

Catalog # 10-5521 GW788388

CAS# 452342-67-5

4-(4-(3-(Pyridin-2-yl)-1H-pyrazol-4-yl)pyridin-2-yl)-N-(tetrahydro-2H-pyran-4-yl)benzamide Lot # X109569

GW788388 (452342-67-5) is a potent inhibitor of TGF- β receptor kinases ALK4, ALK5 (IC₅₀=18 nM), ALK7, and TGF β RII, but not BMP type II receptor kinase.^{1,2} Blocks TGF- β -mediated signaling, including collagen type I and VEGF, in turn reducing fibrosis and angiogenesis in various mouse models.^{3,4} GW788388 attenuates TGF- β -induced epithelial to mesenchymal transition (EMT) in human peritoneal mesothelial cells.⁵

References/Citations:

- 1) Gellibert et al. (2006), Discovery of 4-{4-[3-(pyridine-2-yl)-1H-pyrazol-4-yl}pyridine-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. **49** 2210
- 2) Petersen et al. (2008), Oral administration of GW788388, an inhibitor of TGF-beta type I and II receptor kinases, decreases renal fibrosis; Kidney Int. **73** 705
- 3) De Oliveira et al. (2012), Oral administration of GW788388, an inhibitor of transforming growth factor beta signaling, prevents heart fibrosis in Chagas disease; PLoS Negl. Trop. Dis. **6** e1696
- 4) Noma et al. (2008), The essential role of fibroblasts in esophageal squamous cell carcinoma-induced angiogenesis; Gastroenterology. **134** 1981
- 5) Lho et al. (2021), Effects of TGF-ß Receptor Inhibitor GW788388 on the Epithelial to Mesenchymal Transition of Peritoneal Mesothelial Cells; Int. J. Mol. Sci. 22 4739

PHYSICAL DATA

Molecular Weight: 425.49
Molecular Formula: $C_{25}H_{23}N_5O_2$ Purity: >98% by HPLC

NMR: (Conforms)

Solubility: DMSO (18 mg/mL with warming)

Physical Description: Off-white solid

Storage and Stability: Store as supplied at -20° for up to 2 years from the date of purchase. Solutions in

DMSO or ethanol may be stored at -20°C for up to 1 month.

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