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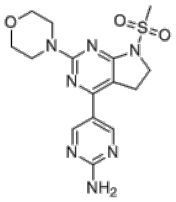
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Izorlisib
Cat. No.	:	PC-73424
CAS No.	:	1007207-67-1
Molecular Formula	:	$C_{15}H_{19}N_7O_3S$
Molecular Weight	:	377.423
Target	:	PI3K
Solubility	:	10 mM in DMSO



Biological Activity

Izorlisib (MEN1611, CH5132799) is a potent, selective, orally available **class I PI3K** inhibitor with IC50 of 14/120/400/36 nM against **PI3Kα/β/δ/γ**, respectively.
Izorlisib (CH5132799) shows less inhibition of class II PI3Ks, class III PI3k and mTOR and also no inhibitory activity (IC50 >10 μM) against 26 protein kinases.
Izorlisib (CH5132799) exhibits more inhibitory activities against PI3Kα with oncogenic mutations E542K (IC50 = 6.7 nM), E545K (IC50 = 6.7 nM) and H1047R (IC50 = 5.6 nM) than wild-type PI3Kα.
Izorlisib (CH5132799) effectively suppresses phosphorylation of Akt PRAS40 and FoxO1/3a and phosphorylation of downstream factors, including S6K, S6 and 4E-BP1 in breast cnacer KPL-4 cells.
Izorlisib (CH5132799) shows potent in vivo antitumor activity in several different xenograft models with PIK3CA mutations.

References

Ohwada J, et al. ***Bioorg Med Chem Lett***. 2011 Mar 15;21(6):1767-72.

Tanaka H, et al. ***Clin Cancer Res***. 2011 May 15;17(10):3272-81.

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