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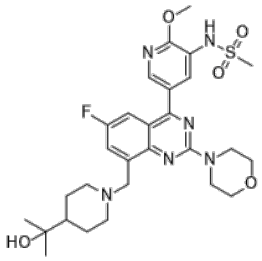
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Linperlisib
Cat. No.	:	PC-23166
CAS No.	:	1702816-75-8
Molecular Formula	:	$C_{28}H_{37}FN_6O_5S$
Molecular Weight	:	588.70
Target	:	PI3K
Solubility	:	10 mM in DMSO



Biological Activity

Linperlisib (YY-20394) is a potent, selective and orally active **PI3Kδ** inhibitor (IC50=4.6 nM), inhibitr PI3Kδ expressing human tumor cells growth both in vitro and in vivo.
Linperlisib (YY-20394) is less activity against PI3Kγ giving a kinase inhibition profile that is more PI3Kδ-selective by nearly 2 orders of magnitude
Linperlisib (YY-20394) significantly inhibited primary tumor growth in immune-competent mice with 4T1 and CT26 tumors, as well as 4T1 lung metastasis with dose dependency.
The anti-tumor efficacy of YY-20394 was largely mediated by T cells.
Linperlisib (YY-20394) synergistically enhanced the anti-tumor efficacy of anti-PD-L1 antibody in CT26 model and achieved long-term immune memory which is specific for CT26 tumors, but not for unrelated A20 tumors.
Linperlisib (YY-20394) significantly inhibited T cell differentiation into Treg both in mouse splenocyte and in human primary CD4+ T cells, and was especially potent inhibiting their IL-10 secretion in vitro.
Linperlisib (YY-20394) displayed significant anti-tumor efficacy in other syngeneic mouse tumor models tested including mouse colorectal cancer models MC-38 model in C57BL/c mice and CT26 model in BALB/c mice.

References

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ZuSheng Xu, et al. Cancer Immunol Res (2016) 4 (11_Supplement): B048.

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