Product Data Sheet

Product Name: PRT-060318 2HCl
Cat. No.: GC25787

Chemical Properties

Cas. No.	1194961-19-7(freebase)		
Formula	C18H24N6O.2HCl	M.Wt	413.34
Solubility	DMSO: 75 mg/mL (181.45 mM);Water: 75 mg/mL (181.45 mM);Ethanol: Insoluble	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PRT-060318 2HCl		

Background

PRT-060318 (PRT318) is a novel selective inhibitor of the Syk tyrosine kinase with an IC50 of 4 nM, as an approach to HIT treatment.

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 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].

[1] Reilly MP, et al. Blood. 2011, 117(7):2241-2246. [2] Hoellenriegel J, et al. Leukemia.

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2012, 26(7):1576-1583. [3] Andre P, et al. Blood. 2011, 118(18):5000-5010.

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