

## 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  $38 \pm 10$  nM in MCF-7 cells. It increases expression of the heat shock proteins Hsp90 $\alpha$  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  $\mu$ 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** C<sub>14</sub>H<sub>15</sub>ClN<sub>6</sub>O

**Molecular Weight** 318.8 Da

**Purity** >99%

**Targets** HSP90

**$IC_{50}$**  N87, MCF-7, and BT474 tumor cells:  $IC_{50}$  0.06, 0.31, and 0.14  $\mu$ 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  $\mu$ M.

### Storage and Shipping Information

**Stability** Store at or below  $-20^{\circ}\text{C}$ . 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.