

BIIB021

Cat. No. CEI-0987

Lot. No. (See product label)

Introduction

Description

BIIB021 is an orally available, synthetic small-molecule Hsp90 inhibitor. It binds in the ATP-binding pocket of Hsp90 ($K_i = 1.7 \pm 0.4$ nM) and induces HER-2 degradation with an EC_{50} of 38 ± 10 nM in MCF-7 cells. It increases expression of the heat shock proteins Hsp90 α and Hsp70, but has no effect on expression of the nonclient protein phosphatidylinositol 3-kinase p85 subunit. BIIB021 inhibits the proliferation of N87, MCF-7, and BT474 tumor cells with IC_{50} values of 0.06, 0.31, and 0.14 μ M, respectively. It has significant antitumor activity in N87 stomach, BT474 breast, CWR22 prostate, U87 glioblastoma, SKOV3 ovarian, and Panc-1 pancreatic tumor xenograft models.

Synonyms

EL52, HSP86, HSP89A, HSP90A, HSP90N, HSPC1, HSPCA, HSPCAL1, HSPCAL4, HSPN, Hsp89, LAP2

Product Information

Appearance

Off-white or light brown powder

CAS No.

848695-25-0

Molecular Formula

C₁₄H₁₅CIN₆O

Molecular Weight

318.8 Da

Purity

>99%

Targets

HSP90

IC₅₀

N87, MCF-7, and BT474 tumor cells: IC_{50} 0.06, 0.31, and 0.14 μ M, respectively

Solubility

Soluble in DMSO at 65 mg/ml; soluble in ethanol at 3 mg/ml with warming; very poorly soluble in water; maximum solubility in plain water is estimated to be about 50-100 μ M.

Storage and Shipping Information

Stability

Store at or below -20°C . Solid form is stable at least 12 months from date of receipt, when stored as directed. Do not store aqueous solutions for more than one day.