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Histamine receptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database

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Abstract

Histamine receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on Histamine Receptors [75, 163]**) are activated by the endogenous ligand histamine. Marked species differences exist between histamine receptor orthologues [75]. The human and rat H_3 receptor genes are subject to significant splice variance [12]. The potency order of histamine at histamine receptor subtypes is $H_3 = H_4 > H_2 > H_1$ [163]. Some agonists at the human H_3 receptor display significant ligand bias [171]. Antagonists of all 4 histamine receptors have clinical uses: H_1 antagonists for allergies (*e.g.* cetirizine), H_2 antagonists for acid-reflux diseases (*e.g.* ranitidine), H_3 antagonists for narcolepsy (*e.g.* pitolisant/WAKIX; Registered) and H_4 antagonists for atopic dermatitis (*e.g.* ZPL-3893787; Phase IIa) [163] and vestibular neuritis (AUV) (SENS-111 (Seliforant, previously UR-63325), entered and completed vestibular neuritis (AUV) Phase IIa efficacy and safety trials, respectively) [205, 8].

Contents

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Histamine receptors

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Introduction to Histamine receptors

http://www.guidetopharmacology.org/GRAC/FamilyIntroductionForward?familyId=33

Receptors

H_1 receptor

http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=262

H_2 receptor

http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=263

H_3 receptor

http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=264

H_4 receptor

http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=265

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