

Orexin receptors in GtoPdb v.2025.3

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Abstract

Orexin receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on Orexin receptors [79]**) are activated by the endogenous polypeptides **orexin-A** and **orexin-B** (also known as hypocretin-1 and -2; 33 and 28 aa) derived from a common precursor, **prepro-orexin or orexin precursor**, by proteolytic cleavage and some typical peptide modifications [120, 79]. Orexin signaling has been associated with regulation of sleep and wakefulness, reward and addiction, appetite and feeding, pain gating, stress response, anxiety and depression. Currently the orexin receptor ligands in clinical use are the dual orexin receptor antagonists **suvorexant**, **lemborexant** and **daridorexant**, which are used as hypnotics, and several dual, as well as OX₁- and OX₂-selective antagonists are under development for different indications. Multiple orexin agonists are in development for the treatment of narcolepsy and other sleep disorders. Orexin receptor 3D structures have been solved [150, 148, 55, 130, 47, 113, 7, 149].

Contents

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Receptors

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OX₂ receptor

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=322>

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