

Product Data Sheet

Product Name: PRT062607 (P505-15)

Cat. No.: GC31819

Chemical Properties

Cas. No. 1370261-96-3

SMILES NC(C1=CN=C(N[C@H]2[C@@H](N)CCCC2)N=C1NC3=CC=CC(N4N=CC=N4)=C3)=O

Formula $C_{19}H_{23}N_9O$ M.Wt 393.45

Solubility DMF: 50 mg/ml, DMF:PBS(pH 7.2)(1:1): 0.5 mg/ml, DMSO: 25 mg/ml, Ethanol: 10 mg/ml
Store Storage at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available sizes: ship with RT, or blue ice upon request.

Structure

Background

BIIB-057 is a potent inhibitor of the non-receptor tyrosine kinase Syk ($IC_{50} = 1 \text{ nM}$).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 Fc γ receptor 1-mediated basophil degranulation ($IC_{50} = 0.15 \mu\text{M}$).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 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

1. Coffey, G., DeGuzman, F., Inagaki, M., et al. Specific inhibition of spleen tyrosine kinase

Caution: Product has not been fully validated for medical applications. For research use only.

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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)

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