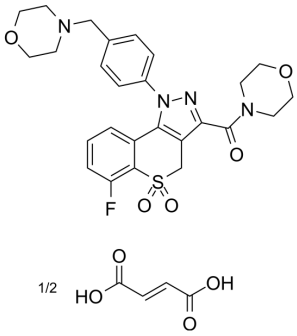
Roginolisib hemifumarate

Cat. No.:	HY-135827A
CAS No.:	1621688-31-0
Molecular Formula:	$C_{26}H_{27}FN_4O_5S.{}_1/_2C_4H_4O_4$
Molecular Weight:	584.62
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (171.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7105 mL	8.5526 mL	17.1051 mL
	5 mM	0.3421 mL	1.7105 mL	3.4210 mL
	10 mM	0.1711 mL	0.8553 mL	1.7105 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: ≥ 2.5 mg/mL (4.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Roginolisib (MSC2360844) hemifumarate is a potent, orally active and selective PI3Kδ inhibitor, with an IC_{50} of 145 nM. Roginolisib hemifumarate shows highly selective against a panel of 278 additional kinases[1].

IC_{50} & Target

PI3Kδ
145 nM (IC_{50})

In Vitro

Roginolisib hemifumarate (0-10 μM; 1 hours) completely abolished BCR-induced pAkt in Ramos B cells in a concentration-dependent manner with IC_{50} values of 280 nM[1].
Roginolisib hemifumarate inhibits B cell proliferation in a concentration-dependent manner with an IC_{50} of 48 nM.

Roginolisib hemifumarate blocks BCR- and TCR-mediated responses in lymphocytes and TLR-induced IFNα by pDC in human primary cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	B cells
Concentration:	0-10 μM
Incubation Time:	1 hour
Result:	Inhibited B cell proliferation in a concentration-dependent manner with an IC_{50} of 48 nM.

In Vivo

Roginolisib hemifumarate (6.6-66 mg/kg; daily from week 2 to 10) ameliorates disease manifestations in a murine SLE model [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NZB/W F1 female mice[1]
Dosage:	6.6, 22, or 66 mg/kg
Administration:	Oral; starting at week 2 post ADV-IFNα delivery, once daily at 10 weeks
Result:	Significantly reduced proteinuria incidence and severity in a dose-dependent manner.

REFERENCES

[1]. Haselmayer P, et al. Characterization of Novel PI3Kδ Inhibitors as Potential Therapeutics for SLE and Lupus Nephritis in Pre-Clinical Studies. Front Immunol. 2014 May 22;5:233.

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