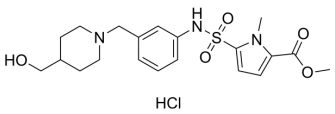
OX2R-IN-1

Cat. No.:	HY-149014
CAS No.:	2639148-08-4
Molecular Formula:	$C_{20}H_{28}ClN_3O_5S$
Molecular Weight:	457.97
Target:	Orexin Receptor (OX Receptor)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

OX_2R-IN-1 (compound 15) is a low cytotoxicity profile OX_2R-IN-1 antagonist (a potential OX2R binder) with an IC_{50} value of 484 μM. OX_2R-IN-1 (compound 15) can cross the BBB into the brain with a short half-life[1].

IC_{50} & Target

OX_2 Receptor

In Vitro

OX_2R-IN-1 (compound 15) has low cytotoxic withIC_{50} values of 484 μM. OX_2R-IN-1 (compound 15) is significant and dose-dependently reduce the signal of orexin A-evoked response (0.2 μM) in CHO-K1 cell line. OX_2R-IN-1 (compound 15) has uncertain permeation through the BBB, since the PAMPA assay is limited by several drawbacks[1].

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

Cell Cytotoxicity Assay[1].

Cell Line:	CHO-K1 cell line
Concentration:	100-1000 μM
Incubation Time:	24h
Result:	Exhibited low cytotoxic with IC_{50}values of 484 μM

In Vivo

OX_2R-IN-1 (compound 15) has a short half-life and poor bioavailability.

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

Animal Model:	Albino male Wistar rats[1]
Dosage:	75 mg/kg
Administration:	OX_2R-IN-1 (75 mg/kg; intraperitoneal injection) blood samples are collected at 0, 5, 10, 20, 30, 40, 60, 90, 120 and 240 min with a short half-life and poor bioavailability
Result:	.....

Parameter	Units	Plasma	Brain tissuer

$t_{1/2,\beta}$ (h)	$t_{1/2}$	min	9.63	14.85
t_{max}	min	5	40	
C_{max}	μmol/L	29.40	0.199	
AUC	μmol/L*min	1362.68	18.51	
MRT	min	39.94	68.93	

REFERENCES

[1]. Eva Mezeiova, et al. From orexin receptor agonist YNT-185 to novel antagonists with drug-like properties for the treatment of insomnia, Bioorg Chem. 2020 Oct;103:104179.

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