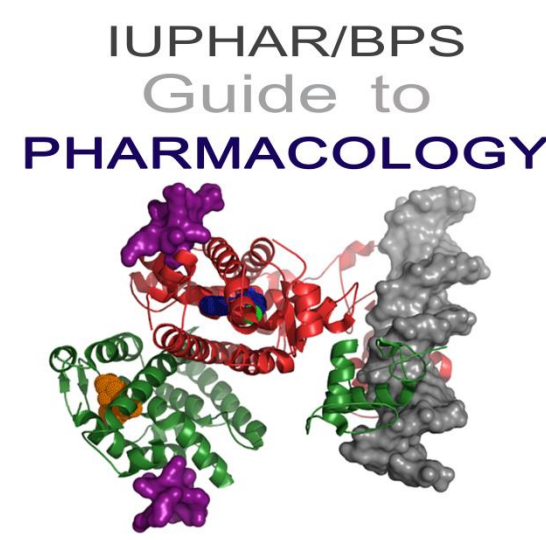


IUPHAR/BPS Guide to PHARMACOLOGY*

Expert-driven curation of pharmacological targets and the substances that act on them



*Now the home of IUPHAR-DB

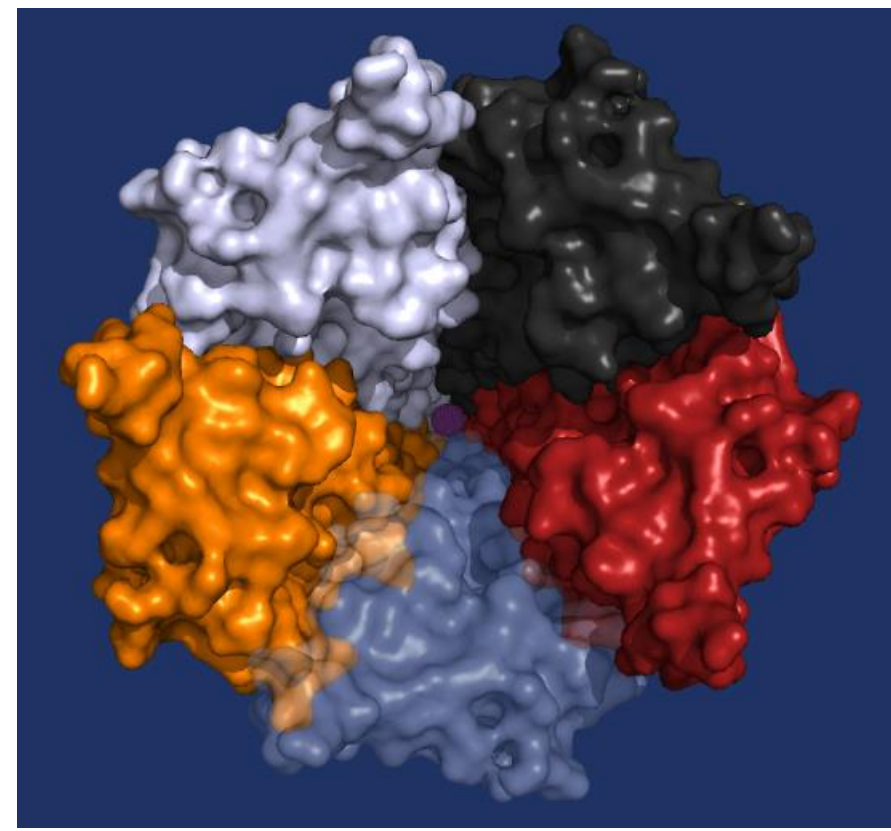
www.guidetopharmacology.org



BRITISH PHARMACOLOGICAL SOCIETY

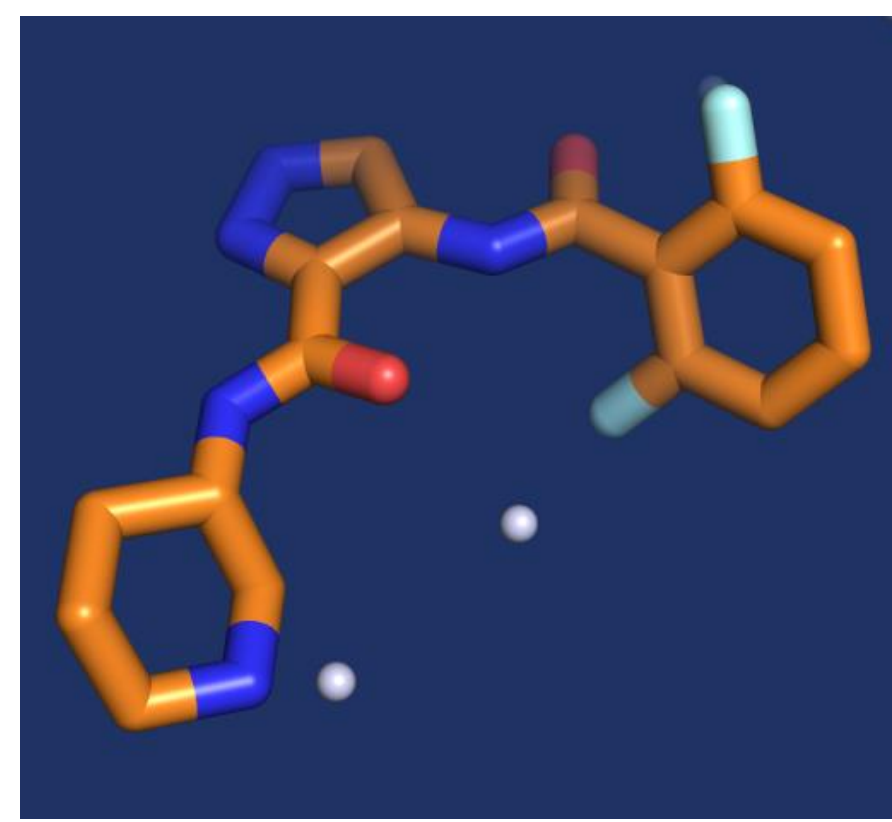


THERAPEUTIC & RESEARCH TARGETS



- G protein-coupled receptors (GPCRs)
- Ion channels
- Nuclear hormone receptors
- Catalytic receptors
- Kinases
- Proteases
- Other enzymes
- Transporters
- Other protein targets

ENDOGENOUS & EXPERIMENTAL LIGANDS



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

EXPERT SUMMARIES FOR TARGET FAMILIES

Opioid and opioid-like receptors are activated by a variety of endogenous peptides including Met-enkephalin (PEVK; PD1210) (met), E-enkephalin (PEVK; PD1210) (en), β -endorphin (PEVK; PD1189) (β -end), α -melanocyte-stimulating hormone (PEVK; PD1213) (α -MSH), dynorphin B (PEVK; PD1213) (dynB), κ -opioid peptide (PEVK; PD1213) (κ -OP), nociceptin (PEVK; PD1213) (NOC), and endomorphin-2 (PEVK; PD1213) (EM2). The Greek letter names for the opioid receptors, μ , δ and κ , are well established, and IUPHAR considers these names most appropriate [14]. The human MOR receptor is considered 'opioid-receptor' rather than 'opioid' because while it exhibits a high degree of structural homology with the conventional opioid receptors [35], it displays a distinct pharmacology.

