# **PRODUCT** INFORMATION



## GW 788388

Item No. 16255

CAS Registry No.: Formal Name:	452342-67-5 4-[4-[3-(2-pyridinyl)-1H-pyrazol- 4-yl]-2-pyridinyl]-N-(tetrahydro- 2H-pyran-4-yl)-benzamide	H N-N N
MF:	$C_{25}H_{23}N_5O_2$	
FW:	425.5	
Purity:	≥98%	
UV/Vis.:	λ <sub>max</sub> : 261 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	H, Ă, A
Stability:	≥4 years	0

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

#### 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

uyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 11/17/2022

### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM