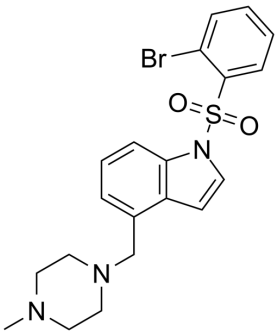
5HT6-ligand-1

Cat. No.:	HY-U00126
CAS No.:	1038988-11-2
Molecular Formula:	$C_{20}H_{22}BrN_3O_2S$
Molecular Weight:	448.38
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	5HT6-ligand-1 is a potent 5-HT6 receptor ligand with a K_i of 1.43 nM.
IC_{50} & Target	5-HT_6 Receptor 1.43 nM (Ki)
In Vivo	5HT6-ligand-1 is extensively metabolized in rat liver microsomes whereas in human liver microsomes, 5HT6-ligand-1 is extensively metabolized (90%). The IC_{50} values for 5HT6-ligand-1 at CYP 3A4 is 35.97%, whereas the IC_{50} 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 C_{max} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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 Chem Lett 22 (2012) 7431–7435

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