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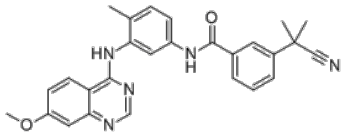
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AZ304
Cat. No.	:	PC-63581
CAS No.	:	942507-42-8
Molecular Formula	:	$C_{27}H_{25}N_5O_2$
Molecular Weight	:	451.53
Target	:	Raf
Solubility	:	10 mM in DMSO



Biological Activity

AZ304 is a potent, dual **BRAF** inhibitor targeting the kinase domains of wild type BRAF, V600E mutant BRAF and wild type CRAF with IC50 of 79 nM, 38 nM and 68 nM, respectively.
AZ304 also potentlt inhibits p38 and CSF1R with IC50 of 6 and 35 nM, has no activity for MAP3K7, CSK, IGF1R, EGFR, FGFR, CDK2, CDK4, JAK2, SRC (IC50>5 uM).
AZ304 potently reduces p-ERK with mean EC50 of 65 nM in the V600E mutant BRAF containing melanoma cell line A375, EC50 of 60 nM in wild type BRAF melanoma cell line SK-MEL-31.
AZ304 inhibits cell proliferation in mutant BRAF, wt BRAF/RAS and mutant RAS with IC50 of 0.08-7.72 uM, 0.43-11.7 uM 0.9-16.66 uM, respectively.
Cetuximab enhances the potency of AZ304 independently of BRAF mutational status in vivo.

References

Ma R, et al. ***Br J Cancer***. 2018 May 14. doi: 10.1038/s41416-018-0086-x.

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