产品名称：SB415286
产品别名：SB 415286

生物活性：

Description	SB 415286 is a potent and selective cell permeable inhibitor of GSK-3α, with an IC_{50} of 77.5 nM, and a K_i of 30.75 nM; SB 415286 is equally effective at inhibiting human GSK-3α and GSK-3β.	
IC_{50} & Target	hGSK-3α	hGSK-3β
	77.5 nM (IC_{50})	77.5 nM (IC_{50})
In Vitro	SB 415286 (SB-415286) inhibits human GSK-3α with an IC50 of 77.5 nM, and a Ki of 30.75 nM. SB-415286 stimulates glycogen synthesis in the Chang human liver cell line with EC50 of 2.9 μM. SB-415286 stimulates glycogen synthase activity in Chang human liver cells. SB-415286 induces transcription of a β-catenin-LEF/TCF regulated reporter gene in HEK293 cells[1]. SB 415286 (SB-415286, 5-44 μM) attenuates B65 cell loss mediated by 1 mM H2O2. SB-415286 (5-44 μM) causes a significant dose-dependent decrease in the fluorescence intensity of DCF, and attenuates B65 ROS production as mediated by 1 mM H2O2. SB-415286 (5-44 μM) also attenuates ROS production in CGN mediated by 1 mM H2O2[2]. SB-415286 (50 μM) induces a substantial suppression of immunoprecipitated GSK3 activity by 97%[3].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 83.3 mg/mL (231.57 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7799 mL	13.8997 mL	27.7994 mL
	5 mM	0.5560 mL	2.7799 mL	5.5599 mL
	10 mM	0.2780 mL	1.3900 mL	2.7799 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Coghlan MP, et al. Selective small molecule inhibitors of glycogen synthase kinase-3 modulate glycogen metabolism and gene transcription. Chem Biol. 2000 Oct;7(10):793-803.

[2]. Pizarro JG, et al. Neuroprotective effects of SB-415286 on hydrogen peroxide-induced cell death in B65 rat neuroblastoma cells and neurons. Int J Dev Neurosci. 2008 May-Jun;26(3-4):269-76.

[3]. MacAulay K, et al. Use of lithium and SB-415286 to explore the role of glycogen synthase kinase-3 in the regulation of glucose transport and glycogen synthase. Eur J Biochem. 2003 Sep;270(18):3829-38.

实验参考：

Cell Assay	B65 cells are used after 24 h of in vitro culture. CGN are used after 7-8 days in vitro. Lithium and SB-415286 are dissolved in culture media and DMSO, respectively, and added to the neuronal preparation at the precise concentrations, 1 h before addition H2O2 (50 μM to 1 mM). To assess the loss in cell viability, we use the MTT [3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyl tetrazolium] method. MTT is added to the cells at a final concentration of 250 μM and incubated for 1 h, allowing the reduction in MTT to produce a dark blue formazan product. Media are then removed, and cells are

	dissolved in dimethylsulfoxide. Formazan production is measured by the absorbency change at 595 nm using a microplate reader. Viability results are expressed as percentages. The absorbency measured from non-treated cells is taken to be 100%[2].
Kinase Assay	GSK-3 kinase activity is measured, in the presence or absence of SB-216763 or SB-415286, in a reaction mixture containing final concentrations of: 1 nM human GSK-3α or rabbit GSK3α; 50 mM MOPS pH 7.0; 0.2 mM EDTA; 10 mM Mg-acetate; 7.5 mM β-mercaptoethanol; 5% (w/v) glycerol; 0.01% (w/v) Tween-20; 10% (v/v) DMSO; 28 μM GS-2 peptide substrate. The GS-2 peptide sequence corresponds to a region of glycogen synthase that is phosphorylated by GSK-3. The assay is initiated by the addition of 0.34 μCi [33P]γ-ATP (IC50 determinations) or 2.7 μCi [33P]γ-ATP (Ki determinations). The total ATP concentration is 10 μM (IC50 determinations) or ranges from 0 to 45 μM (Ki determinations). Following 30 min incubation at room temperature the assay is stopped by the addition of one third assay volume of 2.5% (v/v) H3PO4 containing 21 mM ATP. Samples are spotted onto P30 phosphocellulose mats and these are washed six times in 0.5% (v/v) H3PO4. The filter mats are sealed into sample bags containing Wallac betaplate scintillation fluid. 33P incorporation into the substrate peptide is determined by counting the mats in a Wallac microbeta scintillation counter[1].
References	[1]. Coghlan MP, et al. Selective small molecule inhibitors of glycogen synthase kinase-3 modulate glycogen metabolism and gene transcription. Chem Biol. 2000 Oct;7(10):793-803. [2]. Pizarro JG, et al. Neuroprotective effects of SB-415286 on hydrogen peroxide-induced cell death in B65 rat neuroblastoma cells and neurons. Int J Dev Neurosci. 2008 May-Jun;26(3-4):269-76. [3]. MacAulay K, et al. Use of lithium and SB-415286 to explore the role of glycogen synthase kinase-3 in the regulation of glucose transport and glycogen synthase. Eur J Biochem. 2003 Sep;270(18):3829-38.