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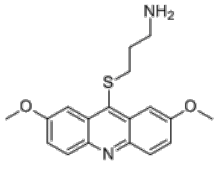
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LDN192960
Cat. No.	:	PC-21469
CAS No.	:	184582-62-5
Molecular Formula	:	$C_{18}H_{20}N_2O_2S$
Molecular Weight	:	328.43
Target	:	DYRK
Solubility	:	10 mM in DMSO



Biological Activity

LDN192960 (LDN 192960) is a highly potent and selective DYRK2 inhibitor with IC50 of 13 nM toward DYRK2 at 50 uM ATP.
LDN192960 suppresses Thr25 RPT3 phosphorylation in a dose-dependent manner in HEK293T cells transiently overexpressing DYRK2-FLAG, with maximal effects at 1-10 uM.
LDN192960 does not inhibit any of the 130+ kinases including other CMGC kinase family members that are closely related to the DYRKs.
LDN192960 binds to the ATP-binding pocket of DYRK2, also potently inhibits DYRK1A, DYRK3 and Pim with IC50 of <3, 122 and 10 nM, respectively.
LDN192960 perturbs proteasome activity, induces cell death, and impedes proliferation and invasion on MDA-MB-468 cells.
LDN192960 impedes MM progression and delays myeloma-mediated bone degeneration.
LDN192960 induces cytotoxicity in bortezomib-resistant MM, both in cells and in vivo. significantly alleviates tumor burden in standard and PDX TNBC models.

References

Banerjee S, et al. Proc Natl Acad Sci U S A. 2019 Dec 3;116(49):24881-24891.

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