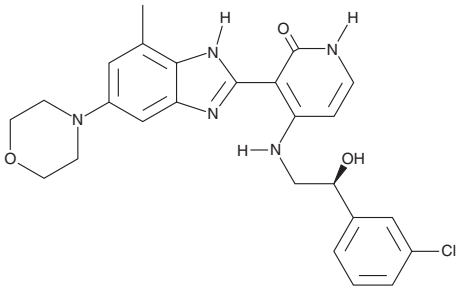
PRODUCT INFORMATION

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: $C_{25}H_{26}ClN_5O_3$
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 (IC_{50}s = 100 and 73 nM, respectively).[1] In this way, it blocks IGF-1R autophosphorylation and signaling through MEK1/2 and Akt, leading to G_1 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., Kuiatse, 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).

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