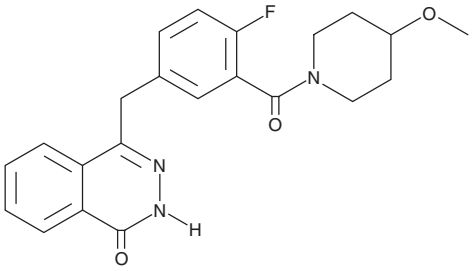
PRODUCT INFORMATION

AZD 2461

Item No. 16876

CAS Registry No.:	1174043-16-3
Formal Name:	4-[[4-fluoro-3-[(4-methoxy-1-piperidinyl)carbonyl]phenyl]methyl]-1(2H)-phthalazinone
MF:	$C_{22}H_{22}FN_3O_3$
FW:	395.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 277 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 2461 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 2461 in the solvent of choice, which should be purged with an inert gas. AZD 2461 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZD 2461 in these solvents is approximately 0.5, 2, and 3 mg/ml, respectively.

AZD 2461 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 2461 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 2461 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The poly(ADP-ribose) polymerases (PARPs) form a family of enzymes with roles in DNA repair and apoptosis, particularly in response to reactive oxygen and nitrogen species. AZD 2461 is a PARP inhibitor (IC_{50} = 5 nM) with low affinity for the P-glycoprotein drug efflux transporter.[1] It was developed to overcome the resistance encountered with olaparib (Item No. 10621) that is presumed to be mediated in part by P-glycoprotein-mediated drug efflux.[1] When tested on the olaparib-resistant KB1P tumor T6-28, which has an 80-fold increased *Mdr1b* expression, AZD 2461 did not affect P-glycoprotein.[1] Furthermore, it can induce a loss of p53 binding protein 1 expression in mice with KB1P tumors at 100 mg/kg.[1]

Reference

1. Jaspers, J.E., Kersbergen, A., Boon, U., *et al.* Loss of 53BP1 causes PARP inhibitor resistance in Brca1-mutated mouse mammary tumors. *Cancer Discov.* **3(1)**, 68-81 (2013).

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