

IUPHAR/BPS Guide to PHARMACOLOGY

Tutorial

Contents

- Homepage features
- Target menu
- Target family lists
- Target pages: concise view
- Target pages: detailed view
- Ligand lists

- Ligand pages
- Advanced search tools: ligands
- Advanced search tools: targets
- Help page
- Instructions for citing the Guide to PHARMACOLOGY

See the **About** pages for more information on the IUPHAR/BPS Guide to PHARMACOLOGY database, the Concise Guide to PHARMACOLOGY and the IUPHAR database

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

For definitions of terms used in this document and on the Guide to PHARMACOLOGY go to the Glossary at the end of this document.

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



IUPHAR/BPS

Advanced search ...

Guide to PHARMACOLOGY

Home page

Perform a 'quick search' using the search box at the top of the page

Navigate to explore our target and ligand pages

> Sign up for email updates

The Guide to PHARMACOLOGY provides a portal to information included in the Concise Guide to PHARMACOLOGY (formerly Guide to Receptors and Channels (GRAC) 5th Edition) and our original website, the IUPHAR Database.

Summaries of what's new on the Guide to PHARMACOLOGY, and the latest news from NC-

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

Targets



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

Search for targets GO

Ligands



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

Search for ligands GO

What's new to Guide to PHARMACOLOGY

New version (2014.3) released 5th Nov 2014!

Target updates:

- GPCR updates include:
 - · Class Frizzled GPCRs
 - Lysophospholipid (LPA) receptors
 - · Lysophospholipid (S1P) receptors
 - · Melanin-concentrating hormone receptors
 - · Melatonin receptors
 - · Neuropeptide FF/neuropeptide AF receptors
- VGIC updates include:
 - · Inwardly rectifying potassium channels
 - · Voltage-gated calcium channels
 - · Two-P potassium channels
 - Voltage-gated potassium channels
 - · Voltage-gated sodium channels
- Enzyme updates include curation of phase III kinase inhibitors and ~20 protease clinical candidates or lead compounds, e.g. BACE1

Ligand updates:

NCATS and AstraZeneca requirensing compounds

Latest News

From our blog

A Pharmacologist's Guide to Entity Resolution

by guidetopharmacology - 21 hours ago

This is an introduction to resolving ligands and their protein targets from the literature to standardised identifiers, in ...

New content and features: November 2014 update by guidetopharmacology - Nov 07, 2814

The latest database version (2014.3) was released on 5th

November and includes many content updates and new website ..

Latest news from NC-IUPHAR

Publications: Two new IUPHAR reviews, one on Dopamine Nov 05, 2014

An IUPHAR review article with recommendations for nomenclature of receptor allosterism and allosteric ligands has been ...

Publication: IUPHAR review on endothelin receptors. Aug 19, 2014

A new IUPHAR review article on endothelin receptors is published online in the British Journal of Pharmacology.

Get email updates



Search database

The Concise Guide to PHARMACOLOGY 2013/14



A publication snapshot created from the database summary pages.

Access the table of contents GO

IUPHAR Database



The IUPHAR/BPS Guide to PHARMACOLOGY builds upon and replaces the original IUPHAR Committee on Receptor Nomenclature and Drug Classification Database (IUPHAR-

IUPHAR and the Guide to PHARMACOLOGY team.

New file formats added to the download page

Hot topics in pharmacology GO
Recent receptor-ligand pairings GO
NC-IUPHAR newsletter GO
Get email updates GO

Resources

Learn how to use the Guide to PHARMACOLOGY

- · About the Guide to PHARMACOLOGY
- · Help documentation
- · Download tutorial

Nomenclature guidelines

- · NC-IUPHAR nomenclature guidelines
- · Terms and symbols in pharmacology

Download data

- · Download data in various formats
- · Lists of drugs and targets

Recent Publications



IUPHAR review article on lysophospholipid receptor nomenclature.

Kihara Y, Maceyka M, Spiegel S, et al. (2014) Br J Pharmacol. doi: 10.1111/bph.12678 [Epub ahead of print]

IUPHAR review article on leukotriene, lipoxin and oxoeicosanoid receptors.

Bäck M. Powell WS, Dahlén SE, et al. (2014) Br J Pharmacol. doi: 10.1111/bph.12665 [Epub ahead of print]

IUPHAR review article on somatostatin receptor signaling.

Schulz S, Lehmann A, Kliewer A, et al. (2014) Br J Pharmacol. 171: 1591-9.



IUPHAR review article updating chemokine receptors and introducing a new nomenclature for atypical chemokine receptors.

Bachelerie F, Ben-Baruch A, Burkhardt AM, et al. (2014). Pharmacol Rev. 66: 1-79.

FULL LIST...

Home page continued



WCP2014

Join us in South Africa for WCP2014

A number of plenary lestures and symposia will given by NC-IDRHAR members and affiliates

More information available here

News of recent events

Links to **Hot topics** in pharmacology and our list of **recent receptor-ligand** parings

Links to recent publications from NC-IUPHAR

Links to **resources** to help users get the most out of the site

Follow our social media accounts for updates

Recent Twitter activity

Tweets



28 Apr

BrPharmacologicalSoc @BritPharmSoc

Join our mixer tonight to celebrate our publications, including @BrJPharmacol @BritJClinPharm @GuidetoPHARM #EB2014 pic twitter com/N9.lgRy4OQI

Recent Facebook activity



Guide to PHARMACOLOGY





Guide to PHARMACOLOGY

#Ligandoftheweek: AZD1283 (http://ow.ly/weaQv). Antagonist of, & recently shown in complex with ► Home

Targets

G protein-coupled receptors (GPCRs)



- Browse GPCR families and access overviews, key references and selective ligands
- Follow the links for longer introductions and for detailed annotation on pharmacology, function, structure, physiology and clinical relevance of each receptor
- ▶ View the list of GPCR families GO

lon channels



Includin

- Ligand-gated ion channels (LGICs)
- Voltage-gated ion channels (VGICs)
- · Other ion channels
- Browse ion channel families and access overviews, key references and selective ligands
- Follow the links for longer introductions and for detailed annotation on pharmacology, function, structure, physiology and clinical relevance of each channel or subunit
- View the list of ion channel families

Get email updates



The Concise Guide to PHARMACOLOGY 2013/14



A publication snapshot created from the database summary pages.

Access the table of contents GO

Nuclear hormone receptors (NHRs)



- Browse NHR families and access overviews, key references and selective ligands
- Follow the links for detailed annotation on pharmacology, function, structure, physiology and clinical relevance of each receptor
- View the list of NHR families GO

Kinases



- Browse kinase subfamilies and access large-scale inhibitor screening data.
- Access detailed clinical information for approved drug kinase inhibitors.
- View the list of kinase families

IUPHAR Database



The IUPHAR/BPS Guide to PHARMACOLOGY builds upon and replaces the original IUPHAR Committee on Receptor Nomenclature and Drug Classification Database (IUPHAR-DB)

Catalytic receptors



- Browse catalytic receptor families and access overviews, key references and selective ligands
- Additional data are provided for selected receptor kinases
- View the list of catalytic receptor families
 GO

Transporters



- Browse transporter families and access overviews, key references and selective ligands
- View the list of transporter families

Enzymes



- Browse a subset of enzymes with pharmacological relevance and access overviews, key references and selective ligands
- Additional data are provided for protein
- ► View the list of enzyme families GO

Other protein targets



- Browse other types of protein targets with pharmacological relevance and access overviews, key references and selective ligands
- View the list of other protein target families

Target menu page

- The **target menu page** can be reached from the top menu bar on the site.
- A breadcrumbs trail bar tracks the user's navigation from the homepage

There are separate navigation panels for each class of target. Follow the links to navigate to the full list of target families within each class.



