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Histamine receptors in GtoPdb v.2021.3

Paul Chazot[1], Marlon Cowart[2], Hiroyuki Fukui[3], C. Robin Ganellin[4], Ralf Gutzmer[5], Helmut L. Haas[6], Stephen J. Hill[7], Rebecca Hills[8], Rob Leurs[9], Roberto Levi[10], Steve Liu[11], Pertti Panula[12], Walter Schunack[13], Jean-Charles Schwartz[14], Roland Seifert[15], Nigel P. Shankley[16], Holger Stark[17], Robin Thurmond[16], Henk Timmerman[9] and J. Michael Young[18]

1. Durham University, UK
2. Abbott Laboratories, USA
3. University of Tokushima, Japan
4. University College London, UK
5. Hannover Medical School, Germany
6. Heinrich Heine University, Germany
7. University of Nottingham, UK
8. University of Edinburgh, UK
9. Vrije Universiteit Amsterdam, The Netherlands
10. Cornell University, USA
11. Pfizer, UK
12. University of Helsinki, Finland
13. Freie Universitat Berlin, Germany
14. INSERM, France
15. Medical School of Hannover, Germany
16. Johnson & Johnson Pharmaceutical Research & Development, USA
17. Goethe University, Germany
18. University of Cambridge, UK

Abstract

Histamine receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on Histamine Receptors [80, 173]**) are activated by the endogenous ligand histamine. Marked species differences exist between histamine receptor orthologues [80]. 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 $H_3 = H_4 > H_2 > H_1$ [173]. Some agonists at the human H_3 receptor display significant ligand bias [182]. 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.* adriforant; Phase IIa) [173] and vestibular neuritis (AUV) (SENS-111 (Seliforant, previously UR-63325), entered and completed vestibular neuritis (AUV) Phase IIa efficacy and safety trials, respectively) [216, 8].

Contents

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