**Proteins** 

## Inhibitors

## **Product** Data Sheet

## 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: 4°C, protect from light

\* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 50 mg/mL (113.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.2608 mL	11.3040 mL	22.6081 mL
otock octations	5 mM	0.4522 mL	2.2608 mL	4.5216 mL
	10 mM	0.2261 mL	1.1304 mL	2.2608 mL

Please refer to the solubility information to select the appropriate solvent.

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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 ${\rm H3}^{\rm Ser10}$ phosphorylation and followed by a surge in apoptosis. AAPK-25 targets Aurora-A, -B, and -C with K <sub>d</sub> values ranging from 23-289 nM, as well as PLK-1, -2, and -3 with K <sub>d</sub> values ranging from 55-456 nM <sup>[1]</sup> .
IC <sub>50</sub> & 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 <sub>50</sub> s of 0.4, 5.3, 11.6, and 2.3 μM, respectively <sup>[1]</sup> . AAPK-25 induces apoptosis as a dose-dependent manner in HCT-116 cell line <sup>[1]</sup> . AAPK-25 has significantly increased histone H3 <sup>Ser10</sup> phosphorylation, indicating a markedly mitotic block <sup>[1]</sup> . AAPK-25 is in notably inhibition of the mitotic spindle checkpoint, which is mainly mediated by cell cycle signaling and mitotic pathways <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AAPK-25 enhances survival rate in the BALB/c nude mice tumor xenograft model <sup>[1]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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