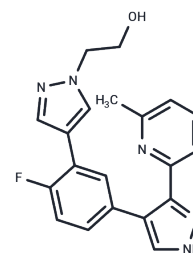


R-268712

## Chemical Properties

CAS No. : 879487-87-3  
 Formula: C<sub>20</sub>H<sub>18</sub>FN<sub>5</sub>O  
 Molecular Weight: 363.39  
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
*Actual storage temperature shall be subject to the COA.*



## Biological Description

|                            |  |
|----------------------------|--|
| Description                | R-268712 is a specific activin receptor-like kinase 5 (ALK5) inhibitor (IC <sub>50</sub> of 2.5 nM). It is also an orally active transforming growth factor-β type I receptor inhibitor.   |
| Targets(IC <sub>50</sub> ) | ALK, TGF-beta/Smad   |
| 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. Evaluation of in vitro inhibition indicated that 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).                                    |
| 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. Additionally, the efficacy of R-268712 in a heminephrectomized anti-Thy1 glomerulonephritis model at doses of 0.3 and 1 mg/kg. R-268712 reduced proteinuria and glomerulosclerosis significantly with improvement of renal function. |

## Solubility Information

|                     |  |
|---------------------|--|
| Solubility          | DMSO: 250 mg/mL (687.97 mM), Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble)   |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (11.01 mM), Sonication is recommended.<br><i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

### Preparing Stock Solutions

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|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 1 mM  | 2.7519 mL | 13.7593 mL | 27.5186 mL |
| 5 mM  | 0.5504 mL | 2.7519 mL  | 5.5037 mL  |
| 10 mM | 0.2752 mL | 1.3759 mL  | 2.7519 mL  |
| 50 mM | 0.055 mL  | 0.2752 mL  | 0.5504 mL  |

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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

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