

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

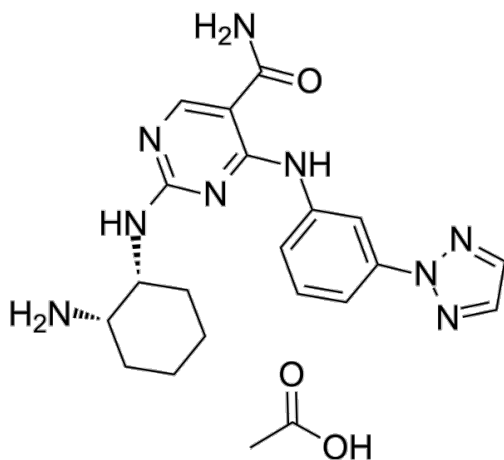
Solubility DMSO : 10 mg/mL (22.05 mM;
ultrasonic and warming and heat to
60°C)

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

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

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(Lck), 108 nM (Pyk), 415 nM (FAK), 1.05 nM (ZAP-70)[1]. PRT062607 acetate (0.01-2 μ M; 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 μ M; 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 μ M) and Fc receptor 1-mediated induced basophil degranulation (IC₅₀: 0.15 μ M)[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.

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