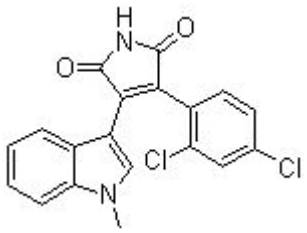
# Product Introduction

## SB216763

SB216763 is a potent and selective **GSK-3** inhibitor with **IC50** of 34.3 nM for GSK-3α and equally effective at inhibiting human GSK-3β.

### Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 371.22 |  |
| **Formula:** | $C_{19}H_{12}N_2O_2Cl_2$ | |
| **Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:** | DMSO 23 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol <1 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃Powder | |
| | 6 months-80℃in DMSO | |
| **CAS No.:** | 280744-09-4 | |

### Biological Activity

SB 216763 displays similar potency for GSK-3β with 96% inhibition at 10 μM while exhibiting minimal activity against 24 other protein kinases including PKBα and PDK1 with IC50 of >10 μM. SB 216763 stimulates glycogen synthesis in human liver cells with EC50 of 3.6 μM, and induces dose-dependent transcription of a β-catenin-LEF/TCF regulated reporter gene in HEK293 cells with a maximum 2.5-fold induction at 5 μM. [1] SB 216763 protects the cerebellar granule neurones from apoptotic cell death induced by LY-294002 or potassium-deprivation in a concentration-dependent manner, with a maximal

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neuroprotection at 3 μM in contrast with the effect of lithium chloride at which 10 mM is required. SB 216763 at 3 μM also completely prevents death of chicken dorsal root ganglion sensory neurones induced by LY-294002 regardless of NGF. SB 216763 treatment at 5 μM markedly inhibits the GSK-3-dependent phosphorylation of neuronal-specific microtubule-associated protein tau in cerebellar granule neurones or recombinant tau in HEK293 cells, and induces increased levels of cytoplasmic β-catenin in both cells mimicking the effect of Wnt-mediated inhibition of GSK-3. [2] In pancreatic cancer cell lines including BXPC-3, MIA-PaCa2, PANC1, ASPC1, and CFPAC, SB 216763 treatment at 25-50 μM reduces cell viability in a dose-dependent manner, and leads to significant increase in apoptosis by 50% at 72 hours due to the specific down regulation of GSK-3β, while has no effect in HMEC or WI38 cell lines. [3]

Administration of SB 216763 at 20 mg/kg significantly prevents lung inflammation and the subsequent fibrosis in bleomycin (BLM)-induced pulmonary inflammation and fibrosis model in mice by significantly blocking the production of inflammatory cytokines MCP-1 and TNF-α by macrophages, and significantly improves the survival of BLM-treated mice. SB 216763 treatment causes a significant reduction in BLM-induced alveolitis by inhibiting alveolar epithelial cell damage. [4]

## References


[1] Coghlan MP, et al. Chem Biol, 2000, 7(10), 793-803.
[2] Cross DA, et al. J Neurochem, 2001, 77(1), 94-102.
[3] Ougolkov AV, et al. Cancer Res, 2005, 65(6), 2076-2081.
[4] Gurrieri C, et al. J Pharmacol Exp Ther, 2010, 332(3), 785-794.



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