Revision 1

#13621 Store at -20C

SB216763

5 mg

Cell Signaling TECHNOLOGY®

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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-3α in an ATP competitive manner with an IC_{50} of 34 nM, and is an equally effective GSK3-β inhibitor. Similar assays demonstrate that SB216763 (at concentrations up to 10 µM) 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 (EC_{50} 3.6 µM) 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 -20ºC, 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 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.
3. Piazza, F. et al. (2010) *BMC Cancer* 10, 526.
4. 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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Limited Uses

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