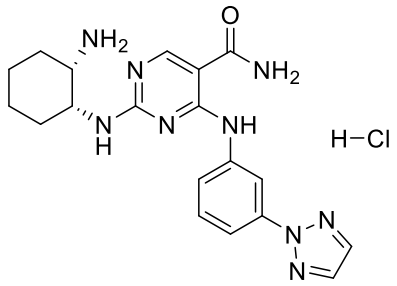
Product data sheet

MedKoo Cat#: 406272 Name: PRT062607 HCl CAS#: 1370261-97-4 (HCl) Chemical Formula: $C_{19}H_{24}ClN_9O$ Molecular Weight: 429.913	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
PRT062607 (also known as P505-15, PRT2607, PRT62607, and BIIB057) is a highly selective, and orally bioavailable small molecule SYK inhibitor.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
To be determined	To be determined	To be determined

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.33 mL	11.63 mL	23.26 mL
5 mM	0.47 mL	2.33 mL	4.65 mL
10 mM	0.23 mL	1.16 mL	2.33 mL
50 mM	0.05 mL	0.23 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Xie G, Liu W, Lian Z, Xie D, Yuan G, Ye J, Lin Z, Wang W, Zeng J, Shen H, Wang X, Feng H, Cong W, Yao G. Spleen tyrosine kinase (SYK) inhibitor PRT062607 protects against ovariectomy-induced bone loss and breast cancer-induced bone destruction. Biochem Pharmacol. 2021 Jun;188:114579. doi: 10.1016/j.bcp.2021.114579. Epub 2021 Apr 23. PMID: 33895161.
2. Hoellenriegel J, Coffey GP, Sinha U, Pandey A, Sivina M, Ferrajoli A, Ravandi F, Wierda WG, O'Brien S, Keating MJ, Burger JA. Selective, novel spleen tyrosine kinase (Syk) inhibitors suppress chronic lymphocytic leukemia B-cell activation and migration. Leukemia. 2012 Jul;26(7):1576-83. doi: 10.1038/leu.2012.24. Epub 2012 Feb 7. PMID: 22362000; PMCID: PMC5459370.

In vivo study

1. Coffey G, Rani A, Betz A, Pak Y, Haberstock-Debic H, Pandey A, Hollenbach S, Gretler DD, Mant T, Jurcevic S, Sinha U. PRT062607 Achieves Complete Inhibition of the Spleen Tyrosine Kinase at Tolerated Exposures Following Oral Dosing in Healthy Volunteers. J Clin Pharmacol. 2017 Feb;57(2):194-210. doi: 10.1002/jcph.794. Epub 2016 Aug 17. PMID: 27406873; PMCID: PMC5248591.
2. Spurgeon SE, Coffey G, Fletcher LB, Burke R, Tyner JW, Druker BJ, Betz A, DeGuzman F, Pak Y, Baker D, Pandey A, Hollenbach SJ, Sinha U, Loriaux MM. 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. doi: 10.1124/jpet.112.200832. Epub 2012 Dec 7. PMID: 23220742; PMCID: PMC3558816.

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7. Bioactivity

Biological target:

PRT062607 HCl is a SYK inhibitor with an IC50 value of 1-2 nM.

In vitro activity

PRT062607 exhibited inhibitory effects on osteoclast-specific gene expression, bone resorption, and osteoclastogenesis induced by RANKL. PRT062607 demonstrated suppressive effects on the growth, migration, and invasion of MDA-MB-231 cells. These actions were attributed to its influence on PLCγ2 and the PI3K-AKT-mTOR pathways. PRT062607 shows potential for managing osteolytic diseases associated with osteoclasts.

Reference: Biochem Pharmacol. 2021 Jun;188:114579. https://pubmed.ncbi.nlm.nih.gov/33895161/

In vivo activity

PRT062607 exhibited a favorable pharmacokinetic profile and effectively inhibited SYK activity in whole-blood assay. The compound demonstrated selectivity for SYK at all tested dose levels. Analysis of the pharmacokinetic/pharmacodynamic relationship revealed its potential in inhibiting B-cell antigen receptor-mediated B-cell activation and FcεRI-mediated basophil degranulation, with IC50 values of 324 nM and 205 nM, respectively. PRT062607 exhibited anti-inflammatory activity in a rat model.

Reference: J Clin Pharmacol. 2017 Feb;57(2):194-210. https://pubmed.ncbi.nlm.nih.gov/27406873/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.