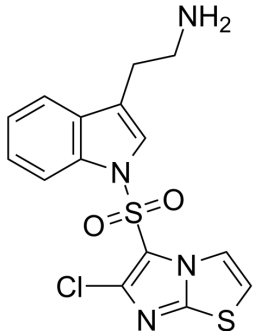
WAY-181187

Cat. No.:	HY-14340
CAS No.:	554403-49-5
Molecular Formula:	$C_{15}H_{13}ClN_4O_2S_2$
Molecular Weight:	380.87
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (262.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6256 mL	13.1278 mL	26.2557 mL
	5 mM	0.5251 mL	2.6256 mL	5.2511 mL
	10 mM	0.2626 mL	1.3128 mL	2.6256 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 (6.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.56 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WAY-181187 (SAX-187) is a potent and selective full 5-HT6 receptor agonist with a K_i of 2.2 nM and an EC_{50} of 6.6 nM[1].
WAY181187 mediates 5-HT6 receptor-dependent signal pathways, such as cAMP, Fyn and ERK1/2 kinase, as specific agonist [2].

IC_{50} & Target		
	5-HT_6 Receptor 2.2 nM (Ki)	5-HT_6 Receptor 6.6 nM (EC50)

In Vitro

WAY181187 (1 and 10 μM) increases activation of ERK1/2. WAY181187 also increases Fyn kinase activity[2].

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

Western Blot Analysis[2]

Cell Line:	HEK/HA-5-HT6 receptor cells
Concentration:	1 and 10 μM
Incubation Time:	Pretreatment 5 minutes
Result:	Increased activation of ERK1/2 both at 1 and 10 μM concentrations.

In Vivo

Acute administration of WAY-181187 (3-30 mg/kg, s.c.) significantly increases extracellular GABA concentrations without altering the levels of glutamate or norepinephrine in the rat frontal cortex. Additionally, WAY-181187 (30 mg/kg, s.c.) produces modest yet significant decreases in cortical dopamine and 5-HT levels[1].

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

Animal Model:	Adult male Sprague-Dawley rats weighing 280–350 g[1]
Dosage:	3, 10, or 30 mg/kg
Administration:	Acute dministered by s.c.
Result:	Significantly increased extracellular GABA concentrations without altering the levels of glutamate or norepinephrine.

REFERENCES

[1]. Lee E Schechter, et al. Neuropharmacological Profile of Novel and Selective 5-HT6 Receptor Agonists: WAY-181187 and WAY-208466. Neuropsychopharmacology. 2008 May;33(6):1323-35.

[2]. Teresa Riccioni, et al. ST1936 Stimulates cAMP, Ca2+, ERK1/2 and Fyn Kinase Through a Full Activation of Cloned Human 5-HT6 Receptors. Eur J Pharmacol. 2011 Jul 1;661(1-3):8-14.

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