

## 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

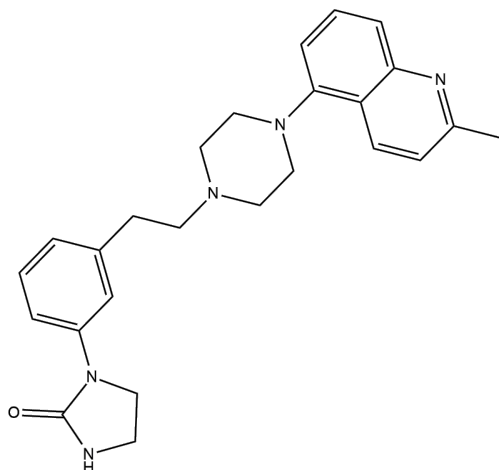
Formula  $C_{25}H_{29}N_5O$  M.Wt 415.53

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-HT<sub>1A/B/D</sub> receptor antagonist with pK<sub>i</sub> of 9.4/8.5/9.7, and 6.3/6.7 for 5-HT<sub>1A/B/D</sub>, and dopamine D<sub>2/D3</sub>, respectively. IC<sub>50</sub> value: 9.4/8.5/9.7 (pK<sub>i</sub>) [1] Target: 5-HT in vitro: GSK163090 demonstrates clear dose-dependent inhibition of the 8-OH-DPAT-induced hyperlocomotor 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). [1] in vivo: From among these analogues, the cyclic urea derivative, GSK163090, emerged due to its low hERG

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: [tech@glpbio.com](mailto:tech@glpbio.com)

Address: 10292 Central Ave. #205, Montclair, CA, USA

## Product Data Sheet

---

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-HT<sub>1A</sub> 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-quinoliny)-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.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: [tech@glpbio.com](mailto:tech@glpbio.com)

Address: 10292 Central Ave. #205, Montclair, CA, USA