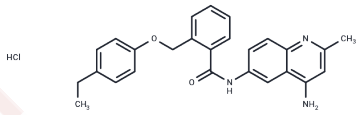
Data Sheet (Cat.No.T6553)

TargetMol

JTC-801

Chemical Properties



CAS No. :	244218-51-7
Formula:	C26H25N3O2·HCl
Molecular Weight:	447.96
Appearance:	no data available
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	JTC-801 is a selective opioid receptor-like 1 (ORL1) receptor antagonist with an IC50 of 94 nM and weak inhibitory effects on δ, κ, and μ receptors.
Targets(IC50)	Opioid Receptor
In vitro	JTC-801 displays about 12.5-, 129-, and 1055-fold selectivity for ORL1 receptor (Ki = 8.2 nM) over μ-, κ-, and δ-opioid receptors, respectively. JTC-801 does not inhibit forskolin-stimulated cyclic AMP accumulation in human ORL1 receptor-expressing HeLa cells, but it prevents nociceptin-induced inhibition of cyclic AMP accumulation, indicating that JTC-801 possesses full antagonistic activity. [2] In rat cerebrocortical membrane, JTC-801 inhibits ORL1 receptor with IC50 of 472 nM and μ-receptor with IC50 of 1831 nM. JTC-801 completely antagonizes the suppression of nociceptin on forskolin-induced accumulation of cyclic AMP with IC50 of 2.58 μM in HeLa cells expressing ORL1 receptor. [1]
In vivo	Oral administration of JTC-801 (0.3-3 mg/kg) antagonizes nociceptin-induced allodynia in mice, and shows analgesic effect in a hot plate test using mice and in a formalin test using rats. [2] In mouse hot-plate test, JTC-801 prolongs escape response latency (ERL) or exposed heat stimulus with minimum effective doses (MED) of 0.01 mg/kg by i.v. or 1 mg/kg by p.o. In the rat formalin test, JTC-801 reduces both the first and second phases of the nociceptive response with MED of 0.01 mg/kg71 by i.v. or 1 mg/kg by p.o. [1] JTC-801 dose-dependently normalizes paw withdrawal latency (PWL). Although JTC-801 does not inhibit a chronic constriction injury (CCI)-induced decrease in bone mineral content (BMC) and bone mineral density (BMD), it inhibits an increase in the number of osteoclasts. [3] Tactile allodynia induced by L5/L6 spinal nerve ligation is reversed by both systemic (3-30 mg/kg) and spinal (22.5 and 45 pg) JTC-801 in a dose-dependent manner. Furthermore, systemic JTC-801 reduces Fos-like immunoreactivity in the dorsal horn of the spinal cord (laminae I/II). [4] JTC-801 produces dose-dependent mechanical and cold anti-allodynic effects with ED50 of 0.83 mg/kg and 1.02 mg/kg, respectively. [6]
Kinase Assay	A suspension of membranes from human μ-opioid receptor-expressing CHO-K1 cells in 50 mM Tris-HCl buffer (pH 7.4) containing 5 mM MgCl2 and 10% sucrose is incubated at room temperature for 2.5 h with 0.33 nM 3H-labeled diprenorphine and various concentrations of JTC-801. The membranes are collected by filtration using Whatman 934-AH, and radioactivity is counted with a TopCount A9912V scintillation counter. Nonspecific binding (6.4%) is determined with 10 μM naloxone. Specific binding is

calculated by subtracting nonspecific binding from the total binding. Data are the mean±SE (n=3).

Solubility Information

Solubility	DMSO: 44.8 mg/mL (100.01 mM),Sonication is recommended. Ethanol: 9 mg/mL (20.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2323 mL	11.1617 mL	22.3234 mL
5 mM	0.4465 mL	2.2323 mL	4.4647 mL
10 mM	0.2232 mL	1.1162 mL	2.2323 mL
50 mM	0.0446 mL	0.2232 mL	0.4465 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.

Reference

Yamada H, et al. Br J Pharmacol, 2002, 135(2), 323-332.
Shinkai H, et al. J Med Chem, 2000, 43(24), 4667-4677.
Suyama H, et al. Neurosci Lett, 2003, 351(3), 133-136.
Tamai H, et al. Eur J Pharmacol, 2005, 510(3), 223-228.
Rawls SM, et al. Neuropeptides, 2007, 41(4), 239-247.
Zhang Y, et al. Nociceptin/orphanin FQ peptide receptor antagonist JTC-801 reverses pain and anxiety symptoms in a rat model of post-traumatic stress disorder. Br J Pharmacol. 2015 Jan;172(2):571-82.

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