Product Data Sheet

Product Name: Uprosertib hydrochloride
Cat. No.: GC37859

Chemical Properties

Cas. No.	1047635-80-2		
SMILES	O=C(C1=CC(C2=C(Cl)C=NN2C)=C(Cl)O1)N[C@@H](CC3=CC=C(F)C(F)=C3)CN.Cl		
Formula	$C_{18}H_{17}Cl_3F_2N_4O_2$	M.Wt	465.71
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Uprosertib hydrochloride		

Protocol

Kinase experiment:

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.

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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.

Background

Uprosertib is a selective, orally bioavailable inhibitor of Akt (IC_{50}s = 180, 328, and 38 nM for Akt1, Akt2, and Akt3, respectively).[1] Uprosertib preferentially inhibits the proliferation of human cancer cell lines with Akt pathway activation *via PI3K/PTEN* mutation or loss.[2] It can cause cell cycle arrest and consequent tumor growth inhibition in mice bearing either BT474 breast tumor or SK-OV-3 ovarian tumor xenografts.[2]

1.Pachl, F., Plattner, P., Ruprecht, B., et al.Characterization of a chemical affinity probe targeting Akt kinasesJ. Proteome Res.12(8)3792-3800(2013) 2.Dumble, M., Crouthamel, M.C., Zhang, S.Y., et al.Discovery of novel AKT inhibitors with enhanced anti-tumor effects in combination with the MEK inhibitorPLoS One9(6)e100880(2014)