Guide to Receptors and Channels, 1st Edition

The great proliferation of drug targets in recent years has driven the need to organise and condense the information in a logical way. This is the underlying reason for this Guide to Receptors and Channels, distributed with the British Journal of Pharmacology and Nature Reviews Drug Discovery. Our intent is to produce an authoritative but user-friendly publication, which allows a rapid overview of the key properties of a wide range of established or potential pharmacological targets. The aim is to provide information succinctly so that a newcomer to a particular target group can identify the main elements 'at a glance'. It is not our goal to produce all-inclusive reviews of the targets presented; references to these are included in the Further Reading sections of the entries. The Guide to Receptors and Channels presents each entry, typically a circumscribed target class family, on a single page where possible, so as to allow easy access and rapid oversight.

Targets have been selected for inclusion where there is sufficient pharmacological information to allow clear definition or where, in our view, there is clear interest in this molecular class from the pharmacological community. Our philosophy has been to present data on human receptors wherever possible, both in terms of structural information and pharmacology. To this end, the Ensembl ID allows rapid access through a free online database (http://www.ensembl.org/) to several other species, including mouse and rat. From this database, links are also provided to structural information in a number of formats. Where structural or pharmacological information is not available for human targets, we have used data from other species. A priority in constructing these tables was to present agents which represent the most selective and which are available by donation or from commercial sources, now or in the near future.

The Guide is divided into seven sections, which comprise pharmacological targets of similar structure/function. These are 7TM receptors, transmitter-gated channels, ion channels, catalytic receptors, nuclear receptors, cell-surface transmitter transporters, and second messenger-metabolising enzymes.

The Editors of the Guide have compiled the individual records, taking advice from many Consultants (listed on page ii). With each record, an indication is given of the status of the nomenclature as proposed by Nomenclature Committees of the International Pharmacological Congress (NC-IUPHAR), published in Pharmacological Reviews. Where this guidance is lacking, advice from several prominent, independent experts has been obtained to produce an authoritative consensus, which attempts to fit in within the general guidelines from IUPHAR (Vanhoutte *et al*., 1996). Tabulated data provide ready comparison of selective agents and radioligands within a family of targets and additional commentary highlights whether species differences or ligand metabolism are potential confounding factors.

We recommend that any citations to information in the guide be presented in the following format: e.g. Histamine receptors, in Guide to Receptors and Channels (Eds. Alexander, S.P.H., Mathie, A. and Peters, J.A.), *Br. J. Pharmacol.,* **141,** S35.

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VANHOUTTE, P.M. *et al*. (1996). *Pharmacol. Rev.,* **48**, 1–2.

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A key to the tables

Overview: This section provides general information about the pharmacological targets on this page; whether the nomenclature is provisional or approved by an IUPHAR nomenclature subcommittee (with a reference); the Enzyme Classification (EC) number and systematic nomenclature assigned by the IUBMB (http://www.chem.qmw.ac.uk/iubmb/enzyme/); the systematic classification group(s) to which the nuclear receptors belong (see http://www.ens-lyon.fr/LBMC/laudet/NucRec/nomenclature_table.html); general structural and/or phylogenetic features; endogenous regulators and ligand(s); whether a 'global' agonist, antagonist, substrate, inhibitor or radioligand exists for the group that distinguishes it from other families of pharmacological targets; whether the receptor functions as a homo- or heterodimer and with what other nuclear hormone receptors they interact; whether metabolism of ligands or species differences are potential confounding factors; the principal mechanism(s) of signal transduction.

Nomenclature	**Accepted nomenclature**
Other names	Names which are common synonyms
Ensembl ID	The ID number in the Ensembl online database (http://www.ensembl.org/)
Principal transduction	The primary G-protein family through which natively expressed receptors signal
Rank order of potency/affinity	Endogenous ligand potency/affinity order at receptor
Selective agonists	The most selective agents acting as receptor agonists
Selective antagonists	The most selective agents acting as receptor antagonists (pK_i/pA_2/pIC_{50} value)
Selective substrates	The most selective agents acting as enzyme or transporter substrates
Selective activators	The most selective agents acting as enzyme activators
Selective inhibitors	The most selective agents acting as enzyme or transporter inhibitors (pIC_{50} value)
Selective blockers	The most selective agents acting as channel blockers (pIC_{50} value)
Synthetic substrates	The most selective agents acting as enzyme or transporter substrates
Radioligands	The most selective radioligands (K_d or usable working concentration)
Predicted stoichiometry	Whether the transporter is equilibrative or requires cotransported ions
Functional/channel characteristics	Distinct functional properties that aid the identification of a particular channel type

Further relevant information on tabular data. For example, whether agent selectivity is less than 100-fold, whether evidence exists for further subtypes; relationship with a common genetic disorder.

Abbreviations: chemical names for drugs, etc.

Further Reading:

Significant recent reviews of the targets and/or their ligands.

References:

Specific citations given in the text/tables.