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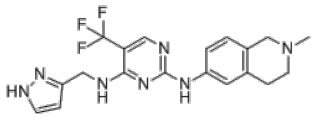
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PCC0208017
Cat. No.	:	PC-73077
CAS No.	:	2623158-64-3
Molecular Formula	:	$C_{19}H_{20}F_3N_7$
Molecular Weight	:	403.413
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

PCC0208017 is a potent, selective dual small-molecule inhibitor of **MARK3** and **MARK4** with IC50 of 1.8 and 2.01 nM, respectively.
PCC0208017 displays lower inhibitory activity against MARK1 and MARK2, with IC50 values of 31.4 and 33.7 nM respectively, with no strong inhibitory activity against 18 common oncogenic kinases.
PCC0208017 decreases the phosphorylation of Tau, suppresses the proliferation of glioma cells GL261, U87-MG and U251 cells with IC50 of 2.77, 4.02 and 4.45 uM.
PCC0208017 disrupts microtubule dynamics and induces G2/M phase cell cycle arrest and cell apoptosis, suppresses cell migration and inhibits endothelial tube formation.
PCC0208017 demonstrates robust antitumor activity in vivo and displays good BBB permeability.
Microtubule-affinity regulating kinases (MARKs) are novel mammalian serine/threonine kinases that phosphorylate microtubule associated proteins (MAPs), such as Tau, and regulate cell cycle progression and cytoskeletal dynamics. Four MARK isoforms have been identified in humans (MARK1, MARK2, MARK3 and MARK4) and all are highly enriched in human brain.

References

Fangfang Li, et al. ***Acta Pharm Sin B.*** 2020 Feb;10(2):289-300.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com