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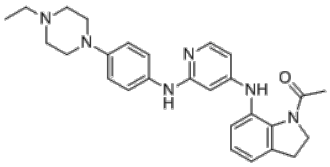
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	UCL-TRO-1938
Cat. No.	:	PC-20848
CAS No.	:	2919575-27-0
Molecular Formula	:	$C_{27}H_{32}N_6O$
Molecular Weight	:	456.59
Target	:	PI3K
Solubility	:	10 mM in DMSO



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Biological Activity

UCL-TRO-1938 (Compound 1938) is a selective, allosteric activator of **PI3Kα** with EC50 of 60 uM (based on in vitro lipid kinase activity), directly activates PI3Kα signalling in cells.
UCL-TRO-1938 displays dissociation constant (Kd) values of 36 μM and 16 μM by rsurface plasmon resonance and differential scanning fluorimetry assays, respectively.
UCL-TRO-1938-stimulated PI3Kα activity is fully inhibited by the nanomolar potency ATP-competitive PI3Kα-selective inhibitor BYL719.
UCL-TRO-1938 does not affect the activity of the other PI3K isoforms in the panel (PI3Kβ, PI3Kγ, PI3Kδ, PI3K-C2α and VPS34) or the PI3K-related kinases PI4Kβ, mTOR and DNA-PK.
UCL-TRO-1938 stimulates PI3Kα by enhancing multiple events associated with natural and mutation-mediated PI3Kα activation. increases PtdIns(3,4,5)P3 levels in mouse embryonic fibroblasts (MEFs) with EC50 of 5 uM, increases pAKT(S473) levels in a concentration-dependent manner in MEFs with PI3Kα-WT with EC50 of 2-4 uM.
UCL-TRO-1938 dose-dependently increases metabolic activity in PI3Kα-WT but not in PI3Kα-KO MEFs with EC50 of 0.5 uM, induces cell cycle progression and an increase in cell numbe.
UCL-TRO-1938 demontrates cardioprotection effect and stimulates nerve regeneration in the rat sciatic nerve crush model of peripheral nerve injury and regeneration.

References

Gong GQ, et al. ***Nature.*** 2023 May 24. doi: 10.1038/s41586-023-05972-2.

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

E-mail: tech@probechem.com