TCS-OX2-29

Cat. No.: HY-100452

CAS No.: 372523-75-6

Molecular Formula: $C_{23}H_{31}N_3O_3$

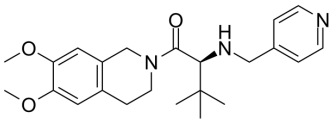
Molecular Weight: 397.51

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 : 25 mg/mL (62.89 mM; Need ultrasonic)
Ethanol : 25 mg/mL (62.89 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5157 mL	12.5783 mL	25.1566 mL
5 mM	0.5031 mL	2.5157 mL	5.0313 mL
10 mM	0.2516 mL	1.2578 mL	2.5157 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 (6.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TCS-OX2-29 is a potent, high affinities and selective orexin-2 receptor (OX_2R) antagonist with an IC_{50} value of 40 nM and a pK_I value of 7.5. TCS-OX2-29 displays ~250-fold selectivity for OX_2 over OX_1 [1][2].

IC_{50} & Target

OX_2 Receptor

In Vitro

TCS-OX2-29 inhibits orexin A induced IP3 accumulation and ERK1/2 phosphorylation in CHO cells transfected with the OX_2

	receptor[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TCS-OX2-29 (5-10 mg/kg; intraperitoneal injection; adult male NMRI mice) treatment suppresses conditioned place preference (CPP) acquisition and expression in both naïve and dependent mice significantly[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	440 adult male NMRI mice (25-30 g)[2]
Dosage:	5 mg/kg and 10 mg/kg
Administration:	Intraperitoneal injection (Pharmacokinetic study)
Result:	Suppressed conditioned place preference (CPP) acquisition and expression in both naïve and dependent mice significantly.

CUSTOMER VALIDATION

- J Pineal Res. 2019 Jan;66(1):e12530.
- Med Sci Monit. 2019 Apr 19;25:2886-2895.

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REFERENCES

[1]. Hirose M et al. N-acyl 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline: the first orexin-2 receptor selective non-peptidicantagonist. Bioorg Med Chem Lett, 2003 Dec 15, 13(24):4497-9.

[2]. R Mould et al. Binding kinetics differentiates functional antagonism of orexin-2 receptor ligands. Br J Pharmacol. 2014 Jan; 171(2): 351-363.

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