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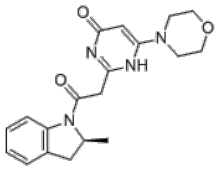
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	SAR260301
Cat. No.	:	PC-35880
CAS No.	:	1260612-13-2
Molecular Formula	:	$C_{19}H_{22}N_4O_3$
Molecular Weight	:	354.41
Target	:	PI3K
Solubility	:	10 mM in DMSO



Biological Activity

SAR260301 (SAR-260301, SAR 260301) is a potent, selective, ATP-competitive **PI3Kβ** inhibitor with IC50 of 52 nM in TR-FRET assays, with littile to no actiivty against PI3Kα/γ/δ (IC50=1,869/>10,000/403 nM, respectively).
SAR260301 does not inhibit mTOR (IC50 >10 uM, the only other kinase inhibited by SAR260301 was VPS34 lipid kinase (IC50=180 nM) in a panel of >400 kinases.
SAR260301 inhibits AKT-Ser473 phosphorylation in cellular assays with IC50 of 32 nM, 26-fold, 88-fold, >94-fold, and >313-fold more potently than PI3Kδ, PI3Kα, PI3Kγ, and VPS34, respectively.
SAR260301 inhibits the PI3K pathway preferentially in PTEN-deficient cells, synergizes with MAPK pathway inhibitors to inhibit growth of PTEN-deficient and BRAF-mutant melanoma cells in vitro and in vivo.

References

Bonnevaux H, et al. ***Mol Cancer Ther.*** 2016 Jul;15(7):1460-71.

Certal V, et al. ***J Med Chem.*** 2014 Feb 13;57(3):903-20.

Bédard PL, et al. ***Cancer.*** 2018 Jan 15;124(2):315-324.

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