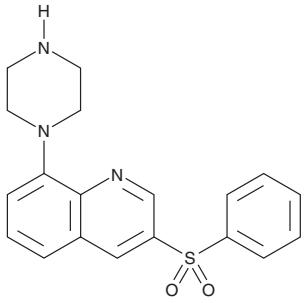
PRODUCT INFORMATION

SB-742457

Item No. 22200

CAS Registry No.:	607742-69-8
Formal Name:	3-(phenylsulfonyl)-8-(1-piperazinyl)-quinoline
Synonyms:	GSK742457
MF:	$C_{19}H_{19}N_3O_2S$
FW:	353.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 273, 364 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SB-742457 is supplied as a crystalline solid. A stock solution may be made by dissolving the SB-742457 in the solvent of choice, which should be purged with an inert gas. SB-742457 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SB-742457 in ethanol is approximately 0.1 mg/ml and approximately 5 mg/ml in DMSO and DMF.

Description

SB-742457 is an orally bioavailable antagonist of the serotonin (5-HT) receptor subtype 5-HT_6 (K_i = 1.4 nM).[1,2] SB-742457 (1 µM) also binds to 5-HT_{1B}, 5-HT_{2A}, 5-HT_{2B}, and 5-HT_{2C} receptors with high affinity.[3] SB-742457 reduces 5-HT_6 activation of G_s-mediated cAMP production in a concentration-dependent manner with an EC_{50} value of 21 nM in NG108-15 neuroblastoma cells.[2] *In vivo*, SB-742457 (1.5 mg/kg, p.o.) reverses deficits in novel object recognition induced by scopolamine (Item No. 14108) in rats.[1] It also reverses age-induced impairments in spatial memory acquisition and retention in rats in the Morris water maze. SB-742457 (3.0 mg/kg, i.p.) reduces the number of licking bouts by 24% compared with control in rats trained to lick a glucose solution, indicating a role in satiety and obesity management.[4]

References

1. Upton, N., Chuang, T.T., Hunter, A.J., *et al.* 5-HT_6 receptor antagonists as novel cognitive enhancing agents for Alzheimer's disease. *Neurotherapeutics* **5(3)**, 458-469 (2008).
2. Grychowska, K., Satała, G., Kos, T., *et al.* Novel 1H-pyrrolo[3,2-c]quinoline based 5-HT_6 receptor antagonists with potential application for the treatment of cognitive disorders associated with Alzheimer's disease. *ACS Chem. Neurosci.* **7(7)**, 972-983 (2016).
3. Ivachtchenko, A.V. and Ivanekov, Y.A. Small molecule 5-HT_6R Ligands: A comprehensive insight into their selectivity and activity. *Curr. Bioactive Compounds* **9(1)**, 64-100 (2013).
4. Higgs, S., Cooper, A.J., and Barnes, N.M. The 5-HT_{2C} receptor agonist, lorcaserin, and the 5-HT_6 receptor antagonist, SB-742457, promote satiety; a microstructural analysis of feeding behaviour. *Psychopharmacology (Berl)* **233(3)**, 417-424 (2016).

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