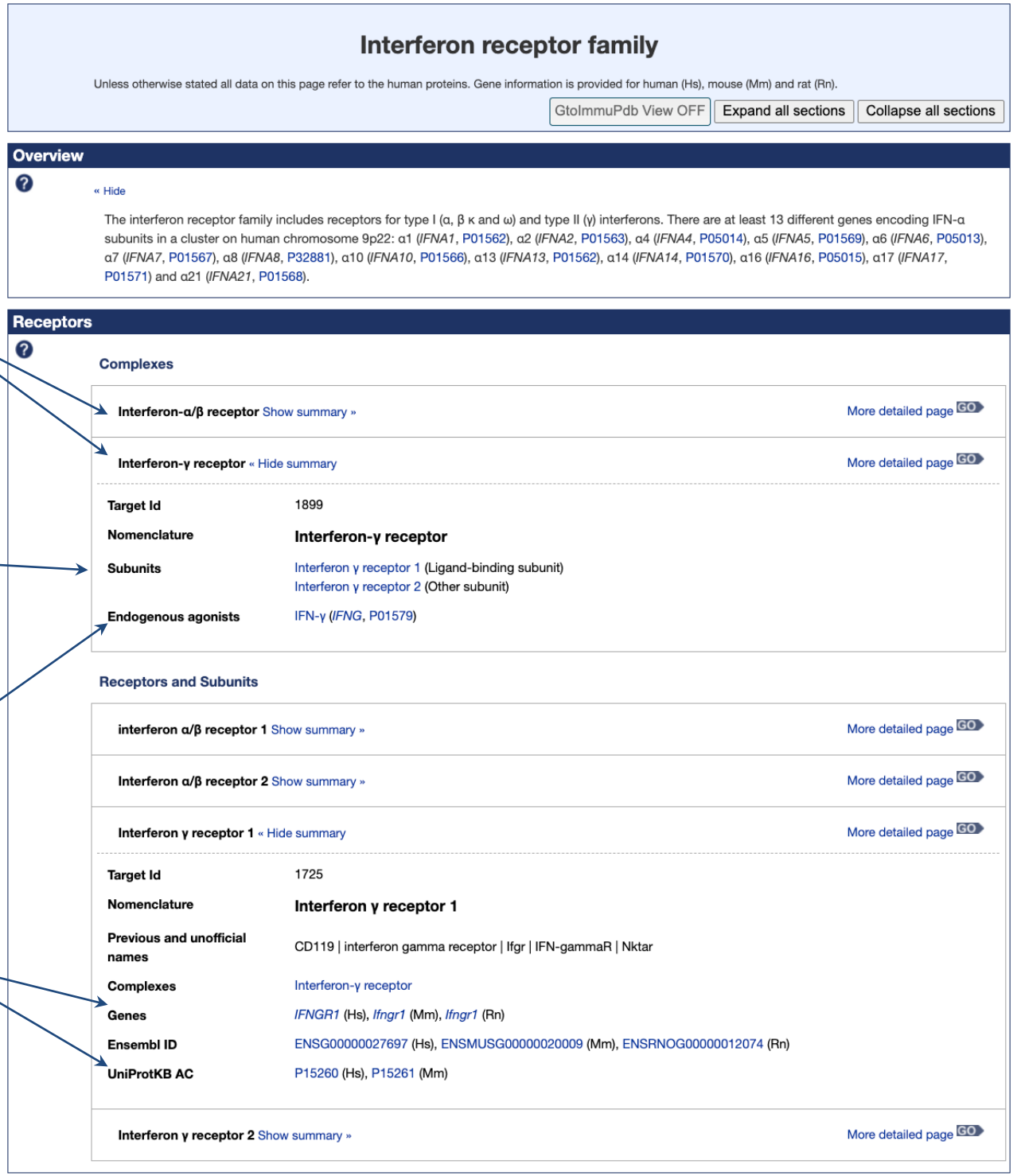
Interferon receptor family

Many catalytic receptors are **homo- or heteromeric complexes** consisting of subunits. In these cases, complexes and their subunit/receptor components are displayed in separate lists

Heteromeric receptors are linked to their subunits. The role of the subunit in the heteromeric receptor is specified where this is known

Endogenous agonists are listed and linked to ligand summary pages. **HGNC** and **UniProt** links are also included here.

Subunit entries include links to genome databases, Ensembl, and UniProt



Interferon receptor family

Unless otherwise stated all data on this page refer to the human proteins. Gene information is provided for human (Hs), mouse (Mm) and rat (Rn).

GtoImmuPdb View OFF | Expand all sections | Collapse all sections

Overview

« Hide

The interferon receptor family includes receptors for type I (α, β κ and ω) and type II (γ) interferons. There are at least 13 different genes encoding IFN-α subunits in a cluster on human chromosome 9p22: α1 (*IFNA1*, P01562), α2 (*IFNA2*, P01563), α4 (*IFNA4*, P05014), α5 (*IFNA5*, P01569), α6 (*IFNA6*, P05013), α7 (*IFNA7*, P01567), α8 (*IFNA8*, P32881), α10 (*IFNA10*, P01566), α13 (*IFNA13*, P01562), α14 (*IFNA14*, P01570), α16 (*IFNA16*, P05015), α17 (*IFNA17*, P01571) and α21 (*IFNA21*, P01568).

Receptors

Complexes

Interferon-α/β receptor Show summary » — More detailed page GO

Interferon-γ receptor « Hide summary — More detailed page GO

Target Id	1899
Nomenclature	**Interferon-γ receptor**
Subunits	Interferon γ receptor 1 (Ligand-binding subunit) Interferon γ receptor 2 (Other subunit)
Endogenous agonists	IFN-γ (*IFNG*, P01579)

Receptors and Subunits

interferon α/β receptor 1 Show summary » — More detailed page GO

Interferon α/β receptor 2 Show summary » — More detailed page GO

Interferon γ receptor 1 « Hide summary — More detailed page GO

Target Id	1725
Nomenclature	**Interferon γ receptor 1**
Previous and unofficial names	CD119 | interferon gamma receptor | Ifgr | IFN-gammaR | Nktar
Complexes	Interferon-γ receptor
Genes	*IFNGR1* (Hs), *Ifngr1* (Mm), *Ifngr1* (Rn)
Ensembl ID	ENSG00000027697 (Hs), ENSMUSG00000020009 (Mm), ENSRNOG00000012074 (Rn)
UniProtKB AC	P15260 (Hs), P15261 (Mm)

Interferon γ receptor 2 Show summary » — More detailed page GO

Target Concise View (Transporters)

Glutamate transporter subfamily

The common abbreviation, nomenclature, and systematic name are all included in the title for each transporter entry

Systematic nomenclature - recommended name for the transporter see the 'Transporter pages' section of the help pages for a definition/reference

Common abbreviation - commonly used abbreviations for the transporter name existing in the literature

Endogenous substrates - the natural substrates of the transporter

Substrates - synthetic and other non-endogenous ligands found to act as substrates when tested experimentally

Inhibitors - compounds found to inhibit the transporters ability to translocate substrates across the membrane. Assay details describing how the inhibitor was tested included where available

Stoichiometry - describes the relative quantities of substrates and ions translocated across the membrane by the transporter

Transporters

EAAT1 (Excitatory amino acid transporter 1 / SLC1A3) « Hide summary

Target Id	868
Nomenclature	**Excitatory amino acid transporter 1**
Systematic nomenclature	SLC1A3
Common abbreviation	EAAT1
Previous and unofficial names	GLAST | EAAT1 | excitatory amino acid transporter 1 | GLAST-1 | glial glutamate transporter | GluT-1 | glutamate/aspartate transporter | sodium-dependent glutamate/aspartate transporter 1 | solute carrier family 1, member 3 | Gmt1 | EA6 | solute carrier family 1 (glial high affinity glutamate transporter), member 3 | solute carrier family 1 (glial high affinity glutamate transporter)
Genes	*SLC1A3* (Hs), *Slc1a3* (Mm), *Slc1a3* (Rn)
Ensembl ID	ENSG00000079215 (Hs), ENSMUSG00000005360 (Mm), ENSRNOG00000016163 (Rn)
UniProtKB AC	P43003 (Hs), P56564 (Mm), P24942 (Rn)
Bioparadigms SLC Tables	SLC1A3 (Hs)
Endogenous substrates	L-glutamic acid
	L-aspartic acid
Substrates	L-trans-2,4-pyrolidine dicarboxylate
	D-aspartic acid
	DL-threo-β-hydroxyaspartate pK_i 4.2 [46]
Inhibitors	DL-TBOA pK_B 5.0 [46]
	UCPH-101 pIC_{50} 6.9 (membrane potential assay) [26]
Labelled ligands	[^{3}H]ETB-TBOA (Binding) pK_d 7.8 [47] - Rat
	[^{3}H]SYM2081
	[^{3}H]L-aspartic acid
	[^{3}H]D-aspartic acid
Stoichiometry	Probably 3 Na^+: 1 H^+ : 1 glutamate (in): 1 K^+ (out)

Target Concise View (Enzymes)

Adenosine turnover

Adenosine turnover

Unless otherwise stated all data on this page refer to the human proteins. Gene information is provided for human (Hs), mouse (Mm) and rat (Rn).

GtoImmuPdb View OFF | Expand all sections | Colla

Overview

« Hide More detail

A multifunctional, ubiquitous molecule, adenosine acts at cell-surface G protein-coupled receptors, as well as numerous enzymes, includin kinases and adenylyl cyclase. Extracellular adenosine is thought to be produced either by export or by metabolism, predominantly through nucleotidase activity (also producing inorganic phosphate). It is inactivated either by extracellular metabolism *via* adenosine deaminase (als ammonia) or, following uptake by nucleoside transporters, *via* adenosine deaminase or adenosine kinase (requiring ATP as co-substrate). I adenosine may be produced by cytosolic 5'-nucleotidases or through S-adenosylhomocysteine hydrolase (also producing L-homocysteine

Enzymes

ADA (Adenosine deaminase) « Hide summary More de

Target Id	1230
Nomenclature	**Adenosine deaminase**
Common abbreviation	ADA
Previous and unofficial names	ADA1 | Adenosine aminohydrolase
Genes	*ADA* (Hs), *Ada* (Mm), *Ada* (Rn)
Ensembl ID	ENSG00000196839 (Hs), ENSMUSG00000017697 (Mm), ENSRNOG00000010265 (Rn)
UniProtKB AC	P00813 (Hs), P03958 (Mm), Q920P6 (Rn)
EC number	3.5.4.4 Adenosine + H_2O = inosine + NH_3
Rank order of affinity	2'-deoxyadenosine > adenosine
Products	2'-deoxyinosine inosine
Selective inhibitors	EHNA pK_i 8.8 [1] pentostatin pIC_{50} 10.8 [1]

ENZYME: 3.5.4.4 Help

Entry	EC 3.5.4.4 Enzyme
Name	adenosine deaminase; deoxyadenosine deaminase
Class	Hydrolases; Acting on carbon-nitrogen bonds, other than peptide bonds; In cyclic amidines BRITE hierarchy
Sysname	adenosine aminohydrolase
Reaction(IUBMB)	adenosine + H2O = inosine + NH3 [RN:R01560]
Reaction(KEGG)	R01560; (other) R02556 Reaction
Substrate	adenosine [CPD:C00212]; H2O [CPD:C00001]
Product	inosine [CPD:C00294]; NH3 [CPD:C00014]
History	EC 3.5.4.4 created 1961
Pathway	ec00230 Purine metabolism ec01100 Metabolic pathways
Orthology	K01488 adenosine deaminase K19572 adenosine deaminase CECR1
Genes	HSA: 100(ADA) 51816(ADA2) PTR: 458631(ADA2) 741760(ADA) PPS: 100979765(ADA) 100992149(CECR1) GGO: 101124356(ADA) 101138963(ADA2) PON: 100172262(ADA2) 100435010(ADA) NLE: 100596557(ADA) 100606523(ADA2) MCC: 709295(ADA2) 717897(ADA)

