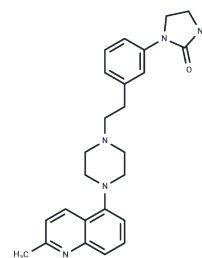


GSK163090

## Chemical Properties

CAS No. : 844903-58-8  
 Formula: C<sub>25</sub>H<sub>29</sub>N<sub>5</sub>O  
 Molecular Weight: 415.53  
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
*Actual storage temperature shall be subject to the COA.*



## Biological Description

Description	GSK163090 is a specific and orally active 5-HT <sub>1A/B/D</sub> receptor antagonist (pK <sub>i</sub> s: 9.4/8.5/9.7, and 6.3/6.7 for 5-HT <sub>1A/B/D</sub> , and dopamine D <sub>2</sub> /D <sub>3</sub> ).
Targets(IC <sub>50</sub> )	5-HT Receptor,Dopamine Receptor
In vitro	GSK163090 demonstrates clear dose-dependent inhibition of the 8-OH-DPAT-induced hyper locomotor activity (hLMA), with ED <sub>50</sub> values ranging from 0.03 to 1 mg/kg. GSK163090 was devoid of agonist activity at R1 receptors, but rather it demonstrated a moderate functional antagonism of the phenylephrine-induced contraction of rabbit aorta (pIC <sub>50</sub> : 6.9).
In vivo	The superior quality of GSK163090 was further highlighted by its commendable in vivo pharmacokinetic profile in rats and its outstanding activity in the 5-HT <sub>1A</sub> PD model, where 50% efficacy was achieved at a blood concentration of 3 ng/mL.

## Solubility Information

Solubility	DMSO: 14.29 mg/mL (34.39 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.41 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
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
50 mM	0.0481 mL	0.2407 mL	0.4813 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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-HT<sub>1A/B/D</sub> receptor antagonist. *J Med Chem.* 2010 Dec 9;53(23):8228-8240.

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