BIOLOGICAL ACTIVITY:
R-268712 is a potent and selective inhibitor of ALK5 with an IC50 of 2.5 nM.
IC50 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 IC50 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 (IC50: 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 isoflurane anaesthesia. 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: