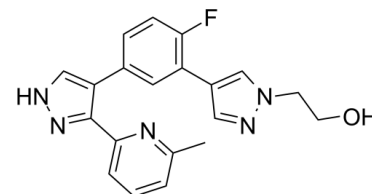


## Data Sheet

<b>Product Name:</b>	R-268712
<b>Cat. No.:</b>	CS-5618
<b>CAS No.:</b>	879487-87-3
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>18</sub> FN <sub>5</sub> O
<b>Molecular Weight:</b>	363.39
<b>Target:</b>	TGF-β Receptor
<b>Pathway:</b>	TGF-beta/Smad
<b>Solubility:</b>	DMSO : 125 mg/mL (343.98 mM; Need ultrasonic)



### BIOLOGICAL ACTIVITY:

R-268712 is a potent and selective inhibitor of ALK5 with an IC<sub>50</sub> of 2.5 nM. IC<sub>50</sub> value: 2.5 nM [1] Target: ALK5 in vitro: R-268712 is a novel and specific inhibitor of activin receptor-like kinase 5 (ALK5), a transforming growth factor β (TGF-β) type I receptor. R-268712 is a potent and selective inhibitor of ALK5 with an IC<sub>50</sub> of 2.5 nM, an approximately 5000-fold more selectivity for ALK5 than p38 mitogen-activated protein kinase (MAPK). R-268712 is a weak inhibitor of p38 MAP kinase (IC<sub>50</sub>: 12.1 μM).[1] in vivo: Oral administration of R-268712 at doses of 1, 3 and 10 mg/kg also inhibited the development of renal fibrosis in a dose-dependent manner in a unilateral ureteral obstruction (UUO) model. [1]

### PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] R-268712 was suspended in 0.5% sodium carboxymethylcellulose (CMC) and orally administered to male WKY/Hos rats at doses of 0.3, 1, 3, and 10 mg/kg. Blood samples were collected from the jugular vein 0.5, 1, 2, 4, 6, and 24 h after dosing under isofluraneanesthesia. The plasma concentration of R-268712 was determined by API 4000 liquid chromatography-tandem mass spectrometry (LC-MS/MS). Pharmacokinetic parameters were calculated with noncompartmental analysis by using Biobook.

### References:

[1]. Terashima H, et al. R-268712, an orally active transforming growth factor-β type I receptor inhibitor, prevents glomerular sclerosis in a Thy1 nephritis model. Eur J Pharmacol. 2014 Jul 5;734:60-6.

### CAIndexNames:

1H-Pyrazole-1-ethanol, 4-[2-fluoro-5-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]phenyl]-

### SMILES:

FC1=C(C2=CN(CCO)N=C2)C=C(C3=CN=C3C4=CC=CC(C)=N4)C=C1

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA