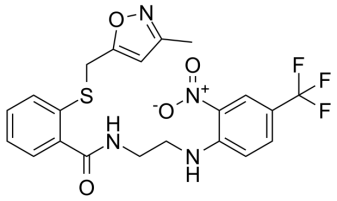
RU-301

Cat. No.:	HY-119039
CAS No.:	1110873-99-8
Molecular Formula:	$C_{21}H_{19}F_3N_4O_4S$
Molecular Weight:	480.46
Target:	TAM Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (208.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0813 mL	10.4067 mL	20.8134 mL
	5 mM	0.4163 mL	2.0813 mL	4.1627 mL
	10 mM	0.2081 mL	1.0407 mL	2.0813 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RU-301 is a pan TAM inhibitor that blocks Gas6-induced TAM activation and tumorigenicity. RU-301 significantly reduces nonalcoholic steatohepatitis (NASH) fibrosis, along with attenuates ERK activation and TGFβ1 expression. RU-301 can be used in studies of cancer and nonalcoholic steatohepatitis[1][2].

In Vitro

RU-301 (10 μM; 30 min) inhibits native TAMs activation in H1299 cells[1].
RU-301 (10 μM; 24 h) inhibits migration of H1299 and MDA-MB-231 cells[1].
RU-301 (10 μM; 14 days) inhibits growth of H1299 clonogenic cells under Gas6[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	H1299, MDA-MB-231 cells

Concentration:	10 μM (for H1299); 2.5, 5 μM (for MDA-MB-231)
Incubation Time:	30 min (pre-incubate)
Result:	Suppressed Gas6-inducible native phosphorylation of native Axl. Partially blocked Gas6-induced activation of Akt and Erk in H1299 or MDA-MB-231 at 5 μM. Inhibited the Gas6-induced phosphorylation of not only native Axl but also native Tyro3 and MerTK in H1299 at 10 μM.

Cell Migration Assay [1]

Cell Line:	H1299, MDA-MB-231 cells
Concentration:	10 μM
Incubation Time:	24 h
Result:	Strongly suppressed Gas6-inducible motility of H1299 lung cancer cell line.

Cell Viability Assay [1]

Cell Line:	H1299 cells
Concentration:	10 μM
Incubation Time:	14 days
Result:	Suppressed clonogenic growth of H1299 cells when cultured in the presence of Gas6.

In Vivo

RU-301 (100, 300 mg/kg; i.p.; single daily for 4 days) inhibits tumor growth in lung cancer xenograft model[1].
RU-301 (300 mg/kg; i.p.; 3 times a week for 4 weeks) reduces liver fibrosis in mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCIDγ mice (4-6 week; lung cancer xenograft model)[1].
Dosage:	100, 300 mg/kg
Administration:	Intraperitoneal injection; single daily for 4 days
Result:	Significantly decreased tumor volume while body weights were not significantly different. Showed no notable toxicity but displayed good bioavailability with a $t_{1/2}$ life of ~7-8 hours.

Animal Model:	WT or Mertk$^{-/-}$ male mice (fed NASH diet for 12 weeks)[2].
Dosage:	300 mg/kg
Administration:	Intraperitoneal injection; 3 times a week for 4 weeks
Result:	Reduced liver fibrosis as indicated by decreases in liver picrosirius red staining and collagen gene expression.

REFERENCES

[1]. Cai B, et al. Macrophage MerTK Promotes Liver Fibrosis in Nonalcoholic Steatohepatitis. Cell Metab. 2020 Feb 4;31(2):406-421.e7.

[2]. Kimani SG, et al. Small molecule inhibitors block Gas6-inducible TAM activation and tumorigenicity. Sci Rep. 2017 Mar 8;7:43908.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA