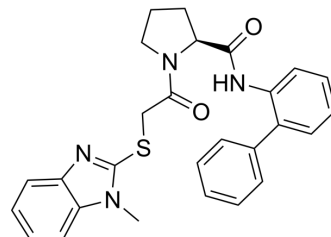


TCS 1102

Cat. No.:	HY-10900		
CAS No.:	916141-36-1		
Molecular Formula:	C ₂₇ H ₂₆ N ₄ O ₂ S		
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 Concentration	Mass		
		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

- 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
- 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].

IC₅₀ & Target

OX ₁ Receptor 3 nM (Ki)	OX ₂ Receptor 0.2 nM (Ki)
---------------------------------------	---

In Vitro

TCS 1102 (10 μM) inhibits Ca²⁺ 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

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

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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA