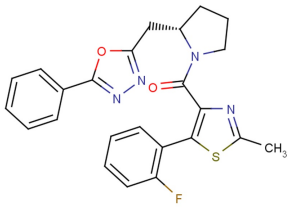
Data Sheet (Cat.No.T16854)

SB-674042

Chemical Properties



CAS No.:	483313-22-0
Formula:	C24H21FN4O2S
Molecular Weight:	448.51
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	SB-674042 is an effective and selective non-peptide orexin OX1 receptor antagonist (Kd = 3.76 nM). It exhibits 100-fold selectivity for OX1 over OX2 receptors.
Targets(IC_{50})	Others: None
In vitro	OX1 receptor SB-674042 has no effect on serotonergic, dopaminergic, adrenergic, or purinergic receptors. Inhibits orexin 1-induced Ca2+ mobilization in CHO-DG44 cells stably transfected with the OX1 receptor.

Solubility Information

Solubility	DMSO: 32 mg/mL (71.35 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.23 mL	11.148 mL	22.296 mL
5 mM	0.446 mL	2.23 mL	4.459 mL
10 mM	0.223 mL	1.115 mL	2.23 mL
50 mM	0.045 mL	0.223 mL	0.446 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Heifetz, Alexander; Morris, G. Benjamin; Biggin, Philip C. et al. Study of Human Orexin-1 and -2 G-Protein-Coupled Receptors with Novel and Published Antagonists by Modeling, Molecular Dynamics Simulations, and Site-Directed Mutagenesis. Biochemistry (2012), 51(15), 3178-3197.

2. Malherbe, Pari; Roche, Olivier; Marcuz, Anne et al. Mapping the binding pocket of dual antagonist Almorexant to human orexin 1 and orexin 2 receptors: comparison with the selective OX1 antagonist SB-674042 and the selective OX2 antagonist N-ethyl-2-[(6-methoxy-pyridin-3-yl)-(toluene-2-sulfonyl)-amino]-N-pyridin-3-ylmethyl-acetamide (EMPA). Molecular Pharmacology (2010), 78(1), 81-93.

3. Malherbe, Pari; Borroni, Edilio; Pinard, Emmanuel et al. Biochemical and electrophysiological characterization of almorexant, a dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist: comparison with selective OX1 and OX2 antagonists. Molecular Pharmacology (2009), 76(3), 618-631.

4. Ellis, James; Pediani, John D.; Canals, Meritxell et al. Orexin-1 Receptor-Cannabinoid CB1 Receptor Heterodimerization Results in Both Ligand-dependent and -independent Coordinated Alterations of Receptor Localization and Function. Journal of Biological Chemistry (2006), 281(50), 38812-38824.

5. Langmead, Christopher J.; Jerman, Jeffrey C.; Brough, Stephen J. et al. Characterisation of the binding of [3H]-SB-674042, a novel nonpeptide antagonist, to the human orexin-1 receptor. British Journal of Pharmacology (2004), 141(2), 340-346.

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