

Almorexant

Catalog No: tcsc2484



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

871224-64-5

Formula:

$C_{29}H_{31}F_3N_2O_3$

Pathway:

GPCR/G Protein

Target:

Orexin Receptor (OX Receptor)

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 46 mg/mL (89.75 mM)

Alternative Names:

ACT 078573

Observed Molecular Weight:

512.56

Product Description

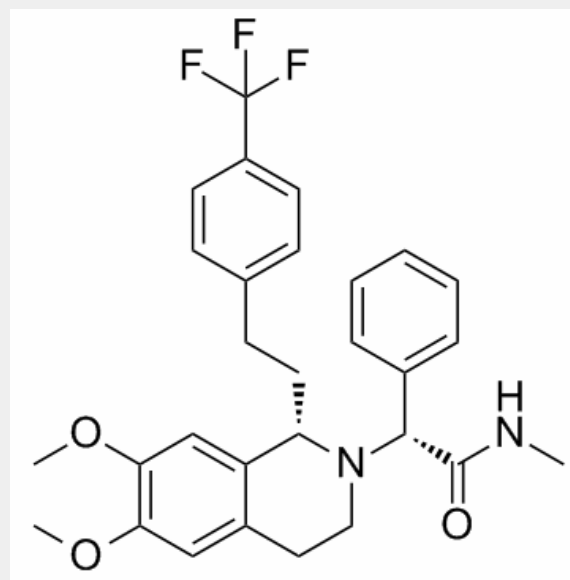
Almorexant(ACT078573) is a potent and competitive dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist with Ki values of 1.3 and 0.17 nM for OX1 and OX2, respectively.

IC50 value: 1.3/0.7 nM(OX1/OX2 receptor) [1] [2]

Target: Dual OX1/OX2 receptor

in vitro: [(3)H]Almorexant bound to a single saturable site on hOX(1) and hOX(2) with high affinity (Kd) of 1.3 and 0.17 nM, respectively. In Schild analyses using the [(3)H]inositol phosphates assay, almorexant acted as a competitive antagonist at hOX(1) and as a noncompetitive-like antagonist at hOX(2). In binding kinetic analyses, [(3)H]almorexant had fast association and dissociation rates at hOX(1), whereas it had a fast association rate and a remarkably slow dissociation rate at hOX(2) [1].

in vivo: During the 12-h dark period after dosing, ALM(Almorexant) exacerbated cataplexy in TG mice and increased nonrapid eye movement sleep with heightened sleep/wake fragmentation in both genotypes. ALM showed greater hypnotic potency in WT mice than in TG mice. The 100 mg/kg dose conferred maximal promotion of cataplexy in TG mice and maximal promotion of REM sleep in WT mice. In TG mice, ALM (30 mg/ kg) paradoxically induced a transient increase in active wakefulness [3]. Almorexant 200 mg showed significantly less 'Drug Liking' than both zolpidem doses (p



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