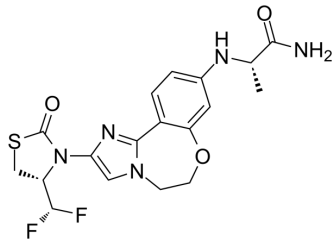
Vulolisib

Cat. No.:	HY-147419
CAS No.:	2390105-79-8
Molecular Formula:	$C_{18}H_{19}F_2N_5O_3S$
Molecular Weight:	423.44
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (236.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3616 mL	11.8080 mL	23.6161 mL
	5 mM	0.4723 mL	2.3616 mL	4.7232 mL
	10 mM	0.2362 mL	1.1808 mL	2.3616 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 (5.90 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.90 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Vulolisib is a potent and orally active phosphatidylinositol 3-kinase (PI3K) inhibitor, with IC_{50} values of 0.2 nM, 168 nM, 90 nM and 49 nM for PI3Kα, PI3Kβ, PI3Kγ and PI3Kδ, respectively. Antiproliferative and antineoplastic activity[1].

IC_{50} & Target

PI3Kα	PI3Kβ	PI3Kγ	PI3Kδ
0.2 nM (IC_{50})	168 nM (IC_{50})	90 nM (IC_{50})	49 nM (IC_{50})

In Vitro

Vulolisib has antiproliferative activity against PI3Kα-mutant cell lines HCC1954 (H1047R), HGC-27 (E542K) and MKN1 (E545K) with IC_{50}s of 21 nM, 60 nM and 40 nM, respectively[1].

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

In Vivo

Vulolisib (10, 30 and 60 mg/kg; IG; daily for 7days) exhibits better tolerance and exposure than Inavolisib[1].
Vulolisib (10mg/kg; PO; daily for 19 days) inhibits tumor growth with TGI of 132%[1].
Vulolisib (5 mg/kg; IG, single dosage) exhibits favorable pharmacokinetic property[1].
Pharmacokinetic Parameters of Vulolisib in male Balb/c mice[1].

	IG (5 mg/kg)
t_{max} (h)	0.5
C_{max} (ng/mL)	1057
AUC_{0-t} (ng/mL·h)	2185
$AUC_{0-\infty}$ (ng/mL·h)	2274
$t_{1/2}$ (h)	1.6
MRT (h)	2.2

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

Animal Model:	Sprague-Dawley rats[1]
Dosage:	10, 30 and 60 mg/kg
Administration:	IG; daily for 7days
Result:	Exhibited better tolerance and exposure than Inavolisib.

Animal Model:	Female BALB/c nude mice (6-8 weeks; injected with HCC1954)[1]
Dosage:	10mg/kg
Administration:	PO; daily for 19 days
Result:	Inhibited tumor growth with TGI of 132%.

Animal Model:	Male Balb/c mice[1]
Dosage:	5 mg/kg
Administration:	IG, single dosage (Pharmacokinetic Analysis)
Result:	Exhibited favorable pharmacokinetic property.

REFERENCES

[1]. Xiaolan ZHAN, et al. Three fused ring derivative-containing salt or crystal form and pharmaceutical composition thereof. WO2021104146A1 (example 22)

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

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