EC (Enzyme Commission) numbers link to KEGG definition for the enzyme

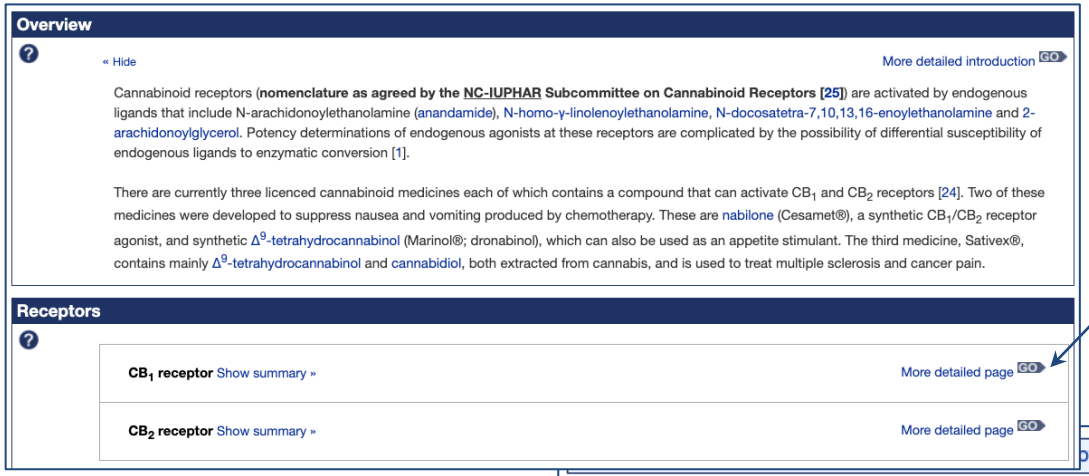
Rank order of affinity - of the enzyme to its endogenous substrates

Products - the substances arising from conversion of endogenous substrate by the enzyme

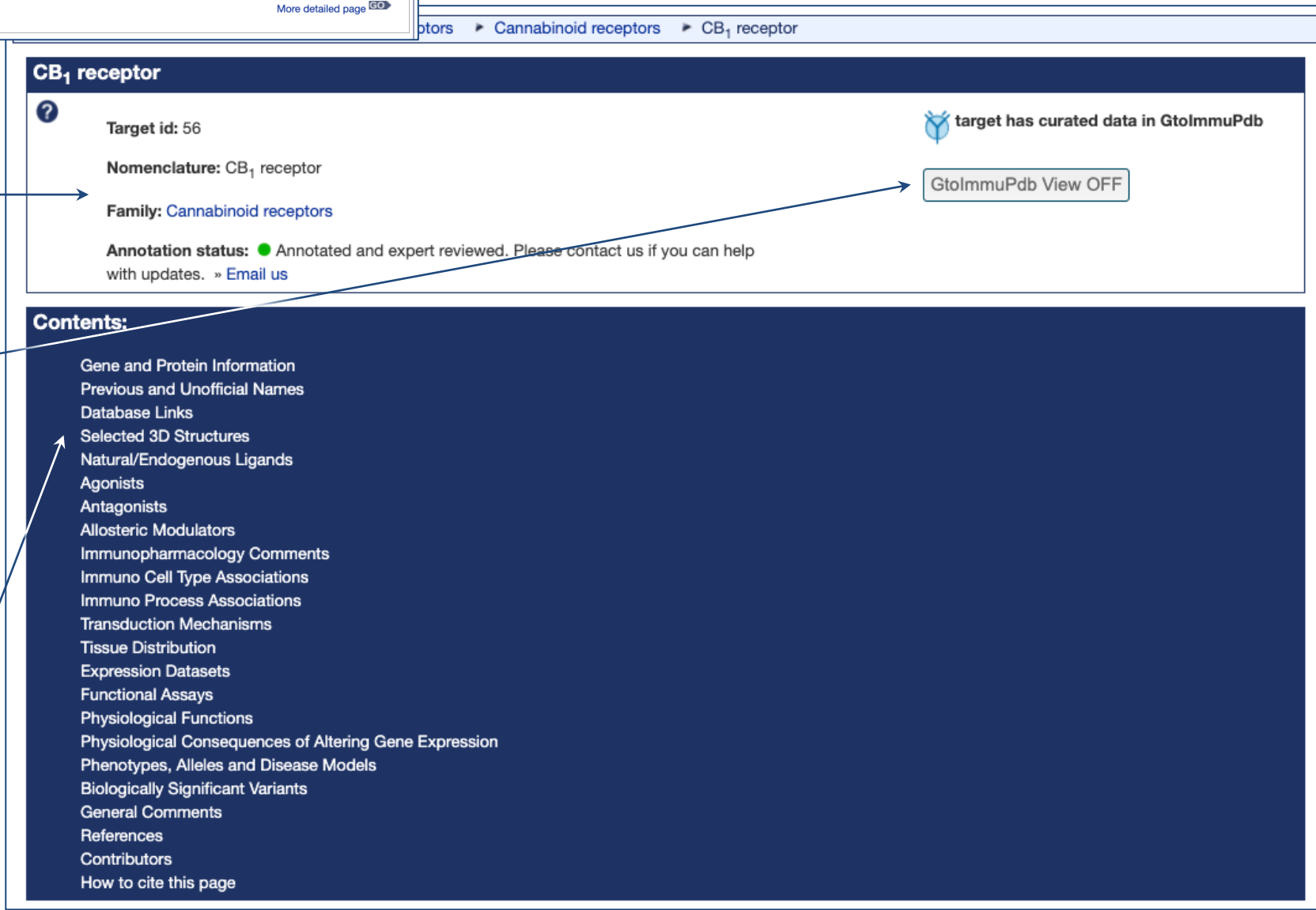
Selective inhibitors - compounds found to selectively decrease the enzyme activity

Target Detailed View

CB1 receptor



The '**More detailed page**' link, on the concise view, takes the user to the detailed view page for that target



The target's nomenclature, family and annotation status is shown.

Immuno toggle - this toggle button switch the GtoImmuPdb view on and off (highlighting immuno-relevant content)

Contents - The detailed view page can be extensive, and data is split into different section, listed here in the **contents**.

Click on the item to move to that part of the page (or simply scroll-down).

See our help page for full details

Target Detailed View

CB1 receptor

Gene and Protein Information

class A G protein-coupled receptor

Species	TM	AA	Chromosomal Location	Gene Symbol	Gene Name	Reference
Human	7	472	6q14-q15	*CNR1*	cannabinoid receptor 1	27,35
Mouse	7	473	4 A5	*Cnr1*	cannabinoid receptor 1 (brain)	9
Rat	7	473	5q21	*Cnr1*	cannabinoid receptor 1	63

Previous and Unofficial Names

Central cannabinoid receptor | Neuronal cannabinoid receptor | THC receptor | CB-R | Cann6 [84] | SKR6R | CB1R | Cann7

Agonists

Key to terms and symbols | View all chemical structures | Click column headers to sort

Ligand	Sp.	Action	Value	Parameter	Reference
[^{3}H]HU-243	Rn	Full agonist	10.4	pK_d	16
MDMB-Fubinaca	Hs	Agonist	10.0	pK_i	79
AM11542	Hs	Agonist	10.0	pK_i	38
HU-210	Hs	Full agonist	9.1 – 10.2	pK_i	19,85
AM2201	Rn	Agonist	9.0	pK_i	61
AM841	Hs	Agonist	8.9	pK_i	38
arachidonyl-2-chloroethylamide	Rn	Full agonist	8.9	pK_i	33
arachidonylcyclopropylamide	Rn	Full agonist	8.7	pK_i	33
MRI-1867	Hs	Inverse agonist	8.6	pK_i	13
AM7499	Rn	Agonist	8.6	pK_i	48
[^{3}H]CP55940	Hs	Full agonist	8.5 – 9.4	pK_d	5-6,20,28,82,85
O-1812	Rn	Full agonist	8.5	pK_i	17
nabilone	Hs	Agonist	8.4	pK_i	4
CP55940	Hs	Full agonist	8.3 – 9.2	pK_i	19,77,85

The detailed view pages contain extensive list of agonists, antagonists and other interaction ligands, gene and protein information, 3D structures, reference, contributor lists and citation details. Shown here are examples of content from the CB1 receptor.

See our help page for full details

References

Show »

Contributors

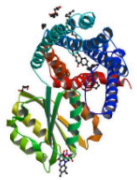
Show »

How to cite this page

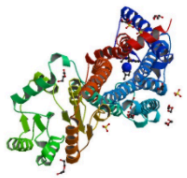
Select citation format: Vancouver

Abood M, Alexander SP, Barth F, Bonner TI, Bradshaw H, Cabral G, Casellas P, Cravatt BF, Devane WA, Di Marzo V, Elphick MR, Felder CC, Greasley P, Herkenham M, Howlett AC, Kunos G, Mackie K, Mechoulam R, Pertwee RG, Ross RA. **Cannabinoid receptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database**. IUPHAR/BPS Guide to Pharmacology CITE. 2019; 2019(4). Available from: https://doi.org/10.2218/gtopdb/F13/2019.4.

Selected 3D Structures



Description: Crystal Structure of the Human Cannabinoid Receptor CB_1
PDB Id: 5TGZ
Ligand: AM6538
Resolution: 2.8Å
Species: Human
References: 39



Description: High-resolution crystal structure of the human CB_1 cannabinoid receptor
PDB Id: 5TJV
Ligand: taranabant
Resolution: 2.6Å
Species: Human
References: 80

Target Detailed View

5-HT$_3$AB receptor

Ligands with interaction data to the target are shown in table, split by ligand.

Shown here are examples of content from the 5-HT$_3$AB receptor, which has data for agonists, antagonists, channel blockers and allosteric modulators.

Each table in supplemented with details curator comments.

See our help page for full details

Agonists

Key to terms and symbols | View all chemical structures | Click column headers to sort

Ligand	Sp.	Action	Value	Parameter	Reference
quipazine	Hs	Agonist	9.0	pK_i	1
CSTI-300	Hs	Partial agonist	8.8	pK_i	13
meta-chlorphenylbiguanide	Hs	Agonist	7.0	pK_i	1
5-hydroxytryptamine	Hs	Agonist	6.0	pK_i	1
1-phenylbiguanide	Hs	Agonist	4.9	pK_i	1
meta-chlorphenylbiguanide	Hs	Agonist	5.7	pEC_{50}	5
5-hydroxytryptamine	Hs	Agonist	4.8 – 5.8	pEC_{50}	2,5-6,15
2-methyl-5-HT	Hs	Agonist	4.9	pEC_{50}	5

Agonist Comments

Apparent affinities of agonists are for ligand binding to the recombinant 5-HT$_3$AB receptor expressed in mammalian cells, or pEC_{50} values determined under voltage-clamp for the receptor expressed in *Xenopus laevis* oocytes. Selectivity refers to the 5-HT$_3$ receptor family: the agents listed do not discriminate between 5-HT$_3$A and 5-HT$_3$AB receptors, although in some cases they demonstrate lower potency at the latter. Comments concerning efficacy relate to data obtained from voltage-clamp studies of the human 5-HT$_3$AB receptor expressed in *Xenopus laevis* oocytes and from Ca^{2+} imaging studies of the receptor expressed in HEK 293 cells [6].

