Uprosertib hydrochloride

Cat. No.: HY-15965A

CAS No.: 1047635-80-2

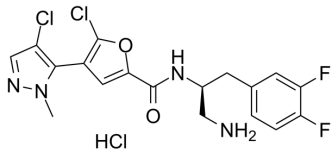
Molecular Formula: $C_{18}H_{17}Cl_3F_2N_4O_2$

Molecular Weight: 465.71

Target: Akt

Pathway: PI3K/Akt/mTOR

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Uprosertib hydrochloride (GSK2141795 hydrochloride) 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 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 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.

PROTOCOL

Kinase Assay [1]

For selectivity profiling experiments, the lysates (5 mg of total protein each) are preincubated with 0 (DMSO control), 2.5 nM, 25 nM, 250 nM, 2.5 μM or 25 μM free compound (GSK690693 or Uprosertib) on an end-over-end shaker for 45 min at 4°C. Subsequently, lysates are incubated with beads (coupled Akt probe or kinobeads) for 1 h at 4°C, for both qualitative and quantitative experiments. The beads are washed with 1×CP buffer and collected by centrifugation. Bound proteins are eluted with 2×NuPAGE LDS sample buffer, and eluates are reduced and alkylated by 50 mM dithiothreitol and 55 mM iodoacetamide.

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. 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.
- Oncoimmunology. 2018 Aug 6;7(10):e1488565.

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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.

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