GR 125743

Cat. No.: HY-121392

CAS No.: 148547-33-5

Molecular Formula: $C_{25}H_{28}N_4O_2$

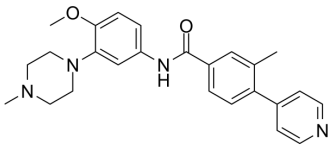
Molecular Weight: 416.52

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (240.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4008 mL	12.0042 mL	24.0085 mL
	5 mM	0.4802 mL	2.4008 mL	4.8017 mL
	10 mM	0.2401 mL	1.2004 mL	2.4008 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 (6.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GR 125743 is a selective 5-$HT_{1B/1D}$ receptor antagonist, with pK_is of 8.85 and 8.31 for wild-type h5-HT_{1B} and wild-type h5-HT_{1D}, respectively. GR 125743 is used for the research of Parkinson's disease and cardiovascular diseases[1][2].

IC_{50} & Target

human 5-HT_{1B} Receptor	human 5-HT_{1D} Receptor
8.85 (pKi)	8.31 (pKi)

In Vitro

GR 125743 has a K_d of 0.61 nM for h5-HT_{1B}[1].

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

In Vivo	GR 125743 (0.3 mg/kg; i.p.) produces significant decreases in extracellular 5-HT in the frontal cortex of the conscious guinea pig[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Dunkin Hartley guinea-pigs (350-450 g)[2]
Dosage:	0.3 mg/kg
Administration:	Intraperitoneal injection
Result:	Produced significant transient increases in extracellular 5-HT.

REFERENCES

[1]. T Wurch, et al. Induction of a high-affinity ketanserin binding site at the 5-Hydroxytryptamine(1B) receptor by modification of its carboxy-terminal intracellular portion. Characterization of human serotonin 1D and 1B receptors using [3H]-GR-125743, a nov

[2]. Roberts, C., et al. The role of 5-HT1B/1D receptors in the modulation of 5-hydroxytryptamine levels in the frontal cortex of the conscious guinea pig. European Journal of Pharmacology. 1997 May 12;326(1):23-30.

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