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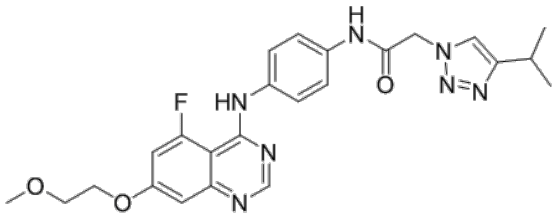
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AZD3229
Cat. No.	:	PC-35661
CAS No.	:	2248003-60-1
Molecular Formula	:	$C_{24}H_{26}FN_7O_3$
Molecular Weight	:	479.516
Target	:	c-Kit
Solubility	:	10 mM in DMSO



Biological Activity

AZD3229 (AZD-3229) is a potent, pan-**KIT mutant** inhibitor with potent single digit nM growth inhibition against a diverse panel of mutant KIT driven Ba/F3 cell lines (GI50=1-50 nM).
AZD3229 shows good margin to KDR-driven effects, also inhibits PDGFR mutants (Tel-PDGFRα, Tel-PDGFRβ, V561D/D842V).
AZD3229 inhibits a broad range of primary and imatinib-resistant secondary mutations seen in GIS.
In engineered and GIST-derived cell lines, AZD3229 is 15 to 60 times more potent than imatinib in inhibiting KIT primary mutations and has low nanomolar activity against a wide spectrum of secondary mutations.
AZD3229 causes durable inhibition of KIT signaling in patient-derived xenograft (PDX) models of GIST, leading to tumor regressions at doses that showed no changes in arterial blood pressure (BP) in rat telemetry studies.
AZD3229 has a superior potency and selectivity profile to standard of care (SoC) agents-imatinib, sunitinib, and regorafenib, as well as investigational agents, avapritinib (BLU-285) and ripretinib (DCC-2618).
AZD3229 exhibited effect against a much broader panel of KIT mutant Ba/F3 cell lines expressing a diverse set of clinically relevant primary and secondary mutations (exon 11 +del V654A, exon 11 +del D816H, exon 11 +del T670I, GI50=2-16 nM), including a small panel of PDGFR driven lines relevant in subsets of GIST.

References

Kettle JG, et al. ***J Med Chem.*** 2018 Sep 24. doi: 10.1021/acs.jmedchem.8b00938.
2. Pilla Reddy V, et al. ***Clin Cancer Res.*** 2020 Jul 15;26(14):3751-3759.

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