IUPHAR/BPS

Advanced search ...

Guide to PHARMACOLOGY

Search database

List of target families:

G protein-coupled receptors

GPCRs can be viewed in lists by class

Overview s are available for target classes

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

Targets G protein-coupled receptors

➤ Home

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

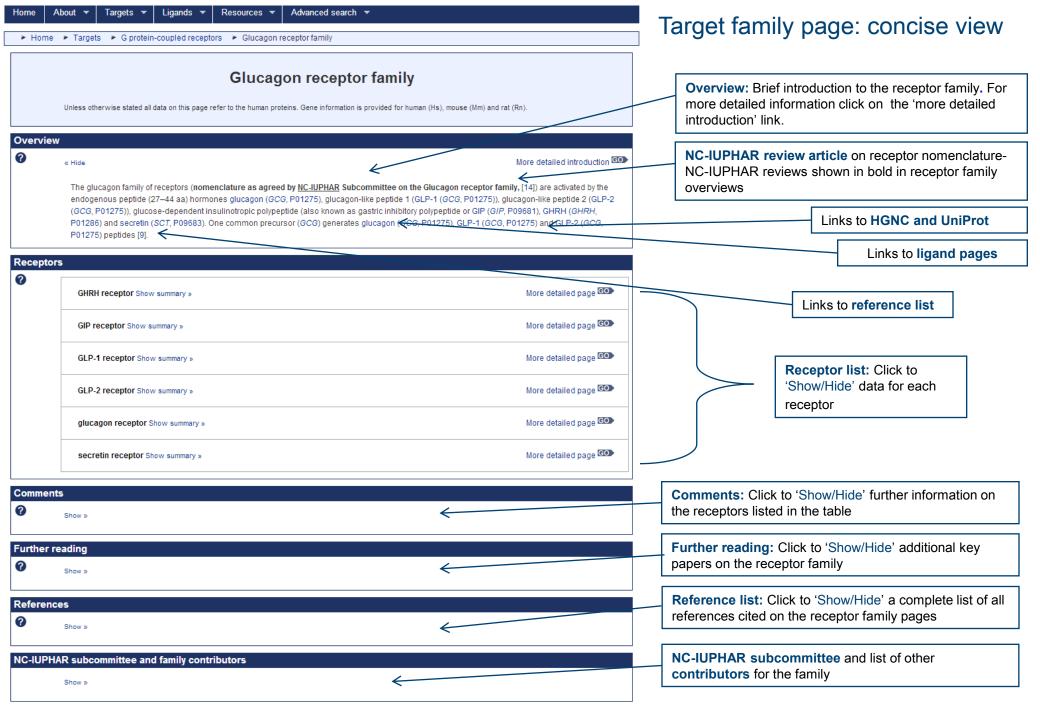
Expand all nodes | Collapse all nodes

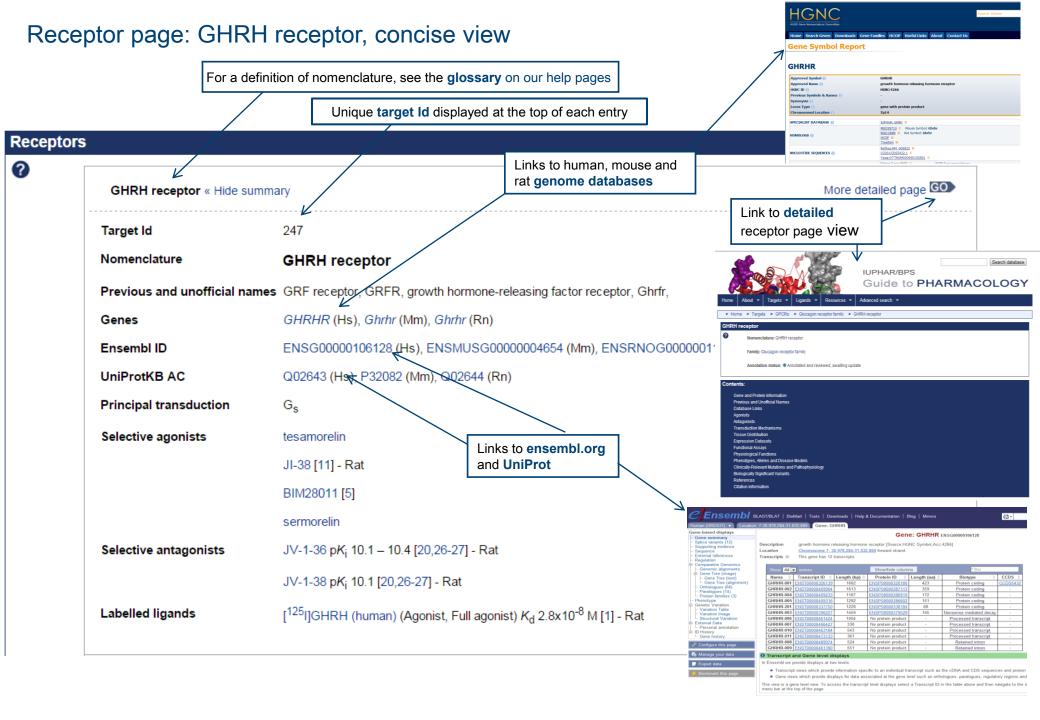
☐ G protein-coupled receptors OVERVIEW

☐ Orphan and other 7TM receptors OVERVIEW

➤ G protein-coupled receptors

- · Class A Orphans
- Class B Orphans
- · Class C Orphans
- 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 receptors
- · Cannabinoid receptors
- · Chemerin receptor
- Chemokine receptors
- · Cholecystokinin receptors
- Class Frizzled GPCRs
- · Complement peptide receptors
- · Cortic otropin-releasing factor receptors
- · Dopamine receptors
- · Endothelin receptors
- · Estrogen (G protein-coupled) receptor
- · Formylpeptide receptors
- · Free fatty acid receptors
- GABA_B receptors
- Galanin receptors
- · Ghrelin receptor
- Glucagon receptor family







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Guide to PHARMACOLOGY

Resources "

► Home

Targets

▶ GPCRs

Glucagon receptor family

GHRH receptor

GHRH receptor

8

Contents:

Target id: 247

Gene and Protein Information Previous and Unofficial Names

Natural/Endogenous Ligands

Transduction Mechanisms Tissue Distribution

Phenotypes, Alleles and Disease Models Clinically-Relevant Mutations and Pathophysiology

Biologically Significant Variants

Expression Datasets

Functional Assays Physiological Functions

References Citation information

Database Links

Agonists **Antagonists**

Nomenclature: GHRH receptor

Family: Glucagon receptor family

Annotation status: Annotated and reviewed, a

GHRH Receptor:

agonists & antagonists, gene and protein information, functional assays and tissue distribution information

Detailed view content

Includes more extensive lists of

Functional Assays

Response measured:

Measurement of cAMP in COS cells transfected with the human GHRH receptor.

Human

Tissue: Transient transfected COS cells.

