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SB216763



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5 mg

For Research Use Only. Not for Use in Diagnostic Procedures.

Background

The maleimide derivative SB216763 is a potent and selective cell permeable inhibitor of glycogen synthase kinase 3 (GSK-3). Research studies using peptide-based protein kinase assays show that SB216763 inhibits GSK-3 α in an ATP competitive manner with an IC₅₀ of 34 nM, and is an equally effective GSK3- β inhibitor. Similar assays demonstrate that SB216763 (at concentrations up to 10 μ M) does not inhibit as many as 24 other serine/threonine and tyrosine protein kinases (1). As a consequence of inhibiting GSK-3, SB216763 stimulates glycogen synthesis in human liver cells (EC₅₀ 3.6 μ M) via glycogen synthase activation and induces expression of a β -catenin regulated reporter gene in HEK293 cells (1). Furthermore, SB216763 induces accumulation of β -catenin, a key downstream effector in the Wnt signaling pathway, in many cell types (2-5). Additional research indicates that SB216763 can prevent neuronal cell death induced by PI3 kinase pathway inhibition (2). Glycogen synthase kinase 3 inhibitors such as SB216763 can be important research tools in studying the functional role of GSK-3 in cell signaling pathways.

Molecular Formula $C_{19}H_{12}Cl_2N_2O_2$

Molecular Weight 371.2 g/mol

Purity >98%

CAS 280744-09-4

Solubility Soluble in DMSO at 24mg/ml.

Storage Store lyophilized or in solution at -20°C, desiccated. In lyophilized form, the chemical is stable for 24

months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple

nontris. Once in solution, use within 3 montris to prevent loss of potency. Aliquot to avoid multipli-

freeze/thaw cycles.

Directions for Use: SB216763 is supplied as a lyophilized powder. For a 25 mM stock, reconstitute the 5 mg in 538.8 µl

DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is

typically used at 5-25 µM for 3-24 hr.

Background References 1. Coghlan, M.P. et al. (2000) Chem Biol 7, 793-803.

2. Cross, D.A. et al. (2001) J Neurochem 77, 94-102.

Piazza, F. et al. (2010) BMC Cancer 10, 526.
Zhou, F. et al. (2011) Mol Biol Cell 22, 3533-40.

5. Gebhardt, R. et al. (2010) *J Cell Mol Med* 14, 1276-93.

Cross-Reactivity Key

H: human M: mouse R: rat Hm: hamster Mk: monkey Vir: virus Mi: mink C: chicken Dm: D. melanogaster

X: Xenopus Z: zebrafish B: bovine Dg: dog Pg: pig Sc: S. cerevisiae Ce: C. elegans Hr: horse

GP: Guinea Pig Rab: rabbit All: all species expected

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