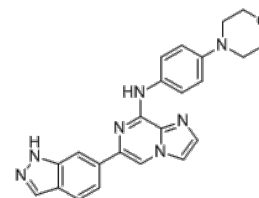


Product Name : Entospletinib
Cat. No. : PC-73180
CAS No. : 1229208-44-9
Molecular Formula : C₂₃H₂₁N₇O
Molecular Weight : 411.46
Target : Syk
Solubility : 10 mM in DMSO



Biological Activity

Entospletinib (GS-9973) is a potent, selective and orally bioavailable **Syk kinase** inhibitor with IC₅₀ of 7.7 nM.

Entospletinib (GS-9973) also shows excellent selectivity for Syk, and potently inhibits BCR-mediated activation and proliferation of B-cells as well as immune-complex-stimulated cytokine production in monocytes.

Entospletinib (GS-9973) combined with Idelalisib synergistically inhibits CLL cell viability and further disrupts chemokine signaling.

Entospletinib (GS-9973) (1-10 mg/kg, p.o.) significantly inhibits ankle inflammation in a rat collagen-induced arthritis model, inhibits pannus formation, cartilage damage, bone resorption, and peritosteal bone formation with ED₅₀ of 1.2-3.9 mg/kg.

References

Currie KS, et al. *J Med Chem.* 2014 May 8;57(9):3856-73.

Burke RT, et al. *Oncotarget.* 2014 Feb 28;5(4):908-15.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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