GSK163090

Cat. No.: HY-14348

CAS No.: 844903-58-8

Molecular Formula: $C_{25}H_{29}N_5O$

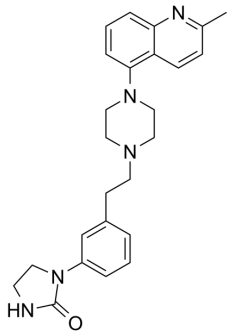
Molecular Weight: 415.53

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (34.39 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4066 mL	12.0328 mL	24.0657 mL
	5 mM	0.4813 mL	2.4066 mL	4.8131 mL
	10 mM	0.2407 mL	1.2033 mL	2.4066 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: ≥ 1.43 mg/mL (3.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (3.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK163090 is a potent, selective and orally active 5-$HT_{1A/1B/1D}$ receptor antagonist with pK_i values of 9.4/8.5/9.7, respectively. GSK163090 inhibits the functional activity of serotonin reuptake transporter (SerT) with a pK_i value of 6.1. GSK163090 has antidepressant and anxiolytic activities[1].

IC_{50} & Target

5-HT_{1A} Receptor 9.4 (pKi)	5-HT_{1B} Receptor 8.5 (pKi)	5-HT_{1D} Receptor 9.7 (pKi)	D_2 Receptor 6.3 (pKi)
D_3 Receptor	5-HT_{2A} Receptor	5-HT_{2B} Receptor	5-HT_{2C} Receptor

	6.7 (pKi)	6 (pKi)	6.3 (pKi)	5.8 (pKi)
	Human 5-HT_6 Receptor <5.3 (pKi)	Human 5-HT_7 Receptor 6.8 (pKi)		
In Vitro	GSK163090 (compound 36) is devoid of agonist activity at R1 receptors, but rather it demonstrates a moderate functional antagonismof the phenylephrineinduced contraction of rabbit aorta (pIC_{50}=6.9)[1]. At 1 μM concentration, the ratio of the apparent permeabilities measured from basolateral-to-apical (BA) to apical-to-basolateral (AB) indicated that GSK163090 is a moderate P-glycoprotein (P-gp) substrate (BA/AB = 2.8)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	In male Sprague-Dawley rats, GSK163090 (compound 36) treatment shows clear dose-dependent inhibition of the 8-OH-DPAT-induced hyperlocomotor activity (hLMA), with ED_{50} values ranging from 0.03 to 1 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Leslie CP, et al. Discovery of 1-(3-{2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl}phenyl)-2-imidazolidinone (GSK163090), a Potent, selective, and orally active 5-HT1A/B/D receptor antagonist. J Med Chem. 2010 Dec 9;53(23):8228-8240.

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