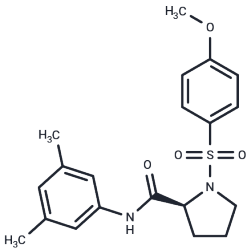
Data Sheet (Cat.No.T26559)

TargetMol

ACT-462206

Chemical Properties



CAS No. : 1361321-96-1

Formula: C20H24N2O4S

Molecular Weight: 388.48

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	ACT-462206 is an orally available Orexin 1/Orexin 2 receptor antagonist that crosses the blood-brain barrier.ACT-462206 is highly potent and can be used in studies of sleep and anxiety.
Targets(IC50)	OX Receptor
In vivo	In male Wistar rats, ACT-462206 (0, 10, 30, 100, 300 mg/kg; oral gavage; single dose) decreased the duration of the first non-rapid eye movement (NREM) sleep episode (60 seconds) and the first rapid eye movement (REM) sleep episode (30 seconds). Furthermore, ACT-462206 dose-dependently reduced total wake time and behavioral home cage activity, while increasing both REM and NREM sleep durations[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (205.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (8.49 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5741 mL	12.8707 mL	25.7414 mL
5 mM	0.5148 mL	2.5741 mL	5.1483 mL
10 mM	0.2574 mL	1.2871 mL	2.5741 mL
50 mM	0.0515 mL	0.2574 mL	0.5148 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Boss C, et al. Structure-activity relationship, biological, and pharmacological characterization of the proline sulfonamide ACT-462206: a potent, brain-penetrant dual orexin 1/orexin 2 receptor antagonist. ChemMedChem. 2014 Nov;9(11):2486-96.

Hoch M, van Gorsel H, van Gerven J, Dingemanse J. Entry-into-humans study with ACT-462206, a novel dual orexin receptor antagonist, comparing its pharmacodynamics with almorexant. J Clin Pharmacol. 2014 Sep;54(9):979-86. doi: 10.1002/jcph.297. PubMed PMID: 24691844.