Store at -20°C

#13621

SB216763

5 mg

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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-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.

Background References:

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

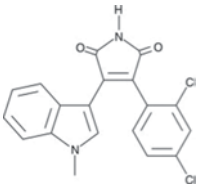
(2) Cross, D.A. et al. (2001) *J Neurochem* 77, 94-102.

(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.

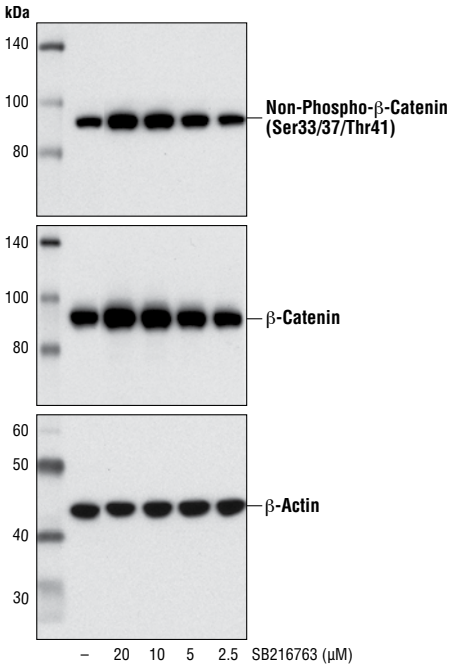
Molecular Formula: $C_{19}H_{12}Cl_2N_2O_2$



Molecular Weight: 371.20 g/mol

Solubility: Soluble in DMSO at 20 mg/ml. Very poorly soluble in ethanol and water.

Purity: >98%



Western blot analysis of extracts from 293T cells, untreated (-) or treated with SB216763 (4 hr) at the indicated concentrations, using Non-phospho (Active) β-Catenin (Ser33/37/Thr41) (D13A1) Rabbit mAb #8814 (upper), β-Catenin (D10A8) XP® Rabbit mAb #8480 (middle), or β-Actin (D6A8) Rabbit mAb #8457 (lower).

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 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.

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Applications: **W**—Western **IP**—Immunoprecipitation **IHC**—Immunohistochemistry **ChIP**—Chromatin Immunoprecipitation **IF**—Immunofluorescence **F**—Flow cytometry **E-P**—ELISA-Peptide **Species Cross-Reactivity:** **H**—human **M**—mouse **R**—rat **Hm**—hamster **Mk**—monkey **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 **All**—all species expected Species enclosed in parentheses are predicted to react based on 100% homology.