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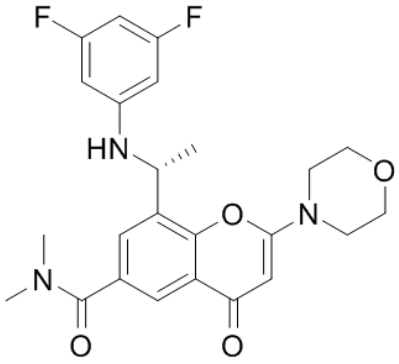
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AZD8186
Cat. No.	:	PC-42978
CAS No.	:	1627494-13-6
Molecular Formula	:	$C_{24}H_{25}F_2N_3O_4$
Molecular Weight	:	457.4698
Target	:	PI3K
Solubility	:	DMSO: ≥ 35 mg/mL



Biological Activity

AZD8186 is a potent, isoform-specific **PI3Kβ** inhibitor with IC50 of 4 nM, also inhibits PI3Kδ (IC50=12 nM) with selectivity over PI3Kα (IC50=35 nM) and PI3Kγ (IC50=675 nM).
AZD8186 inhibits ADP-induced human platelet aggregation with IC50 of 186 nM, shows no significant binding to 442 other kinases.
AZD8186 inhibits PI3Kβ-dependent activation of pAKT (Ser473) in MDA-MB-468 cells (IC50=3 nM), inhibits proliferation of MDA-MB-468 cells (GI50=65 nM).
AZD8186 suppresses phosphorylation of AKT, PRAS40, S6, and FOXO with IC50 of <10-300 nM in breast cancer cells, also induces nuclear translocation of FOXO3a in vitro.
AZD8186 effectively inhibits growth of prostate and TNBC tumors, both as a single agent and in combination with docetaxel.

References

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Barlaam B, et al. ***J Med Chem.*** 2015 Jan 22;58(2):943-62.

Schwartz S, et al. ***Cancer Cell.*** 2015 Jan 12;27(1):109-22.

Lynch JT, et al. ***Clin Cancer Res.*** 2017 Dec 15;23(24):7584-7595.

E-mail: tech@probechem.com