- Nomenclature, key ligands, further reading

DETAILED ANNOTATION FOR IMPORTANT TARGETS

Ligand	Sp.	Action	Affinity	Units	Reference
[H]R62203	Rn	Antagonist	9.9	pK _i	36
[H]vianserin	Hs	Antagonist	8.6 - 9.7	pK _i	28,57
[H]W-methylspiperone	Rn	Antagonist	9.1	pK _i	61

- Function, (patho)physiology, pharmacology

CURATED INFORMATION ON APPROVED DRUGS AND THEIR HUMAN TARGETS

Target	Type	Action	Affinity	Units	Concentration range (nM)	Reference
β receptor	Agonist	Full agonist	7.5 - 8.0	pK _i	-	3,7
EP ₁ receptor	Agonist	Full agonist	6.8 - 7.25	pK _i	-	1.8
EP ₂ receptor	Agonist	Full agonist	5.8 - 6.0	pK _i	-	1.5
EP ₃ receptor	Agonist	Full agonist	6.0 - 6.6	pK _i	-	1.8
EP ₄ receptor	Agonist	Full agonist	6.2	pK _i	-	1
EP ₅ receptor	Agonist	Full agonist	5.7	pK _i	-	1
EP ₆ receptor	Agonist	Full agonist	4.64 - 6.68	pK _i	-	1,3,8
EP ₇ receptor	Agonist	Full agonist	5.2	pK _i	-	1
EP ₈ receptor	Agonist	Full agonist	6.4	pK _i	-	6

- Clinical status, mechanism of action, K_i/IC₅₀

NC-IUPHAR REVIEWS



- Nomenclature articles in *Pharmacological Reviews*
- Reviews and editorials on varied topics in *British Journal of Pharmacology*
- Targets and ligands in *BJP* articles linked to the database

TARGET SEARCH TOOLS

Target text search: Enter text to search: dopamine

Select fields to search: Targets

All Targets: D1 receptor [Dopamine-1A receptor], D2 receptor [dopamine D2 receptor], D3 receptor [dopamine D3 receptor], D4 receptor [d(2C) dopamine receptor], D5 receptor [D(18) dopamine receptor], DAT [dopamine transporter], Dopamine beta-hydroxylase (dopamine beta-monoxygenase), [dopamine beta hydroxylase]

Search by database: Families: Dopamine receptors

Enter identifier to search: []

Select source database: Ensembl

Search the database

- Search for targets by name or keyword
- Search by identifier
- Search by PubMed Id or author