References

Measuring the changes in membrane potential of GH-secreting adenoma cells upon receptor activation

Stimulation of intracellular cAMP accumulation.

Species: Human

Tissue: GH-secreting adenoma cells Response measured: Increase in Na2+ conductance.

References

Natural/Endogenous Ligand(s)

GHRH (Sp: Human), GHRH (Sp: Mouse), GHRH (Sp: Rat)

Agonists

Search database

Key to terms and symbols

Click column headers to sort

Ligand		Sp.	Action	Affinity	Units	Reference
[125I]GHRH (human)	♣	Rn	Full agonist	7.6	pK _d	5
GHRH-(1-29)-NH ₂ (rat)	Ag.	Rn	Full agonist	9.1	pIC ₅₀	8
GHRH-(1-29)-NH ₂ (human)	Ą	Rn	Full agonist	8.2	pIC ₅₀	8
GHRH-(1-29)-OH (human)	₽	Rn	Full agonist	8.0	pIC ₅₀	8

Antagonists

receptor

receptor

Key to terms and symbols

Click column headers to sort

	Ligand		Sp.	Action	Affinity	Units	Reference
	JV-1-37	Ą	Rn	Antagonist	10.4	pK _i	25
	JV-1-40	A g	Rn	Antagonist	10.4	pK _i	25
	JV-1-41	₹.	Rn	Antagonist	10.4	pK _i	25
	JV-1-42	Ą	Rn	Antagonist	10.4	pK _i	25
	JV-1-43	Ą	Rn	Antagonist	10.4	pK _i	25
	MZ-4-169	A g	Rn	Antagonist	10.4	pK _i	28
	MZ-4-243	Ą	Rn	Antagonist	10.4	pK _i	28
_	JV-1-10	A g	Rn	Antagonist	10.3	pK _i	25
	MZ-4-181	A g	Rn	Antagonist	10.3	pK _i	28
	JV-1-36	A g	Rn	Antagonist	10.1 – 10.4	pK _i	22,25-26
	JV-1-62	Ą	Rn	Antagonist	10.2	pK _i	26
T	JV-1-63	Ą	Rn	Antagonist	10.2	pK _i	26
	MZ-5-156	A g	Rn	Antagonist	10.2	pK _i	27
	MZ-6-55	Ą	Rn	Antagonist	10.2	pK _i	25
	JV-1-38	Ą	Rn	Antagonist	10.1	pK _i	22,25-26
	JV-1-39	A g	Rn	Antagonist	10.1	pK _i	25
	MZ-4-71	Æ	Rn	Antagonist	9.9	pK _i	27-28
	[Ac-Tyr ¹ , D-Arg ²]GHRH-(1-29)-NH ₂ (human)	Ą	Rn	Antagonist	8.3 – 8.5	pK _i	25-28
	MZ-5-192	Ą	Rn	Antagonist	10.2	pIC ₅₀	27
	MZ-5-78	Ą	Rn	Antagonist	10.2	pIC ₅₀	27

Gene and Protein Information class B G protein-coupled receptor

Species TM AA Chromosomal Location Gene Symbol Gene Name 423 **GHRHR** Human 7p14 growth hormone releasing hormone receptor

Primary Transduction Mechanisms 2 Transducer Effector/Response Adenvlate cyclase stimulation G_e family Calcium channel References: 14

Tissue Distribution

Pituitary. Species

Rat

Technique Northern blotting

References:

Receptor page: 5-HT_{1D} receptor

Ligand Id: 40
Ligand name eletriptan

2D Structure ?

5-HT_{1D} receptor « Hide summary

All data listed in the receptor tables refers to the **human** protein unless otherwise stated (see example below)

Target Id

Nomenclature

get Id

5-HT_{1D} receptor

Previous and unofficial

names

5-HT $_{1D\alpha}$ [137], HTRL, 5-HT1D, HT1DA, serotonin receptor

Genes

es HTR1D (Hs), Htr1d (Mm), Htr1d (Rn)

Ensembl ID

ENSG00000179546 (Hs), ENSMUSG00000070687 (Mm),

UniProtKB AC

P28221 (Hs), Q61224 (Mm), P28565 (Rn)

Principal transduction

 $G_{i/o}$

Selective agonists

dihydroergotamine pKi 9.2 - 9.9 [48,75-76]

ergotamine pKi 9.1 [44]

PNU109291 pKi 9.1 [36] - Gorilla

L-694,247 pKi 9.0 [139]

Ligand tested at gorilla receptor

zolmitriptan pKi 8.9 [92]

eletriptan pKi 8.9 [92]

naratriptan pK_i 8.4 – 9.0 [33,92,111]

frovatriptan pKi 8.36 [141]

sumatriptan pK_i 8.0 – 8.7 [48,76,91-92,13

rizatriptan pKi 7.9 [92]

Selective antagonists SB 714786 pK_i 9.1 [136]

BRL-15572 pK_i 7.9 [107]

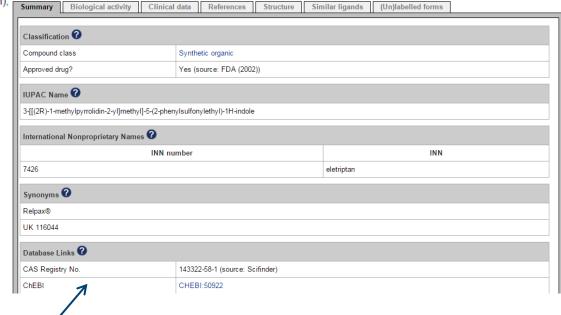
risperidone pK_i 7.8 – 8.0 [76,117]

Labelled ligands [3H]eletriptan (Agonist, Full agonist) K_d 9x10⁻¹⁰ M [92]

O NH

Calculated Physico-chemical Properties ?	
Hydrogen bond acceptors	4
Hydrogen bond donors	1
Rotatable bonds	6
Topological polar surface area	61.55
Molecular weight	382.17
XLogP	4.61
No. Lipinski's rules broken	0

Molecular properties generated using the CDK



Click on ligand name to display the ligand page

Activity data, with link to reference



Guide to PHARMACOLOGY

Ion Channel family list

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Advanced search 🔻

► Home ► Targets ► Ion channels

lon channels

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

☐ Ion channels OVERVIEW

- □ Voltage-gated ion channels OVERVIEW ▼
 - · CatSper and Two-Pore channels
 - . Cyclic nucleotide-regulated channels
 - Potassium channels OVERVIEW
 - . Transient Receptor Potential channels
 - · Voltage-gated calcium channels
 - · Voltage-gated proton channel
 - · Voltage-gated sodium channels
- ☐ Ligand-gated ion channels OVERVIEW
 - 5-HT₃ receptors
 - · Acid-sensing (proton-gated) ion channels (ASICs)
 - Epithelial sodium channels (ENaC)
 - GABA_△ receptors
 - · Glycine receptors
 - · Ionotropic glutamate receptors
 - IP₃ receptor
 - · Nicotinic acetylcholine receptors
 - P2X receptors
 - · Ryanodine receptor
 - ZAC
- ☐ Other ion channels
 - Aquaporins
 - Chloride channels OVERVIEW
 - . Connexins and Pannexins
 - Sodium leak channel, non-selective

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

Expand all nodes | Collapse all nodes

IP₃ receptor

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).

