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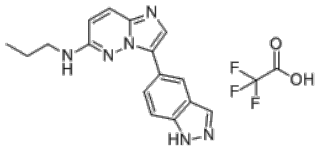
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CHR6494 trifluoroacetate
Cat. No.	:	PC-49322
CAS No.	:	1458630-17-5
Molecular Formula	:	$C_{18}H_{17}F_3N_6O_2$
Molecular Weight	:	406.369
Target	:	Haspin Kinase
Solubility	:	10 mM in DMSO



Biological Activity

CHR-6494 (CHR6494) trifluoroacetate is a potent, selective inhibitor of the histone kinase **Haspin** with IC50 of 2 nM, shows anti-proliferative effects in human cancer cells.
CHR-6494 displays selectivity over 27 other protein kinases including Aurora B kinase.
CHR-6494 inhibits cancer cell growth dose dependently with IC50 500 nM for HCT-116, 473 nM for HeLa and 752 nM for MDA-MB-231 cells.
CHR-6494 reduces H3T3ph levels in a dose-dependent manner and causes a mitotic catastrophe characterized by metaphase misalignment, spindle abnormalities and centrosome amplification.
CHR-6494 causes arrest in G2/M and subsequently apoptosis in cancer cells.
CHR-6494 demonstrates anti-angiogenetic features in ex vivo assays, shows antitumor potential in xenografted nude mice without any observed toxicity in vivo.

References

Huertas D, et al. ***Oncogene.*** 2012 Mar 15;31(11):1408-18.

Han L, et al. ***J Cancer***. 2017 Aug 25;8(15):2933-2943.

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