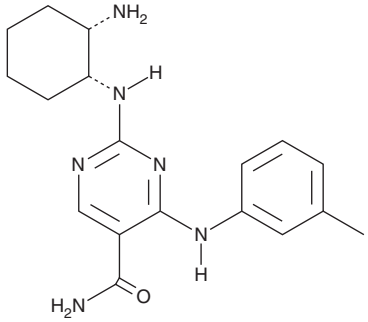
PRODUCT INFORMATION

PRT060318

Item No. 22476

CAS Registry No.:	1194961-19-7
Formal Name:	2-[[(1R,2S)-2-aminocyclohexyl] amino]-4-[(3-methylphenyl)amino]-5-pyrimidinecarboxamide
Synonyms:	P142-76, PRT318
MF:	$C_{18}H_{24}N_6O$
FW:	340.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 250, 288 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

PRT060318 is supplied as a crystalline solid. PRT060318 is soluble in the organic solvent DMF, which should be purged with an inert gas. The solubility of PRT060318 in DMF is approximately 3 mg/ml.

PRT060318 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PRT060318 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PRT060318 has a solubility of approximately 0.03 mg/ml in a 1:30 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PRT060318 is a potent and selective inhibitor of spleen tyrosine kinase (Syk; IC_{50} = 4 nM).[1,2] It is selective, inhibiting 92% of Syk activity, while other kinases retain >70% activity, at a concentration of 50 nM in a panel of 270 kinases.[2] PRT060318 inhibits convulxin-induced aggregation of human platelet-rich plasma (IC_{50} = 2.5 µM) *in vitro* and prevents thrombosis in a transgenic mouse model of heparin-induced thrombocytopenia. It induces chronic lymphocytic leukemia (CLL) B cell apoptosis and inhibits the secretion of chemokines CCL3, CCL4, and CXCL13.[3] PRT060318 also inhibits CLL B cell chemotaxis and pseudoemperipolesis.

References

1. Woodford, M.R., Dunn, D.M., Blanden, A.R., *et al.* The FNIP co-chaperones decelerate the Hsp90 chaperone cycle and enhance drug binding. *Nat. Commun.* **7**, 12037 (2016).
2. Archari, G.A. and Ramesh, R. Characterization of bacteria degrading 3-hydroxy palmitic acid methyl ester (3OH-PAME), a quorum sensing molecule of Ralstonia solanacearum. *Lett. Appl. Microbiol.* **60(5)**, 447-455 (2015).
3. 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).

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