

Product Data Sheet

Product Name: GSK-3 Inhibitor IX (BIO)

Cat. No.: GC14987

Chemical Properties

Cas. No. 667463-62-9

Chemical Name 6-bromo-3-[3-(hydroxyamino)indol-2-ylidene]-1H-indol-2-one

SMILES C1=CC2=C(C(=C3C4=C(C=C(C=C4)Br)NC3=O)N=C2C=C1)NO

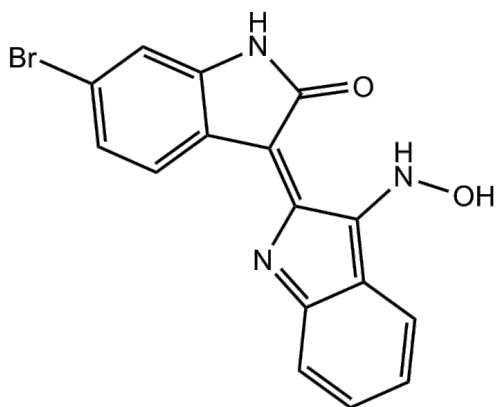
Formula $C_{16}H_{10}BrN_3O_2$ M.Wt 356.17

Solubility ≥ 35.6 mg/mL in DMSO, ≥ 14.4 mg/mL in EtOH with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines A2058 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method Cells were seeded on 6-well plates with 50,000 cells per well in 3mL of RPMI 1640 medium supplemented with 10% FBS and 1% P/S. After 24h incubation, cells were treated with 0-20μM GSK-3 Inhibitor IX (BIO) for 24h. Cell viability was determined using the MTS assay.

Reaction Conditions 0-20μM; 24h

Applications A2058 human melanoma cells are sensitive to GSK-3 Inhibitor IX (BIO) with an IC₅₀ value of approximately 5μM.

Animal experiment [1]:

Animal models Immunodeficient NOD/SCID/IL2Rgamma null (NSG) mice

Preparation Method A2058 human melanoma cells at a density of 5×10^6 cells in serum-free medium were inoculated subcutaneously into the dorsal area of NSG mice to create the xenograft model. When tumors became palpable, GSK-3 Inhibitor IX (BIO) or vehicle control was administered via oral gavage once daily at a dose of 50mg/kg body weight once daily for 2 weeks. Tumor growth was monitored every other day. Tumor volumes were measured every 3 to 4 days.

Dosage form 50mg/kg/day; 2 weeks; p.o.

Applications GSK-3 Inhibitor IX (BIO) suppresses melanoma tumor growth in a mouse xenograft model.

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References:

[1] Liu L, Nam S, Tian Y, et al. 6-Bromoindirubin-3'-oxime inhibits JAK/STAT3 signaling and induces apoptosis of human melanoma cells[J]. Cancer research, 2011, 71(11): 3972-3979.

Background

GSK-3 Inhibitor IX (BIO) is a potent, selective and reversible inhibitor of glycogen synthase kinase-3 (GSK-3) with an IC_{50} value of 5nM for GSK-3 α/β ^[1]. GSK-3 is a serine/threonine protein kinase and one of the protein kinases with the most substrates in cells. GSK-3 is associated with a variety of diseases, such as cancer, Alzheimer's disease, bipolar disorder (BPD), Parkinson's disease, aging, inflammation and metabolic diseases^[2]. GSK-3 Inhibitor IX is the first pharmacological agent that can maintain the self-renewal of human and mouse embryonic stem cells (ESCs)^[3]. GSK-3 Inhibitor IX can promote the proliferation of mammalian cardiomyocytes^[4].

In vitro, GSK-3 Inhibitor IX (0-20 μ M) treated human melanoma cells (A2058 cells) for 24h reduced cell viability in a dose-dependent manner with an IC_{50} value of approximately 5 μ M, reduced the expression of the anti-apoptotic protein Mcl-1 in the cells, and inhibited the phosphorylation of Janus-activated kinase (JAK) family members JAK1, JAK2, and TYK2^[5].

In vivo, GSK-3 Inhibitor IX (50mg/kg/day) was orally treated for 2 weeks in mice bearing melanoma cell xenografts, significantly inhibiting tumor growth in the mice^[5].

References:

[1] Meijer L, Skaltsounis A L, Magiatis P, et al. GSK-3-selective inhibitors derived from Tyrian purple indirubins[J]. Chemistry & biology, 2003, 10(12): 1255-1266.

[2] Wang L, Li J, Di L. Glycogen synthesis and beyond, a comprehensive review of GSK3 as a key regulator of metabolic pathways and a therapeutic target for treating metabolic diseases[J]. Medicinal research reviews, 2022, 42(2): 946-982.

[3] Zhu H, Liu C, Sun J, et al. Effect of GSK-3 inhibitor on the proliferation of multipotent male germ line stem cells (mGSCs) derived from goat testis[J]. Theriogenology, 2012, 77(9): 1939-1950.

[4] Tseng A S, Engel F B, Keating M T. The GSK-3 inhibitor BIO promotes proliferation in mammalian cardiomyocytes[J]. Chemistry & biology, 2006, 13(9): 957-963.

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[5] Liu L, Nam S, Tian Y, et al. 6-Bromoindirubin-3'-oxime inhibits JAK/STAT3 signaling and induces apoptosis of human melanoma cells[J]. Cancer research, 2011, 71(11): 3972-3979.

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