Uprosertib

Cat. No.: HY-15965

CAS No.: 1047634-65-0

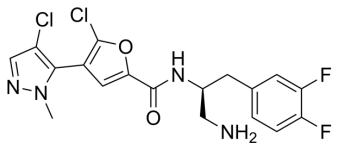
Molecular Formula: $C_{18}H_{16}Cl_2F_2N_4O_2$

Molecular Weight: 429.25

Target: Akt

Pathway: PI3K/Akt/mTOR

Storage: -20°C, stored under nitrogen
* In solvent : -80°C, 1 years; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (116.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3296 mL	11.6482 mL	23.2964 mL
	5 mM	0.4659 mL	2.3296 mL	4.6593 mL
	10 mM	0.2330 mL	1.1648 mL	2.3296 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 (5.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.82 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Uprosertib (GSK2141795) is a potent and selective pan-Akt inhibitor with IC_{50} values of 180/328/38 nM for Akt1/Akt2/Akt3, respectively.

IC_{50} & Target

Akt3 38 nM (IC_{50})	Akt1 180 nM (IC_{50})	Akt2 328 nM (IC_{50})	ROCK1 1570 nM (IC_{50})
ROCK2 1850 nM (IC_{50})	CDK7 2100 nM (IC_{50})		

In Vitro	Uprosertib (GSK2141795) inhibits Akt1/2/3 with the K_d values of 16/49/5 nM, respectively. Uprosertib potently inhibits only the PKC family members PRKACA and PRKACB as well as the cGMP-dependent protein kinase PRKG1 aqpart from the Akts. Protein targets that bind Uprosertib (GSK2141795) in the lysate show a dose-dependent reduction in binding to the kinobeads, while proteins unaffected by the drug show no reduction in binding[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Immunity. 2020 Jan 14;52(1):109-122.e6.
- Nat Commun. 2022 Nov 29;13(1):7345.
- Nat Commun. 2017 Sep 4;8(1):410.
- Clin Cancer Res. 2016 Nov 15;22(22):5514-5526.
- NPJ Precis Oncol. 2021 Jul 15;5(1):65.

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REFERENCES

[1]. Pachl F, et al. Characterization of a chemical affinity probe targeting Akt kinases. J Proteome Res. 2013 Aug 2;12(8):3792-800.

[2]. Jacobsen K, et al. Convergent Akt activation drives acquired EGFR inhibitor resistance in lung cancer. Nat Commun. 2017 Sep 4;8(1):410.

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