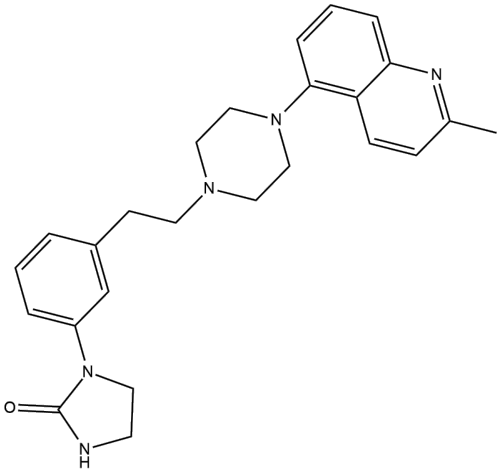
Product Data Sheet

Product Name: GSK163090
Cat. No.: GC19176

Chemical Properties

Cas. No.	844903-58-8		
SMILES	O=C1NCCN1C2=CC=CC(CCN3CCN(C4=C5C=CC(C)=NC5=CC=C4)CC3)=C2		
Formula	$C_{25}H_{29}N_5O$	M.Wt	415.53
Solubility	DMSO : 16.5 mg/mL (39.71 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

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 pharmacokineticprofile 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]

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.

Caution: Product has not been fully validated for medical applications. For research use only.
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