

AZD-2461

Catalog No: tcsc1402



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1174043-16-3

Formula:

$C_{22}H_{22}FN_3O_3$

Pathway:

Epigenetics;Cell Cycle/DNA Damage

Target:

PARP;PARP

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 100 mg/mL (252.89 mM)

Observed Molecular Weight:

395.43

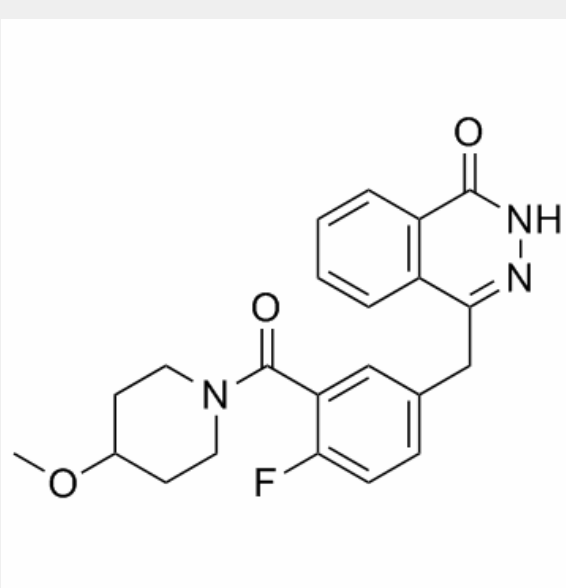
Product Description

AZD-2461 is a potent **PARP** inhibitor, with **IC₅₀**s of 5 nM, 2 nM and 200 nM for PARP1, PARP2 and PARP3, respectively.

IC50 & Target: IC50: 5 nM (PARP1), 2 nM (PARP2), 200 nM (PARP3)^[1]

In Vitro: AZD-2461 is a potent PARP inhibitor, with IC₅₀s of 5 nM, 2 nM and 200 nM for PARP1, PARP2 and PARP3, respectively. AZD-2461 (500 nM) shows inhibitory activity against DNA single-strand break repair in human A459 cells. AZD-2461 causes resistance and high P-gp expression levels in BRCA2-deficient mouse breast cancer line KB2P3.4^[1]. AZD-2461 is cytotoxic to BT-20 cells (5-50 μM), increases the proportions of S- and G2-phase BT-20 cells (5-20 μM), and weakly affects the progression of cell cycle in SKBr-3 cells (5-20 μM)^[2].

In Vivo: AZD-2461 (10 mg/kg, p.o.) enhances the antitumor activity of temozolomide in a mouse colorectal xenograft and exhibits low effect on mouse bone marrow cells. However, the increased bone marrow tolerability of AZD-2461 is not seen in rat models^[1]. AZD-2461 (0.5% v/w HPMC, p.o.) increases the survival of mice bearing KB1P tumors after short-term treatment, and long-term treatment is well tolerated, but can not lead to tumor eradication^[3].



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