Antagonists

Key to terms and symbols | View all chemical structures | Click column headers to sort

Ligand	Sp.	Action	Value	Parameter	Reference
[^{3}H]granisetron	Hs	Antagonist	8.8	pK_d	1
(*S*)-zacopride	Hs	Antagonist	8.8	pK_i	1
azasetron	Hs	Antagonist	8.4	pK_i	1
ondansetron	Hs	Antagonist	7.8	pK_i	1
(*R*)-zacopride	Hs	Antagonist	7.7	pK_i	1
metoclopramide	Hs	Antagonist	5.7	pK_i	1
cocaine	Hs	Antagonist	4.8	pK_i	1
tubocurarine	Hs	Antagonist	4.5	pK_i	1

Antagonist Comments

Data tabulated are for ligand binding to the human recombinant 5-HT$_3$AB receptor expressed in mammalian cells. Selectivity refers to the 5-HT$_3$ receptor family: the agents listed do not discriminate between 5-HT$_3$A and 5-HT$_3$AB receptor subtypes in radioligand binding studies. However, in electrophysiological studies, (+)-*tubocurarine demonstrates modest selectivity for human* 5-HT$_3$A (IC_{50} = 3μM) *versus* human 5-HT$_3$AB (IC_{50} = 14-21μM) receptors [5]. A more potent blockade by (+)-tubocurarine, although with reduced selectivity, is apparent for the rat 5-HT$_3$A and 5-HT$_3$AB receptors [7].

Channel Blockers

Key to terms and symbols | View all chemical structures | Click column headers to sort

Ligand	Sp.	Use-dependent	Value	Parameter	Voltage-dependent (mV)	Reference
picrotoxinin	Hs	no	4.2	pIC_{50}	no	16
picrotoxin	Mm	yes	2.9	pIC_{50}	no	3-4
bilobalide	Hs	no	2.5	pIC_{50}	no	16
ginkgolide B	Hs	no	2.4	pIC_{50}	no	16

View species-specific channel blocker tables

Channel Blocker Comments

Although picrotoxin is approximately 27-less more potent in blocking mouse 5-HT$_3$AB *versus* mouse 5-HT$_3$A receptors, the degree of discrimination between equivalent human receptor orthologues is substantially smaller, most probably due to differences in the structure of the TM2 domain [4].

Allosteric Modulators

Key to terms and symbols | View all chemical structures | Click column headers to sort

Ligand	Sp.	Action	Concentration range (M)	Voltage-dependent (mV)	Reference
trichloroethanol	Mm	Positive	$2.5x10^{-4}$ - $1x10^{-2}$	no	9

Allosteric Modulator Comments

Ethanol is a positive allosteric modulator of the 5-HT$_3$A receptor but, at concentrations up to 200 mM, has no effect on currents mediated by the 5-HT$_3$AB receptor [9]. Chloroform, halothane and small volume n-alcohols enhance the gating of 5-HT$_3$A receptors and incorporation of the 5-HT3B subunit to form 5-HT$_3$AB receptors suppresses this action [14-15].

Channel Blockers

Key to terms and symbols | View all chemical structures | Click column headers to sort

Ligand	Sp.	Use-dependent	Value	Parameter	Voltage-dependent (mV)	Reference
picrotoxinin	Hs	no	4.2	pIC_{50}	no	16
picrotoxin	Mm	yes	2.9	pIC_{50}	no	3-4
bilobalide	Hs	no	2.5	pIC_{50}	no	16
ginkgolide B	Hs	no	2.4	pIC_{50}	no	16

View species-specific channel blocker tables

Channel Blocker Comments

Although picrotoxin is approximately 27-less more potent in blocking mouse 5-HT$_3$AB *versus* mouse 5-HT$_3$A receptors, the degree of discrimination between equivalent human receptor orthologues is substantially smaller, most probably due to differences in the structure of the TM2 domain [4].

Ligand List Page

Home ▸ Ligands

The IUPHAR/BPS Guide to PHARMACOLOGY complete ligand list

Approved | **WHO** | Syn. organic | Metabolite | Nat. product | Endo. peptide | Other peptide | Inorganic | Antibody | Labelled | Immuno | AntiMal

All ligands in the database which are included in the World Health Organization (WHO) Model List of Essential Medicines (21st list, 2019).

GtoImmuPdb View OFF

Download as CSV

A B C D E F G H I K L M N O P Q R S T U V W X Z

Ligand name	ID	Synonyms
A		Back to top
acetazolamide	6792	Diamox®
aciclovir	4829	acyclovir, Zovirax®
adalimumab	4860	D2E7, FKB327, Humira®
(±)-adrenaline	509	adrenaline, epinephrine
allopurinol	6795	Aloprim®, BW-56-158, BW-56158, Zyloprim®
amikacin	10894	Amikin®, AMK, BB-K8
amiloride	2421	amiloride HCl, Midamor®
amiodarone	2566	amiodarone hydrochloride, Cordarone®
amitriptyline	200	amitryptiline, Elavil®, Endep®
amlodipine	6981	amlodipine besylate, amlodipine maleate, Copalia® (amlodipine + valsartan), Katerz ia® (amlodipine oral suspension, 1 mg/mL), Norvasc®, UK-48340
amodiaquine	10018	Alphaquine®, Amdaquine®, Amoquin®, Camoquin®, Flavoquine
amoxicillin	10895	Amoxil®, BRL-2333, co-amoxiclav (amoxicillin + clavulanic acid), 277174, Trimox®
ampicillin	10896	aminobenzylpenicillin, KS-R1, Penbritin®, Polycillin, Principen®
aprepitant	3490	Emend®
argiotoxin	4138	argiotoxin 636
artemether	9955	β-artemether, beta-artemether
artenimol	9957	DHA, dihydroartemisinin, GNF-Pf-5634
artesunate	9956	
atracurium	9537	atracurium besilate, atracurium dibesylate, BW 33A, Tracrium®
atropine	320	Atropen®, hyoscyamine
AZ1366	10676	AZ-1366, compound 9 [PMID: 25815142]

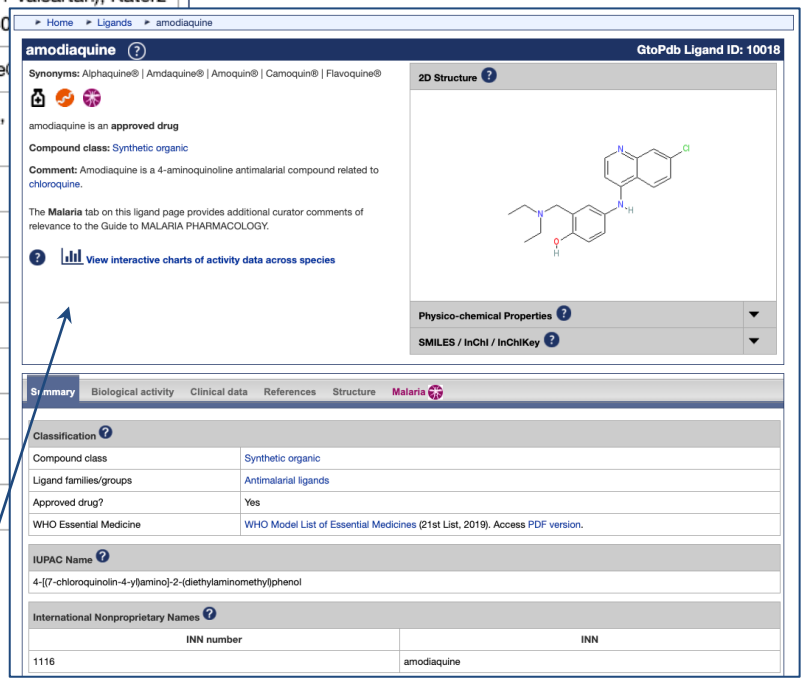
Click on the tabs to view each category of ligand. See the glossary for a description of each category.

GtoImmuPdb view - toggle lists to only view those that are immuno-relevant and curated as part of the Guide to IMMUNOPHARMACOLOGY

Download the displayed ligands in comma-separated format. Download includes IUPAC names, SMILES, InChI Key and more…

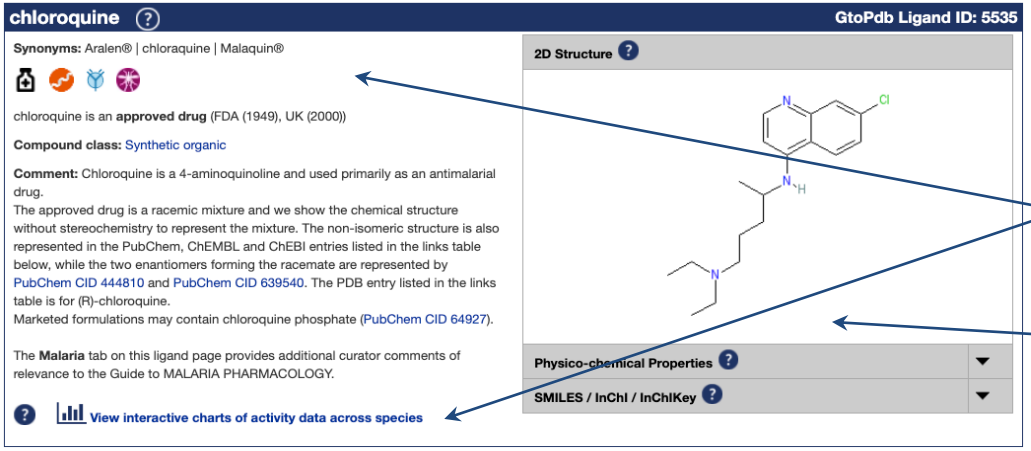
Icons summaries key features of ligands. See help pages for icon definitions, or hover over with pointer.

Ligands link to ligand summary pages



Ligand Summary Page

Chloroquine (summary)



chloroquine (?) GtoPdb Ligand ID: 5535

Synonyms: Aralen® | chloraquine | Malaquin®

chloroquine is an **approved drug** (FDA (1949), UK (2000))

Compound class: Synthetic organic

Comment: Chloroquine is a 4-aminoquinoline and used primarily as an antimalarial drug.
The approved drug is a racemic mixture and we show the chemical structure without stereochemistry to represent the mixture. The non-isomeric structure is also represented in the PubChem, ChEMBL and ChEBI entries listed in the links table below, while the two enantiomers forming the racemate are represented by PubChem CID 444810 and PubChem CID 639540. The PDB entry listed in the links table is for (R)-chloroquine.
Marketed formulations may contain chloroquine phosphate (PubChem CID 64927).

The **Malaria** tab on this ligand page provides additional curator comments of relevance to the Guide to MALARIA PHARMACOLOGY.

2D Structure (?)

Physico-chemical Properties (?)

SMILES / InChI / InChIKey (?)

(?) View interactive charts of activity data across species

Summary | Biological activity | Clinical data | References | Structure | Similar ligands | Immunopharmacology | Malaria

Classification (?)