LIGAND SEARCH TOOLS

Input SMILES: CC(=O)O[C@@H]1[C@H](OC(=O)C)C(=O)C(=O)C(F)C1=O

Choose type of search to perform: Substructure

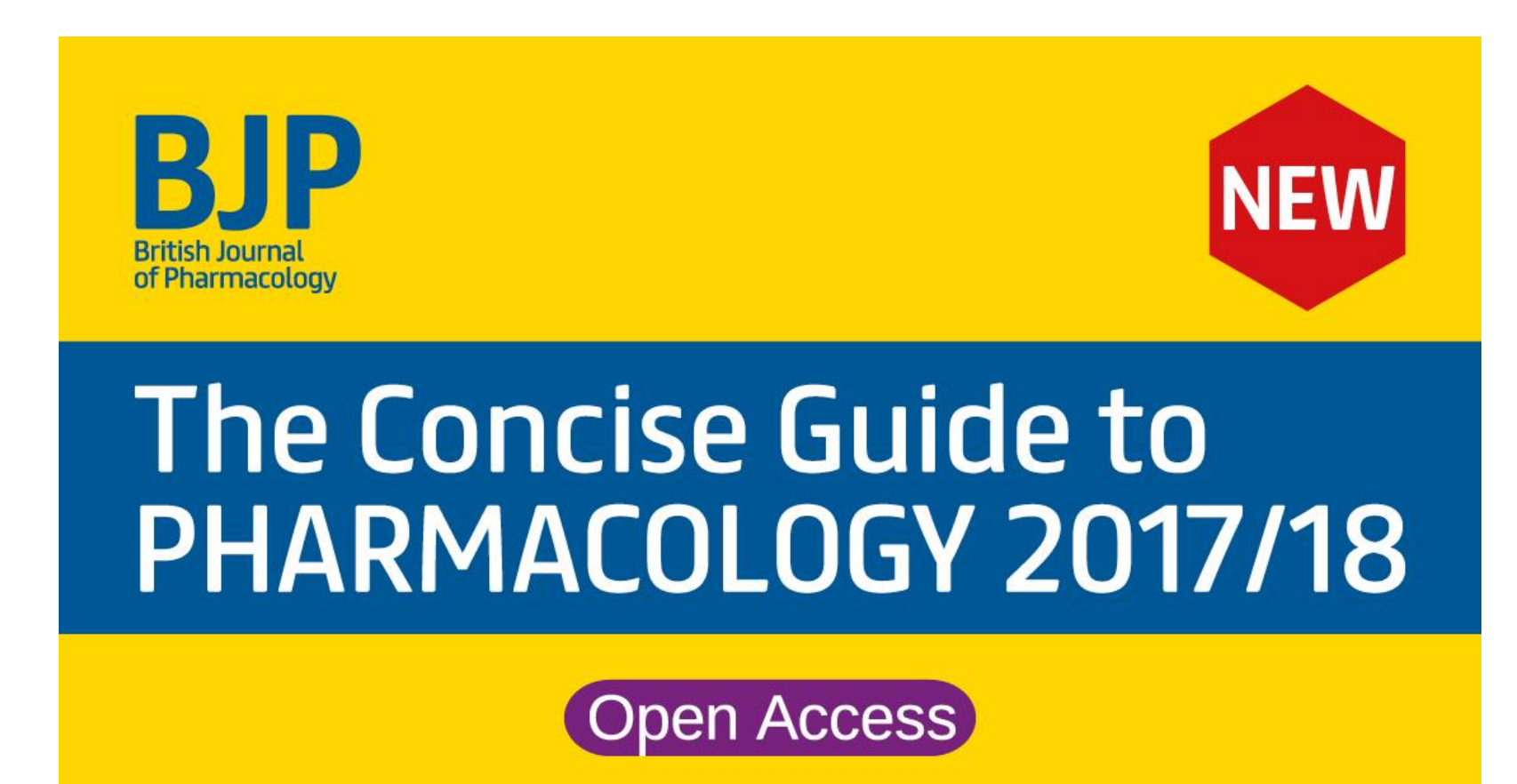
Limit results by chemical class: Small molecules

Search the database

Search powered by Pubchem from dotmatrix

- Search for ligands by name
- Search by identifier
- Search by chemical structure

CONCISE GUIDE TO PHARMACOLOGY



- A publication snapshot created from the database summary pages
- At-a-glance view of target properties
- Provides a citable record

www.guidetopharmacology.org/concise

WEBSITE FEATURES

- Links to other databases
- Download data sets
- Tutorial and help pages
- News, hot topics, latest receptor-ligand pairings
- NC-IUPHAR nomenclature guidelines
- REST web services and RDF data



CONTACT

enquiries@guidetopharmacology.org



Guide to IMMUNOPHARMACOLOGY



- A new portal linking targets and ligands to immunological cell types, processes and diseases
- Immuno-relevant targets and ligands in Guide to PHARMACOLOGY are flagged
- Browse by cell type or immunological process to find targets
- Browse by disease to find targets and drugs

www.guidetoimmunopharmacology.org

FUNDING & ACKNOWLEDGEMENTS



We especially thank all contributors, collaborators and NC-IUPHAR members

REFERENCES

- Harding SD *et al.* (2018) The IUPHAR/BPS Guide to PHARMACOLOGY in 2018: Updates and expansion to encompass the new Guide to IMMUNOPHARMACOLOGY. *Nucl. Acids Res.* **46** (Database Issue). doi: 10.1093/nar/gkx1121. [Epub ahead of print]
- Alexander SPH *et al.* (2017) The Concise Guide to PHARMACOLOGY 2017/18. *Br J Pharmacol.* **174** (Suppl 1): S1-S446.