

# GW788388

**Catalog No: tcsc0254**



## Available Sizes

---

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

---

**CAS No:**

452342-67-5

**Formula:**

$C_{25}H_{23}N_5O_2$

**Pathway:**

TGF-beta/Smad

**Target:**

TGF- $\beta$  Receptor

**Form:**

White to gray (Solid)

**Purity / Grade:**

97.61%

**Solubility:**

DMSO :  $\geq 48$  mg/mL (112.81 mM)

**Storage Instruction:**

Storage temp. 2-8°C

**Observed Molecular Weight:**

425.48

**References**

[1]. Petersen M, et al. Oral administration of GW788388, an inhibitor of TGF-beta type I and II receptor kinases, decreases renal fibrosis. *Kidney Int*, 2008, 73(6), 705-715. [2]. Tan SM, et al. Targeted inhibition of activin receptor-like kinase 5 signaling attenuates cardiac dysfunction following myocardial infarction. *Am J Physiol Heart Circ Physiol*, 2010, 298(5), H1415-1425. [3]. Gellibert F, et al. Discovery of 4-(4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl)-N-(tetrahydro-2H-pyran-4-yl)benzamide (GW788388): a potent, selective, and orally active transforming growth factor-beta type I receptor inhibitor. *J Med Chem*. 2006, 49

**Notes**

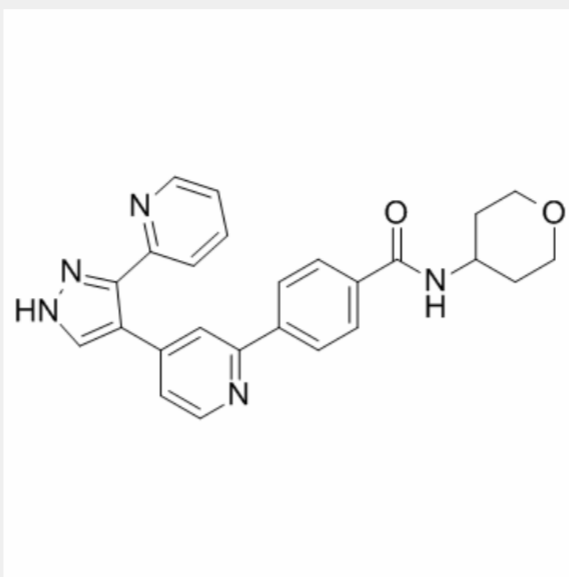
**Biological Activity:** GW788388 is a potent and selective inhibitor of ALK5 with IC<sub>50</sub> of 18 nM, and also inhibits TGF-β type II receptor and activin type II receptor activities, without inhibiting BMP type II receptor. **IC<sub>50</sub> & Target:** IC<sub>50</sub>: 18 nM (ALK5) **In Vivo:** GW788388 given orally for 5 weeks significantly reduces renal fibrosis and decreased the mRNA levels of key mediators of extracellular matrix deposition in kidneys in db/db mice[1]. GW788388 (50 mg/kg/day, p.o.) significantly attenuates systolic dysfunction in the MI animals, together with the attenuation of the activated (phosphorylated) Smad2 (P < 0.01), α-smooth muscle actin (P < 0.001), and collagen I (P < 0.05) in the noninfarct zone of MI rats[2]. GW788388 reduces the expression of collagen IA1 by 80% at a dose of 1 mg/kg twice a day (b.i.d.). GW788388 significantly reduces the expression of collagen IA1 mRNA when administered orally at 10 mg/kg once a day (u.i.d.) in a model of puromycin aminonucleoside-induced renal fibrosis[3].

**Product Description**

GW788388 is a potent and selective inhibitor of **ALK5** with **IC<sub>50</sub>** of 18 nM, and also inhibits TGF-β type II receptor and activin type II receptor activities, without inhibiting BMP type II receptor.

**IC<sub>50</sub> & Target:** IC<sub>50</sub>: 18 nM (ALK5)

**In Vivo:** GW788388 given orally for 5 weeks significantly reduces renal fibrosis and decreased the mRNA levels of key mediators of extracellular matrix deposition in kidneys in db/db mice<sup>[1]</sup>. GW788388 (50 mg/kg/day, p.o.) significantly attenuates systolic dysfunction in the MI animals, together with the attenuation of the activated (phosphorylated) Smad2 (P [2]. GW788388 reduces the expression of collagen IA1 by 80% at a dose of 1 mg/kg twice a day (b.i.d.). GW788388 significantly reduces the expression of collagen IA1 mRNA when administered orally at 10 mg/kg once a day (u.i.d.) in a model of puromycin aminonucleoside-induced renal fibrosis<sup>[3]</sup>.



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