

Product Datasheet

Almorexant

Catalog No. **BP-02093** · CAS **871224-64-5** · Form **free base** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-14**

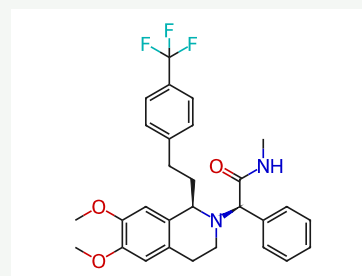
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Target Orexin Receptor (OX Receptor); Calcium Channel; Caspase; Apoptosis	Research area Neurological Disease; Endocrinology; Cancer
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Molecular formula **C₂₉H₃₁F₃N₂O₃**

Molecular weight **512.5632**

STRUCTURE



DESCRIPTION

Almorexant (ACT 078573) is an orally active, potent and competitive dual orexin receptor antagonist, with K_d values of 1.3 nM (OX1) and 0.17 nM (OX2), respectively. Almorexant reversibly blocks signaling of orexin-A and orexin-B peptides. Almorexant totally blocked the intracellular Ca²⁺ signal pathway. Almorexant stimulates caspase-3 activity in AsPC-1 cells and induces apoptosis].

BIOLOGICAL ACTIVITY

In Vitro

Almorexant (1 μM) promotes tyrosine phosphorylation of SHP2/OX1R complex.

Almorexant (1 μM) inhibits the cellular growth of AsPC-1 cells.

In Vivo

Almorexant (1.8 μmol/kg, 100 μL; IP, daily) reduces the volume of tumors.

Almorexant (300 mg/kg, PO, once) can help rats to be fully capable of spatial and avoidance learning.

Almorexant (30-300 mg/kg) dose-dependently increases rapid eye movement (REM) and non-REM (NREM) sleep and decreases wakefulness apparently without inducing either cataplexy or deficits in next-day performance.

SOLUBILITY

Soluble in DMSO

REFERENCES

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- [2]. Malherbe P, et al. Biochemical and electrophysiological characterization of almorexant, a dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist: comparison with selective OX1 and OX2 antagonists. *Mol Pharmacol*. 2009 Sep;76(3):618-31.
- [3]. Black SW, et al. Almorexant promotes sleep and exacerbates cataplexy in a murine model of narcolepsy. *Sleep*. 2013 Mar 1;36(3):325-36.
- [4]. Dietrich H, et al. Intact learning and memory in rats following treatment with the dual orexin receptor antagonist almorexant. *Psychopharmacology (Berl)*. 2010 Oct;212(2):145-54.
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