Darovasertib

Cat. No.: HY-101569

CAS No.: 1874276-76-2

Molecular Formula: $C_{22}H_{23}F_3N_8O$

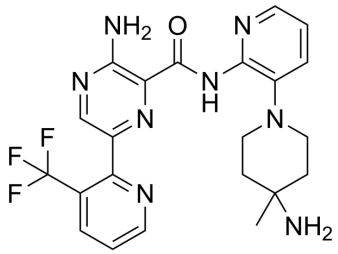
Molecular Weight: 472.47

Target: PKC

Pathway: Epigenetics; TGF-beta/Smad

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 : 25 mg/mL (52.91 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1165 mL	10.5827 mL	21.1654 mL
	5 mM	0.4233 mL	2.1165 mL	4.2331 mL
	10 mM	0.2117 mL	1.0583 mL	2.1165 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 (5.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.29 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 1.67 mg/mL (3.53 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.53 mM); Clear solution
6. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: 0.33 mg/mL (0.70 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Darovasertib (LXS196) is a potent, selective and orally active protein kinase C (PKC) inhibitor, with IC_{50} values of 1.9 nM, 0.4

	nM and 3.1 μM for PKCα, PKCθ and GSK3β, respectively. Darovasertib has the potential for uveal melanoma research[1][2].

IC_{50} & Target	PKCα	PKCθ	GSK3β
	1.9 nM (IC_{50})	0.4 nM (IC_{50})	3.1 μM (IC_{50})

In Vitro

Upon oral administration, protein kinase C inhibitor Darovasertib (LXS196) binds to and inhibits PKC, which prevents the activation of PKC-mediated signaling pathways. This may lead to the induction of cell cycle arrest and apoptosis in susceptible tumor cells. PKC, a serine/threonine protein kinase overexpressed in certain types of cancer cells, is involved in tumor cell differentiation, proliferation, invasion and survival[1].

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

In Vivo

Darovasertib (LXS196; compound 9) (15, 30, 75, 150 mg/kg, P.O., mice) shows improved efficacy (regression) in a 92.1 GNAQ uveal melanoma xenograft model in a dose-dependently manner[2].

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

Animal Model:	Mice implanted with 92.1 GNAQ mutant uveal melanoma cells[2].
Dosage:	15, 30, 75, 150 mg/kg
Administration:	P.O. (bid) for 35 days
Result:	Dose-dependently suppressed the tumor growth.

CUSTOMER VALIDATION

- Cancers (Basel). 2023 Apr 13, 15(8), 2280.
- Patent. US20210230154A1.

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REFERENCES

[1]. Protein Kinase C Inhibitor LXS196

[2]. US20180179181.

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