PRODUCT INFORMATION



Item No. 13123

CAS Registry No.: 667463-62-9

Formal Name: 6-bromo-3-[(3E)-1,3-dihydro-3-

(hydroxyimino)-2H-indol-2-ylidene]-1,3-

dihydro-(3Z)-2H-indol-2-one

Synonyms: 6-Bromoindirubin-3'-oxime, GSK3 Inhibitor IX,

MLS 2052

MF: $C_{16}H_{10}BrN_3O_2$

FW: 356.2 **Purity:** ≥98%

 λ_{max} : 224, 254, 281, 291, 330, 344, 503 nm UV/Vis.:

A crystalline solid Supplied as:

-20°C Storage: Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BIO is supplied as a crystalline solid. A stock solution may be made by dissolving the BIO in the solvent of choice, which should be purged with an inert gas. BIO is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BIO in ethanol is approximately 0.5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

BIO is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIO should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIO has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIO is a cell-permeable bis-indolo (indirubin) compound that acts as a highly potent, selective, reversible, and ATP-competitive inhibitor of GSK3 α/β (IC₅₀ = 5 nM). ^{1,2} Its specificity has been tested against various cyclin-dependent kinases (CDKs) (IC $_{50}$ s = 83, 300, 320, and 10,000 nM for Cdk5/p25, Cdk2/A, Cdk1/B, and Cdk4/D₁, respectively). BIO was also tested for its specificity towards many other commonly studied kinases (IC₅₀ ≥10 μM), including MAP kinases, PKA, PKC isoforms, PKG, CK, and IRTK.^{1,2} Inhibition of GSK by BIO has been shown to result in the activation of the Wnt signaling pathway and sustained pluripotency in human and mouse embryonic stem cells (ESCs).³ BIO is reported to maintain self-renewal in human and mouse ESCs as well as induce the differentiation of neonatal cardiomyocytes.⁴

References

- 1. Meijer, L., Skaltsounis, A.-L., Magiatis, P., et al. GSK-3-selective inhibitors derived from tyrian purple indirubins. Chem. Biol. 10(12), 1255-1266 (2003).
- 2. Polychronopoulos, P., Magiatis, P., Skaltsounis, A.-L., et al. Structural basis for the synthesis of indirubins as potent and selective inhibitors of glycogen synthase kinase-3 and cyclin-dependent kinases. J. Med. Chem. 47(4), 935-946 (2004).
- 3. Sato, N., Meijer, L., Skaltsounis, L., et al. Maintenance of pluripotency in human and mouse embryonic stem cells through activation of Wnt signaling by a pharmacological GSK-3-specific inhibitor. Nat. Med.. **10(1)**, 55-63 (2004).
- 4. Tseng, A.-S., Engel, F.B., and Keating, M.T. The GSK-3 inhibitor BIO promotes proliferation in mammalian cardiomyocytes. Chem. Biol. 13(9), 957-963 (2006).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM