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产品名称：SB-674042
产品别名：SB-674042

生物活性：

Description

SB-674042 is a potent and selective non-peptide orexin OX1 receptor antagonist (Kd = 3.76 nM); exhibits 100-fold selectivity for OX1 over OX2 receptors. IC50 value: 3.76 nM (Kd) Target: OX1 receptor SB-674042 has no effect at serotonergic, dopaminergic, adrenergic or purinergic receptors. Inhibits orexin 1-induced Ca2+ mobilization in CHO-DG44 cells stably transfected with the OX1 receptor.

Solvent&Solubility

In Vitro:

DMSO : ≥ 32 mg/mL (71.35 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2296 mL	11.1480 mL	22.2960 mL
	5 mM	0.4459 mL	2.2296 mL	4.4592 mL
	10 mM	0.2230 mL	1.1148 mL	2.2296 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

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[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.