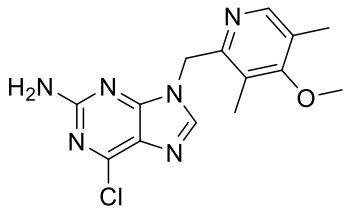
Product data sheet

MedKoo Cat#: 200505 Name: BIIB021 CAS#: 848695-25-0 (free base) Chemical Formula: $C_{14}H_{15}ClN_6O$ Exact Mass: 318.09959 Molecular Weight: 318.76	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
BIIB021, also known as CNF2024, is an orally active, purine-scaffold, small-molecule inhibitor of heat shock protein 90 (HSP90) with potential antineoplastic activity. HSP90 inhibitor CNF2024 specifically blocks active HSP90, inhibiting its chaperone function and promoting the degradation of oncogenic signaling proteins involved in tumor cell proliferation and survival; this may result in the inhibition of cellular proliferation in susceptible tumor cell populations.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	42.72	134.02
DMSO:PBS (pH 7.2) (1:1)	0.5	1.57
DMF	30.0	94.11
Ethanol	2.0	6.27

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.14 mL	15.69 mL	31.37 mL
5 mM	0.63 mL	3.14 mL	6.27 mL
10 mM	0.31 mL	1.57 mL	3.14 mL
50 mM	0.06 mL	0.31 mL	0.63 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Ding Y, Adachi H, Katsuno M, Sahashi K, Kondo N, Iida M, Tohnai G, Nakatsuji H, Sobue G. BIIB021, a synthetic Hsp90 inhibitor, induces mutant ataxin-1 degradation through the activation of heat shock factor 1. Neuroscience. 2016 Jul 7;327:20-31. doi: 10.1016/j.neuroscience.2016.03.064. Epub 2016 Apr 4. PMID: 27058144.
2. He W, Ye X, Huang X, Lel W, You L, Wang L, Chen X, Qian W. Hsp90 inhibitor, BIIB021, induces apoptosis and autophagy by regulating mTOR-Ulk1 pathway in imatinib-sensitive and -resistant chronic myeloid leukemia cells. Int J Oncol. 2016 Apr;48(4):1710-20. doi: 10.3892/ijo.2016.3382. Epub 2016 Feb 8. PMID: 26892093.

In vivo study

1. Suzuki M, Takeda T, Nakagawa H, Iwata S, Watanabe T, Siddiquey MN, Goshima F, Murata T, Kawada J, Ito Y, Kojima S, Kimura H. The heat shock protein 90 inhibitor BIIB021 suppresses the growth of T and natural killer cell lymphomas. Front Microbiol. 2015 Apr 9;6:280. doi: 10.3389/fmicb.2015.00280. PMID: 25914683; PMCID: PMC4391044.

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2. Gopalakrishnan R, Matta H, Chaudhary PM. A purine scaffold HSP90 inhibitor BIIB021 has selective activity against KSHV-associated primary effusion lymphoma and blocks vFLIP K13-induced NF-κB. Clin Cancer Res. 2013 Sep 15;19(18):5016-26. doi: 10.1158/1078-0432.CCR-12-3510. Epub 2013 Jul 23. PMID: 23881928; PMCID: PMC3804723.

7. Bioactivity

Biological target:

BIIB021 (CNF2024) is a synthetic inhibitor of HSP90 with a Ki and an EC50 of 1.7 nM and 38 nM, respectively.

In vitro activity

To address whether BIIB021 can promote the degradation of polyQ-expanded ATXN1, this study treated N2a cells expressing the wild-type (ATXN1 [2Q]) or mutant ATXN1 (ATXN1 [84Q]) with the indicated doses of BIIB021 for 24 h. Immunoblot analysis showed a dose-dependent decline in mutant ATXN1 expression after treatment with BIIB021 (63.8% at 100 nM, P <0.001), whereas the levels of wild-type ATXN1 were not significantly altered (P = 0.146), suggesting that the mutant ATXN1 is sensitive to BIIB021 (Fig. 1A, B).

Reference: Neuroscience. 2016 Jul 7;327:20-31. https://pubmed.ncbi.nlm.nih.gov/27058144/

In vivo activity

Finally, this study investigated the effect of BIIB021 using an NOG mouse xenograft model (Figure 6). NOG mice are completely immunodeficient and can accept the EBV-positive NK cell line SNK6. When this study inoculated SNK6 cells subcutaneously, tumors developed rapidly. After the subcutaneous inoculation of SNK6, this study administered BIIB021 orally and measured the tumor volumes. BIIB021 inhibited the growth of EBV-positive NK cell lymphomas compared with the results in control mice ($p < 0.05$).

Reference: Front Microbiol. 2015; 6: 280. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4391044/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.