
Product Data Sheet

Product Name: NMS-E973

Cat. No.: GC13105

Chemical Properties

Cas. No. 1253584-84-7

SMILES CN1CCC(CC1)NC(=O)C2=CC(=C3C(=CC(=O)C=C3OC4=CC=C(C=C4)[N+](=O)[O-])O)ON2Formula $C_{22}H_{22}N_4O_7$ M.Wt 454.43

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, Ethanol: 30 mg/ml 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

Background

NMS-E973 is a potent and selective inhibitor of heat shock protein 90 (Hsp90) with DC50 value of < 10nM [1].

Since Hsp90 plays an important role in the conformational maturation, stability and function of some oncogenic proteins, the inhibitors of Hsp90 are developed as therapeutic for cancers. NMS-E973 is a selective inhibitor of Hsp90. It binds to Hsp90 α within the ATP binding site with DC50 value of < 10nM. Besides that, NMS-E973 shows no effect on a panel of 52 various protein kinases such as ABL, ACK1, AKT1 and Alk. The IC50 value of it for Hsc70 is > 10 μ M. NMS-E973 exerts antiproliferation effects on A2780 tumor cell line and BT-474 breast cancer cell line with IC50 values of 69nM and 110nM, respectively. When treated with mice bearing A2780 xenografts, the intravenous administration of NMS-E973 significantly inhibits tumor growth with TGI value of 53% at dose of 30mg/kg. Moreover, NMS-E973 also displays antitumor activity in tumors resistant to kinase inhibitors such as vemurafenib [1, 2].

References:

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

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[1] Brasca M G, Mantegani S, Amboldi N, et al. Discovery of NMS-E973 as novel, selective and potent inhibitor of heat shock protein 90 (Hsp90). *Bioorganic & medicinal chemistry*, 2013, 21(22): 7047-7063.

[2] Fogliatto G, Gianellini L, Brasca M G, et al. NMS-E973, a novel synthetic inhibitor of Hsp90 with activity against multiple models of drug resistance to targeted agents, including intracranial metastases. *Clinical Cancer Research*, 2013, 19(13): 3520-3532.

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