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DATASHEET

SB 216763

Product overview

Name	SB 216763
Cat No	HB1272
Alternative names	SB-216763
Biological action	Inhibitor
Purity	>98%
Description	Potent, selective cell permeable GSK-3 inhibitor. Maintains mESCs and promotes retinal stem cells proliferation.

Images

Biological Data

Biological description

Potent, selective, cell permeable and ATP competitive GSK-3 inhibitor which is equally effective at GSK-3α as GSK-3β (IC_{50} = 34 nM for GSK-3α). Displays little activity at 24 other serine/threonine and tyrosine protein kinases.

SB 216763 is active in vivo.

The compound stimulates glycogen synthesis (EC_{50} = 3.6 µM), acts as a neuroprotectant and prevents neuronal cell death. It also shows anti-inflammatory and cardioprotective actions.

It is also widely used in stem cell research, for example:

Maintenance

- Maintains mESCs (mouse embryonic stem cells) in a pluripotent state in the absence of LIF when cultured with MEFs
- Restores INS-dependent differentiation of C2ind myoblasts

Differentiation

- Promotes the conversion of human umbilical cord mesenchymal stem cells into neural precursors
- Enhances chondrogenic differentiation of hWJ-MSCs
- Increases neurogenesis of human neural progenitor cell differentiation
- Promotes neural differentiation of CD117-positive hAFS cells towards neural progenitor cells

Proliferation

- Promotes the proliferation of retinal stem cells

Solubility & Handling

Storage instructions	Room temperature
Solubility overview	Soluble in DMSO (75mM)
Handling	This compound is light sensitive; we therefore recommend protecting the solid material and solutions from exposure to light.
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

Chemical Data

Chemical name	3-(2,4-Dichlorophenyl)-4-(1-methyl-1*H*-indol-3-yl)-1*H*-pyrrole-2,5-dione
Molecular Weight	371.22
Molecular Formula	$C_{19}H_{12}Cl_2N_2O_2$
CAS Number	280744-09-4
PubChem identifier	176158
SMILES	CN1C=C(C2=CC=CC=C21)C3=C(C(=O)NC3=O)C4=C(C=C(C=C4)Cl)Cl
Source	Synthetic
InChi	InChI=1S/C19H12Cl2N2O2/c1-23-9-13(11-4-2-3-5-15(11)23)17-16(18(24)22-19(17)25)12-7-6-10(20)8-14(12)21/h2-9H,1H3,(H,22,24,25)
InChiKey	JCSGFHVFHSKIJH-UHFFFAOYSA-N
MDL number	MFCD09753369
Appearance	Orange solid

References

Selective small molecule inhibitors of glycogen synthase kinase-3 modulate glycogen metabolism and gene transcription.

Coghlan MP *et al* (2000) Chem Biol 7(10)
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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.

Gurrieri C *et al* (2010) J Pharmacol Exp Ther 332(3)
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Selective small-molecule inhibitors of glycogen synthase kinase-3 activity protect primary neurones from death.

Cross DA *et al* (2001) J Neurochem 77(1)
PubMedID 11279265

Glycogen synthase kinase 3 (GSK3) inhibitor, SB-216763, promotes pluripotency in mouse embryonic stem cells.

Kirby et al (2012) PLoS One 6
PubMedID 22745733

Stemistry: the control of stem cells in situ using chemistry.

Davies et al (2015) J Med Chem 58(7)
PubMedID 25590360

Activation of canonical Wnt pathway promotes proliferation of retinal stem cells derived from adult mouse ciliary margin.

Inoue et al (2006) Stem Cells 24(1)
PubMedID 16223856

Glycogen synthase kinase 3 (GSK3)-inhibitor SB216763 promotes the conversion of human umbilical cord mesenchymal stem cells into neural precursors in adherent culture.

Gao et al (2017) Hum Cell 30(1)

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INS- and wnt1 pathways cooperate to induce reserve cell activation in differentiation and myotube hypertrophy.

Rochat et al (2004) Mol Biol Cell 15(10)
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Small molecule GSK-3 inhibitors increase neurogenesis of human neural progenitor cells.

Lange et al (2011) Neurosci Lett. 488(1)
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Delayed cardioprotection afforded by the glycogen synthase kinase 3 inhibitor SB-216763 occurs via a KATP- and MPTP-dependent mechanism at reperfusion.

Gross et al (2008) Am J Physiol Heart Circ Physiol 294(3)
PubMedID 18223186