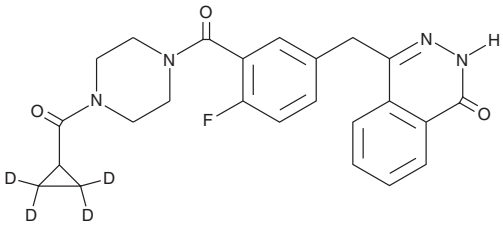
PRODUCT INFORMATION

Olaparib-d_4

Item No. 9002700

Formal Name:	4-[[3-[[4-(cyclopropylcarbonyl-d_4)-1-piperazinyl]carbonyl]-4-fluorophenyl]methyl]-1(2H)-phthalazinone
MF:	$C_{24}H_{19}D_4FN_4O_3$
FW:	438.5
Chemical Purity:	≥98% (Olaparib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_4); ≤1% d_0
UV/Vis.:	λ_{max}: 277 nm
Supplied as:	A solid
Storage:	4°C
Stability:	≥4 years



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

Laboratory Procedures

Olaparib-d_4 is intended for use as an internal standard for the quantification of olaparib (Item No. 10621) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Olaparib-d_4 is supplied as a solid. A stock solution may be made by dissolving the olaparib-d_4 in the solvent of choice. Olaparib-d_4 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of olaparib-d_4 in these solvents is approximately 10 and 3 mg/ml, respectively.

Description

Olaparib is a potent inhibitor of PARP1 and PARP2 (IC_{50}s = 5 and 1 nM, respectively) but is less effective against the PARP tankyrase-1 (IC_{50} = 1.5 µM).[1] It can be used in cells and in animals, alone or in combination therapy with alkylating agents, to block base excision repair and increase cancer cell death.[1-4]

References

1. Menear, K.A., Adcock, C., Boulter, R., *et al.* 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(20)**, 6581-6591 (2008).
2. Yuan, Y., Liao, Y.M., Hsueh, C.T., *et al.* Novel targeted therapeutics: Inhibitors of MDM2, ALK and PARP. *J. Hematol. Oncol.* **4(16)**, 1-14 (2011).
3. Plummer, R. Poly(ADP-ribose) polymerase inhibition: A new direction for BRCA and triple-negative breast cancer? *Breast Cancer Res.* **13(4)**, 1-6 (2011).
4. Javle, M. and Curtin, N.J. The potential for poly (ADP-ribose) polymerase inhibitors in cancer therapy. *Ther. Adv. Med. Oncol.* **3(6)**, 257-267 (2011).

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