Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PRT062607 (Hydrochloride)

Catalog No: tcsc1488

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1370261-97-4

Formula:
$C_{19}H_{24}ClN_9O$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Syk

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (76.76 mM)

Alternative Names:
P505-15 Hydrochloride

Observed Molecular Weight:
429.91

Product Description

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PRT062607 hydrochloride is a highly specific and potent inhibitor of purified **Syk** (**IC_{50}** 1-2 nM).

IC50 & Target: IC50: 1 nM (Syk), 81 nM (Fgr), 88 nM (MLK1), 123 nM (Yes)[1]

In Vitro: PRT062607 (P505-15) Hydrochloride is a novel, highly specific, and potent orally available small-molecule inhibitor of Syk. The potency of PRT062607 against its target kinase Syk is initially tested in two different purified kinase assays. Using a FRET assay, half-maximal Syk inhibition required 6±0.2 nM (mean±S.E.M.). Similar potency is observed when tested in a radioactive enzyme assay, with a resulting Syk IC_{50} of 2.1±0.4 nM (mean±S.E.M.). In human whole blood, PRT062607 potently inhibits B cell antigen receptor-mediated B cell signaling and activation (IC_{50} 0.27 and 0.28 μM, respectively) and Fcε receptor 1-mediated basophil degranulation (IC_{50} 0.15 μM)[1].

In Vivo: In the mouse CAIA model, oral administration of PRT062607 (P505-15) results in an average inhibition of paw inflammation, as measured by daily scoring of inflammation compared with vehicle controls, of 12, 44, and 87% with average plasma concentration (C average over 24 h) assessed at the end of the study of 0.38, 0.95, and 1.47 μM, respectively. In mice treated with 30 mg/kg PRT062607, the damage to the joints is significantly reduced and seemed indistinguishable from normal mice. In the rat CIA model, the high dose of PRT062607 (15 mg/kg b.i.d.) completely suppresses inflammation in a majority of the animals (seven of eight), by the end of the study (mean inflammation score±S.E.M.=0.63±1.1; p[1].