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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-3 α as GSK-3 β (IC₅₀ = 34 nM for GSK-3 α). Displays little activity at 24 other serine/threonine and tyrosine protein kinases.

SB 216763 is active in vivo.

The compound stimulates glycogen synthesis (EC $_{50} = 3.6 \mu$ M), 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

· Promotes the proliferation of retinal stem cells

Solubility & Handling

Solubility overview Soluble in DMSO (75mM) **Storage instructions** Room temperature

Storage of solutions Prepare and use solutions on the same day if possible. Store solutions at -20 °C for up to one month if

storage is required. Equilibrate to RT and ensure the solution is precipitate free before use.

Handling This compound is light sensitive; we therefore recommend protecting the solid material and solutions

from exposure to light.

Shipping Conditions Stable for ambient temperature shipping. Follow storage instructions on receipt.

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

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 numberMFCD09753369AppearanceOrange 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) **PubMedID**11033082

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) **PubMedID** 19959748

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)

PubMedID 27604750

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

Rochat et al (2004) Mol Biol Cell 15(10) **PubMedID**15282335

Small molecule GSK-3 inhibitors increase neurogenesis of human neural progenitor cells.

Lange et al (2011) Neurosci Lett. 488(1) **PubMedID**21056624

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