Overview



« Hide

IP₃R1 « Hide summary

The inositol 1,4,5-trisphosphate receptors (IP₃R) are ligand-gated Ca²⁺-release channels on intracellular Ca²⁺ store sites (such as the endoplasmic reticulum). They are responsible for the mobilization of intracellular Ca²⁺ stores and play an important role in intracellular Ca²⁺ signalling in a wide variety of cell types. Three different gene products (types I–III) have been isolated, which assemble as large tetrameric structures. IP₃Rs 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.

Ion channel page:

IP₃R1 receptor, IP₃ receptor family

Complete **synonym** lists provided for targets

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

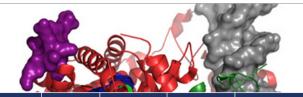
Functional characteristics: Provides details of the conductance, voltage-dependence, rectification and selectivity properties of ion channels

Comment: additional information on ligand activity at IP₃R1

Subunits



Target Id	743
Nomenclature	IP ₃ R1
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
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	inositol 2,4,5-trisphosphate (pharmacological; also activated by other InsP ₃ analogues)
	adenophostin A (pharmacological; nM range)
Endogenous antagonists	heparin (µg/ml)
Antagonists	caffeine (mM range)
	decavanadate (µM range)
	PIP ₂ (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



IUPHAR/BPS

Guide to PHARMACOLOGY

Targets

Resources *

Advanced search ▼

➤ Targets ➤ Nuclear hormone receptors

1B. 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).

Overview

2

« Hide

More detailed introduction CC

Search database

Retinoic acid receptors (nomenclature as agreed by NC-IUPHAR Committee on Nuclear Receptors, [3]) are nuclear hormone receptors of the NR1B family activated by the vitamin A-derived agonists all-trans-retinoic acid (ATRA) and 9-cis-retinoic acid, and the RAR-selective synthetic agonists TTNPB and adapalene.

Receptors

0

More detailed page GO Retinoic acid receptor-α / NR1B1 Show summary » Retinoic acid receptor-\(\beta \) NR1B2 Show summary » More detailed page GO More detailed page GO Retinoic acid receptor-y / NR1B3 Show summary »

Comments



Show »

Further reading



Show »

References



Show »

Nuclear receptors: Retinoic acid receptors

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

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).

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 genesencoding IFN-Α subunits in a cluster on human chromosome 9p22: α 1 (*IFNA1*, P01562), α 2 (*IFNA2*, P01563), α 4 (*IFNA4*, P05014), α 5 (*IFNA5*, P01569), α 6 (*IFNA6*, P05013), α 7 (*IFNA7*, P01567), α 8 (*IFNA8*, P32881), α 10 (*IFNA10*, P01566), α 13 (*IFNA13*, P01562), α 14 (*IFNA14*, P01570), α 16 (*IFNA16*, P05015), α 17 (*IFNA17*, P01571) and α 21 (*IFNA21*, P01568).

Receptors



Interferon-γ receptor « Hide summary

Interferon-a/B receptor Show summary »

Target Id 189

Nomenclature Interferon-y receptor

Previous and unofficial

names

Subunits Interferon y receptor 1 (Ligand-binding subunit)

Interferon y receptor 2 (Other subunit)

Endogenous agonists IFN-y (IFNG, P01579)

Subunits



interferon α/β receptor 1 Show summary »

Interferon α/β receptor 2 Show summary »

Interferon y receptor 1 « Hide summary

Target Id 172

Nomenclature Interferon γ receptor 1

Previous and unofficial

names CD119, interferon gamma receptor, lfgr, IFN-gammaR, Nktar,

Genes IFNGR1 (Hs), Ifngr1 (Mm), Ifngr1 (Rn)

Ensembl ID ENSG00000027697 (Hs), ENSMUSG00000020009 (Mm), ENSRNOG00000012074 (Rn)

UniProtKB AC P15260 (Hs), P15261 (Mm)

Interferon y receptor 2 Show summary »

Catalytic receptor family page: interferon receptor family

Many catalytic receptors are homo- or heteromeric structures consisting of subunits. In these cases, receptors and their subunit 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 link to ligand pages. HGNC and UniProt links are also included here

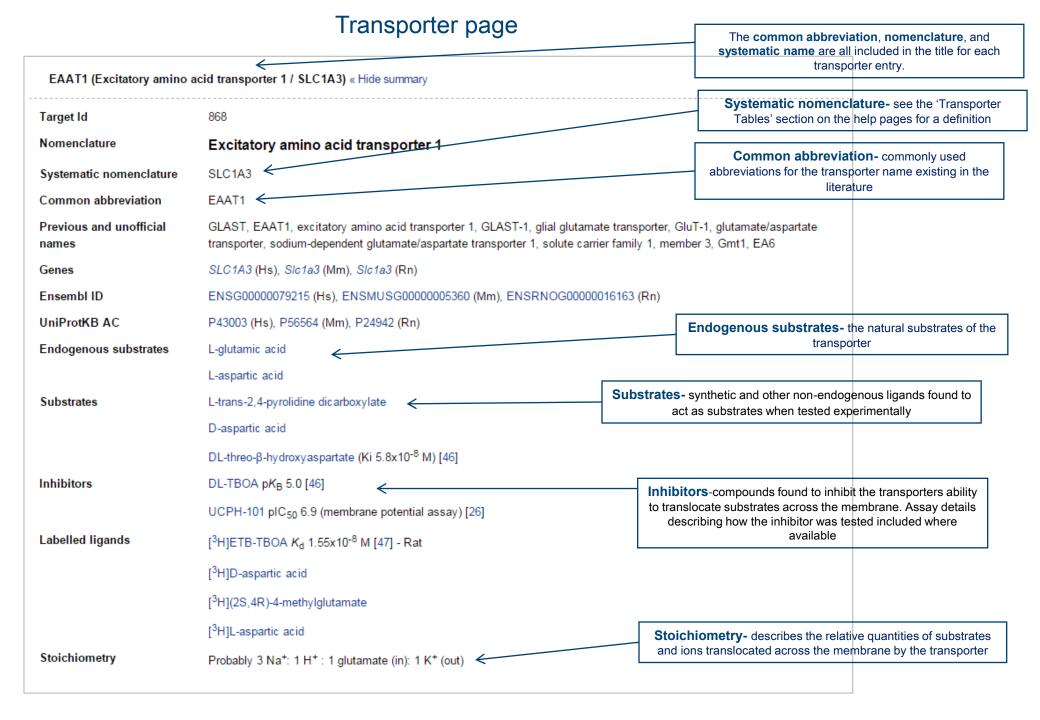
Subunit entries include links to genome databases, Ensembl, and UniProt. ▶ Home ▶ Targets ▶ Transporters

Transporters Expand all nodes | Collapse all nodes □ Transporters OVERVIEW □ ATP-binding cassette transporter family 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 Ca²⁺-ATPases H+/K+-ATPases Cu⁺-ATPases · Phospholipid-transporting ATPases . Major facilitator superfamily (MFS) of transporters ■ 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 Anion exchangers Sodium-dependent HCO₃⁻ 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

List of transporter families

An overview to the transporters target 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



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).

