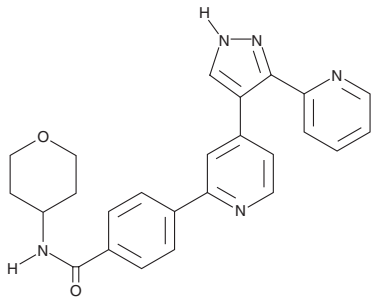
PRODUCT INFORMATION

GW 788388

Item No. 16255

CAS Registry No.:	452342-67-5
Formal Name:	4-[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)-benzamide
MF:	$C_{25}H_{23}N_5O_2$
FW:	425.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 261 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GW 788388 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 788388 in the solvent of choice, which should be purged with an inert gas. GW 788388 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GW 788388 in these solvents is approximately 10 mg/ml.

GW 788388 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 788388 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GW 788388 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GW 788388 is a selective inhibitor of transforming growth factor-β (TGF-β) type 1 receptor (TGFBR1 or ALK5; IC_{50} = 18 nM).[1] It inhibits the expression of collagen type I in cells (IC_{50} = 93 nM) and in mice when given orally at 10 mg/kg once a day.[1] As TGF-β stimulates fibrosis in a range of tissues, GW 788388 reduces typical features of fibrosis, including tissue remodeling, increased expression of α-smooth muscle actin and production of collagen I.[2-4] GW 788388 also blocks TGF-β-mediated production of VEGF by fibroblasts, as well as subsequent angiogenesis *in vitro*.[5] Inhibition of ALK5 signaling by GW 788388 also induces hypertrophy in femoral growth plates in rats.[6]

References

1. Gellibert, F., de Gouville, A.C., Woolven, J., *et al. J. Med. Chem.* **49(7)**, 2210-2221 (2006).
2. Lagares, D., García-Fernández, R.A., Jiménez, C.L., *et al. Arthritis Rheum.* **62(3)**, 878-889 (2010).
3. Tan, S.M., Zhang, Y., Connelly, K.A., *et al. Am. J. Physiol. Heart Circ. Physiol.* **298(5)**, H1415-H1425 (2010).
4. de Oliveira, F.L., Araújo-Jorge, T.C., de Souza, E.M., *et al. PLoS Negl. Trop. Dis.* **6(6)**, 1-14 (2012).
5. Noma, K., Smalley, K.S.M., Lioni, M., *et al. Gastroenterology* **134(7)**, 1981-1993 (2008).
6. Frazier, K., Thomas, R., Scicchitano, M., *et al. Toxicol. Pathol.* **35(2)**, 284-295 (2014).

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