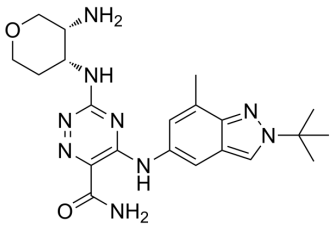
TAS05567

Cat. No.:	HY-120214
CAS No.:	1429038-15-2
Molecular Formula:	$C_{21}H_{29}N_9O_2$
Molecular Weight:	439.51
Target:	Syk; RET
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TAS05567 is a potent, highly selective, ATP-competitive and orally active Syk inhibitor with an IC_{50} of 0.37 nM. In a panel of 192 kinases, TAS05567 only shows >70% inhibition of Syk and 4 other kinases (FLT3, JAK2, KDR and RET with IC_{50}s of 10 nM, 4.8 nM, 600 nM and 29 nM, respectively). TAS05567 can be used for humoral immune-mediated inflammatory conditions such as autoimmune and allergic diseases[1].
IC_{50} & Target	IC50: 0.37 nM (Syk); 10 nM (FLT3), 4.8 nM (JAK2), 600 nM (KDR) and 29 nM (RET)[1]
In Vitro	When Ramos cells (human B lymphoma cells) are pretreated with TAS05567 prior to BCR cross-linking by exposure to anti-IgM, there is marked inhibition of the phosphorylation of BLNK, an adaptor protein phosphorylated by activated Syk. The IC_{50} of TAS05567 for suppressing induction of BLNK phosphorylation by anti-IgM is 1.8 nM. TAS05567 also inhibits PLCγ2 (IC_{50} of 23 nM) and Erk1/2 (IC_{50} of 9.8 nM), after stimulation of Ramos cells with anti-IgM[1]. TAS05567 shows concentration-dependent inhibition of TNF-α production by THP-1 cells stimulated with IgG[1]. TAS05567 suppresses both calcium flux (IC_{50} of 27 nM) and histamine release (IC_{50} of 13 nM) induced by cross-linking of Fcε RI with IgE and antigen[1]. TAS05567 inhibits the formation of mature osteoclasts in a concentration-dependent manner, and osteoclast differentiation is completely suppressed at 30 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TAS05567 (10-30 mg/kg; oral administration; daily; for 9 days; female BALB/c mice) treatment suppresses hind-paw swelling in a dose-dependent manner. The serum MMP-3 levels are significantly lower in both the 10 mg/kg and 30 mg/kg TAS05567 groups than in the vehicle group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice (7-8 weeks old) injected with collagen antibody[1]
Dosage:	10 mg/kg, 30 mg/kg
Administration:	Oral administration; daily; for 9 days
Result:	Suppressed hind-paw swelling in a dose-dependent manner.

REFERENCES

[1]. Hayashi H, et al. TAS05567, a Novel Potent and Selective Spleen Tyrosine Kinase Inhibitor, Abrogates Immunoglobulin-Mediated Autoimmune and Allergic Reactions in Rodent Models. J Pharmacol Exp Ther. 2018 Jul;366(1):84-95.

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