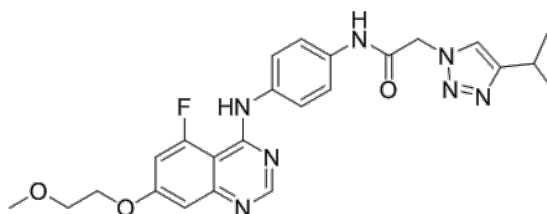


Product Name : AZD3229
Cat. No. : PC-35661
CAS No. : 2248003-60-1
Molecular Formula : C₂₄H₂₆FN₇O₃
Molecular Weight : 479.516
Target : c-Kit
Solubility : 10 mM in DMSO



Biological Activity

AZD3229 (Ubatitinib) 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-PDGFR α , Tel-PDGFR β , V561D/D842V).

AZD3229 inhibits a broad range of primary and imatinib-resistant secondary mutations seen in GIST.

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.
- Pilla Reddy V, et al. *Clin Cancer Res.* 2020 Jul 15;26(14):3751-3759.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com