Data Sheet

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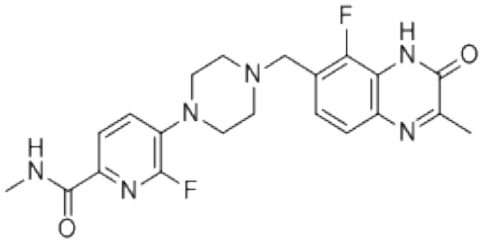
Product Name	:AZD9574
Cat.No.	:URK-V2330
CAS No.	:2756333-39-6
Molecular Formula	:$C_{21}H_{27}F_2N_7O_2$
Molecular Weight	:447.491
Target	:PARP
Solubility	:



Biological Activity

AZD9574 (AZD-9574) is a potent, selective and CNS penetrant PARP1 inhibitor, inhibits PARP1 enzymatic activity with IC50 of 0.3-2.0 nM in a panel of cell lines irrespective of the HRR status.
AZD9574 acts by selectively inhibiting and trapping PARP1 at the sites of SSBs.
AZD9574 exhibits >8000-fold selectivity for PARP1 compared to PARP2 and other members of the PARP family (PARP2, PARP3, PARP5a and PARP6) in biochemical assays.
AZD9574 inhibits colony formation assay in isogenic cell lines pairs confirmed higher potency and selectivity towards HRD+ models (DLD1 BRCA2-/-; SKOV-3 BRCA2-/- and SKOV-3 PALB2-/-), inhibits BRCA2-/- DLD1 cells with IC50 of 1.38 nM, and shows no effect against BRCA2wt cells.
AZD9574 demonstrated dose-dependent efficacy in a BRCA1 mutant MDA-MB-436 subcutaneous xenograft model.
AZD9574 (3 mg/kg) showed sustained tumour growth suppression resulting in a significantly extended survival of tumour-bearing intracranial xenograft model of breast cancer brain metastases.

References

1. Kunzah Jamal, et al. Cancer Res (2022) 82 (12_Supplement): 2609.

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