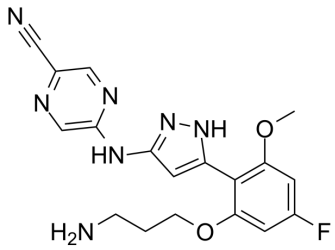
Chk1-IN-5

Cat. No.:	HY-131446
CAS No.:	2120398-39-0
Molecular Formula:	$C_{18}H_{18}FN_7O_2$
Molecular Weight:	383.38
Target:	Checkpoint Kinase (Chk)
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Chk1-IN-5 is a potent checkpoint kinase 1 (Chk1) inhibitor. Chk1-IN-5 inhibits Chk1 phosphorylation and inhibits tumor growth in colon cancer xenograft model[1].
IC_{50} & Target	Chk1
In Vitro	Chk1-IN-5 (compound 3; 0.4, 1.2, 3.7, 11.1, 33.3, 100 nM) inhibits Chk1 phosphorylation in the HT-29 colon cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Chk1-IN-5 (compound 3; 40 mg/kg; IV; twice a week for 21 days) inhibits tumor growth in Baib/c nude mice with HT-29 colon cancer cells[1]. Chk1-IN-5 (10 mg/kg; via tail vein intravenous injection) displays a longer half-life ($T_{1/2}$=3.8 hours) and higher exposure (CL=2.3 L/hr•kg; V_{ss}=6.4 L/kg; AUC=4531 ng/ml•h)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Baib/c nude mice with HT-29 colon cancer cells[1]
Dosage:	40 mg/kg
Administration:	IV; twice a week for 21 days
Result:	Inhibited tumor growth.

Animal Model:	Male SD rats (280-350 g)[1]
Dosage:	10 mg/kg
Administration:	Via tail vein intravenous injection
Result:	Displayed a longer half-life ($T_{1/2}$=3.8 hours) and higher exposure (CL=2.3 L/hr•kg; V_{ss}=6.4 L/kg; AUC=4531 ng/ml•h).

REFERENCES

[1]. Xiong Cai, et al. 3,5-disubstituted pyrazoles useful as checkpoint kinase 1 (chk1) inhibitors, and their preparations and applications. WO2017132928A1.

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