

# 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:**

DMSO :  $\geq 45$  mg/mL (141.17 mM)

#### Alternative Names:

CNF2024

#### Observed Molecular Weight:

318.76

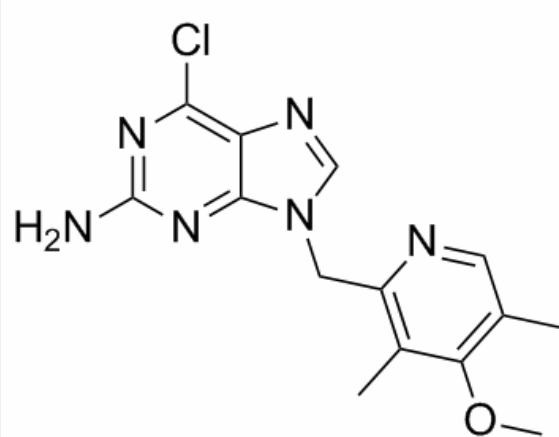
### Product Description

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  $\mu$ M. 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  $\mu$ M. BIIB021 shows low activity in lymphocytes from healthy individuals. BIIB021 inhibits the constitutive activity of NF- $\kappa$ B despite defective I $\kappa$ B. 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 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)<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>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!