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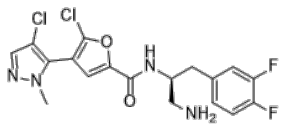
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Uprosertib
Cat. No.	:	PC-27801
CAS No.	:	1047634-65-0
Molecular Formula	:	$C_{18}H_{16}Cl_2F_2N_4O_2$
Molecular Weight	:	429.25
Target	:	Akt
Solubility	:	10 mM in DMSO



Biological Activity

Uprosertib (GSK2141795) is a potent, selective, ATP-competitive and orally bioavailable **AKT** inhibitor with IC50 of 0.066 nM, 1.4 nM and 1.5 nM for Akt1, Akt2 and Akt3 respectively.
Uprosertib (GSK2141795) inhibits the kinase activity of the E17K AKT 1 mutant protein with EC50 of 0.2 nM.
Uprosertib (GSK2141795) showes concentration-dependent effect on multiple AKT substrate phosphorylation levels, including GSK3β, PRAS40, FOXO and Caspase 9 in both cell lines, exhibits growth inhibition in human cancer cell lines.
Uprosertib (GSK2141795) (10, 20 and 30 mg/kg, QD) shows tumor growth inhibition (TGI) of 73, 85 or 93% in mice bearing BT474 tumors.
Combining Uprosertib (GSK2141795) with the AKT inhibitor or MEK inhibitor (GSK2110212; trametinib) resulted in an enhanced anti-tumor effect accompanied with greater reduction in phospho-S6 levels.

References

Datta J, et al. ***Mol Cancer Ther***. 2017 Apr;16(4):614-624.

Dumble M, et al. ***PLoS One***. 2014 Jun 30;9(6):e100880.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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