

PRT-060318

Chemical Properties

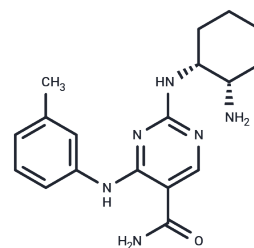
CAS No. : 1194961-19-7

Formula: C₁₈H₂₄N₆O

Molecular Weight: 340.42

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	PRT-060318 (P142-76) is a novel selective inhibitor of the Syk tyrosine kinase, as an approach to HIT treatment.
Targets(IC50)	Syk
In vitro	PRT318 inhibits platelet activation via GPVI/FcRγ, an ITAM receptor complex, but not via ADP or thrombin, which are G-protein coupled receptors[1]. PRT318 effectively antagonizes CLL(chronic lymphocytic leukemia) cell survival after BCR triggering and in nurse-like cell-co-cultures. PRT318 inhibits Syk and extracellular signal-regulated kinase phosphorylation after BCR triggering[2].
In vivo	In both rabbit and pig models, intravenous infusion of PRT060318 targets maximal inhibition of Syk kinase activity and significantly inhibits arterial thrombosis. The antithrombotic activity of PRT060318 in pigs is remarkable because it was achieved with complete inhibition of CVXN-induced platelet aggregation, with no effect on ADP-induced platelet aggregation, and no prolongation of ear bleeding time[3]. Also, Syk inhibitor PRT318 is an active agent in HIT. Inhibition of Syk signaling with the orally bio-available PRT318 limits the thrombocytopenic and thrombotic effects of HIT IC in vivo[1].
Cell Research	The numbers of cells treated with various doses of PRT318 are followed over time. PRT318 inhibits growth of the sensitive cell lines in a dose-dependent manner. Two sensitive (LY7 and LY18) and 2 resistant (LY3 and LY4) cell lines are treated with indicated doses of PRT318. (Only for Reference)

Solubility Information

Solubility	H2O: 5.6 mg/mL (16.45 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9375 mL	14.6877 mL	29.3755 mL
5 mM	0.5875 mL	2.9375 mL	5.8751 mL
10 mM	0.2938 mL	1.4688 mL	2.9375 mL
50 mM	0.0588 mL	0.2938 mL	0.5875 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Reilly MP, et al. Blood. 2011, 117(7):2241-2246.

Hoellenriegel J, et al. Leukemia. 2012, 26(7):1576-1583.

Andre P, et al. Blood. 2011, 118(18):5000-5010.

Cheng S, et al. Blood. 2011, 118(24):6342-6352.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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