Product Data Sheet

Product Name: 5HT6-ligand-1
Cat. No.: GC31296

Chemical Properties

Cas. No.	1038988-11-2		
SMILES	CN1CCN(CC2=CC=CC3=C2C=CN3S(=O)(C4=CC=CC=C4Br)=O)CC1		
Formula	$C_{20}H_{22}BrN_3O_2S$	M.Wt	448.38
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	5HT6-ligand-1		

Background

5HT6-ligand-1 is a potent 5-HT6 receptor ligand with a Ki of 1.43 nM.

5HT6-ligand-1 is extensively metabolized in rat liver microsomes whereas in human liver microsomes, 5HT6-ligand-1 is extensively metabolized (90%). The IC50 values for 5HT6-ligand-1 at CYP 3A4 is 35.97%, whereas the IC50 values at CYP 2D6 enzymes is less than 20 μM. 5HT6-ligand-1 at an oral dose of 10 mg/kg is rapidly absorbed in rats with a good oral half-life of 3.17±0.49 h with an oral bioavailability of 29±5%. The observed oral Cmax is 60±44 ng/mL and occurs at 1.83 h. 5HT6-ligand-1 displays an oral exposure of 217±92 ng h/mL. It has a clearance of 220±92 mL/min/kg with a volume of distribution of 32.6±10.7 L/kg for iv dose. Extensive rat metabolism coupled with high clearance could be the possible reason for moderate oral bioavailability showed by 5HT6-ligand-1. Oral administration of 5HT6-ligand-1 reverses the time delay induced memory deficit and statistically significant effect is observed at a dose of 10 mg/kg indicating cognitive improvement potential of the compound 6a[1].

[1]. Nirogi RVS, et al. Design, synthesis and pharmacological evaluation of 4-(piperazin-1-yl methyl)-N1-arylsulfonyl indole derivatives as 5-HT6 receptor ligands. Bioorg Med

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Chem Lett 22 (2012) 7431-7435

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