

BMS-599626 2HCL(714971-09-2 Free base)

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage:

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	BMS-599626 2HCL (AC480 2HCL) is a BMS-599626 derivative. BMS-599626 is an orally available and selective dual inhibitor of HER1 and HER2 with IC50s of 20 and 30 nM, respectively. BMS-599626 inhibits tumor cell proliferation and has the potential to increase tumor response to radiotherapy.
Targets(IC50)	EGFR,HER
In vitro	BMS-599626 is a potent HER inhibitor and has a higher inhibition ability than the other reported inhibitors. When tested with breast tumor cell lines (HCC202, HCC1942 and AU565) that highly expressed HER1 and HER2, BMS-599626 treatment inhibited cell proliferation, while having no effect on A2780 cells without HER1 or HER2 expression.[1] Treated OV202 cells with BMS-599626 significantly inhibited cell proliferation and enhanced cell apoptosis by inhibiting HER.[3] When tested with Sal2 cells expressing CD8-HER2 fusion protein, BMS-599626 treatment inhibited the receptor phosphorylation and MAPK phosphorylation with the IC50 value of 0.3 and 0.22 μ M/L, respectively.[1]
In vivo	In mouse models with Sal2 tumor cells xenograft, oral administration of BMS-599626 inhibited Sal2 cells growth in a dose-dependent manner and significantly delayed tumor growth at the concentration of 60 mg/kg.[1]

Solubility Information

Solubility	DMSO: 25 mg/mL, Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Reference

- Wong TW, et al. Preclinical antitumor activity of BMS-599626, a pan-HER kinase inhibitor that inhibits HER1/HER2 homodimer and heterodimer signaling. Clin Cancer Res. 2006;12(20 Pt 1):6186-6193.
- Torres MA, et al. AC480, formerly BMS-599626, a pan Her inhibitor, enhances radiosensitivity and radioresponse of head and neck squamous cell carcinoma cells in vitro and in vivo. Invest New Drugs. 2011;29(4):554-561.
- Haluska P, et al. HER receptor signaling confers resistance to the insulin-like growth factor-I receptor inhibitor, BMS-536924. Mol Cancer Ther. 2008;7(9):2589-2598.

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