Compound class	Synthetic organic
Ligand families/groups	Antimalarial ligands
Approved drug?	Yes (FDA (1949), UK (2000))
WHO Essential Medicine	WHO Model List of Essential Medicines (21st List, 2019). Access PDF version.

IUPAC Name (?)

N'-(7-chloroquinolin-4-yl)-N,N-diethylpentane-1,4-diamine

International Nonproprietary Names (?)

INN number	INN
386	chloroquine

Synonyms (?)

Aralen® | chloraquine | Malaquin®

Database Links (?)

BitterDB Ligand	87
CAS Registry No.	54-05-7 (source: Scifinder)
ChEBI	CHEBI:3638
ChEMBL Ligand	CHEMBL76
DrugBank Ligand	DB00608
DrugCentral Ligand	607
GtoPdb PubChem SID	178102177
Immunopaedia Search	chloroquine
PubChem CID	2719
RCSB PDB Ligand	CLQ
Search Google for chemical match using the InChIKey	WHTVZRBIWZFKQO-UHFFFAOYSA-N
Search Google for chemicals with the same backbone	WHTVZRBIWZFKQO
Search PubMed clinical trials	chloroquine
Search PubMed titles	chloroquine
Search PubMed titles/abstracts	chloroquine
Search UniChem for chemical match using the InChIKey	WHTVZRBIWZFKQO-UHFFFAOYSA-N
Search UniChem for chemicals with the same backbone	WHTVZRBIWZFKQO
Wikipedia	Chloroquine

Top-section shows synonyms, icon summaries, approval status, curatorial comments and links to ligand activity graphs (where available)

2D structure is displayed with expandable section to view **physico-chemical properties** and **SMILES, InChI and InChI Keys**

Tabs can be used to view different information about the ligand

Classification - provides the ligand classification along with and families/groups, indication whether the ligand is an approved drug, and if it is included on the WHO Essential Medicines List

IUPAC - a systematic chemical name generated according to IUPAC rules

INN - shows International Nonproprietary Name

Database Links - click to link to other relevant resources including genomic and chemical databases for further data on the ligand

Ligand Summary Page

Chloroquine (Biological activity)

Bioactivity comments - specific curator comments on a ligand bioactivity

Summary | **Biological activity** | Clinical data | References | Structure | Similar ligands | Immunopharmacology | Malaria

Bioactivity Comments

Chloroquine is active against only the erythrocytic forms of *P. vivax*, *P. malariae*, and susceptible strains of *P. falciparum* (but not the gametocytes of *P. falciparum*).
In humans, chloroquine inhibits thiamine uptake acting specifically on thiamine transporter 2 (*SLC19A3*).

Selectivity at GPCRs

Key to terms and symbols — Click on species/strain names for details — Click column header

Target	Sp.	Type	Action	Value	Parameter	Reference
MRGPRX1	Hs	Agonist	Agonist	3.5	pEC_{50}	2

Activity data - tables display all activity data for the ligand. Indicating the type and action of the ligand, its target and showing interactions values and parameters

Whole organism assay data

Key to terms and symbols — Click on species/strain names for details — Click column headers to sort

MOA/likely target	Sp.	Assay description	Value	Parameter	Reference
Unknown MOA	PfD6	Parasite growth inhibition assay	8.1	pIC_{50}	1
Unknown MOA	PfNF54	Parasite growth inhibition assay	7.9	pIC_{50}	1
Unknown MOA	Pf7G8	Parasite growth inhibition assay	7.2	pIC_{50}	1
Unknown MOA	PfTM90C2A	Parasite growth inhibition assay	7.0	pIC_{50}	1
Unknown MOA	PfK1	Parasite growth inhibition assay	6.7	pIC_{50}	1
Unknown MOA	PfW2	Parasite growth inhibition assay	6.6	pIC_{50}	1
Unknown MOA	PfV1/S	Parasite growth inhibition assay	6.5	pIC_{50}	1

Whole organism assay data - data from these types of assay where introduced for the Guide to MALARIA PHARMACOLOGY. The target is unknown

Ligand Summary Page

Chloroquine (Clinical data)

chloroquine GtoPdb Ligand ID: 5535

Synonyms: Aralen® | chloraquine | Malaquin®

chloroquine is an **approved drug** (FDA (1949), UK (2000))

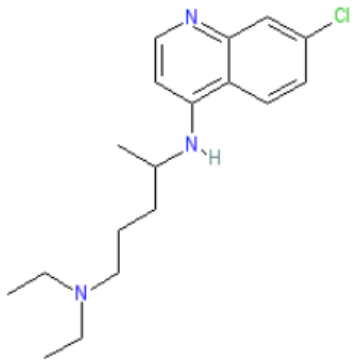
Compound class: Synthetic organic

Comment: Chloroquine is a 4-aminoquinoline and used primarily as an antimalarial drug.
The approved drug is a racemic mixture and we show the chemical structure without stereochemistry to represent the mixture. The non-isomeric structure is also represented in the PubChem, ChEMBL and ChEBI entries listed in the links table below, while the two enantiomers forming the racemate are represented by PubChem CID 444810 and PubChem CID 639540. The PDB entry listed in the links table is for (R)-chloroquine.
Marketed formulations may contain chloroquine phosphate (PubChem CID 64927).

The **Malaria** tab on this ligand page provides additional curator comments of relevance to the Guide to MALARIA PHARMACOLOGY.

View interactive charts of activity data across species

2D Structure



Physico-chemical Properties

SMILES / InChI / InChIKey

Summary | Biological activity | Clinical data | References | Structure | Similar ligands | Immunopharmacology | Malaria

Summary of Clinical Use

Chloroquine is one of the antimalarials listed in the World Health Organisation's 21st Essential Medicines List (2019), with its recommended use restricted to the prevention and treatment of *P. vivax* infection in areas where resistance has not yet developed.
It is also used off-label for other conditions/diseases, including treatment of autoimmune disorders (rheumatoid arthritis and lupus erythematosus), as an antiretroviral (HIV-1/AIDS and chikungunya fever) and as a radiosensitizing/chemosensitizing agent benefitting cancer therapies.

Mechanism Of Action and Pharmacodynamic Effects

Chloroquine inhibits ferriprotoporphyrin IX (FP, a heme detoxifying enzyme) found in *Plasmodium* species. Chloroquine kills the malarial parasite by causing a build up of toxic heme by inhibiting the enzyme that normally converts it to non-toxic hamazion. Chloroquine may also form a complex with FP which is additionally highly toxic to the organism.

External links

For extended ADME data see the following:

Electronic Medicines Compendium (eMC)
Drugs.com

Summary - the clinical data tab shows details comments on the ligand clinical use. Here indicating cholorquine's uses as a treatment of *P. vivax* infection

MMOA - detailed comments are also provided to describe a ligand's molecular mechanism of action and any pharmacodynamic effects

External links - links to useful external resources such as the eMC and drugs.com

Ligand Summary Page

Chloroquine (Structure and similar ligands)

chloroquine (?) GtoPdb Ligand ID: 5535

Synonyms: Aralen® | chloraquine | Malaquin®

chloroquine is an **approved drug** (FDA (1949), UK (2000))

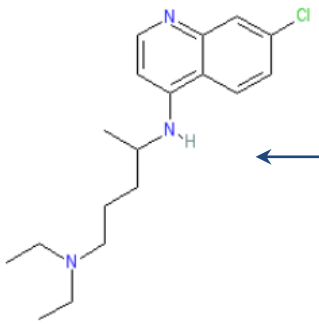
Compound class: Synthetic organic

Comment: Chloroquine is a 4-aminoquinoline and used primarily as an antimalarial drug.
The approved drug is a racemic mixture and we show the chemical structure without stereochemistry to represent the mixture. The non-isomeric structure is also represented in the PubChem, ChEMBL and ChEBI entries listed in the links table below, while the two enantiomers forming the racemate are represented by PubChem CID 444810 and PubChem CID 639540. The PDB entry listed in the links table is for (R)-chloroquine.
Marketed formulations may contain chloroquine phosphate (PubChem CID 64927).

The **Malaria** tab on this ligand page provides additional curator comments of relevance to the Guide to MALARIA PHARMACOLOGY.

View interactive charts of activity data across species

2D Structure (?)



Physico-chemical Properties (?)

SMILES / InChI / InChIKey (?)

Canonical SMILES	CCN(CCCC(Nc1ccnc2c1ccc(c2)Cl)C)CC
Isomeric SMILES	CCN(CCCC(Nc1ccnc2c1ccc(c2)Cl)C)CC
InChI	InChI=1S/C18H26ClN3/c1-4-22(5-2)12-6-7-14(3)21-17-10-11-20-18-13-15(19)8-9-16(17)18/h8-11,13-14H,4-7,12H2,1-3H3,(H,20,21)
InChI Key	WHTVZRBIWZFKQO-UHFFFAOYSA-N

2D structure - click on the 2D structure to launch the image in the ChemAxon chemical sketch tool. The molecule can then be edited and used in our structure-based search.

SMILES / InChI / InChI Key - expandable section reveals a ligands SMILES (canonical and isomeric) and InChI and InChI Key.

Similar ligand - this tab shows any similar ligands in the database. Similarity is calculated using clustering with similarity higher than 0.8.

Summary | Biological activity | Clinical data | References | **Structure** | Similar ligands

Download 2D Structure (?)

Canonical SMILES	Download
Isomeric SMILES	Download
InChI standard identifier	Download
InChI standard key	Download

Molecular structure representations generated using Open Babel

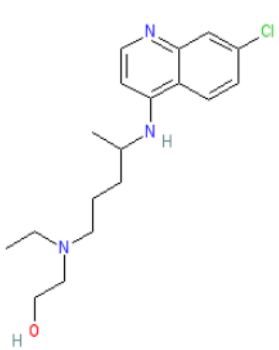
Structure tab - provide a way to download the structure strings

Summary | Biological activity | Clinical data | References | Structure | **Similar ligands** | Immunopharmacology | Malaria

For advanced searching click here to open chemical structure editor

Similar Ligands (?)

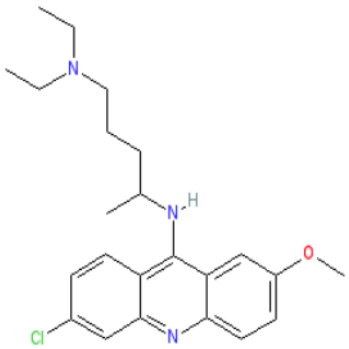
hydroxychloroquine



Targets

TLR7; TLR9

mepacrine



Targets

null

Ligand Summary Page

Calcitonin - endogenous peptide ligands (summary)

calcitonin (?) **GtoPdb Ligand ID: 685**

Abbreviated name: CT

Synonyms: LS-173874 | thyrocalcitonin

calcitonin is an **approved drug** (FDA (1986))

Compound class: Endogenous peptide in human, mouse or rat

Comment: For an image and identifiers representing the chemical structure of human calcitonin, please see the PubChem entry linked to from this ligand page. The gene encoding human calcitonin also encodes two other isoforms: katacalcin and α-CGRP.

