

## Catalog # 10-2154 Olaparib

CAS# 763113-22-0

4-(3-(4-(Cyclopropanecarbonyl)piperazine-1-carbonyl)-4-fluorobenzyl)phthalazine-1(2H)-one AZD-2281; KU-59436

Lot # X106444

A highly potent and selective PARP-inhibitor with IC<sub>50</sub> values of 5 nM and 1 nM for PARP-1 and PARP-2, respectively.<sup>1</sup> In a genetically engineered mouse model for BRCA1-associated breast cancer olaparib inhibited tumor growth and improved survival with no signs of toxicity.<sup>2</sup> Synergizes with many other anticancer agents.<sup>3</sup> Shows efficacy in colorectal cancers.<sup>4</sup> Prevents house dust mite allergen-induced asthma in a mouse model.<sup>5</sup>

- 1) Menear et al. (2008), 4-[3-(4-Cyclopropanecarbonylpiperazine-1-carbonyl)-4-fluorobenzyl]-2H-phthalazin-1-one: a novel bioavailable inhibitor of poly(ADP-ribose)polymerase-1; J.Med.Chem. **51** 6581
- 2) Rottenberg et al. (2008), High sensitivity of BRCA1-deficient mammary tumors to the PARP inhibitor AZD2281 alone and in combination with platinum drugs; Proc.Natl.Acad.Sci.USA **105** 17079
- 3) Avila-Arroyo et al. (2015), Synergistic effect of Trabectedin and Olaparib combination regimen in breast cancer cell lines; J.Breast Cancer 18 329
- 4) Xu et al. (2015), Combined olaparib and oxaliplatin inhibits tumor proliferation and induces G2/M arrest and γ-H2AX foci formation in colorectal cancer; Onco.Targets Ther. **8** 3047
- 5) Ghonim et al. (2015), PARP is activated in human asthma and its inhibition by olaparib blocks house dust mite-induced disease in mice; Clin.Sci.(Lond) **129 9**51

## **PHYSICAL DATA**

Molecular Weight: 434.47

Molecular Formula:  $C_{24}H_{23}FN_4O_3$ Purity: >98% by TLC

NMR: (Conforms)

Solubility: DMSO (up to 33 mg/ml), or ethanol (up to 1.7 mg/ml)

Physical Description: Off-white solid

Storage and Stability: Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 1 month.

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