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Inhibitors, Agonists, Screening Libraries

Syk

Spleen tyrosine kinase

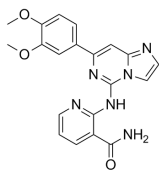
Syk (Spleen tyrosine kinase) is an enzyme which in humans is encoded by the SYK gene. Syk, along with Zap-70, is a member of the Syk family of tyrosine kinases. Syk is known to have a crucial role in adaptive immune receptor signalling. Recent reports indicate that Syk also mediates other, unexpectedly diverse biological functions, including cellular adhesion, innate immune recognition, osteoclast maturation, platelet activation and vascular development. Syk is activated by C-type lectins and integrins, and activates new targets, including the CARD9-Bcl-10-MALT1 pathway and the NLRP3 inflammasome. Syk has a crucial role in autoimmune diseases and haematological malignancies.

Syk Inhibitors

BAY 61-3606

Cat. No.: HY-76474

BAY 61-3606 is an orally available, ATP-competitive, reversible and highly selective Syk inhibitor with a K_i of 7.5 nM and an IC_{50} of 10 nM. BAY 61-3606 reduces ERK1/2 and Akt phosphorylation in neuroblastoma cell.

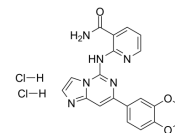


Purity: 98.21%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BAY 61-3606 dihydrochloride

Cat. No.: HY-14985

BAY 61-3606 dihydrochloride is an orally available, ATP-competitive, reversible and highly selective Syk inhibitor with a K_i of 7.5 nM and an IC_{50} of 10 nM. BAY 61-3606 dihydrochloride reduces ERK1/2 and Akt phosphorylation in neuroblastoma cell.



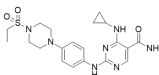
Purity: 98.76%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Cerdulatinib

(PRT062070; PRT2070)

Cat. No.: HY-15999

Cerdulatinib (PRT062070) is a selective Tyk2 inhibitor with an IC_{50} of 0.5 nM. Cerdulatinib (PRT062070) also is a dual JAK and SYK inhibitor with IC_{50} s of 12, 6, 8 and 32 for JAK1, 2, 3 and SYK, respectively.



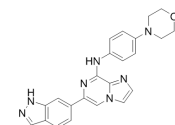
Purity: 99.00%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Entospletinib

(GS-9973)

Cat. No.: HY-15968

Entospletinib (GS-9973) is an orally bioavailable, selective Syk inhibitor with an IC_{50} of 7.7 nM.



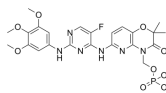
Purity: 99.86%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Fostamatinib

(R788)

Cat. No.: HY-13038A

Fostamatinib (R788), a prodrug of the active metabolite R406, is a potent Syk inhibitor with IC_{50} of 41 nM.



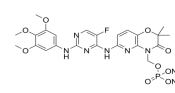
Purity: 99.20%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Fostamatinib Disodium

(R788(Disodium))

Cat. No.: HY-13038

Fostamatinib Disodium (R788 Disodium), a prodrug of the active metabolite R406, is a potent Syk inhibitor with IC_{50} of 41 nM.



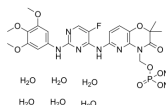
Purity: 99.88%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Fostamatinib disodium hexahydrate

(R788 disodium hexahydrate)

Cat. No.: HY-13038B

Fostamatinib disodium hexahydrate (R788 disodium hexahydrate), a prodrug of the active metabolite R406, is a potent Syk inhibitor with IC_{50} of 41 nM.

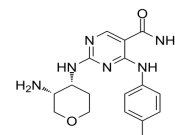


Purity: 99.92%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GSK143

Cat. No.: HY-12736

GSK143 is an orally active and highly selective spleen tyrosine kinase (SYK) inhibitor with a pIC_{50} of 7.5. GSK143 inhibits phosphorylated Erk (pErk: pIC_{50} =7.1). GSK143 reduces inflammation and prevents recruitment of immune cells in the intestinal muscularis in mice.

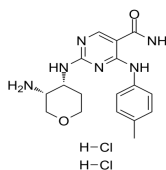


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK143 dihydrochloride

Cat. No.: HY-12736A

GSK143 dihydrochloride is an orally active and highly selective spleen tyrosine kinase (SYK) inhibitor with a pIC_{50} of 7.5. GSK143 dihydrochloride inhibits phosphorylated Erk (pErk: pIC_{50} =7.1).



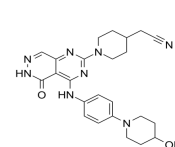
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Gusacitinib

(ASN-002)

Cat. No.: HY-103018

Gusacitinib (ASN-002) is an orally active and potent dual inhibitor of spleen tyrosine kinase (SYK) and janus kinase (JAK) with IC_{50} values of 5-46 nM. Gusacitinib has anti-cancer activity in both solid and hematological tumor types.



