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

Entospletinib

Catalog No: tcsc2791

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1229208-44-9

Formula:
$C_{23}H_{21}N_7O$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Syk

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 43 mg/mL (104.51 mM)

Alternative Names:
GS-9973

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Observed Molecular Weight:
411.46

Product Description

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

IC50 & Target: IC50: 7.7 nM (Syk)

In Vitro: Entospletinib (GS-9973) shows good bidirectional permeability across Caco-2 cell monolayers in vitro. In cells, 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[1]. The combination of idelalisib and Entospletinib (GS-9973) synergistically inhibits CLL cell viability and further disrupts chemokine signaling[2].

In Vivo: Entospletinib (GS-9973) (1 mg/kg, p.o.) shows moderate to high bioavailability in rat and dog. In a rat collagen-induced arthritis model, Entospletinib (GS-9973) (1-10 mg/kg, p.o.) significantly inhibits ankle inflammation. Moreover, Entospletinib (GS-9973) also shows disease-modifying activity in multiple histological measurements, including inhibition of pannus formation, cartilage damage, bone resorption, and peritosteal bone formation with ED_{50} ranging from 1.2 to 3.9 mg/kg[1].