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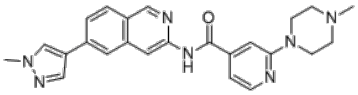
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Cirtuvivint
Cat. No.	:	PC-72099
CAS No.	:	2143917-62-6
Molecular Formula	:	$C_{24}H_{25}N_7O$
Molecular Weight	:	427.512
Target	:	Cdc2-like Kinase (CLK)
Solubility	:	10 mM in DMSO



Biological Activity

Cirtuvivint (SM08502) is a novel potent, selective inhibitor of CDC-like kinase (**CLK**) with IC50 of 2/22 nM against **CLK2/3**.
Cirtuvivint (SM08502) demonstrated 550-fold and 50-fold selectivity for CLK2 and CLK3 inhibitory activity, respectively, compared to CDK1 inhibition (IC50 = 1.1 uM), and good selectivity with 19 of 402 wild-type kinases (4.7%, IC50≤0.05 uM) or within 25-fold of that of CLK2.
Cirtuvivint (SM08502) also shows potential proximal targets included CLK1 (8 nM), CLK4 (1 nM), and the DYRK kinases (DYRK1A/1B, 2-13 nM).
Cirtuvivint (SM08502) strongly inhibited Wnt pathway signaling activity (EC50=46 nM) as assessed with the TOPflash β-catenin/TCF-responsive reporter assay in SW480 colon cancer cells, 10-fold more potent than PRI-724.
Cirtuvivint (SM08502) significantly inhibited Wnt3a-stimulated gene expression (AXIN2, LEF1) in HEK-293T cells; SM08502 inhibited SRSF phosphorylation and expression of Wnt pathway genes, SM08502 induced the generation of splicing variants of Wnt pathway genes.
Cirtuvivint (SM08502) significantly inhibited growth of gastrointestinal tumors and decreased SRSF phosphorylation and Wnt pathway gene expression in xenograft mouse models.

References

Tam BY, et al. ***Cancer Lett.*** 2020 Mar 31;473:186-197.

Martín Moyano P, et al. ***Int J Mol Sci.*** 2020 Oct 13;21(20):7549.

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