Species: Human

View interactive charts of activity data across species

View more information in the IUPHAR Pharmacology Education Project: calcitonin

Species- the species is specified for endogenous peptide ligands

PEP - any ligands that include information in the IUPHAR Pharmacology Education Project will have a link to PEP

Summary | Biological activity | Clinical data | References | Structure | Similar ligands | (Un)labelled forms

Classification

Compound class	Endogenous peptide in human, mouse or rat
Ligand families/groups	Neuropeptides
Approved drug?	Yes (FDA (1986))

International Nonproprietary Names

INN number	INN
2399	calcitonin

Synonyms

LS-173874 | thyrocalcitonin

Gene/Precursor

Gene symbol	Gene name	Species	Precursor protein name	Synonyms
CALCA	calcitonin related polypeptide alpha	Human	preprocalcitonin	CALC1, calcitonin, calcitonin 1, calcitonin-related polypeptide alpha

Gene/Precursor - link to **HGNC database** for more information on the gene

Ligand Summary Page

Calcitonin - endogenous peptide ligands (biological activity)

Summary | **Biological activity** | Clinical data | References | Structure | Similar ligands | (Un)labelled forms

Natural/Endogenous Targets

Target
AMY_1 receptor
AMY_2 receptor
AMY_3 receptor
CT receptor

Selectivity at GPCRs

Key to terms and symbols Click column headers to sor

Target	Sp.	Type	Action	Value	Parameter	Reference
AMY_2 receptor E	Hs	Agonist	Full agonist	11.4	pEC_{50}	2
CT receptor E	Hs	Agonist	Full agonist	9.0 – 11.2	pEC_{50}	1-6
AMY_1 receptor E	Hs	Agonist	Full agonist	8.9 – 11.3	pEC_{50}	2-3,5
AMY_3 receptor E	Hs	Agonist	Full agonist	8.0 – 10.6	pEC_{50}	2

Additional information and targets (data relate to human unless otherwise stated)

Description	Data	Reference
Potency order of endogenous ligands at AMY_1 receptor	calcitonin (salmon) ≥ amylin (*IAPP*, P10997) ≥ α-CGRP (*CALCA*, P06881), β-CGRP (*CALCB*, P10092) > adrenomedullin 2/intermedin (*ADM2*, Q7Z4H4) ≥ calcitonin (*CALCA*, P01258) > adrenomedullin (*ADM*, P35318)	
Potency order of endogenous ligands at AMY_3 receptor	calcitonin (salmon) ≥ amylin (*IAPP*, P10997) > α-CGRP (*CALCA*, P06881),β-CGRP (*CALCB*, P10092) ≥ adrenomedullin 2/intermedin (*ADM2*, Q7Z4H4) ≥ calcitonin (*CALCA*, P01258) > adrenomedullin (*ADM*, P35318)	
Potency order of endogenous ligands at CT receptor	calcitonin (salmon) ≥ calcitonin (*CALCA*, P01258) ≥ amylin (*IAPP*, P10997), α-CGRP (*CALCA*, P06881), β-CGRP (*CALCB*, P10092) > adrenomedullin (*ADM*, P35318), adrenomedullin 2/intermedin (*ADM2*, Q7Z4H4)	

Ligand mentioned in the following text fields

Calcitonin receptors overview

Calcitonin receptors comments

Natural/endogenous ligands - the table lists the receptors at which the ligand is the principal natural or other endogenous ligand

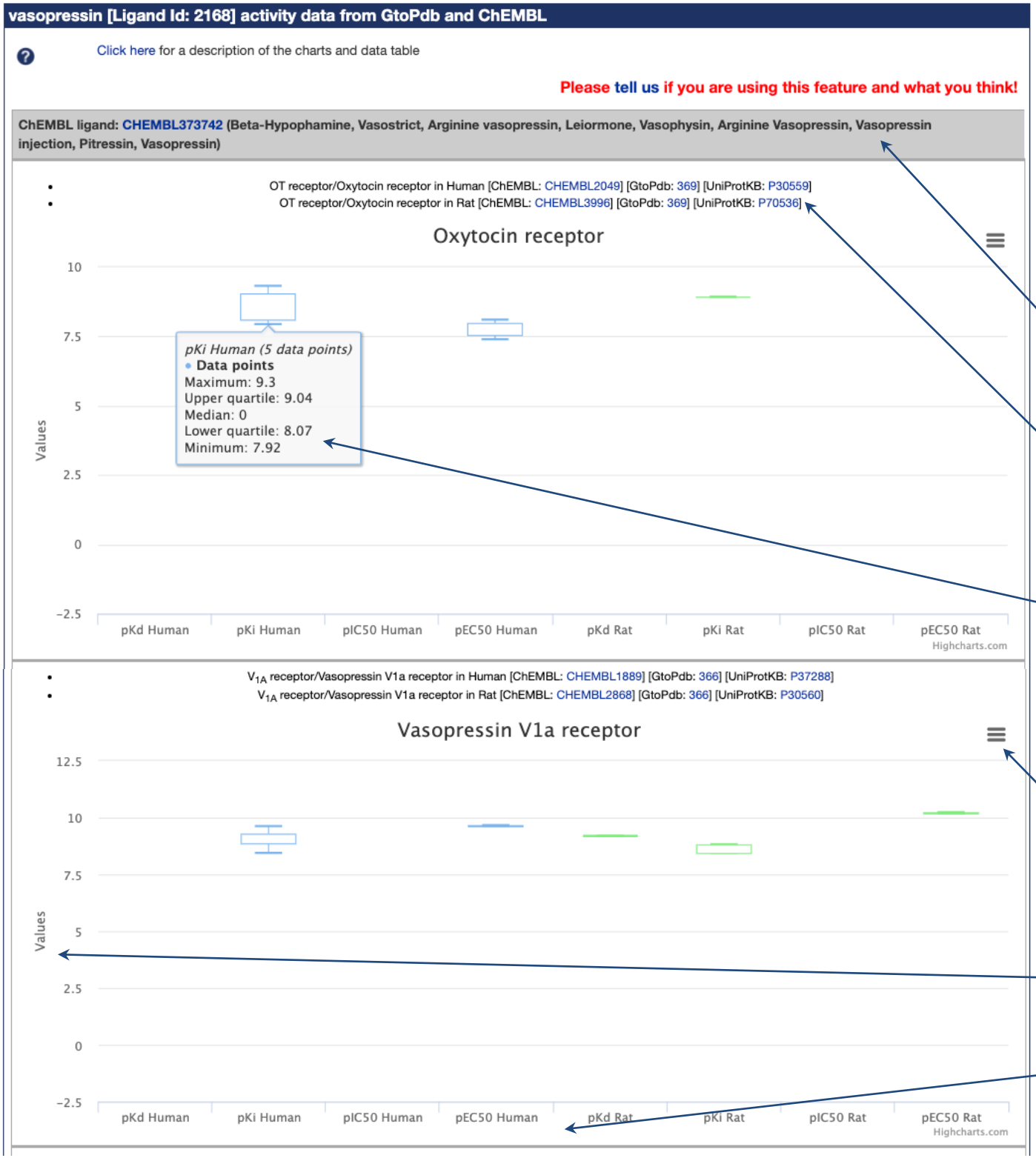
Activity data - Table displays all activity data for the ligand. As the table indicates, calcitonin is an endogenous agonist at several members of the calcitonin receptor family.

Click on the receptor name in the table to link to the **detailed view** receptor page.

Calcitonin is available as an approved drug and the **primary target** at which it acts is indicated by this symbol

Ligand Activity Charts

Vasopressin activity (chart)



The **ligand activity charts** are box plots summarizing activity data taken from ChEMBL and GtoPdb across multiple targets and species.

The ChEMBL ligand accession is shown along with synonyms

Target name, species along with links to the target page at ChEMBL, GtoPdb and UniProt are shown.

Hover over a plot to display the median, interquartile range and maximum and minimum data points.

Charts can be downloaded by clicking here

y-axis shows activity standard value.

x-axis is split by species and parameter

Ligand Activity Charts

Vasopressin activity (data)

DB	Assay description	Assay Type	Standard value	Standard parameter	Original value	Original units	Original parameter	Reference
OT receptor/Oxytocin receptor in Human (target type: SINGLE PROTEIN) [ChEMBL: CHEMBL2049] [GtoPdb: 369] [UniProtKB: P30559]								
ChEMBL	Displacement of [3H]OT from oxytocin receptor expressed in COS1 cells	B	7.92	pKi	12	nM	Ki	J. Med. Chem. (2010) 53: 8585-8596 [PMID:21117646]
ChEMBL	Displacement of [3H]OT from human mammary gland oxytocin receptor expressed in HEK293 cell membrane after 1 hr by scintillation counting method	B	8.22	pKi	6	nM	Ki	Bioorg Med Chem (2018) 26: 3039-3045 [PMID:29602673]
ChEMBL	Displacement of [3H]AVP from human oxytocin receptor expressed CHO cells after 60 mins	B	8.77	pKi	1.7	nM	Ki	J. Med. Chem. (2011) 54: 2864-2877 [PMID:21428295]
ChEMBL	Displacement of [3H]-AVP from human oxytocin receptor expressed in CHO cells after 30 mins	B	8.78	pKi	1.65	nM	Ki	J. Med. Chem. (2012) 55: 8588-8602 [PMID:22984902]
GtoPdb	-	-	9.3	pKi	-	-	-	Life Sci. (1995) 57: 2253-61 [PMID:7475979]; EMBO J. (1995) 14: 2176-82 [PMID:7774575]; FEBS Lett. (1996) 397: 201-6 [PMID:8955347]; J. Biol. Chem. (2001) 276: 26931-41 [PMID:11337500]; Endocrinology (2002) 143: 4655-64 [PMID:12446593]; J Med Chem (2004) 47: 2375-2388 [PMID:15084136]; Br J Pharmacol (2005) 146: 744-751 [PMID:16158071]; Br J Obstet Gynaecol (1999) 106: 1047-53 [PMID:10519430]
ChEMBL	Activity at oxytocin receptor expressed in COS1 cells assessed as IP-one generation by HTRF assay	B	7.39	pEC50	41	nM	EC50	J. Med. Chem. (2010) 53: 8585-8596 [PMID:21117646]
ChEMBL	Agonist activity at human oxytocin receptor expressed in CHO-K1 cells after 5 hrs by firefly luciferase reporter gene assay	B	7.66	pEC50	22	nM	EC50	J. Med. Chem. (2014) 57: 5306-5317 [PMID:24874785]
ChEMBL	Agonist activity at human OT receptor expressed in CHO-K1 cells by luciferase reporter gene assay	F	7.82	pEC50	15.14	nM	EC50	J. Med. Chem. (2011) 54: 4388-4398 [PMID:21688787]
ChEMBL	Agonist activity at human OT receptor expressed in CHO-K1 cells by luciferase reporter gene assay	F	7.82	pEC50	15	nM	EC50	J. Med. Chem. (2011) 54: 4388-4398 [PMID:21688787]
ChEMBL	Agonist activity at human oxytocin receptor expressed CHO cells assessed as induction of phospholipase C activity after 15 mins by inositol phosphate accumulation assay	F	8.08	pEC50	8.3	nM	EC50	J. Med. Chem. (2011) 54: 2864-2877 [PMID:21428295]
OT receptor/Oxytocin receptor in Rat (target type: SINGLE PROTEIN) [ChEMBL: CHEMBL3996] [GtoPdb: 369] [UniProtKB: P70536]								
ChEMBL	Displacement of [3H]AVP from rat OT receptor expressed in CHO cells	B	8.93	pKi	1.17	nM	Ki	J. Med. Chem. (2007) 50: 835-847 [PMID:17300166]

Original and standard values and parameter are shown

Data used in the activity charts is shown in the tables at the foot of the page. Full details can bee found in our help pages.

