Ulixertinib

Cat. No.: HY-15816

CAS No.: 869886-67-9

Molecular Formula: $C_{21}H_{22}Cl_2N_4O_2$

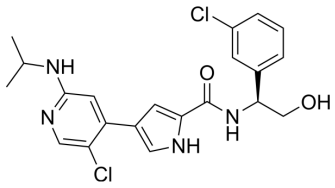
Molecular Weight: 433.33

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 (230.77 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3077 mL	11.5386 mL	23.0771 mL
	5 mM	0.4615 mL	2.3077 mL	4.6154 mL
	10 mM	0.2308 mL	1.1539 mL	2.3077 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 1% (w/v) carboxymethylcellulose (CMC)
   Solubility: 10 mg/mL (23.08 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ulixertinib (BVD-523; VRT752271) is a potent, orally active, highly selective, ATP-competitive and reversible covalent inhibitor of ERK1/2 kinases, with an IC_{50} of <0.3 nM against ERK2. Ulixertinib (BVD-523; VRT752271) inhibits the phosphorylated ERK2 (pERK) and downstream kinase RSK (pRSK) in an A375 melanoma cell line[1][2].

IC_{50} & Target	ERK2 0.3 nM (IC_{50}, at K_M ATP (60 μM))	ERK1
In Vitro	Combined Ulixertinib (BVD-523; 10, 20, 30 μM; 48 hours) and VS-5584 treatment causes significant induction of cell death in human pancreatic cancer (HPAC) cells in PDAC cell lines BxPC-3, MIAPaCa-2, and CFPAC-1[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	In the pharmacokinetic study, the sensitivity and specificity of the assay are found to be sufficient for accurately characterizing the plasma pharmacokinetics of Ulixertinib (VRT752271) in Balb/C mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

CUSTOMER VALIDATION

- Sci Transl Med. 2021 Jan 27;13(578):eaba7308.
- Nat Commun. 2023 May 19;14(1):2859.
- Nat Commun. 2022 Jul 14;13(1):4078.
- Adv Sci (Weinh). 2022 Oct;9(30):e2200717.
- Matrix Biol. 5 August 2022.

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REFERENCES

[1]. Ward RA, et al. Structure-Guided Design of Highly Selective and Potent Covalent Inhibitors of ERK1/2. J Med Chem. 2015 Jun 11;58(11):4790-801.

[2]. Kumar R, et al. Determination of ulixertinib in mice plasma by LC-MS/MS and its application to a pharmacokinetic study in mice. J Pharm Biomed Anal. 2016 Jun 5;125:140-4.

[3]. Changwen Ning, et al. Targeting ERK Enhances the Cytotoxic Effect of the Novel PI3K and mTOR Dual Inhibitor VS-5584 in Preclinical Models of Pancreatic Cancer. Oncotarget. 2017 Jul 4;8(27):44295-44311.

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