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产品名称: **GSK163090**
产品别名: **GSK163090**

生物活性:					
Description	GSK163090 is a potent, selective, and orally active 5-HT1A/B/D receptor antagonist with pKi of 9.4/8.5/9.7, and 6.3/6.7 for 5-HT1A/B/D, and dopamine D2/D3, respectively. IC50 value: 9.4/8.5/9.7 (pKi) [1] Target: 5-HT in vitro: GSK163090 demonstrates clear dose-dependent inhibition of the 8-OH-DPAT-induced hyperlocomotor activity (hLMA), with ED50 values ranging from 0.03 to 1 mg/kg. GSK163090 was devoid of agonist activity at R1 receptors, but rather it demonstrated amoderate functional antagonismof the phenylephrineinduced contraction of rabbit aorta (pIC50=6.9). [1] in vivo: Fromamong these analogues, the cyclic urea derivative, GSK163090, emerged due to its low hERG affinity and excellent in vitro DMPK profile. The superior quality of GSK163090 was further highlighted by its commendable in vivo pharmacokinetic profile in rat and its outstanding activity in the 5-HT1A PD model, where 50% efficacy was achieved at a blood concentration of 3 ng/mL. On the basis of these results and its promising preclinical developability profile, GSK163090 was selected as an appropriate development candidate for progression toward clinical proof-of-concept studies. [1]				
Solvent&Solubility	In Vitro:				
	DMSO : 16.5 mg/mL (39.71 mM; Need ultrasonic and warming)				
		Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	Preparing	1 mM	2.4066 mL	12.0328 mL	24.0657 mL
	Stock Solutions	5 mM	0.4813 mL	2.4066 mL	4.8131 mL
	10 mM	0.2407 mL	1.2033 mL	2.4066 mL	
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。					
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.				