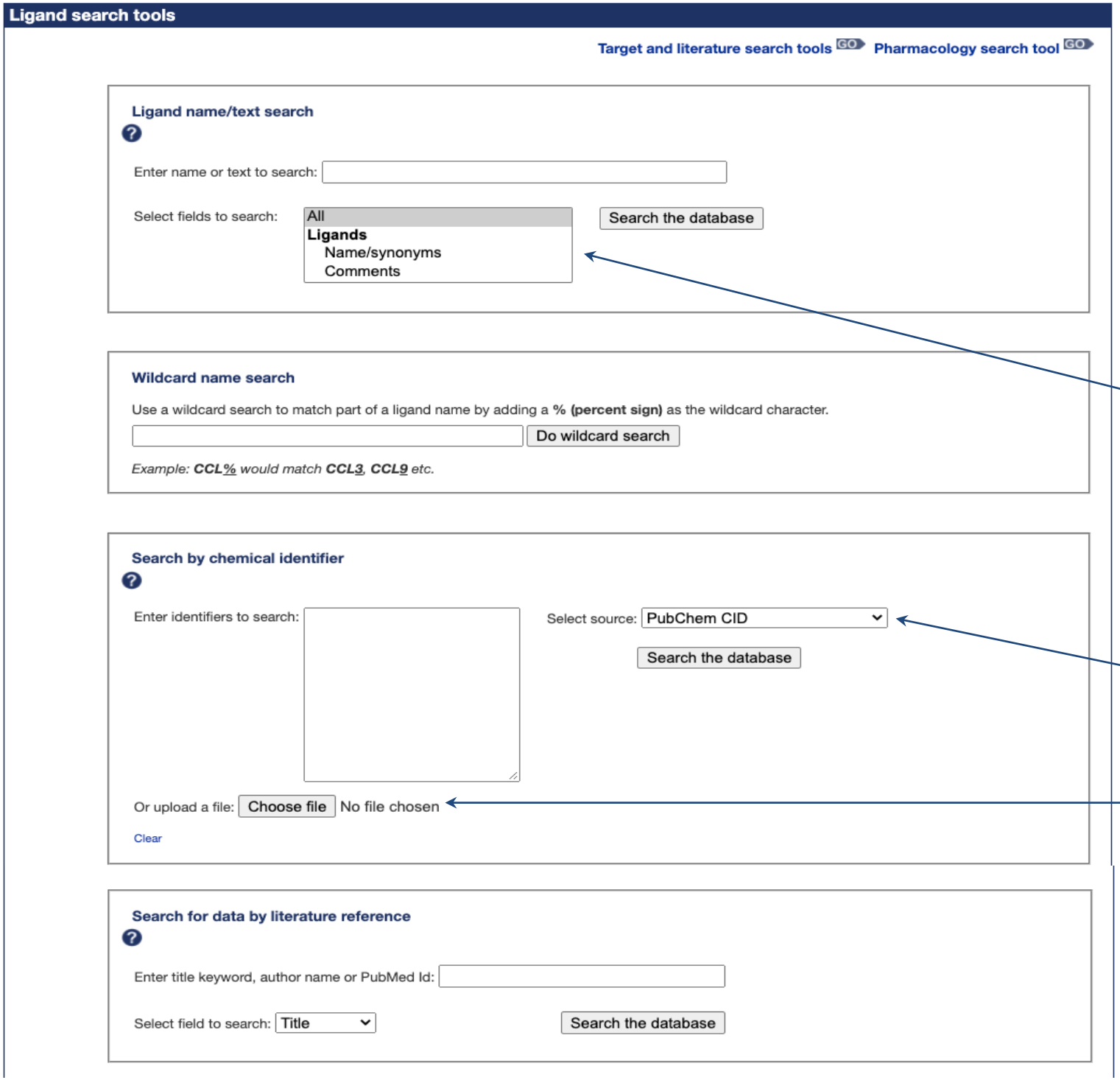
Data is separated by target and species. For vasopression, this data is from the **OT receptor/Oxytocin receptor**. The **human** data, from both ChEMBL and GtoPdb is shown at the top, with the single data point from **rat** shown at the bottom

Only binding (B) and functional (F) assay are included from ChEMBL

The **original reference** for each data point is provided. We always recommend checking the data in the original reference - follow the links to view.

Advanced Search Tools

Ligand search tools



It is possible to search for a ligand on the database by:

- Ligand Name
- Chemical Identifier (e.g. SMILES, CAS Registry No., InChI Key)
- Literature reference

See help page for more information

Select menu to restrict search to specific database fields

Drop-down to set the identifier source

To search on multiple identifiers users can upload these in a text file, with each identifier separated by a space.

Advanced Search Tools: *Ligand search results for 'dexamethasone'*

Ligand search results

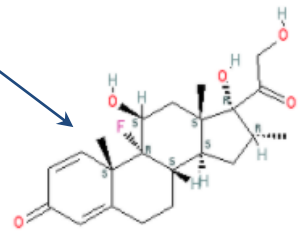
Your search for *dexamethasone* returned 8 results

8 results matched the search term

Order results by: Match Go Download as a CSV: Download

Ligand: dexamethasone

Additional synonyms inc. brand names: **Dexamethasone** Intensol
Additional synonyms inc. brand names: Sk-**Dexamethasone**
INN: **dexamethasone**
Comments: **Dexamethasone** is a glucocorticoid receptor agonist with anti-inflammatory action.
Clinical use: **Dexamethasone** may be administered by various routes to treat myriad inflammatory condtions, including inflammatory dermatoses
Mechanism of action: **Dexamethasone** binds to the glucocorticoid receptor and the drug-receptor complex translocates to the nucleus

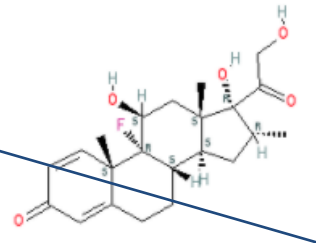


Click on ligand name or structure to view ligand summary

Results can be **downloaded** as a CSV file

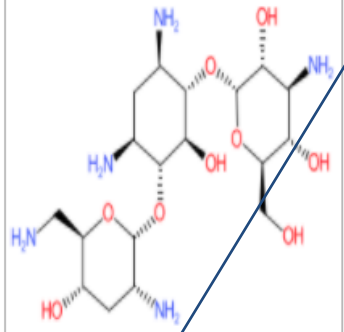
Ligand: [^{3}H]dexamethasone

Synonyms: [3H]-**dexamethasone**



Ligand: tobramycin

Synonyms: Tobradex (tobramycin + **dexamethasone**)



Within each result, the fields where the search term matched are shown, with the search term highlighted in bold.

For example, the **Glucocorticoid receptor** comes back as a result because 'dexamethasone' is found within an **agonist comment** for that target.

Ligand: daratumumab

Clinical use: **dexamethasone**, or bortezomib and **dexamethasone** for MM patients who have received at least one prior

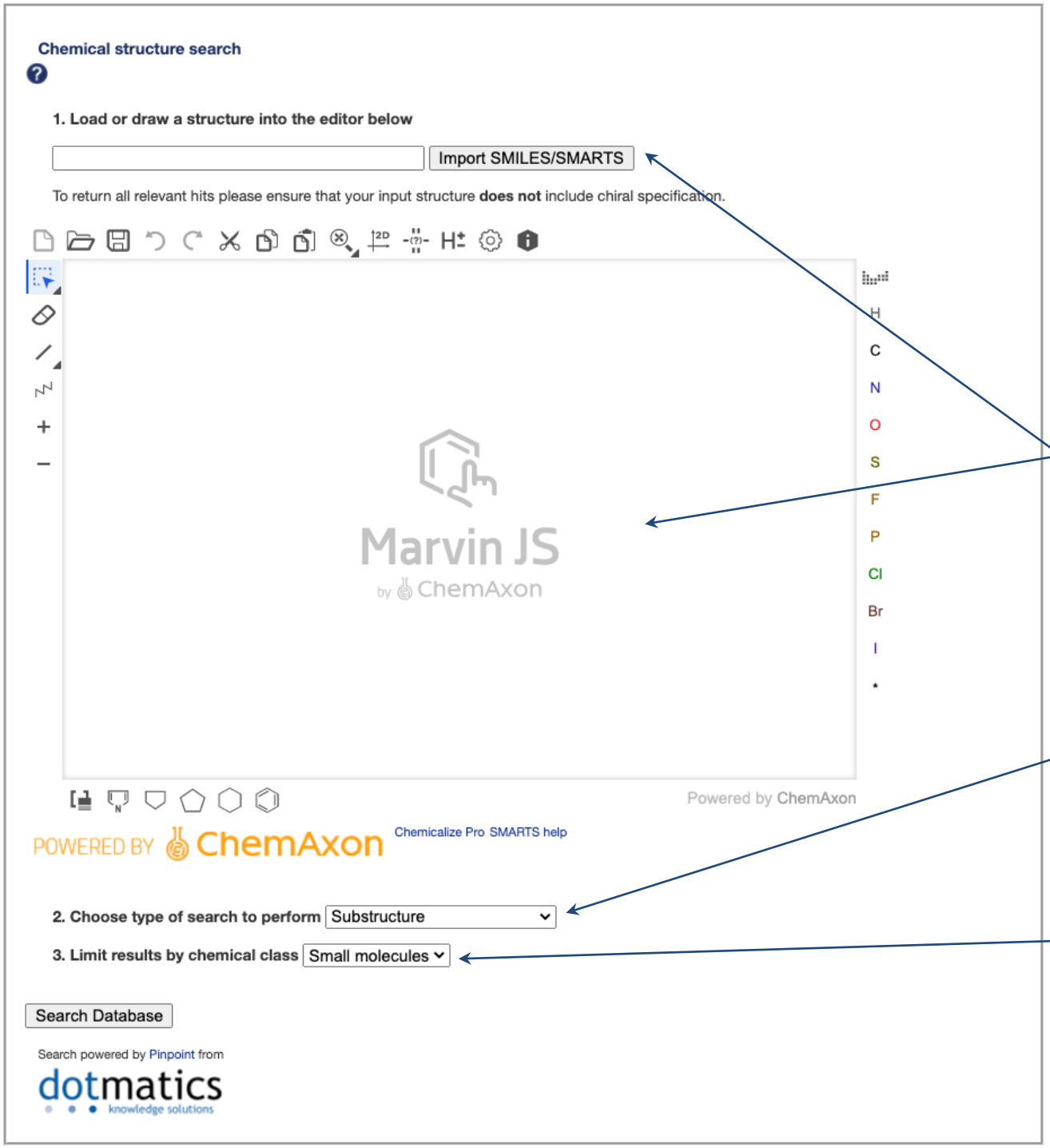
Image not available

Target: Glucocorticoid receptor (3C. 3-Ketosteroid receptors)

Agonists - comment: **Dexamethasone**, cortisol and deoxycorticosterone all have high affinity for mineralocorticoid receptors as well.Note that for ciclesonide

Advanced Search Tools

Ligand search tools: Chemical structure



It is possible to search for a ligand on the database by drawing a chemical structure into the **Chemical structure search tool**

A SMILES string pasted into the search box can be imported into the **ChemAxon MarvinJS tool** to generate a 2D image of the molecule, or a structure can be drawn directly into the box.

