bio-techne
TOCRIS

Print Date: May 9th 2023

Certificate of Analysis

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Product Name: **BMS 536924** **Catalog No.: 4774** **Batch No.: 2**

CAS Number: 468740-43-4

IUPAC Name: 4-[[(2*S*)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-3-[7-methyl-5-(4-morpholinyl)-1*H*-benzimidazol-2-yl]-2(1*H*)-pyridinone

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{25}H_{26}ClN_5O_3$.

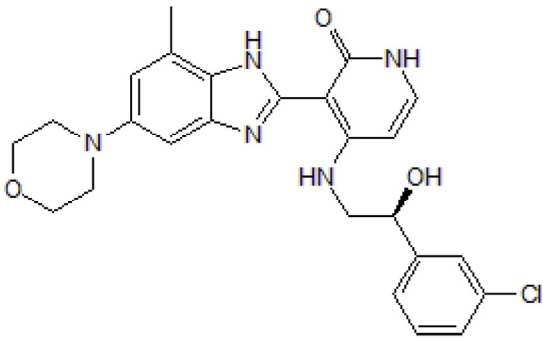
Batch Molecular Weight: 479.96

Physical Appearance: Brown solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.8% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = +79 (Concentration = 0.25, Solvent = 0.5M HCl (aq) in MeOH)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	62.56	5.46	14.59
Found	61.92	5.51	14.49

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
Tel: (800) 343 7475

China
info.cn@bio-techne.com
Tel: +86 (21) 52380373

Europe Middle East Africa
Tel: +44 (0)1235 529449

Rest of World
www.tocris.com/distributors
Tel:+1 612 379 2956

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Description:

BMS 536924 is a dual inhibitor of the insulin receptor (IR) and insulin-like growth factor-1 receptor (IGF1R) (IC_{50} values are 73 and 100 nM respectively). Inhibits receptor autophosphorylation and downstream MEK1/2 and Akt signaling. Induces G_1 arrest and apoptosis in ML-1 cells; also inhibits cell proliferation in multiple tumor types. Reverses EMT through the attenuation of Snail mRNA expression in MCF10A cell over expressing IGF1R.

Physical and Chemical Properties:

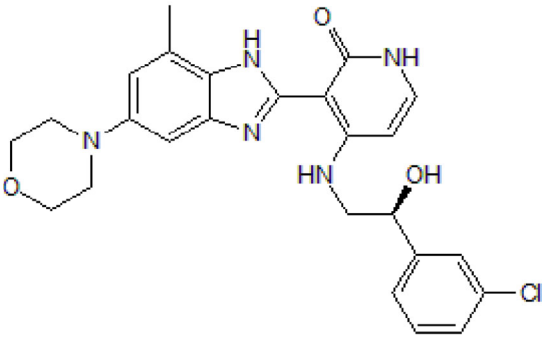
Batch Molecular Formula: $C_{25}H_{26}ClN_5O_3$.

Batch Molecular Weight: 479.96

Physical Appearance: Brown solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Hendrickson *et al* (2009) Expression of Ins receptor isoform A and Ins-like growth factor-1 receptor in human acute myelogenous leukemia: effect of the dual-receptor inhibitor BMS-536924 *in vitro*. Cancer Res. ***69*** 7635. PMID: 19789352.

Huang *et al* (2009) The mechanisms of differential sensitivity to an Ins-like growth factor-1 receptor inhibitor (BMS-536924) and rationale for combining with EGFR/HER2 inhibitors. Cancer Res. ***69*** 161. PMID: 19117999.

Kim *et al* (2007) Constitutively active type I Ins-like growth factor receptor causes transformation and xenograft growth of immortalized mammary epithelial cells and is accompanied by an epithelial-to-mesenchymal transition mediated by NF-κ**27** 3165. PMID: 17296734.