

# 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 :  $\geq 43$  mg/mL (104.51 mM)

**Alternative Names:**

GS-9973

**Observed Molecular Weight:**

411.46

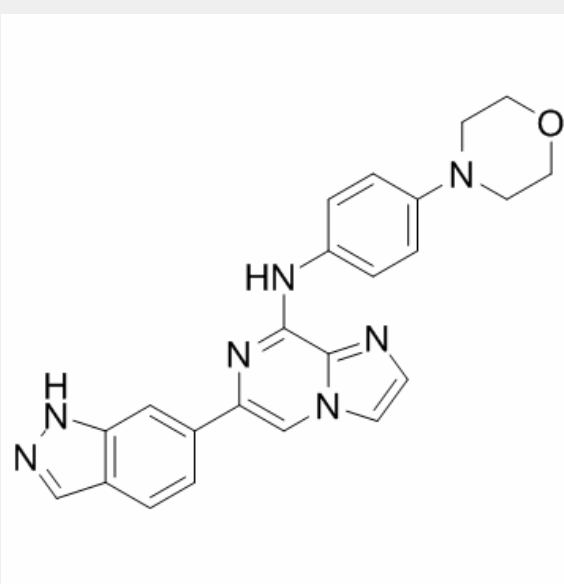
**Product Description**

Entospletinib (GS-9973) is an orally bioavailable, selective **Syk** inhibitor with an **IC<sub>50</sub>** 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<sup>[1]</sup>. The combination of idelalisib and Entospletinib (GS-9973) synergistically inhibits CLL cell viability and further disrupts chemokine signaling<sup>[2]</sup>.

**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<sub>50</sub> ranging from 1.2 to 3.9 mg/kg<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!