Select the type of structure-based search to perform (exact, substructure, similarity, SMARTS)

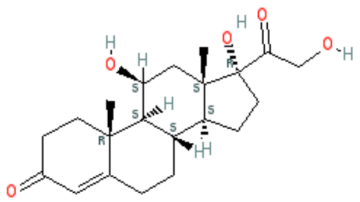
The query structure used for the chemical structure search **must not include** chiral or isotopic specification, *i.e.* use canonical SMILES instead of isomeric SMILES

Advanced Search Tools

Chemical structure search results

Chemical structure search results

Input structure:

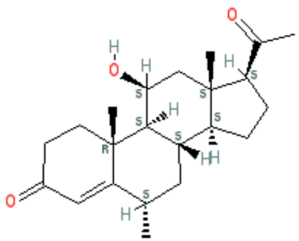


Input SMILES: C[C@]12C[C@H](O)[C@H]3[C@@H](CCC4=CC(=O)CC[C@]34C)[C@@H]1CC[C@]2(O)C(=O)CO

Your query returned 5 matches:

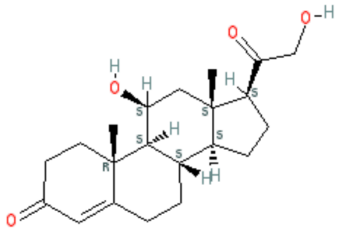
Order results by: Default | Limit results by: None <= 0.0 Update

medrysone



Use in search

corticosterone

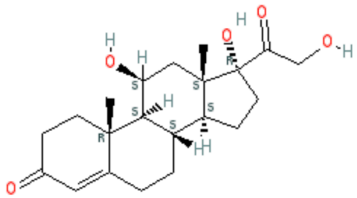


Targets

Glucocorticoid receptor; Mineralocorticoid receptor

Use in search

cortisol

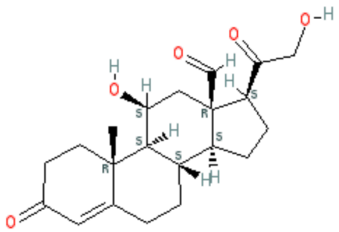


Targets

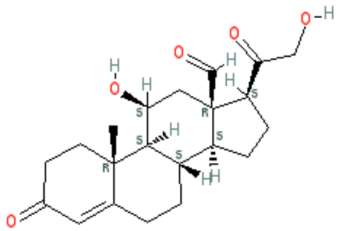
Glucocorticoid receptor; Mineralocorticoid receptor

Use in search

aldosterone



[^{3}H]aldosterone



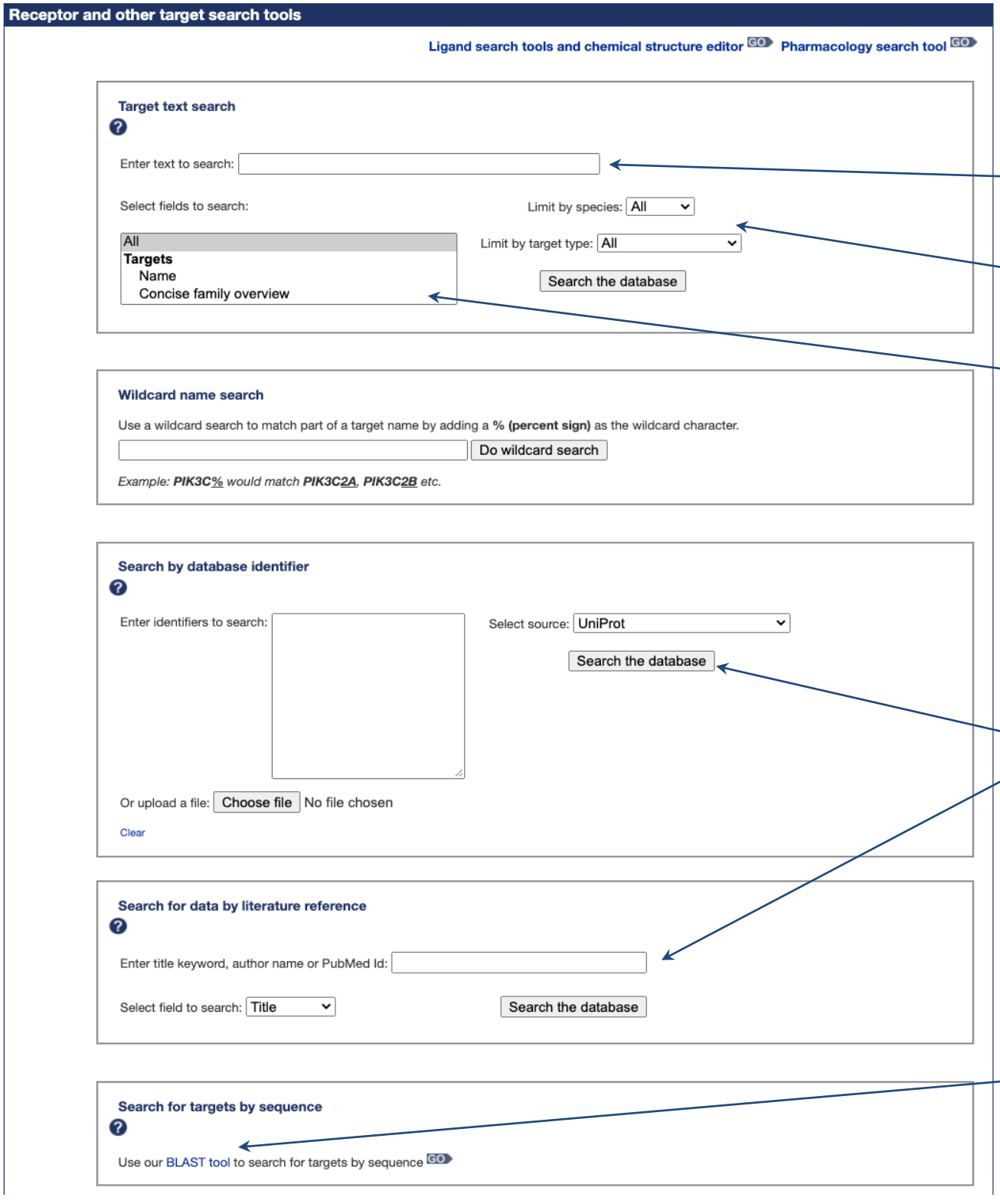
Query molecule displayed with input SMILES. This example uses cortisol

Search result generated when '**Similarity: high (>85%)**' selected from the drop-down menu

Results can be re-ordered alphabetically or by chemical properties, and limited by chemical property e.g. molecular weight

Advanced Search Tools

Target search tools



To search by target name, enter the term into the text search box

Limit drop-down menus can set species and target type

The search can be restricted to a database field using the **selection menu**

Search for a target by **database identifier** or **literature reference**

Use **BLAST** to search for targets by sequence

Advanced Search Tools: *Target search results for 'calcitonin'*

Search results

Page 1 of 2

Your search for *calcitonin* returned 17 results

Search results include receptors of the calcitonin family

Order results by: Match Go Download as a CSV: Download

Target: CT receptor (Calcitonin receptors)

Synonym: **calcitonin** receptor
Comment: **calcitonin** (salmon) binds with high affinity to **calcitonin** and amylin receptors, data using this radioligand
Consequences of altering gene expression: consistent with a regulatory role for **calcitonin** on bone primarily under conditions of calcium stress.
Physiological function - description: **Calcitonin** inhibits food intake when injected into hypothalamic areas known to be rich in **calcitonin**
Physiological function - description: **Calcitonin** is a potent inhibitor of bone resorption acting directly on osteoclasts.
Variant: **calcitonin** receptor that contains a 37 amino acid insert in the first extracellular loop. The insert
Variant: **calcitonin** receptor is a T to C base mutation which encodes a leucine447 to proline
Variant: **calcitonin** receptor which lacks 47 amino acids at the N-terminus, including a potential glycosylation
Agonists - comment: **calcitonin** receptor have also been reported, which act via the juxtamembrane region of the receptor
Transduction - comment: **calcitonin** receptor (hCT(b)) has altered signalling capacity. CT appears to stimulate this pathway in pituitary
Transduction - comment: **calcitonin** receptor (hCT(b)) has altered signalling capacity. Inhibition of bone resorption by CT has been

Results can be **downloaded** in CSV format

Target search results include individual target pages and target families including the search term in their name

Family: Calcitonin receptors

Name: **Calcitonin** receptors
(Concise view) family overview: ...**calcitonin** (CT), amylin (AMY), **calcitonin** gene-related peptide (CGRP) and adrenomedullin (AM) receptors (nomenclature as agreed...

Target: AM_1 receptor (Calcitonin receptors)

Consequences of altering gene expression: **calcitonin** receptor-like receptor leads to severe oedema and embryonic lethality. Although the **calcitonin** receptor
Functional assay - description: cAMP in COS-7 cells transfected with the human **calcitonin** receptor-like receptor and RAMP2.
Functional assay - description: levels in COS-7 cells transfected with the rat **calcitonin** receptor-like receptor and mouse RAMP2.
Functional assay - description: levels in COS-7 cells transfected with the mouse **calcitonin** receptor-like receptor and mouse RAMP2.
Functional assay - description: levels in COS-7 cells transfected with the rat **calcitonin** receptor-like receptor and human RAMP2.
Functional assay - description: levels in Drosophila Schneider 2 cells transfected with the rat **calcitonin** receptor-like receptor and RAMP2.
Agonists - comment: **calcitonin** receptor-like receptor but mouse RAMP2. Reference uses the rat **calcitonin** receptor-like receptor

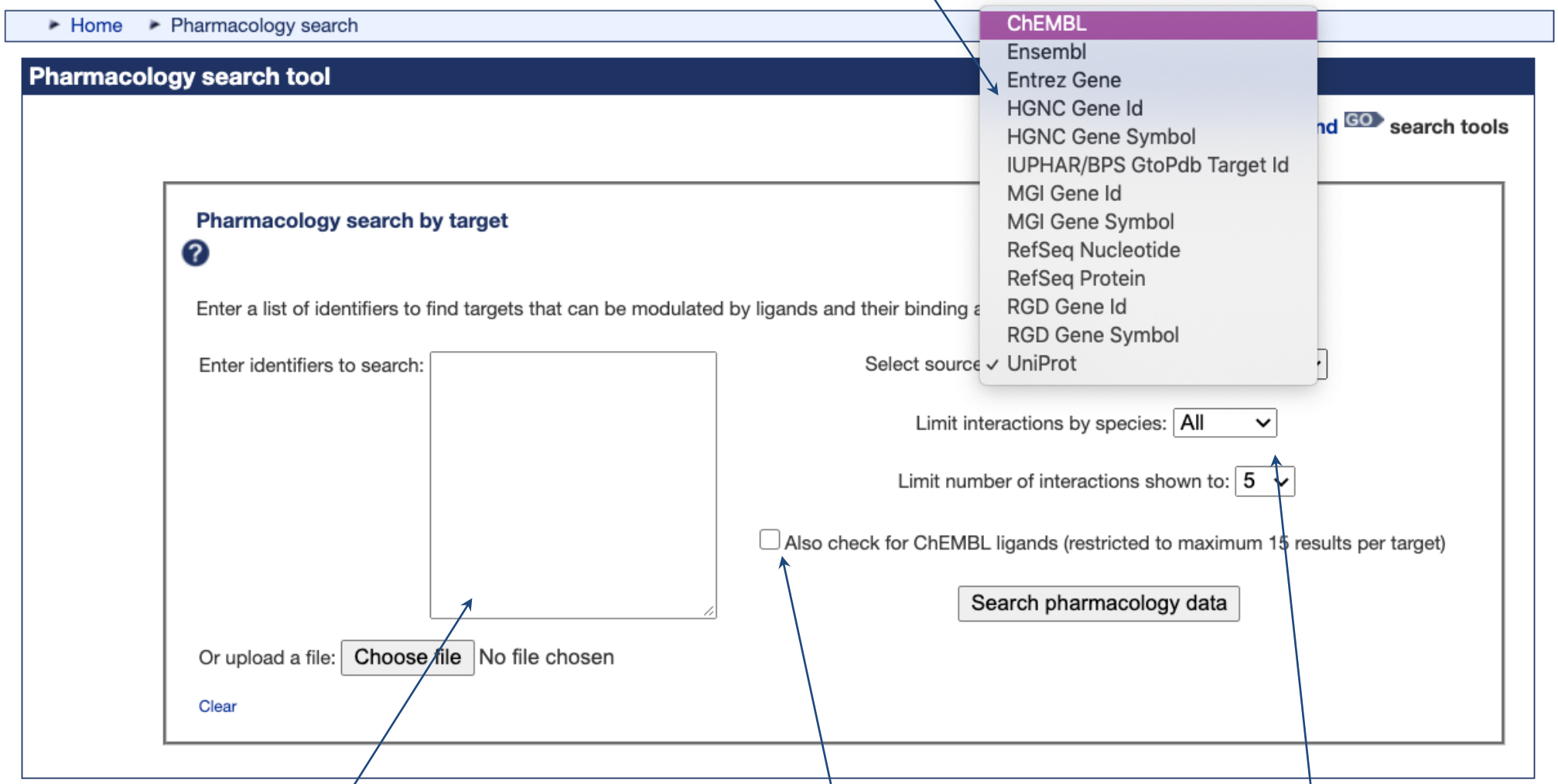
Target: AM_2 receptor (Calcitonin receptors)

