Almorexant hydrochloride

Cat. No.: HY-10805A

CAS No.: 913358-93-7

Molecular Formula: $C_{29}H_{32}ClF_3N_2O_3$

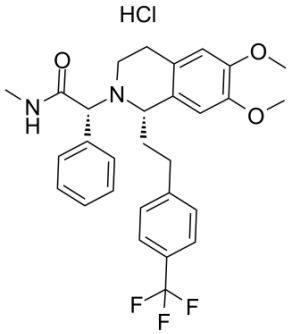
Molecular Weight: 549.02

Target: Orexin Receptor (OX Receptor)

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 46 mg/mL (83.79 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8214 mL	9.1071 mL	18.2143 mL
5 mM	0.3643 mL	1.8214 mL	3.6429 mL
10 mM	0.1821 mL	0.9107 mL	1.8214 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Almorexant hydrochloride (ACT 078573 hydrochloride) is a potent and competitive dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist with K_i values of 1.3 and 0.17 nM, respectively.

IC_{50} & Target

IC50: 1.3/0.7 nM(OX1/OX2 receptor)

CUSTOMER VALIDATION

- Cell Metab. 2018 Jul 3;28(1):118-129.e5.
- Oncotarget. 2018 Jan 9;9(6):6952-6967.

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REFERENCES

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[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]. Cruz HG, et al. Assessment of the abuse liability of a dual orexin receptor antagonist: a crossover study of almorexant and zolpidem in recreational drug users. CNS Drugs. 2014 Apr;28(4):361-72.

[5]. Borniger JC, et al. A Role for Hypocretin/Orexin in Metabolic and Sleep Abnormalities in a Mouse Model of Non-metastatic Breast Cancer. Cell Metab. 2018 Jul 3;28(1):118-129.e5.

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