TCS 1102

Cat. No.: HY-10900

CAS No.: 916141-36-1

Molecular Formula: $C_{27}H_{26}N_4O_2S$

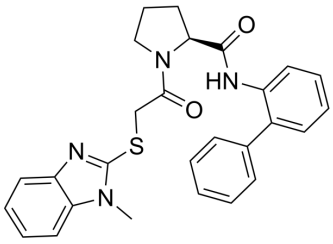
Molecular Weight: 470.59

Target: Orexin Receptor (OX Receptor)

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (212.50 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1250 mL	10.6250 mL	21.2499 mL
	5 mM	0.4250 mL	2.1250 mL	4.2500 mL
	10 mM	0.2125 mL	1.0625 mL	2.1250 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TCS 1102 is a potent, dual orexin receptor antagonist, with Ki values of 0.2 nM and 3 nM for OX2 and OX1 receptors, respectively. TCS 1102 demonstrates excellent blood-brain barrier penetrability and moderate bioavailability in rats[1].

IC_{50} & Target

OX_1 Receptor	OX_2 Receptor
3 nM (Ki)	0.2 nM (Ki)

In Vitro

TCS 1102 (10 μM) inhibits Ca^{2+} responses to Orexin A (human, rat, mouse) (HY-106224) and Yan 7874 (an Orexin receptor agonist) in CHO-hOX2 cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TCS 1102 (compound 18) (15, 50, 100 mg/kg; i.p; single dose) induces locomotion inhibition in rat in a dose dependent manner[1].

TCS-1102 (10 and 20 mg/kg; i.p.; single dose) decreases fear and anxiety in rats after exposure to footshock. Furthermore, TCS-1102 (10 mg/kg; i.p.; single dose) also shows anxiolytic effects for high responders (HR) rat when tested in the elevated T-maze[3].

PK of TCS 1102 in Rat (100 mg/kg; i.p.; measured at 30 min)[1]

CL (mL/min/kg)	$T_{1/2}$ (h)	F (%)	Brain/plasma/CSF (nM)
3.7	0.3	11	2370/3500/43

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Animal Model:	Male Sprague–Dawley rats (130-160 g)[3]
Dosage:	10 and 20 mg/kg
Administration:	Intraperitoneal injection; 30 min before received the footshocks
Result:	Decreased fear and anxiety in rats 14 days after exposure to footshock.

REFERENCES

[1]. Turku A, et al. Orexin receptor agonist Yan 7874 is a weak agonist of orexin/hypocretin receptors and shows orexin receptor-independent cytotoxicity. PLoS One. 2017 Jun 2;12(6):e0178526.

[2]. Bergman JM, et al. Proline bis-amides as potent dual orexin receptor antagonists. Bioorg Med Chem Lett. 2008 Feb 15;18(4):1425-30.

[3]. Chen X, et al. Orexins (hypocretins) contribute to fear and avoidance in rats exposed to a single episode of footshocks. Brain Struct Funct. 2013 Aug 18.

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