Consequences of altering gene expression: **calcitonin** receptor-like receptor leads to severe oedema and embryonic lethality. The similarity in phenotype
Functional assay - description: levels in COS-7 cells transfected with the rat **calcitonin** receptor-like receptor and mouse RAMP3.
Functional assay - description: levels in COS-7 cells transfected with the mouse **calcitonin** receptor-like receptor and mouse RAMP3.
Functional assay - description: levels in COS-7 cells transfected with the human **calcitonin** receptor-like receptor and human RAMP3.
Functional assay - description: levels in COS-7 cells transfected with the rat **calcitonin** receptor-like receptor and human RAMP3.
Tissue distribution - tissues: **calcitonin** receptor-like receptor and the RAMPs form components of other receptors. It is not possible
Agonists - comment: Reference uses the rat **calcitonin** receptor-like receptor but mouse RAMP1.

Advanced Search Tools

Pharmacology search tool

Source - Set the source of the identifiers.



Upload - Upload a set of target IDs either from a file (IDs separated by spaces/tabs or new lines) or paste IDs into the box.

ChEMBL - Choose to additionally search across ChEMBL.

Limits - Results can be limited by species and the number of interactions per target can be set (results are ordering by decreasing affinity)

Advanced Search Tools

Pharmacology search results

Summary - shows the identifiers used in the search and the number of results

Download - download results as CSV format

Target results - summary of target and family and total ligands with interaction data

Interactions - Displays the top 5 (or however many specified) interactions by decreasing affinity. Click on the ligand name to view the ligand summary page

ChEMBL data - if included, these are shown in a separate table beneath the GtoPdb ligands. The ChEMBL curated values are shown along with a calculated pChEMBL (-log to base 10).

Pharmacology search results

Your search for *P21554 P21728 P41231* returned 3 results

Showing the top 5 interactions in all species

Order results by: Match Go Download as a CSV: Download

Matched ID	Target name	Target family	Target class	Total ligands
P21554	**CB_1 receptor**	Cannabinoid receptors	GPCR	50

Target sp.	1° target	App. drug	Ligand name	Type	Value	Parameter	Ligand class
Rn			[^{3}H]HU-243	Agonist	10.4	pKd	Synthetic organic
Hs			HU-210	Agonist	9.1 – 10.2	pKi	Synthetic organic
Hs			MDMB-Fubinaca	Agonist	10.0	pKi	Synthetic organic
Rn			[^{3}H]rimonabant	Antagonist	8.9 – 10.0	pKd	Synthetic organic
Hs			AM11542	Agonist	10.0	pKi	Synthetic organic

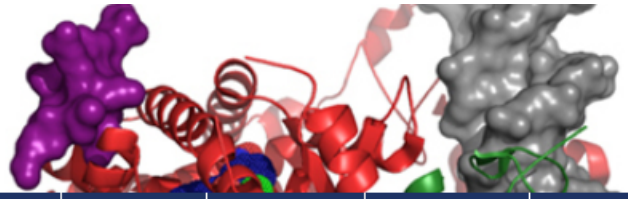
The table below shows selected data from the ChEMBL database. Approximately **8773** ligands in ChEMBL meet your search criteria and GtoPdb standardisation filters.

ChEMBL target	Target sp.	ChEMBL ligand	Value	Parameter	Activity type	pChEMBL value
Cannabinoid CB1 receptor	Mm	CHEMBL376700	$3.5x10^{-4}$ – $8.18x10^{0}$	nM	Ki	8.1 – 12.5
Cannabinoid CB1 receptor	Mm	CHEMBL223278	0.001 – 4.5	nM	Ki	8.4 – 12.0
Cannabinoid CB1 receptor	Mm	CHEMBL374933	0.004 – 17.2	nM	Ki	7.8 – 11.4
Cannabinoid CB1 receptor	Mm	CHEMBL224609	0.005	nM	Ki	11.3
Cannabinoid CB1 receptor	Mm	CHEMBL438782	0.008	nM	Ki	11.1

P21728	**D_1 receptor**	Dopamine receptors	GPCR	41

Target sp.	1° target	App. drug	Ligand name	Type	Value	Parameter	Ligand class
Hs			SKF-83959	Agonist	9.7	pEC50	Synthetic organic
Hs			SKF-83566	Antagonist	9.5	pKi	Synthetic organic
Hs			[^{125}I]SCH23982	Antagonist	9.5	pKd	Synthetic organic
Hs			[^{3}H]SCH-23390	Antagonist	9.5	pKd	Synthetic organic
Hs			SCH-23390	Antagonist	7.4 – 9.5	pKi	Synthetic organic

GtoPdb Help



Search Database

IUPHAR/BPS
Guide to PHARMACOLOGY

Home | About | Targets | Ligands | Diseases | Resources | Advanced search | Immuno Portal | Malaria Portal

Resources menu: Help | Tutorial | FAQ | Terms and symbols | Nomenclature guidelines | Publications | Downloads | News | Concise Guide to PHARMACOLOGY | Useful links

Home ▸ Help page

Guide to PHARMACOLOGY Help Page

Found under the **Resources** tab, the help page includes a link to **this tutorial**, a guide to the content of our concise and detailed view pages, a **glossary** of the terms used on the site and the guide to the external sites we link to.

About The IUPHAR/BPS Guide to PHARMACOLOGY

Background

For more information on the Guide to PHARMACOLOGY (abbreviated as GtoPdb) see the About page and the FAQ. The Guide to PHARMACOLOGY is based on information previously available separately in the International Union of Basic and Clinical Pharmacology Database (IUPHAR-DB) and the Guide to Receptors and Channels (GRAC). This help page describes the terms and symbols used in the database and the search tools available on the website.

Terms and symbols

For further information on the pharmacological terms mentioned see the NC-IUPHAR publication on terms and symbols. Please refer also to the Glossary section of this help page.

Tutorial

A tutorial for using the database and guidance on navigating the website is available to download as a PDF.

A set of protocols for using the website has been published in *Curr Protoc Bioinformatics*. These are useful "how-to" guides with illustrations for using the various features:

How to cite GtoPdb

How to cite the IUPHAR/BPS Guide to PHARMACOLOGY

For a **general citation** of the database please cite the article published in the *Nucleic Acids Research* Database Issue.

- Armstrong JF, Faccenda E, Harding SD, Pawson AJ, Southan C, Sharman JL, Campo B, Cavanagh DR, Alexander SPH, Davenport AP, Spedding M, Davies JA; NC-IUPHAR. (2019) **The IUPHAR/BPS Guide to PHARMACOLOGY in 2020: extending immunopharmacology content and introducing the IUPHAR/MMV Guide to MALARIA PHARMACOLOGY**. *Nucl. Acids Res.* pii: gkz951. doi: 10.1093/nar/gkz951 [Epub ahead of print]. [Full text]

For citations of individual data please use the following guidelines:

For **nomenclature and work using the concise family** view pages please cite the relevant section of the Concise Guide to PHARMACOLOGY 2019/20 published in the *British Journal of Pharmacology*. A full list of chapters is available in the Table of Contents. For example, for GPCrs, please cite the GPCR section of the Concise Guide. Further information is also given on individual database pages.

- Alexander SPH, Kelly E, Mathie A, Peters JA, Veale EL, Faccenda E, Harding SD, Pawson AJ, Sharman JL, Southan C, Buneman OP, Cidlowski JA, Christopoulos A, Davenport AP, Fabbro D, Spedding M, Striessnig J, Davies JA; CGTP Collaborators. (2019) **The Concise Guide to PHARMACOLOGY 2019/20** *Br J Pharmacol.* **176** S1: S1-S493. [Table of Contents]

Work using the **detailed target pages and family introductions** (information from IUPHAR-DB) should give the apprpriate IUPHAR/BPS Guide to PHARMACOLOGY CITE reference. Full citation information can be found at the bottom of each page. **Example** citation formats:

- Altosaar K, Balaji P, Bond RA, Bylund DB, Cotecchia S, Devost D, Doze VA, Eikenburg DC, Gora S, Goupil E, Graham RM, Hébert T, Hieble JP, Hills R, Kan S, Machkalyan G, Michel MC, Minneman KP, Parra S, Perez D, Sleno R, Summers R, Zylbergold P. Adrenoceptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database. IUPHAR/BPS Guide to Pharmacology CITE. 2019; 2019(4). Available from: https://doi.org/10.2218/gtopdb/F4/2019.4.
- Katrin A, Poornima B, Richard A. B, David B. B, Susanna C, Dominic D, Van A. D, Douglas C. E, Sarah G, Eugénie G, Robert M. G, Terry H, J. Paul H, Rebecca H, Shahriar K, Gayane M, Martin C. M, Kenneth P. M, Sergio P, Dianne P, Rory S, Roger S, Peter Z. Adrenoceptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database. IUPHAR/BPS Guide to Pharmacology CITE. 2019; 2019(4). Available from: https://doi.org/10.2218/gtopdb/F4/2019.4.

Information on **citing GtoPdb** is found on our website www.guidetopharmacology.org/citing.jsp

There is a general citation, and guidelines for citing individual data.

References

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Family and target page provided information on the contributors to the data - click show to view the list.

Contributors

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Abood M, Alexander SP, Barth F, Bonner TI, Bradshaw H, Cabral G, Casellas P, Cravatt BF, Devane WA, Di Marzo V, Elphick MR, Felder CC, Greasley P, Herkenham M, Howlett AC, Kunos G, Mackie K, Mechoulam R, Pertwee RG, Ross RA. **Cannabinoid receptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database**. IUPHAR/BPS Guide to Pharmacology CITE. 2019; 2019(4). Available from: https://doi.org/10.2218/gtopdb/F13/2019.4.

Family and target citation guidance is provided on each family and target page.