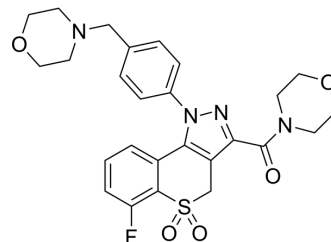


## Roginolisib

Cat. No.:	HY-135827		
CAS No.:	1305267-37-1		
Molecular Formula:	C <sub>26</sub> H <sub>27</sub> FN <sub>4</sub> O <sub>5</sub> S		
Molecular Weight:	526.58		
Target:	PI3K		
Pathway:	PI3K/Akt/mTOR		
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 (189.90 mM; Need ultrasonic)					
	Preparing Stock Solutions	<div><div>Solvent</div><div>Concentration</div></div>	Mass	1 mg	5 mg	10 mg
		1 mM		1.8990 mL	9.4952 mL	18.9905 mL
		5 mM		0.3798 mL	1.8990 mL	3.7981 mL
		10 mM		0.1899 mL	0.9495 mL	1.8990 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: ≥ 10 mg/mL (18.99 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 10 mg/mL (18.99 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 10 mg/mL (18.99 mM); Clear solution					

### BIOLOGICAL ACTIVITY

Description	Roginolisib (MSC2360844; IOA-244) is a potent, orally active and selective PI3Kδ inhibitor, with an IC <sub>50</sub> of 145 nM. Roginolisib shows highly selective against a panel of 278 additional kinases <sup>[1]</sup> .		
IC <sub>50</sub> & Target	PI3Kδ	PI3Kα	PI3Kβ
	145 nM (IC <sub>50</sub> )	18500 nM (IC <sub>50</sub> )	2850 nM (IC <sub>50</sub> )
In Vitro	Roginolisib (0-10 μM; 1 hours) completely abolished BCR-induced pAkt in Ramos B cells in a concentration-dependent		

manner with IC<sub>50</sub> values of 280 nM<sup>[1]</sup>.

Roginolisib inhibits B cell proliferation in a concentration-dependent manner with an IC<sub>50</sub> of 48 nM. Roginolisib blocks BCR- and TCR-mediated responses in lymphocytes and TLR-induced IFN $\alpha$  by pDC in human primary cells<sup>[1]</sup>.

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

#### Cell Proliferation Assay<sup>[1]</sup>

Cell Line:	B cells
Concentration:	0-10 $\mu$ M
Incubation Time:	1 hour
Result:	Inhibited B cell proliferation in a concentration-dependent manner with an IC <sub>50</sub> of 48 nM.

#### In Vivo

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

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

Animal Model:	NZB/W F1 female mice <sup>[1]</sup>
Dosage:	6.6, 22, or 66 mg/kg
Administration:	Oral; starting at week 2 post ADV-IFN $\alpha$ 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 PI3K $\delta$  Inhibitors as Potential Therapeutics for SLE and Lupus Nephritis in Pre-Clinical Studies. Front Immunol. 2014 May 22;5:233.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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