Expert curated information on GPCRs in the IUPHAR/BPS Guide to PHARMACOLOGY

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G protein-coupled receptors (GPCRs) are the largest family of human drug targets representing ~19% of the targets of current drugs and many more in clinical trials (1). We have developed the IUPHAR/BPS Guide to PHARMACOLOGY web portal (the new home of the IUPHAR Database) to provide free access to curated information on important pharmacological targets and the substances that act on them (2). The database includes data on 394 GPCRs including 130 'orphan' GPCRs without confirmed endogenous ligands. This includes the recent addition of 33 adhesion class GPCRs. Development of the database is overseen by the International Union of Basic and Clinical Pharmacology (IUPHAR) Committee on Receptor Nomenclature and Drug Classification (NC-IUPHAR). Expert subcommittees for each GPCR family provide recommendations on receptor nomenclature and peer-reviewed summaries of the literature covering properties such as function, pharmacology, signalling mechanism, important variants, available assay systems and mouse knockout phenotypes. Ligands are annotated with their chemical structures, or sequences and post-translational modifications for peptides. Links are provided to other online databases including GPCRDB, UniProt, Ensembl and PubChem. Current work includes identifying the mechanism of action for approved drugs treating human diseases, mapping them to their primary targets and curating supporting data in the literature (e.g. K_i, K_d, IC₅₀). In some cases we also annotate data-supported polypharmacology where interactions of comparable in vitro potencies against multiple targets have been published. The database is available online at http://www.guidetopharmacology.org.

- (1) Rask-Andersen M et al. (2014) Annu Rev Pharmacol Toxicol 54: 9-26.
- (2) Pawson AJ et al. (2014) Nucl. Acids Res 42: D1098-D1106.