

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

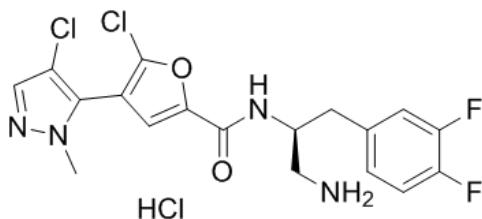
Formula $C_{18}H_{17}Cl_3F_2N_4O_2$ M.Wt 465.71

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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**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 μ M or 25 μ M 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.

References:

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

Background

Uprosertib hydrochloride (GSK2141795 hydrochloride) is a potent and selective pan-Akt inhibitor with IC₅₀ values of 180/328/38 nM for Akt1/Akt2/Akt3, respectively. Akt1|180 nM (IC₅₀)|Akt2|328 nM (IC₅₀)|Akt3|38 nM (IC₅₀)|CDK7|2100 nM (IC₅₀)|ROCK1|1570 nM (IC₅₀)|ROCK2|1850 nM (IC₅₀)

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 apart 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].

[1]. Pachi 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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