Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Almorexant (hydrochloride)

Catalog No: tcsc2485

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
913358-93-7

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

Pathway:
GPCR/G Protein

Target:
Orexin Receptor (OX Receptor)

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 46 mg/mL (83.79 mM)

Alternative Names:
ACT-078573 hydrochloride

Observed Molecular Weight:
549.02

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Product Description

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

In Vitro: [(3)H]Almorexant bound to a single saturable site on hOX(1) and hOX(2) with high affinity (K(d) 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