GR 113808

Cat. No.: HY-103152

CAS No.: 144625-51-4

Molecular Formula: $C_{19}H_{27}N_3O_4S$

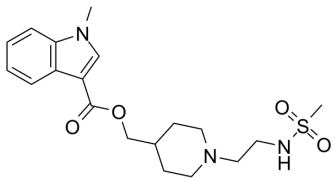
Molecular Weight: 393.5

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (508.26 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5413 mL	12.7065 mL	25.4130 mL
	5 mM	0.5083 mL	2.5413 mL	5.0826 mL
	10 mM	0.2541 mL	1.2706 mL	2.5413 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GR 113808 is a potent and highly selective 5-HT_4 receptor antagonist (pK_b= 8.8). GR 113808 shows 300-fold selectivity over 5-HT_{1A}, 5-HT_{1B}, 5-HT_{2A}, 5-HT_{2C} and 5-HT_3 receptors[1].

IC_{50} & Target

5-HT_4 Receptor	5-HT_{1A} Receptor	5-HT_3 Receptor	5-HT_{2A} Receptor
5-HT_{2C} Receptor			

In Vivo

GR113808 (intraperitoneal injection; 1 mg/kg; 5-7 days starting 24 h after induction of colitis) shows a significant increase in the disease activity index (DAI),which includes evaluation of weight loss, stool consistency, and presence of fecal blood[2].

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

Animal Model:	Mice[1]
Dosage:	1 mg/Kg
Administration:	Intraperitoneal injection; 1 mg/Kg; 5-7 days starting 24 h after induction of colitis

Result:	Blocked protective effects of tegaserod after induction of colitis.

REFERENCES

[1]. Gale JD, et al. GR113808: a novel, selective antagonist with high affinity at the 5-HT4 receptor.Br J Pharmacol. 1994 Jan;111(1):332-8.

[2]. Spohn SN, et al.Protective Actions of Epithelial 5-Hydroxytryptamine 4 Receptors in Normal and Inflamed Colon.Gastroenterology. 2016 Nov;151(5):933-944.e3.

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