

## Produktinformation



Forschungsprodukte & Biochemikalien
Zellkultur & Verbrauchsmaterial
Diagnostik & molekulare Diagnostik
Laborgeräte & Service

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### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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# **PRODUCT** INFORMATION



SB-742457

Item No. 22200

CAS Registry No.:	607742-69-8	ų
Formal Name:	3-(phenylsulfonyl)-8-(1-	
	piperazinyl)-quinoline	
Synonyms:	GSK742457	
MF:	C <sub>19</sub> H <sub>19</sub> N <sub>3</sub> O <sub>2</sub> S	N
FW:	353.4	
Purity:	≥98%	
UV/Vis.:	λ <sub>max</sub> : 273, 364 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	
Stability:	≥2 years	0 0

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. SB-742457 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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<sub>6</sub> ( $K_i = 1.4 \text{ nM}$ ).<sup>1,2</sup> SB-742457 (1  $\mu$ M) also binds to 5-HT<sub>1B</sub>, 5-HT<sub>2A</sub>, 5-HT<sub>2B</sub>, and 5-HT<sub>2C</sub> receptors with high affinity.<sup>3</sup> SB-742457 reduces 5-HT<sub>6</sub> activation of G<sub>s</sub>-mediated cAMP production in a concentration-dependent manner with an EC<sub>50</sub> value of 21 nM in NG108-15 neuroblastoma cells.<sup>2</sup> In vivo, SB-742457 (1.5 mg/kg, p.o.) reverses deficits in novel object recognition induced by scopolamine (Item No. 14108) in rats.<sup>1</sup> 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.<sup>4</sup>

#### References

- 1. Upton, N., Chuang, T.T., Hunter, A.J., et al. 5-HT<sub>6</sub> 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<sub>6</sub> 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-HT₄R 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<sub>2C</sub> receptor agonist, lorcaserin, and the 5-HT<sub>6</sub> receptor antagonist, SB-742457, promote satiety; a microstructural analysis of feeding behaviour. Psychopharmacology (Berl) 233(3), 417-424 (2016).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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