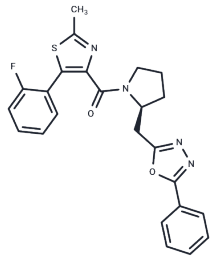
Data Sheet (Cat.No.T16854)

TargetMol

SB-674042

Chemical Properties



CAS No. : 483313-22-0

Formula: C24H21FN4O2S

Molecular Weight: 448.51

Storage: Store at low temperature
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	SB-674042 is a potent, selective dual antagonist of the non-peptide orexigenic peptides OX1 and OX2 receptors, with IC50 values of 3.76 nM and 531 nM, respectively. SB-674042 is suitable for the treatment of depression.
Targets(IC50)	OX Receptor
In vitro	[(3)H]SB-674042 is a specific, high-affinity radioligand for the OX(1) receptor. Specific binding of [(3)H]SB-674042 was saturable in both whole cell and membrane formats. Analyses suggested a single high-affinity site, with K(d) values of 3.76+/-0.45 and 5.03 +/-0.31 nM[1]。 Treatment of cells co-expressing the orexin-1 and CB1 receptors with the orexin-1 receptor antagonist SB-674042 also resulted in re-localization of both receptors to the cell surface. Treatment with SB-674042 also reduced the potency of a CB1 receptor agonist to phosphorylate ERK1/2 only when the two receptors were co-expressed[4].
In vivo	In the Stress Alternatives Model (SMA) in mice, administration of SB-674042 at a dose of 0.3 nM/0.3 μL via icv (intracerebroventricular injection) reduces contextual and cue fear freezing responses in Stay animals[2].

Solubility Information

Solubility	DMSO: 20 mg/mL (44.59 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: 1 mg/mL (2.23 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	2.2296 mL	11.148 mL	22.296 mL
5 mM	0.4459 mL	2.2296 mL	4.4592 mL
10 mM	0.223 mL	1.1148 mL	2.2296 mL
50 mM	0.0446 mL	0.223 mL	0.4459 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

Langmead CJ, et al. Characterisation of the binding of [3H]-SB-674042, a novel nonpeptide antagonist, to the human orexin-1 receptor. Br J Pharmacol. 2004 Jan;141(2):340-6.
Yaeger JDW, et al. Orexin 1 Receptor Antagonism in the Basolateral Amygdala Shifts the Balance From Pro- to Antistress Signaling and Behavior. Biol Psychiatry. 2022 May 1;91(9):841-852.
Chang X, et al. Orexin-A Stimulates Insulin Secretion Through the Activation of the OX1 Receptor and Mammalian Target of Rapamycin in Rat Insulinoma Cells. Pancreas. 2019 Apr;48(4):568-573.
Ellis J, et al. Orexin-1 receptor-cannabinoid CB1 receptor heterodimerization results in both ligand-dependent and -independent coordinated alterations of receptor localization and function. J Biol Chem. 2006 Dec 15;281(50): 38812-24.