Example of an enzyme page

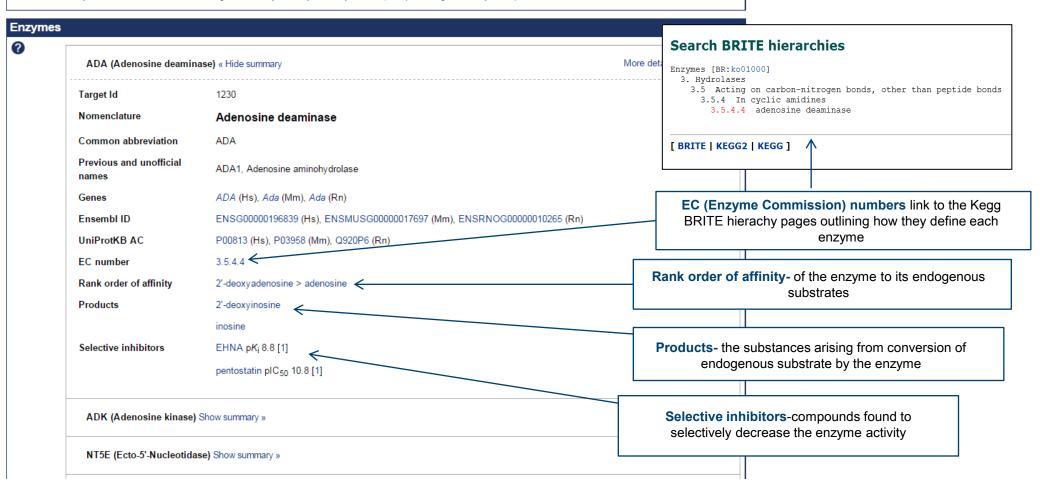
Overview

0

« Hide

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

Many of our enzymes targets are categorised according to the metabolic pathway in which they are involved



Synthetic organic

Approved

0

Ligand lists

Click on the tabs to sort the ligand list by compound classification. See the help page for a description of each class.

The IUPHAR/BPS Guide to PHARMACOLOGY complete ligand list

Metabolite

Low molecular weight, non-peptidic, biogenic compounds produced by life processes (normally endogenous and of animal origin, including hormones and neurotransmitters) and their close analogues.

Endogenous peptide

Other peptide

Inorganic

adenosine

Antibody

Labelled

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

		Comme						
	Ligand name		Synor	L	Ligand Id: 2844			
	A			L	igand name	adenosine		
	acetaldehyde		acetic aldehyde, ethanal, ethyl aldehyde, NSC 759		2D Structure ?		Coloulate d Dhurslan ab	
	acetic acid		acetate, ethanoic acid	2D Structure •			Hydrogen bond accepto	
	acetic acid		acetate, ethanoic acid	_	, 			Hydrogen bond donors
	acetoacetyl CoA		3-acetoacetyl-CoA, acetoacetyl coenzyme A, aceto	С	H-3.8 c 40-H		•0	Rotatable bonds
	acetylcholine		ACh, E1001, O-acetylcholine		N H Molec			Topological polar surfac
	acetyl CoA		acetyl coenzyme A, acetyl-CoA, acetylCoA, S-acet	et				Molecular weight XLogP
	[¹⁴ C]acetylCoA	<i>₹</i> A	[14C]-acetylCoA			N N		No. Lipinski's rules brok
	acetyl-L-carnitine					H-N-H		Molecular properties gene
	•			_ L				
	adenine				Summary Biologica	al activity Clinical	data References Struct	ure Similar ligands
$\ \langle$	adenosine	₫	Adenocard®, Adenoscan®		Classification ?			
	adenosine-3'-5'-bisphosphate		adenosine 3',5'-bisphosphate, adenosine 3',5'-dipho	c	Compound class		Metabolite or derivative	
	adenosine diphosphate Ligano	l list links	to ligand pages		Approved drug?		Yes (source: FDA (1989))	
	adenosine 5'-monophosphate		AMP, 5'-AMP, adenosine monophosphate		IUPAC Name			
	ADP ribose				(2R,3R,4S,5R)-2-(6-ami	inopurin-9-yl)-5-(hydrox	ymethyl)oxolane-3,4-diol	
			adenosine 5'-O-(2-thiodiphosphate), ADPbetaS					
	ADPβS		Adenocardo					
	(-)-adrenaline		adrenalin, Auvi-Q®, Epipen®, I-adrenaline, L-epine					
	(+)-adrenaline		(S)-(+)-adrenaline, (S)-adrenaline		Database Links 🕡			
	(±)-adrenaline		adrenaline, epinephrine		CAS Registry No.		58-61-7 (source: Scifinder)	
	1		астанто, орноринго		ChEBI ChEMBL Ligand		CHEBI:16335 CHEMBL477	
	agmatine				DrugBank Ligand		DB00640	
	β-alanine				Human Metabolome Da	tabase	HMDB00050	
	[¹⁴ C]alanine	* 🛦	[¹⁴ C]-alanine		PubChem CID		60961	
	<u> </u>			-[]	RCSB PDB Ligand		ADN&sid=2YDO	
	[³ H]alanine	₹ 🕰	[³ H]-alanine		Search Google for chen InChlKey	nical match using the	OIRDTQYFTABQOQ-KQYNXXCU	JSA-N
			·					

Natural product

Hydrogen bond acceptors	9
Hydrogen bond acceptors	9
Hydrogen bond donors	4
Rotatable bonds	2
Topological polar surface area	139.54
Molecular weight	267.1
XLogP	-1.81
No. Lipinski's rules broken	0

Molecular properties generated using the CDK

Approved drug?	roved drug? Yes (source: FDA (1989))						
IUPAC Name ?							
(2R,3R,4S,5R)-2-(6-aminopurin-9-yl)-5-(hydroxymethyl)oxolane-3,4-diol							
Synonyms 2							
Adenocard®							
Adenoscan®							
Database Links ?							
CAS Registry No.	58-61-7 (source: Scifinder)						
ChEBI	CHEBI:16335						
ChEMBL Ligand	CHEMBL477						
DrugBank Ligand	DB00640						
Human Metabolome Database	HMDB00050						
PubChem CID	60961						
RCSB PDB Ligand	ADN&sid=2YDO						
Search Google for chemical match using the InChlKey	OIRDTQYFTABQOQ-KQYNXXCUSA-N						
Search Google for chemicals with the same	OIRDTQYFTABQOQ						

calcitonin Ligand Id: 685 calcitonin Ligand name Species Human Biological activity (Un)labelled forms Clinical data References Structure Similar ligands Classification ? Endogenous peptide in human, mouse or rat Compound class Approved drug? Yes (source: FDA (1986)) International Nonproprietary Names INN number INN 2399 calcitonin Gene/Precursor ? Species Gene symbol Gene name Protein name Synonyms CALCA calcitonin-related polypeptide alpha Human preprocalcitonin CALC1, calcitonin, calcitonin 1 Synonyms ? LS-173874 Database Links ? CAS Registry No. 21215-62-3 (Hs) ChEMBL Ligand CHEMBL1201614 Ensembl Gene ENSG00000110680 Entrez Gene 796 PubChem CID 16132288 Search PubMed clinical trials calcitonin Search PubMed titles calcitonin Search PubMed titles/abstracts calcitonin UniProtKB P01258 (Hs) Wikipedia Calcitonin Comments 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

Example of an endogenous peptide ligand Summary page

Species specified for endogenous peptide ligands

Click on each tab to display ligand data/information

Ligand classification- see glossary for further explanation

Link to the **HGNC database** for more information on the **gene**

Synonyms: Alternative names for the ligand. Some may be systematic names. These may be used as search terms.

