

**Product Name:** GW 788388

**Catalog No.:** 3264

**Batch No.:** 1

CAS Number: 452342-67-5

IUPAC Name: 4-[4-[3-(2-Pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)-benzamide

**1. PHYSICAL AND CHEMICAL PROPERTIES**

**Batch Molecular Formula:** C<sub>25</sub>H<sub>23</sub>N<sub>5</sub>O<sub>2</sub>·¼H<sub>2</sub>O

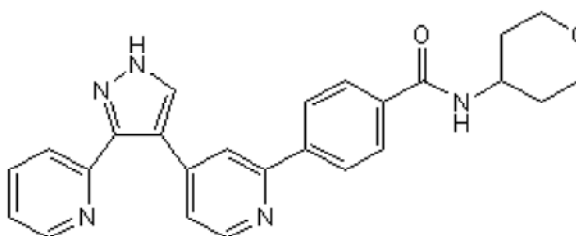
**Batch Molecular Weight:** 429.98

**Physical Appearance:** Off-white solid

**Solubility:** DMSO to 100 mM

**Storage:** Store at +4°C

**Batch Molecular Structure:**



**2. ANALYTICAL DATA**

**HPLC:** Shows 99.9% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	69.83	5.51	16.29
Found	69.88	5.4	16.36

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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**Description:**

Potent inhibitor of transforming growth factor- $\beta$  type I receptor (ALK5) ( $IC_{50}$  values are 18 and 93 nM for ALK5 binding and for TGF- $\beta$  cellular assay respectively). Inhibits esophageal squamous cell carcinoma (ESCC)-induced neoangiogenesis. Reduces renal fibrosis in vivo. Orally active.

**Physical and Chemical Properties:**

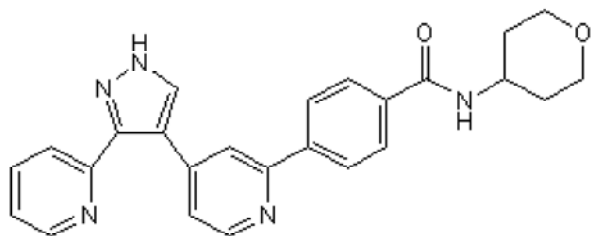
Batch Molecular Formula:  $C_{25}H_{23}N_5O_2 \cdot \frac{1}{4}H_2O$

Batch Molecular Weight: 429.98

Physical Appearance: Off-white solid

**Minimum Purity:**  $\geq 98\%$

**Batch Molecular Structure:**



**Storage:** Store at +4°C

**Solubility & Usage Info:**

DMSO to 100 mM

**Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

**Licensing Information:**

Sold for research purposes under agreement from GlaxoSmithKline

**References:**

**Tan et al** (2010) Targeted inhibition of AVNreceptor-like kinase 5 signaling attenuates cardiac dysfunction following myocardial infarction. *Am.J.Physiol.Heart Circ.Physiol.* **298** H1415. PMID: 20154262.

**Noma et al** (2008) The essential role of fibroblasts in esophageal squamous carcinoma-induced angiogenesis. *Gastroenterology* **134** 1981. PMID: 18439605.

**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. PMID: 18075500 .

**Gellibert et al** (2006) 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.* **49** 2210. PMID: 16570917.

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