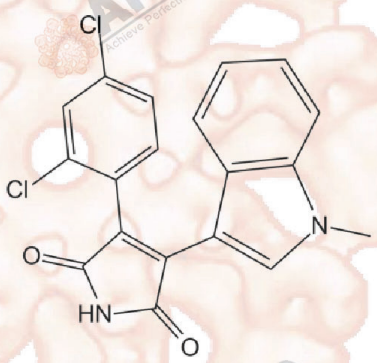
Product Data Sheet

SB 216763

Cat. No.: A8240
CAS No.: 280744-09-4
Formula: C19H12Cl2N2O2
M.Wt: 371.22
Synonyms:
Target: PI3K/Akt/mTOR Signaling
Pathway: GSK-3
Storage: Store at RT



Solvent & Solubility

In Vitro

insoluble in EtOH; insoluble in H2O; ⩾56.8 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.6938 mL	13.4691 mL	26.9382 mL
5 mM	0.5388 mL	2.6938 mL	5.3876 mL
10 mM	0.2694 mL	1.3469 mL	2.6938 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	GSK-3 inhibitor,ATP-competitive,potent and selective
IC_{50} & Target	34.3 nM (GSK-3α), ~34.3 nM (GSK-3β)

In Vitro

Cell Viability Assay

Cell Line:	Cerebellar granule neurons
Preparation method:	The solubility of this compound in DMSO is >56.8mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	0.1 ~ 10 μM; 48 hrs

	Applications:	SB 216763 concentration-dependently reduced the death of cerebellar granule neurons induced by potassium-deprivation or LY-294002 treatment. 3 μM SB 216763 exhibited the maximal neuroprotection effect.
In Vivo	**Animal experiment**	
	Animal models:	Bleomycin (BLM)-induced lung inflammation and fibrosis mouse model
	Dosage form:	20 mg/kg; i.v.
	Applications:	In a Bleomycin (BLM)-induced lung inflammation and fibrosis mouse model, SB 216763 significantly prevented lung inflammation and subsequent fibrosis through significantly blocking the production of inflammatory cytokines MCP-1 and TNF-α by macrophages. Moreover, it significantly improved the survival, and markedly reduced alveolitis by inhibiting alveolar epithelial cell damage.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1.Weng J, Wang YH, et al. "GSK3β inhibitor promotes myelination and mitigates muscle atrophy after peripheral nerve injury." Neural Regen Res. 2018 Feb;13(2):324-330.PMID:29557384

2.Pittini Á, Casaravilla C, et al. "Pharmacological inhibition of PI3K class III enhances the production of pro- and anti-inflammatory cytokines in dendritic cells stimulated by TLR agonists." Int Immunopharmacol. 2016 May 8;36:213-217.PMID:27168056

3.Dr.Alvaro Diaz. "Condicionamiento de células dendríticas por la capa laminar de Echinococcus granulosus: búsqueda de agonistas y mecanismos a nivel de se?alizacón." colibri.udelar.edu.uy.2016.

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References

[1]. Coghlan MP, Culbert AA, Cross DA, Corcoran SL, Yates JW, Pearce NJ, Rausch OL, Murphy GJ, Carter PS, Roxbee Cox L, Mills D, Brown MJ, Haigh D, Ward RW, Smith DG, Murray KJ, Reith AD, Holder JC. Selective small molecule inhibitors of glycogen synthase kinase-3 modulate glycogen metabolism and gene transcription. Chem Biol. 2000 Oct;7(10):793-803.

[2]. Cross DA, Culbert AA, Chalmers KA, Facci L, Skaper SD, Reith AD. Selective small-molecule inhibitors of glycogen synthase kinase-3 activity protect primary neurones from death. J Neurochem 2001,77:94-102.

[3] Gurrieri C, Piazza F, Gnoato M, Montini B, Biasutto L, Gattazzo C, et al. 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-1H-pyrrole-2,5-dione (SB216763), a glycogen synthase kinase-3 inhibitor, displays therapeutic properties in a mouse model of pulmonary inflammation and fibrosis. J Pharmacol Exp Ther 2010,332:785-794.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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