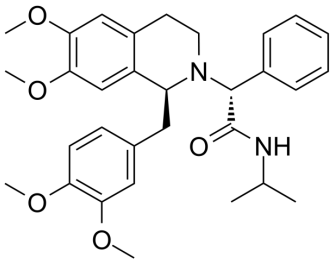
ACT-335827

Cat. No.:	HY-108683
CAS No.:	1354039-86-3
Molecular Formula:	$C_{31}H_{38}N_2O_5$
Molecular Weight:	518.64
Target:	Orexin Receptor (OX Receptor)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	ACT-335827 is a selective, orally active, brain-penetrant orexin type 1 receptor antagonist. ACT-33582 acts on OXR1 and OXR2 with IC_{50} values of 6 nM and 417 nM, respectively. ACT-33582 can be used in studies related to neurological disorders[1] .

IC_{50} & Target	OX1	OX2
	6 nM (IC_{50})	417 nM (IC_{50})

In Vitro	ACT-335827 (0-10 μM, 2 h) acts on OXR-1 and OXR-2 with the K_b values of 41 nM and 560 nM, the IC_{50} values of 120 nM and 2300 nM, respectively in CHO cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ACT-335827 (oral gavage, 30-100 mg/kg, once) can reduce the fear-induced startle response with no affecting motor or cognitive function in rats[1].

ACT-335827 (oral administration, 300 mg/kg, everyday, 4 weeks) has less effect on metabolic syndrome (MetS), such as diet-induced obesity (DIO) in male Wistar rats[2].

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Animal Model:	Rats[1]
Dosage:	30, 100 or 300 mg/kg
Administration:	Oral gavage; once
Result:	Reduced fear-induced startle response at 300 mg/kg. Decreased stress-induced elevated body temperature at 300 mg/kg and accelerated heat rate at 100 or 300 mg/kg but no effect on locomotion and blood pressure.

Animal Model:	Male Wistar rats weighing160-180g[2]
Dosage:	300 mg/kg
Administration:	Oral administration; everyday; 4 weeks

Result:	Reduced preference for high fat/sweet diets but no effect on absolute energy intake. Increased water intake and HDL relative to total cholesterol. Resulted in a 4% weight gain compared to the control group.

REFERENCES

[1]. Michel A Steiner, et al. Discovery and characterization of ACT-335827, an orally available, brain penetrant orexin receptor type 1 selective antagonist. ChemMedChem. 2013 Jun;8(6):898-903.

[2]. Michel A Steiner, et al. The selective orexin receptor 1 antagonist ACT-335827 in a rat model of diet-induced obesity associated with metabolic syndrome. Front Pharmacol. 2013 Dec 30;4:165.

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