Databases: Click to link to other relevant resources including genomic and chemical databases for further data on the ligand.

Link to **UniProt** for more information on the protein and its precursor

Ligand page: calcitonin (Biological activity)

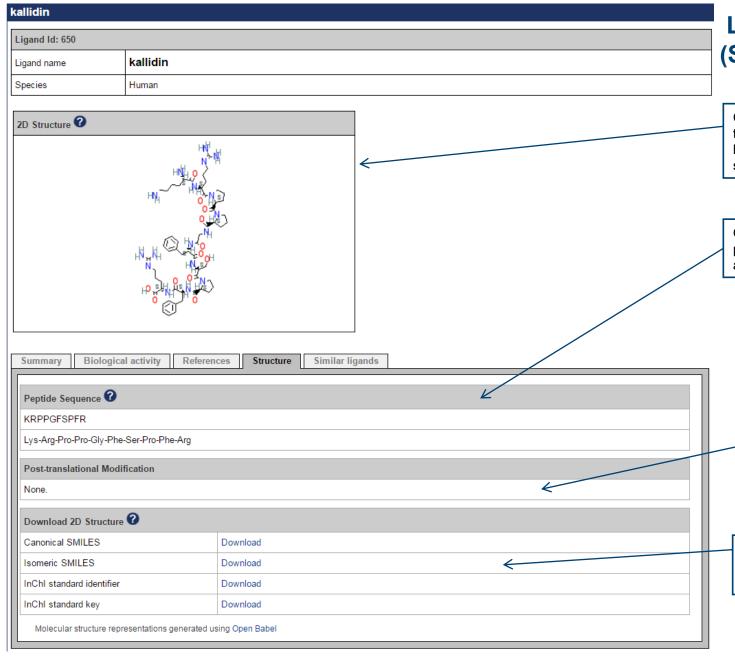
Summary Biological activit			References	Structure	Similar ligands	(Un)labelled	d forms		
<u> </u>	u					"			
Natural/Endogenous Targets									
				Target					
AMY ₁ receptor									
AMY ₂ receptor									
AMY ₃ receptor									
CT receptor									
Selectivity at human GPCRs									
Key to terms and symbols							Click c	olumn headers	s to sort
Target			Туре	Action	Affinity	Units	Concentration range (M)	Reference	,
AMY ₂ receptor		E	Agonist	Full agonist	11.4	pEC ₅₀	-	2	
AMY ₁ receptor		Ε	Agonist	Full agonist	8.9 – 11.3	pEC ₅₀	-	2-3,5	
CT receptor		QE	Agonist	Full agonist	9.0 – 11.2	pEC ₅₀	-	1-6	
AMY ₃ receptor		E	Agonist	Full agonist	8.0 – 10.6	pEC ₅₀	-	2	
Additional information and targ	nets (data relate	to huma	an unless other	wise stated)			_		
Description	010 (4414 701410				ata			Refer	ence
Rank order of potency at CT receptor	CT (salmon) ≥ (AM2/IMD (ADM			AMY (<i>IAPP</i> , P10	0997), α-CGRP (CA	LCA, P06881) >	• AM (<i>ADM</i> , P353	18),	
Rank order of potency at AMY ₁ receptor	CT (salmon) ≥ A P01258) > AM (-CGRP (CALC)	4, P06881) > AM2/I	MD (<i>ADM</i> 2, Q72	Z4H4) ≥ CT (CALC	DA,	
Rank order of potency at AMY ₃ receptor	CT (salmon) ≥ A P01258) > AM (r-CGRP (CALC)	4, P06881) ≥ AM2/I	MD (<i>ADM2</i> , Q72	Z4H4) ≥ CT (CALC	DA,	
Ligand mentioned in the follow	ing text fields								
Calcitonin receptors overview									
Calcitonin receptors comments									

Natural/endogenous ligands 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 from the detailed view pages. 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 page: kallidin (Structural information)

Click on the 2D structure to launch the image in the MarvinSketch chemical editor where it can be modified and used in structure-based searches

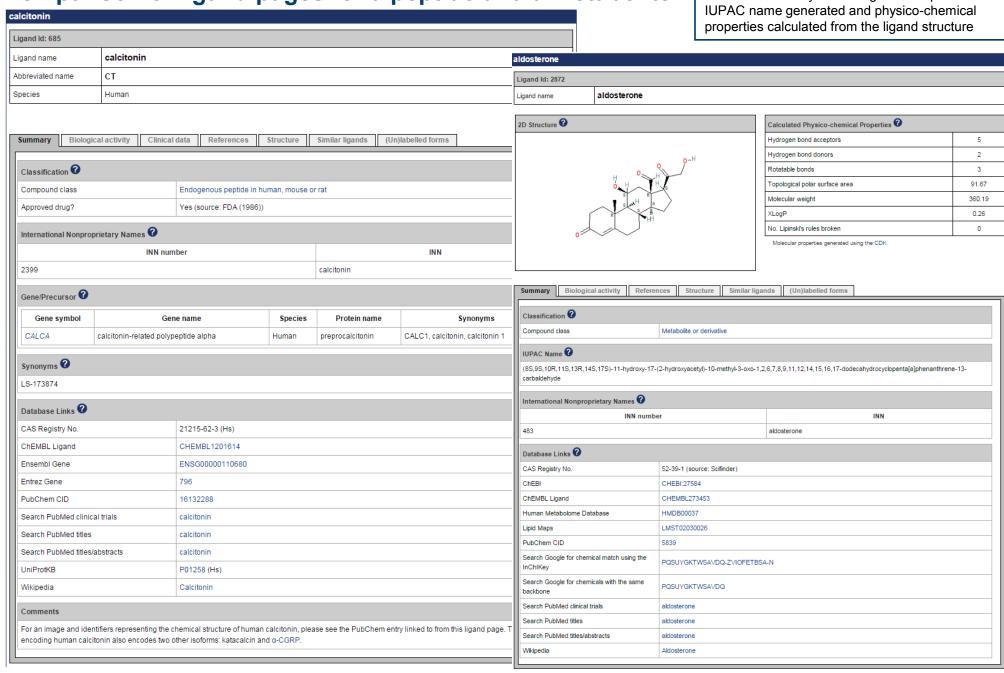
One and three -letter sequences displayed for peptide ligands. Three-letter sequences are annotated with details of modifications

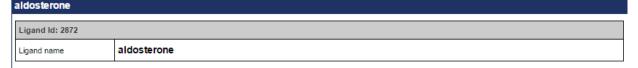
Summary information on **post-translational** or **chemical modifications** is provided where applicable

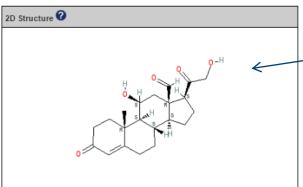
Structural identifiers can be downloaded. See the **glossary** for more information on these identifiers.

