

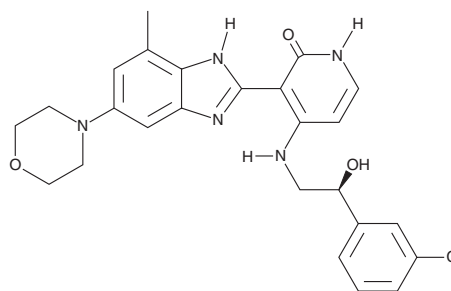
PRODUCT INFORMATION



BMS-536924

Item No. 14666

CAS Registry No.: 468740-43-4
Formal Name: 4-[[[(2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-[7-methyl-5-(4-morpholinyl)-1H-benzimidazol-2-yl]-2(1H)-pyridinone
MF: C₂₅H₂₆ClN₅O₃
FW: 480.0
Purity: ≥98%
UV/Vis.: λ_{max}: 217, 239, 355 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

BMS-536924 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMS-536924 in the solvent of choice, which should be purged with an inert gas. BMS-536924 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of BMS-536924 in these solvents is approximately 10 and 12 mg/ml, respectively.

BMS-536924 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMS-536924 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BMS-536924 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BMS-536924 is a dual inhibitor of insulin-like growth factor receptor (IGF-1R) kinase and insulin receptor (IC₅₀s = 100 and 73 nM, respectively).¹ In this way, it blocks IGF-1R autophosphorylation and signaling through MEK1/2 and Akt, leading to G₁ arrest and apoptosis in myeloblastic leukemia ML-1 cells. BMS-536924 reverses epithelial-to-mesenchymal transition in immortalized mammary epithelial MCF10A cells overexpressing constitutively activated IGF-1R and causes growth inhibition and polarization of breast cancer MCF-7 cells.^{2,3} It also induces expression of cytochrome P450 3A4 isoform in primary hepatocytes.⁴

References

1. Wittman, M., Carboni, J., Attar, R., et al. *J. Med. Chem.* **48(18)**, 5639-5643 (2005).
2. Kim, H.-J., Litzenburger, B.C., Cui, X., et al. *Mol. Cell Biol.* **27(8)**, 3165-3175 (2007).
3. Litzenburger, B.C., Kim, H.-J., Kuitse, I., et al. *Clin. Cancer Res.* **15(1)**, 226-237 (2009).
4. Li, L., Sinz, M.W., Zimmermann, K., et al. *J. Pharmacol. Exp. Ther.* **340(3)**, 688-697 (2012).

WARNING

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

SAFETY DATA

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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