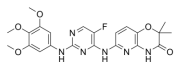
Purity: 99.41%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

<p>Lanraplenib (GS-9876)</p>	<p>Lanraplenib monosuccinate (GS-9876 monosuccinate)</p>
<p>Lanraplenib (GS-9876) is a highly selective and orally active SYK inhibitor (IC_{50}=9.5 nM) in development for the treatment of inflammatory diseases.</p> <p>Purity: 98.22% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Lanraplenib monosuccinate (GS-9876 monosuccinate) is a highly selective and orally active SYK inhibitor (IC_{50}=9.5 nM) in development for the treatment of inflammatory diseases.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Lanraplenib succinate (GS-9876 succinate)</p>	<p>MNS (NSC 170724; 5-(2-Nitrovinyl)benzodioxole)</p>
<p>Lanraplenib succinate (GS-9876 succinate) is a highly selective and orally active SYK inhibitor (IC_{50}=9.5 nM) in development for the treatment of inflammatory diseases.</p> <p>Purity: 98.21% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg, 25 mg, 50 mg, 100 mg</p>	<p>MNS is a potent and selective inhibitor of Src and Syk tyrosine kinases. target: src, syk. IC_{50}:29.3 (src), 2.5 μM (syk); In vitro: no direct effects on protein kinase C, Ca²⁺ mobilization, Ca²⁺-dependent enzymes, PKC activation.</p> <p>Purity: 99.23% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg</p>
<p>Piceatannol (Astringenin; trans-Piceatannol)</p>	<p>PRT-060318 (PRT318)</p>
<p>Piceatannol is a selective inhibitor of protein tyrosine kinase Syk. It could inhibit ICa_L, Ito, IKR, Ca²⁺ transients and Na⁺-Ca²⁺ exchange except IK1. Shows multiple biological activities such as anti-inflammatory, antiproliferative and immunomodulatory effects.</p> <p>Purity: 98.10% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>PRT-060318 (PRT318) is a novel selective inhibitor of the tyrosine kinase Syk with an IC_{50} of 4 nM.</p> <p>Purity: 99.50% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>PRT062607 (P505-15; PRT-2607; BIIB-057)</p>	<p>PRT062607 Hydrochloride (P505-15 Hydrochloride)</p>
<p>PRT062607(P505-15; PRT-2607; BIIB-057) is a highly specific and potent inhibitor of Syk with IC_{50} of 1-2 nM; >80-fold selective for Syk than Fgr, Lyn, FAK, Pyk2 and Zap70.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>PRT062607 Hydrochloride (P505-15 Hydrochloride) is a highly specific and potent inhibitor of purified Syk (IC_{50} 1-2 nM).</p> <p>Purity: 98.90% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>R112</p>	<p>R406</p>
<p>R112 is an ATP-competitive inhibitor of Syk kinase with a K_i of 96 nM. R112 inhibits Syk kinase activity with an IC_{50} of 226 nM.</p> <p>Purity: 99.95% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>R406 is a competitive Syk inhibitor for ATP binding with a K_i of 30 nM, potently inhibits Syk kinase activity in vitro with an IC_{50} of 41 nM, measured at an ATP concentration corresponding to its K_m value.</p> <p>Purity: 96.67% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

R406 free base

Cat. No.: HY-11108

R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM
Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling.

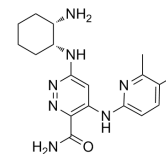


Purity: 99.25%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

RO9021

Cat. No.: HY-16902

RO9021 is an orally bioavailable, novel ATP-competitive inhibitor of SYK, with an average IC₅₀ of 5.6 nM.

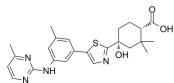


Purity: 98.89%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Syk-IN-3

Cat. No.: HY-130680

Syk-IN-3, a potent spleen tyrosine kinase (Syk) inhibitor, extracted from patent WO2011075515A1, compound example 152, has an IC<sub>50_{sub/>} of 1 nM.

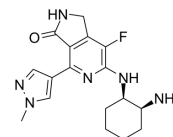


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TAK-659

Cat. No.: HY-100867

TAK-659 is a highly potent, selective, reversible and orally available dual inhibitor of spleen tyrosine kinase (SYK) and fms related tyrosine kinase 3 (FLT3), with an IC₅₀ of 3.2 nM and 4.6 nM for SYK and FLT3, respectively.

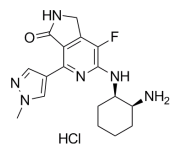


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TAK-659 hydrochloride

Cat. No.: HY-100867A

TAK-659 hydrochloride is a highly potent, selective, reversible and orally available dual inhibitor of spleen tyrosine kinase (SYK) and fms related tyrosine kinase 3 (FLT3), with an IC₅₀ of 3.2 nM and 4.6 nM for SYK and FLT3, respectively.

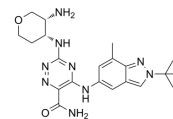


Purity: 99.69%
Clinical Data: Phase 2
Size: 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TAS05567

Cat. No.: HY-120214

TAS05567 is a potent, highly selective, ATP-competitive and orally active Syk inhibitor with an IC₅₀ of 0.37 nM.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg