Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

BMS-599626 (Hydrochloride)

Catalog No: tcsc0406

Available Sizes

Size: 5mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
873837-23-1

Formula:
$C_{27}H_{28}ClFN_8O_3$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
AC480

Observed Molecular Weight:
567.01

Product Description

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AC480 (BMS-599626) is a selective and efficacious inhibitor of HER1 and HER2 with IC50 of 20 nM and 30 nM, ~8-fold less potent to HER4, >100-fold to VEGFR2, c-Kit, Lck, MET etc.

IC50 value: 20 nM (HER1); 30 nM (HER2) [1]

Target: HER1/HER2

in vitro: BMS-599626 inhibited HER1 and HER2 with IC50 of 20 and 30 nmol/L, respectively, and was highly selective when tested against a broad panel of diverse protein kinases. Biochemical studies suggested that BMS-599626 inhibited HER1 and HER2 through distinct mechanisms. BMS-599626 abrogated HER1 and HER2 signaling and inhibited the proliferation of tumor cell lines that are dependent on these receptors, with IC50 in the range of 0.24 to 1 micromol/L. BMS-599626 was highly selective for tumor cells that depend on HER1/HER2 and had no effect on the proliferation of cell lines that do not express these receptors. In tumor cells that are capable of forming HER1/HER2 heterodimers, BMS-599626 inhibited heterodimerization and downstream signaling [1]. At the molecular level, in HN-5 cells the agent inhibited the expression of pEGFR, pHER2, cyclins D and E, pRb, pAkt, pMAPK, pCDK1 and 2, CDK 6, and Ku70 proteins. The drug also induced accumulation of cells in the G1 cell cycle phase, inhibited cell growth, enhanced radiosensitivity, and prolonged the presence of γ-H AX foci up to 24 h after radiation [2].

in vivo: BMS-599626 had antitumor activity in models that overexpress HER1 (GEO), as well as in models that have HER2 gene amplification (KPL4) or overexpression (Sal2), and there was good correlation between the inhibition of receptor signaling and antitumor activity [1]. The drug given before and during irradiation improved the radioresponse of HN5 tumors in vivo [2].