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

BI-847325

Catalog No: tcsc6291

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1207293-36-4

Formula:
$C_{29}H_{28}N_4O_2$

Pathway:
Cell Cycle/DNA Damage;Epigenetics;MAPK/ERK Pathway

Target:
Aurora Kinase;Aurora Kinase;MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 36 mg/mL (77.49 mM)

Observed Molecular Weight:
464.56

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

Product Description

BI-847325 is an ATP competitive dual inhibitor of **MEK** and aurora kinases (**AK**) with **IC_{50}** values of 4 and 15 nM for human MEK2 and AK-C, respectively.

IC50 & Target: IC50: 25 nM (hAK-A), 15 nM (hAK-C), 25 nM (MEK1), 4 nM (MEK2)[1]

In Vitro: BI 847325 inhibits the activity of *X. laevis AK-B* with an IC_{50} of 3 nM; the IC_{50} values for human AK-A and AK-C are 25 and 15 nM, respectively. BI 847325 also inhibits human MEK1 and MEK2 with respective IC_{50} values of 25 and 4 nM. BI 847325 at 1,000 nM inhibits 6 enzymes by more than 50% (LCK, MAP3K8, FGFR1, AMPK, CAMK1D and TBK1) and the IC_{50} values are below 100 nM only for LCK (5 nM) and MAP3K8 (93 nM). Proliferation is inhibited in A375 and Calu-6 cell lines with GI_{50} values of 7.5 nM and 60 nM, respectively[1].

In Vivo: Daily oral administration of BI 847325 at 10 mg/kg shows efficacy in both BRAF- and KRAS-mutant xenograft models. BI 847325 administered once weekly at 70 mg/kg inhibits both MEK and AK in KRAS-mutant tumors[1].