PRODUCT INFORMATION



R-268712

Item No. 36810

CAS Registry No.: 879487-87-3

Formal Name: 4-[2-fluoro-5-[3-(6-methyl-2-

pyridinyl)-1H-pyrazol-4-yl]phenyl]-

1H-pyrazole-1-ethanol

MF: $C_{20}H_{18}FN_5O$ 363.4 FW:

≥98% **Purity:**

 λ_{max} : 230, 252, 290 nm UV/Vis.: Supplied as: A crystalline solid

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



R-268712 is supplied as a crystalline solid. A stock solution may be made by dissolving the R-268712 in the solvent of choice, which should be purged with an inert gas. R-268712 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of R-268712 in ethanol and DMF is approximately 20 mg/ml and approximately 10 mg/ml in DMSO.

Description

R-268712 is an inhibitor of activin receptor-like kinase (ALK5; $IC_{50} = 2.5 \text{ nM}$). It is selective for ALK5 over p38 MAPK (IC₅₀ = 12 μ M). R-268712 (1, 3, and 10 mg/kg) reduces renal fibrosis in a model of unilateral ureteral obstruction using transgenic Col1a1-Luc Tg rats, a reporter model for collagen I expression. It also reduces proteinuria and glomerulosclerosis and improves renal function in heminephrectomized rats in a model of glomerulonephritis using antibodies against Thy-1 membrane glycoprotein when administered at doses of 0.3 and 1 mg/kg.

Reference

1. Terashima, H., Kato, M., Ebisawa, M., 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. 734, 60-66 (2014).

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

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

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