Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 **IC_{50}**s of 5 nM, 2 nM and 200 nM for PARP1, PARP2 and PARP3, respectively.

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

In Vitro: AZD-2461 is a potent PARP inhibitor, with IC_{50}s 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 cuases 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].