TAS05567

**Cat. No.:** HY-120214  
**CAS No.:** 1429038-15-2  
**Molecular Formula:** C₂₁H₂₉N₉O₂  
**Molecular Weight:** 439.51  
**Target:** Syk  
**Pathway:** Protein Tyrosine Kinase/RTK  
**Storage:** Please store the product under the recommended conditions in the COA.

### BIOLOGICAL ACTIVITY

**Description**  
TAS05567 is a potent, highly selective, ATP-competitive and orally active Syk inhibitor with an IC₅₀ 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 IC₅₀s 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]

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>IC₅₀: 0.37 nM (Syk); 10 nM (FLT3), 4.8 nM (JAK2), 600 nM (KDR) and 29 nM (RET)[1]</th>
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</table>

**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 IC₅₀ of TAS05567 for suppressing induction of BLNK phosphorylation by anti-IgM is 1.8 nM. TAS05567 also inhibits PLCγ2 (IC₅₀ of 23 nM) and Erk1/2 (IC₅₀ 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 (IC₅₀ of 27 nM) and histamine release (IC₅₀ of 13 nM) induced by cross-linking of FcεRI 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].

**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].

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

### REFERENCES

[1]

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