Comparison of ligand pages for a peptide and a metabolite

Metabolites and synthetic organic compounds:







Calculated Physico-chemical Properties ?	
Hydrogen bond acceptors	5
Hydrogen bond donors	2
Rotatable bonds	3
Topological polar surface area	91.67
Molecular weight	360.19
XLogP	0.26
No. Lipinski's rules broken	0

Molecular properties generated using the CDK

Example of a metabolite ligand page: aldosterone

The **2D structure** specifies the ligand stereochemistry

For more information on the **physico-chemical** properties of the molecule, see the glossary

Select 'Structure' to download structural identifiers for the ligand

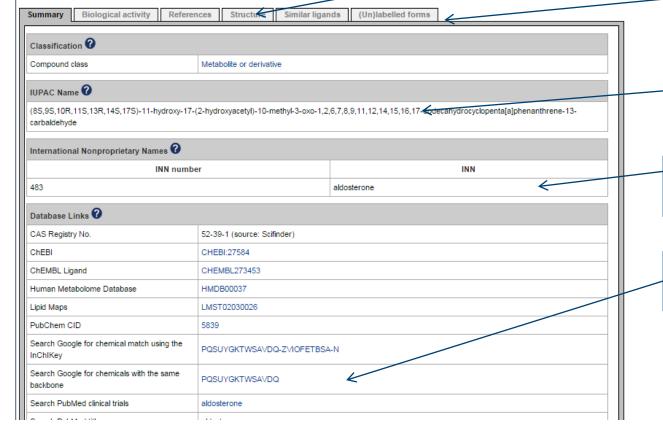
Click on '(Un)labelled forms' to display (un)labelled variants of the ligand

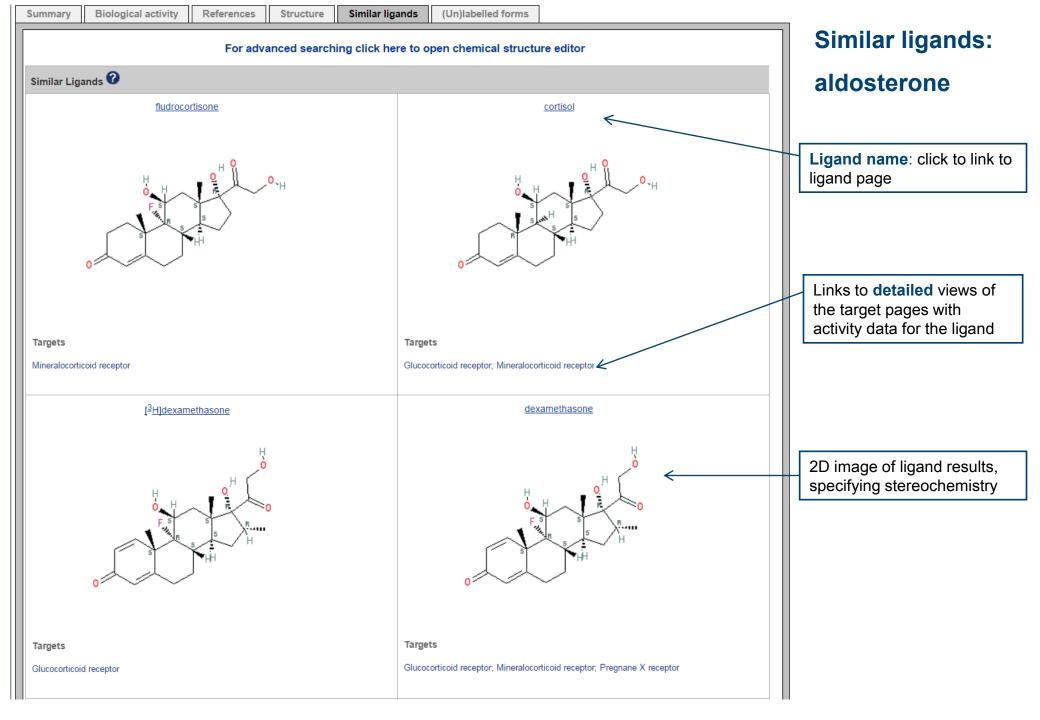
IUPAC name: A systematic chemical name generated according to IUPAC rules

INNs are displayed on the summary tab for ligands to which they have been assigned

Use the unique **InChi key** to search for the exact structure on **Google**, and the inner InChi key for compounds with the same backbone structure.

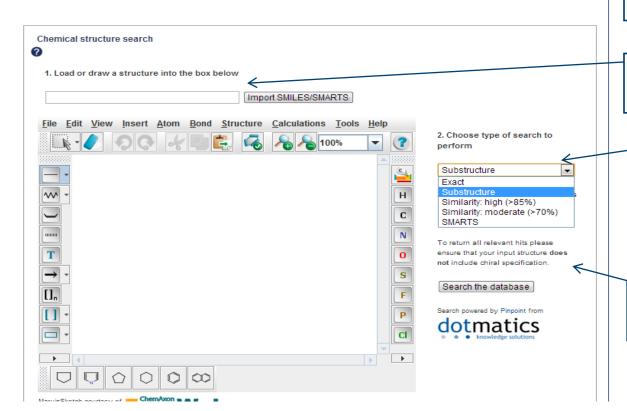
For more information on the ligand pages see the help page





Ligand name search Enter name to search: Include searching target-ligand interaction comments Search the database

Search by chemical identifier
Enter identifier to search:
Select source: CAS Registry No. ▼ Search the database



Advanced search tools: Ligand Search Tools

For a 'quick search' use the search box on the toolbar

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

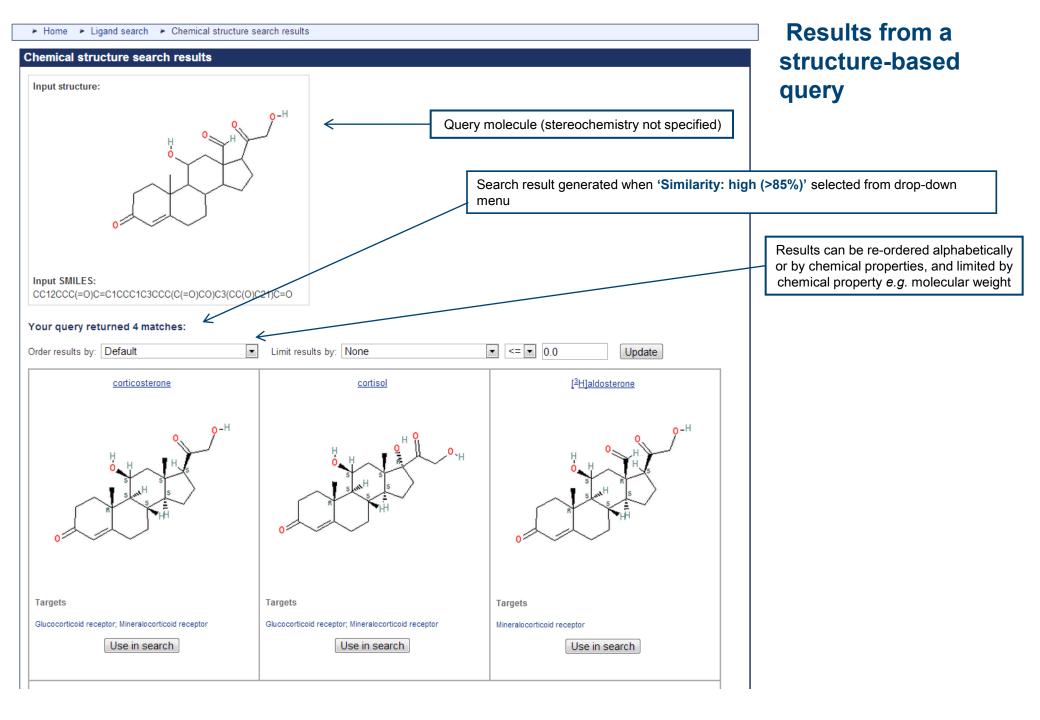
- Ligand name
- •Chemical identifier (e.g. SMILES, CAS Registry No.)
- •Drawing a structure into the Chemical structure search tool

