SHR2415

Cat. No.: HY-151367

CAS No.: 2494010-42-1

Molecular Formula: $C_{23}H_{22}ClN_7O_2$

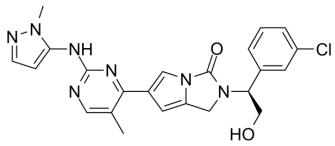
Molecular Weight: 463.92

Target: ERK

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

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 (215.55 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1555 mL	10.7777 mL	21.5554 mL
	5 mM	0.4311 mL	2.1555 mL	4.3111 mL
	10 mM	0.2156 mL	1.0778 mL	2.1555 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 (5.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SHR2415 is a highly potent, selective and orally active ERK1/2 inhibitor. SHR2415 has inhibition activity for ERK1 and ERK2 with IC_{50} values of 2.8 nM and 5.9 nM, respectively. SHR2415 exhibits high potency with an IC_{50} value of 44.6 nM in Colo205 cells. SHR2415 can be used for the research of cancer[1].

IC_{50} & Target		
	ERK1	ERK2
	2.8 nM (IC_{50})	5.9 nM (IC_{50})

In Vitro

SHR2415 has inhibition activity for ERK1 and ERK2 with IC_{50} values of 2.8 nM and 5.9 nM, respectively[1].
SHR2415 shows the cellular potency with an IC_{50} value of 44.6 nM in Colo205 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SHR2415 (i.v. (1 mg/kg for mouse and rat) and p.o. (2 mg/kg for mouse, rat, and dog)) displays a favorable PK profile across species with low clearance and good in vivo exposure[1].
SHR2415 (25, 50 mg/kg; p.o.; once daily, for 14 days) displays favorable PK profiles across species as well as robust in vivo efficacy in a mouse Colo205 xenograft model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mouse, Rat and Dog[1]
Dosage:	1 mg/kg (mouse and rat), 0.5 mg/kg (dog), 2 mg/kg (mouse, rat, and dog)
Administration:	i.v. (mouse and rat) and p.o. (mouse, rat, and dog)

Result:

Species	Mouse	Rat	Dog
C_{max}(ng/mL)	604	219	526
AUC_{0-t}p.o. (ng/mL•h)	2460	726	3271
$t_{1/2}$p.o. (h)	3.5	2.1	3.2
CL(mL/min/kg)	12.2	25.3	10.5
F (%)	90.8	45.8	101

Animal Model:	Balb/c mouse Colo205 tumor xenograft model[1]
Dosage:	25, 50 mg/kg
Administration:	p.o.; once daily, for 14 days

Result:

Cpds ID @ Dose	Plasma		Tumor		AUC-tumor/AUC-plasma	TGI(%)
	C_{max} (ng/mL)	AUC (h*ng/mL)	C_{max} (ng/mL)	AUC (h*ng/mL)		
SHR2415@25 mg/kg	5147	21364	9460	30260	1.42	112

REFERENCES

[1]. Xin Li, et al. Discovery of SHR2415, a Novel Pyrrole-Fused Urea Scaffold ERK1/2 Inhibitor. ACS Med Chem Lett. 2022 Apr 1;13(4):701-706.

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

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