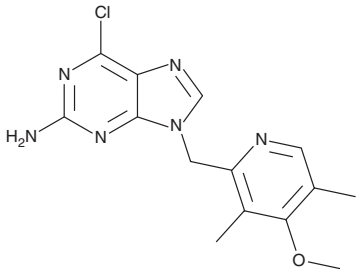
PRODUCT INFORMATION

BIIB021

Item No. 18269

CAS Registry No.:	848695-25-0
Formal Name:	6-chloro-9-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]-9H-purin-2-amine
Synonym:	CNF2024
MF:	$C_{14}H_{15}ClN_6O$
FW:	318.8
Purity:	≥98%
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 221, 310 nm
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BIIB021 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIIB021 in the solvent of choice. BIIB021 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BIIB021 in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BIIB021 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIIB021 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIIB021 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIIB021 is a potent, orally-available inhibitor of Hsp90 (K_i = 1.7 nM) that induces the degradation of oncoproteins, including HER2 (EC_{50} = 38 nM), while up-regulating the expression of Hsp70 and Hsp27.[1,2] It is cytotoxic to cancer cells and, when administered orally to mice, inhibits growth of xenograft tumors.[1-3] BIIB021 suppresses growth in various lymphoma cells but not in normal lymphocytes and induces apoptosis in a myelodysplastic syndrome cell line.[3-5]

References

1. Kasibhatla, S.R., Hong, K., Biamonte, M.A., *et al*. Rationally designed high-affinity 2-amino-6-halopurine heat shock protein 90 inhibitors that exhibit potent antitumor activity. *J. Med. Chem.* **50(12)**, 2767-2778 (2007).
2. Lindgren, K., Zhang, H., Brekken, J., *et al*. BIIB021, an orally available, fully synthetic small-molecule inhibitor of the heat shock protein Hsp90. *Mol. Cancer Ther.* **8(4)**, 921-929 (2009).
3. Lin, S., Li, J., Zhou, W., *et al*. BIIB021, an Hsp90 inhibitor, effectively kills a myelodysplastic syndrome cell line via the activation of caspases and inhibition of PI3K/Akt and NF-κB pathway proteins. *Exp. Ther. Med.* **7**, 1539-1544 (2014).
4. Böll, B., Eltaib, F., Reiners, K.S., *et al*. Heat shock protein 90 inhibitor BIIB021 (CNF2024) depletes NF-κB and sensitizes Hodgkin's lymphoma cells for natural killer cell-mediated cytotoxicity. *Clin. Cancer Res.* **15(16)**, 5108-5116 (2009).
5. Suzuki, M., Takeda, T., Nakagawa, H., *et al*. The heat shock protein 90 inhibitor of BIIB021 suppresses the growth of T and natural killer cell lymphomas. *Frontiers in Microbiology* **6(280)**, 1-10 (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM