

# BIIB021

**Catalog No: tcsc0168** 

Available Sizes

Size: 5mg

Size: 10mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 500mg

Size: 2g

Size: 2g

Specifications

#### Formula:

 $\mathsf{C}_{14}\mathsf{H}_{15}\mathsf{CIN}_6\mathsf{O}$ 

848695-25-0

#### 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 **K**<sub>i</sub> and **EC**<sub>50</sub> of 1.7 nM and 38 nM, respectively.

IC50 & Target: Ki: 1.7 nM (HSP90)<sup>[1]</sup>

*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<sub>50</sub> 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<sup>[1]</sup>. BIIB021 inhibits Hodgkin\'s lymphoma cells (KM-H2, L428, L540, L540cy, L591, L1236 and DEV) with IC<sub>50</sub> 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<sup>[2]</sup>. 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<sup>[3]</sup>. BIIB021 is considerably more active than 17-AAG against adrenocortical carcinoma H295R. The cytotoxic activity of BIIB021 is not influenced by loss of NQ01 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)<sup>[4]</sup>.

*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<sup>[1]</sup>. BIIB021 effectively inhibits growth of L540cy tumor at a dose of 120 mg/kg<sup>[2]</sup>. BIIB021 significantly enhances antitumor growth effect of radiation in JHU12 xenograft<sup>[3]</sup>.



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