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

BIIB021

Catalog No: tcsc0168

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
848695-25-0

Formula:
$C_{14}H_{15}ClN_6O$

Pathway:
Autophagy;Metabolic Enzyme/Protease;Cell Cycle/DNA Damage

Target:
Autophagy;HSP;HSP

Purity / Grade:
>98%

Solubility:

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DMSO : ≥ 45 mg/mL (141.17 mM)

Alternative Names:
CNF2024

Observed Molecular Weight:
318.76

Product Description

BIIB021 is an orally available, fully synthetic inhibitor of **HSP90** with $\mathbf{K_i}$ and $\mathbf{EC_{50}}$ of 1.7 nM and 38 nM, respectively.

IC50 & Target: Ki: 1.7 nM (HSP90)[1]

In Vitro: BIIB021 binds in the ATP-binding pocket of Hsp90, interferes with Hsp90 chaperone function, and results in client protein degradation and tumor growth inhibition. BIIB021 inhibits tumor cell (BT474, MCF-7, N87, HT29, H1650, H1299, H69 and H82) proliferation with IC_{50} from 0.06-0.31 μM. BIIB021 induces the degradation of Hsp90 client proteins including HER-2, Akt, and Raf-1 and up-regulated expression of the heat shock proteins Hsp70 and Hsp27[1]. BIIB021 inhibits Hodgkin\'s lymphoma cells (KM-H2, L428, L540, L540cy, L591, L1236 and DEV) with IC_{50} from 0.24-0.8 μM. BIIB021 shows low activity in lymphocytes from healthy individuals. BIIB021 inhibits the constitutive activity of NF-κB despite defective IκB. BIIB021 induces the expression of ligands for the activating NK cell receptor NKG2D on Hodgkin\'s lymphoma cells resulting in an increased susceptibility to NK cell-mediated killing[2]. BIIB021 enhances the in vitro radiosensitivity of HNSCCA cell lines (UM11B and JHU12) with a corresponding reduction in the expression of key radioresponsive proteins, increases apoptotic cells and enhances G2 arrest[3]. BIIB021 is considerably more active than 17-AAG against adrenocortical carcinoma H295R. The cytotoxic activity of BIIB021 is not influenced by loss of NQO1 or Bcl-2 overexpression, molecular lesions that do not prevent client loss but are nonetheless associated with reduced cell killing by 17-AAG. BIIB021 is also active in 17-AAG resistant cell lines (NIH-H69, MES SA Dx5, NCI-ADR-RES, Nalm6)[4].

In Vivo: Oral administration of BIIB021 leads to tumor growth inhibition in many tumor xenograft models including N87, BT474, CWR22, U87, SKOV3 and Panc-1[1]. BIIB021 effectively inhibits growth of L540cy tumor at a dose of 120 mg/kg[2]. BIIB021 significantly enhances antitumor growth effect of radiation in JHU12 xenograft[3].