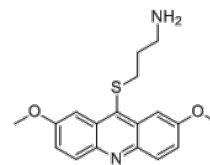


Product Name : LDN192960 hydrochloride
Cat. No. : PC-73113
CAS No. : 2309172-48-1
Molecular Formula : C₁₈H₂₂Cl₂N₂O₂S
Molecular Weight : 401.35
Target : Haspin Kinase
Solubility : 10 mM in DMSO



Biological Activity

LDN192960 hydrochloride (LDN-192960) is a highly potent and selective, dual **Haspin** and **DYRK2** inhibitor with IC₅₀ of 10 nM and 48 nM respectively.

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.

Correa-Sáez A, et al. *Cell Mol Life Sci*. 2020 Dec;77(23):4747-4763.

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

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