Product Data Sheet

Product Name: AAPK-25
Cat. No.: GC35216

Chemical Properties

Cas. No.	2247919-28-2		
SMILES	ClC1=CC(C(NC2=CC=C(C=C(C(NC3=NC=CS3)=O)C=C4)C4=C2)=O)=CC=C1Cl		
Formula	$C_{21}H_{13}Cl_2N_3O_2S$	M.Wt	442.32
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AAPK-25		

Background

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 H3Ser10 phosphorylation and followed by a surge in apoptosis. AAPK-25 targets Aurora-A, -B, and -C with Kd values ranging from 23-289 nM, as well as PLK-1, -2, and -3 with Kd values ranging from 55-456 nM[1]. 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]

AAPK-25 inhibits HCT-116, Calu6, A549 and MCF-7 cells growth with IC50s 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 H3Ser10 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].

AAPK-25 enhances survival rate in the BALB/c nude mice tumor xenograft model[1].

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

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