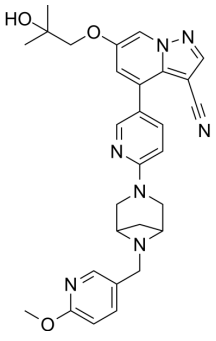
Selpercatinib

Cat. No.:	HY-114370
CAS No.:	2152628-33-4
Molecular Formula:	$C_{29}H_{31}N_7O_3$
Molecular Weight:	525.6
Target:	RET
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 : 62.5 mg/mL (118.91 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9026 mL	9.5129 mL	19.0259 mL
	5 mM	0.3805 mL	1.9026 mL	3.8052 mL
	10 mM	0.1903 mL	0.9513 mL	1.9026 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 (3.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Selpercatinib (LOXO-292) is a potent, selective RET kinase inhibitor with IC_{50} values of 14.0 nM, 24.1 nM, and 530.7 nM for RET (WT), RET (V804M), and RET (G810R), respectively. Selpercatinib has anticancer activity[1][2].

IC_{50} & Target

IC50: 14.0 nM (RET^{WT}), 24.1 nM (RET^{V804M}), and 530.7 nM (RET^{G810R})[2]

In Vivo

Selpercatinib (LOXO-292; 10 mg/kg; i.g.; for 0-2 h) has good pharmacokinetics after oral gavage in FVB/NRj mice[1].
Pharmacokinetic Parameters of Selpercatinib in FVB/NRj mice[1].

Administration i.g. (10 mg/kg)	
T_{max} (h)	1.8
C_{max} (ng/mL)	7862
AUC (ng·h/mL)	26649

FVB/NRj mice, 10 mg/kg i.g.[1]

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

Animal Model:	Male FVB/NRj mice[1]
Dosage:	10 mg/kg
Administration:	Oral gavage; for 7.5 min, 15 min, 30 min, 1 h and 2 h (Pharmacokinetic Analysis)
Result:	Had good pharmacokinetics after oral gavage in FVB/NRj mice.

CUSTOMER VALIDATION

- Cancers (Basel). 2021, 13(8), 1909.
- Molecules. 2023 Mar 14.
- Biol Open. 2023 Jul 20;bio.059994.
- Biomed Chromatogr. 2023 Mar 20;e5628.
- Maastricht University. 2023 Jun 1.

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REFERENCES

[1]. Şentürk R, et, al. Quantitative bioanalytical assay for the selective RET inhibitors selpercatinib and pralsetinib in mouse plasma and tissue homogenates using liquid chromatography-tandem mass spectrometry. J Chromatogr B Analyt Technol Biomed Life Sci. 2020 Jun 15;1147:122131.

[2]. Steven W. Andrews, et al. Substituted pyrazolo[1,5-a]pyridine compounds as ret kinase inhibitors. WO2018071447A1.

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