See the **help page** for more information

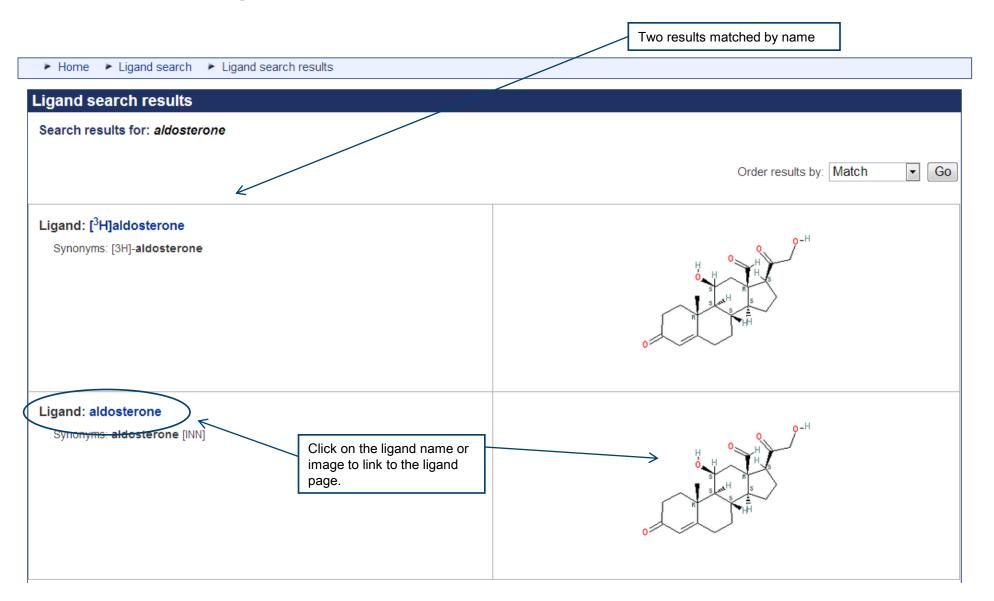
A SMILES string pasted into the search box can be imported into MarvinSketch to generate a 2D image of the molecule, or a structure can be drawn into the box

Select type of structure-based search to perform

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



Search results: Ligand name search- 'Aldosterone'



Advanced search tools:

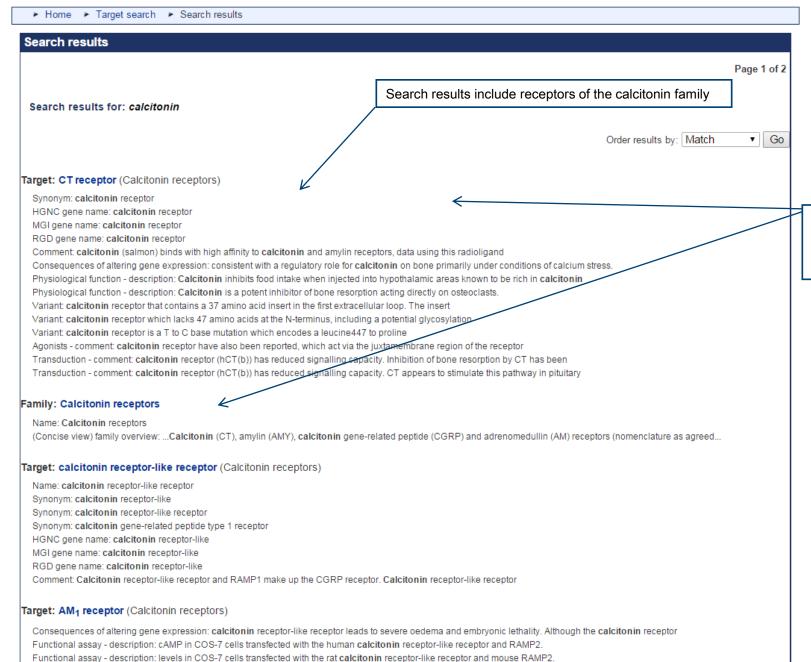
Target Search Tools

For a 'quick search' use the search box in the header

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

Search for a target by database identifier or reference information.

See the **help page** for further information on how to use the target search tools



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.

Target search results: calcitonin

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

Guide to PHARMACOLOGY Help Page

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



About The IUPHAR/BPS Guide to PHARMACOLOGY

Background

Database Links

For more information on the Guide to PHARMACOLOGY see the About page. 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.

Instructions for citing the Guide to PHARMACOLOGY

Each target page in the Guide includes citation information at the bottom. This screenshot shows an example of a concise view page:

Citation information

Database page citation:

5-Hydroxytryptamine receptors. Accessed on 30/04/2014. IUPHAR/BPS Guide to PHARMACOLOGY, http://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=1.

Concise Guide to PHARMACOLOGY citation:

Alexander SPH, Benson HE, Faccenda E, Pawson AJ, Sharman JL, Spedding M, Peters JA and Harmar AJ, CGTP Collaborators. (2013) The Concise Guide to PHARMACOLOGY 2013/14: G Protein-Coupled Receptors. *Br J Pharmacol.* 170: 1459–1581.

The equivalent citation for one of the detailed view pages from this target family:

Citation information

Rodrigo Andrade, Nicholas M. Barnes, Gordon Baxter, Joel Bockaert, Theresa Branchek, Marlene L. Cohen, Aline Dumuis, Richard M. Eglen, Manfred Göthert, Mark Hamblin, Michel Hamon, Paul R. Hartig, René Hen, Katharine Herrick-Davis, Rebecca Hills, Daniel Hoyer, Patrick P. A. Humphrey, Klaus Peter Latté, Luc Maroteaux, Graeme R. Martin, Derek N. Middlemiss, Ewan Mylecharane, Stephen J. Peroutka, Pramod R. Saxena, Andrew Sleight, Carlos M. Villalon, Frank Yocca.

Last modified on 29/04/2014

The citation format for the published version of this page will be:

Rodrigo Andrade, Nicholas M. Barnes, Gordon Baxter, Joel Bockaert, Theresa Branchek, Marlene L. Cohen, Aline Dumuis, Richard M. Eglen, Manfred Göthert, Mark Hamblin, Michel Hamon, Paul R. Hartig, René Hen, Katharine Herrick-Davis, Rebecca Hills, Daniel Hoyer, Patrick P. A. Humphrey, Klaus Peter Latté, Luc Maroteaux, Graeme R. Martin, Derek N. Middlemiss, Ewan Mylecharane, Stephen J. Peroutka, Pramod R. Saxena, Andrew Sleight, Carlos M. Villalon, Frank Yocca.

5-Hydroxytryptamine receptors: 5-HT_{1A} receptor. Last modified on 29/04/2014. Accessed on 30/04/2014. IUPHAR/BPS Guide to PHARMACOLOGY, http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=1.

There is also detailed information about general citation of the IUPHAR/BPS Guide to PHARMACOLOGY database using our database publications on our 'Citing' page under the 'About' menu.