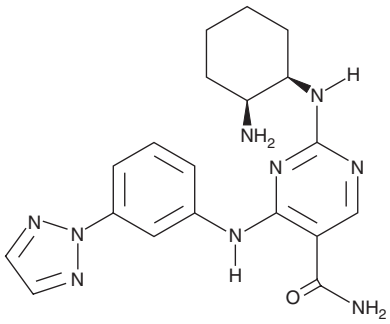
PRODUCT INFORMATION

BIIB-057

Item No. 17652

CAS Registry No.:	1370261-96-3
Formal Name:	2-[[(1R,2S)-2-aminocyclohexyl]amino]-4-[[3-(2H-1,2,3-triazol-2-yl)phenyl]amino]-5-pyrimidinecarboxamide
Synonyms:	P505-15, PRT062607, PRT2607
MF:	$C_{19}H_{23}N_9O$
FW:	393.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 264 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

BIIB-057 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIIB-057 in the solvent of choice, which should be purged with an inert gas. BIIB-057 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BIIB-057 in these solvents is approximately 10, 25, and 50 mg/ml, respectively.

BIIB-057 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIIB-057 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BIIB-057 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIIB-057 is a potent inhibitor of the non-receptor tyrosine kinase Syk (IC_{50} = 1 nM).[1] It displays at least 80-fold selectivity for Syk over other kinases. BIIB-057 blocks B cell receptor-mediated cell signaling and activation in whole blood (IC_{50}s = 0.27 and 0.28 µM, respectively), as well as Fcε receptor 1-mediated basophil degranulation (IC_{50} = 0.15 µM).[1] It antagonizes chemokine production, cell migration, and survival of chronic lymphocytic leukemia (CLL) cells after B cell receptor activation and synergistically enhances the action of fludarabine (Item No. 14128) in killing CLL cells.[2,3] BIIB-057 is orally bioavailable, as it produces dose-dependent anti-inflammatory activity in two rodent models of rheumatoid arthritis.[1] It also prevents splenomegaly and inhibits non-Hodgkin lymphoma tumor growth in a xenograft model.[3]

References

1. Coffey, G., DeGuzman, F., Inagaki, M., *et al.* Specific inhibition of spleen tyrosine kinase suppresses leukocyte immune function and inflammation in animal models of rheumatoid arthritis. *J. Pharmacol. Exp. Ther.* **340(2)**, 350-359 (2012).
2. Hoellenriegel, J., Coffey, G.P., Sinha, U., *et al.* Selective, novel spleen tyrosine kinase (Syk) inhibitors suppress chronic lymphocytic leukemia B-cell activation and migration. *Leukemia* **26(7)**, 1576-1583 (2012).
3. Spurgeon, S.E., Coffey, G., Fletcher, L.B., *et al.* The selective Syk inhibitor P505-15 (PRT062607) inhibits B cell signaling and function in vitro and in vivo and augments the activity of fludarabine in chronic lymphocytic leukemia. *J. Pharmacol. Exp. Ther.* **344(2)**, 378-387 (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