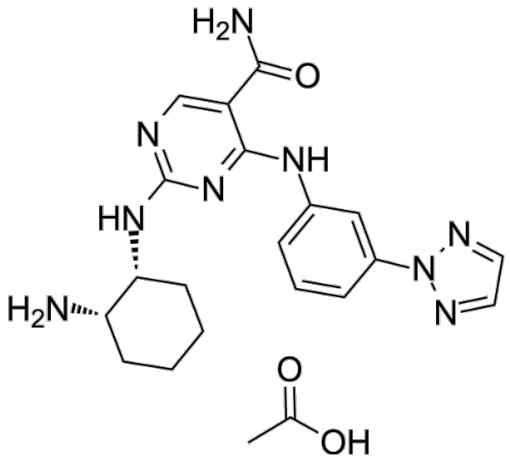
Product Data Sheet

Product Name: PRT062607 acetate
Cat. No.: GC73424

Chemical Properties

Cas. No.	1370261-98-5		
Formula	$C_{21}H_{27}N_9O_3$	M.Wt	453.5
Solubility	DMSO : 10 mg/mL (22.05 mM; ultrasonic and warming and heat to 60°C)	Storage	4°C, sealed storage, away from moisture
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 size: ship with RT , or blue ice upon request.		

Structure



Background

PRT062607 (P505-15) acetate is an orally available Syk inhibitor (IC50: 1 nM) that inhibits inflammation and induction Apoptosis. PRT062607 acetate exerts potent antitumor activity in tumor xenograft mouse models..

PRT062607 acetate also has significant activity against multiple kinases, with IC50s of 81 nM (Fgr), 88 nM (MLK1), 123 nM (Yes), 139 nM (Flt3), 166 nM (PAK5), 192 nM (Lyn), 244 nM (cSRC), 249 nM

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(Lck), 108 nM (Pyk), 415 nM (FAK), 1.05 nM (ZAP-70)[1].PRT062607 acetate (0.01-2 μM; 3 d) inhibits Phosphorylation of ERK(Y204), AKT(S473) and SYK(Y352) in Ramos cells, and inhibition of BLNK Tyr84 phosphorylation[1][2].PRT062607 acetate (2 μM; 24 h) in SU-DHL6 cells Induces apoptosis in human whole blood[1].In human whole blood, P505-15 can effectively inhibit B cell antigen receptor-mediated B cell signaling and activation (IC50: 0.27 and 0.28 μM) and Fc receptor 1-mediated induced basophil degranulation (IC50: 0.15 μM)[2].

PRT062607 acetate produced dose-dependent anti-inflammatory activity in two rodent models of rheumatoid arthritis. PRT062607 acetate (15, 30 mg/kg; po; bid; 5 d) causes SYK inhibition in mice and prevents BCR-induced splenomegaly in mice[1].PRT062607 acetate (15 mg/kg; po ; bid; 5 d) SYK inhibition in mice prevents Ramos tumor formation in mouse xenograft models[1].PRT062607 acetate (10-20 mg/kg; po; bid) prevents BCR mediated splenomegaly and significantly inhibited NHL tumor growth in xenograft models[2].

References:
[1]. Spurgeon SE, 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. 2013 Feb;344(2):378-87.
[2]. Coffey G, et al. Specific inhibition of spleen tyrosine kinase suppresses leukocyte immune function and inflammation in animal models of rheumatoid arthritis. J Pharmacol Exp Ther. 2012 Feb;340(2):350-9.

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