

Data Sheet

Product Information

Catalog Number	BP10432
Product Name	PRT062607 hydrochloride
Description	PRT062607 (BIIB-057) is a selective inhibitor of Syk (IC ₅₀ : 1 nM). It displays at least 80-fold selectivity for Syk over other kinases.
Targets&IC ₅₀	Fgr: 81 nM (cell free), MLK1: 88 nM (cell free), Syk: 1 nM (cell free)
In vitro	NLC co-cultures were established by suspending PBMC from patients with CLL in complete RPMI medium with 10% fetal bovine serum and penicillin-streptomycin-glutamine to a concentration of 107 cells/mL (total 2 mL). Cells were incubated for 14 days in 24-well plates as previously described. To evaluate whether the Syk inhibitors PRT318 and P505-15 could overcome the protective effect of NLC, CLL cells were cultured under standardized conditions on NLC or in suspension, in the presence or absence of PRT318 and P505-15. At the indicated time points, CLL cells were collected and assayed for cell viability as previously described.

In vivo	All animal studies were performed in strict accordance with the Institutional Animal Care and Use Committee ethical guidelines. Female BALB/c mice received a single oral dose of 15 or 30 mg/kg P505-15 and were anesthetized with a subcutaneous ketamine cocktail, and blood was harvested via cardiac puncture at 0.5, 1, 2, 3, 4, 5, 6, 8, and 24 h postdose, (n = 3/time point; n = 8 vehicle controls). Blood was dispensed into three heparin-containing tubes, one for determination of drug concentration and the remaining two for ex vivo stimulation with isotype control or anti-mouse IgD antibody for 10 min. Blood was processed for intracellular phospho-flow cytometry to evaluate BCR signaling as described earlier; mouse B cells were detected by using CD45R-B220 PerCP-conjugated antibody. Plasma samples were analyzed for P505-15 concentration by using a liquid chromatography tandem mass spectrometer. The analytical range was 2 to 5000 ng/ml.
Synonyms	PRT062607 (P505-15, BIIB057) HCl, P505-15 Hydrochloride
CAS No.	1370261-97-4
Chemical Formula	C ₁₉ H ₂₄ ClN ₉ O
Molecular Weight	429.91
Solubility	Ethanol: <1 mg/mL H ₂ O: 79 mg/mL (183.8 mM); DMSO: 80 mg/mL (186.1 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

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