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Quality Guarantee

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# 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-β Receptor |
| Form: | White to gray (Solid) |
| Purity / Grade: | 97.61% |
| Solubility: | DMSO : ≥ 48 mg/mL (112.81 mM) |
| Storage Instruction: | Storage temp. 2-8°C |
| Observed Molecular Weight: | 425.48 |

### Product Description

GW788388 is a potent and selective inhibitor of ALK5 with IC50 of 18 nM, and also inhibits TGF-β type II receptor and activin type II receptor activities, without inhibiting BMP type II receptor. IC50 & Target: IC50: 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] .

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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--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