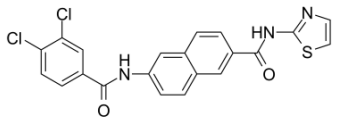
AAPK-25

Cat. No.:	HY-126249
CAS No.:	2247919-28-2
Molecular Formula:	$C_{21}H_{13}Cl_2N_3O_2S$
Molecular Weight:	442.32
Target:	Aurora Kinase; Polo-like Kinase (PLK); Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	AAPK-25 is a potent and selective **Aurora/PLK** dual inhibitor with anti-tumor activity, which can cause mitotic delay and arrest cells in a prometaphase, reflecting by the biomarker histone $H3^{Ser10}$ phosphorylation and followed by a surge in apoptosis. AAPK-25 targets Aurora-A, -B, and -C with **K_d** values ranging from 23-289 nM, as well as PLK-1, -2, and -3 with **K_d** values ranging from 55-456 nM[1].
IC_{50} & Target	Kd: 23 nM (Aurora-A), 78 nM (Aurora-B), 289 nM (Aurora-C), 55 nM (PLK-1), 272 nM (PLK-2), 456 nM (PLK-3), 5.32 μM (ERK), 7.11 μM (PI3K), 8.02 μM (CDK)[1]
In Vitro	AAPK-25 inhibits HCT-116, Calu6, A549 and MCF-7 cells growth with IC_{50}s of 0.4, 5.3, 11.6, and 2.3 μM, respectively[1]. AAPK-25 induces apoptosis as a dose-dependent manner in HCT-116 cell line[1]. AAPK-25 has significantly increased histone $H3^{Ser10}$ phosphorylation, indicating a markedly mitotic block[1]. AAPK-25 is in notably inhibition of the mitotic spindle checkpoint, which is mainly mediated by cell cycle signaling and mitotic pathways[1].
In Vivo	AAPK-25 enhances survival rate in the BALB/c nude mice tumor xenograft model[1].

REFERENCES

[1]. Qi B, et al. Discovery of inhibitors of Aurora/PLK targets as anti-cancer agents. J Med Chem. 2019 Aug 5.

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