

Catalog # 10-3321

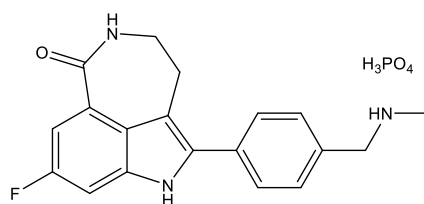
Rucaparib phosphate

CAS# 459868-92-9

8-Fluoro-1,3,4,5-tetrahydro-2-[4-[(methylamino)methyl]phenyl]-6H-pyrrolo[4,3,2-ef][2]benzazepin-6-one

AG-14699; PF01367338

Lot # X108293



Rucaparib is a potent ($K_i < 5$ nM) PARP1 inhibitor.¹ Cytotoxic to human cancer cells or xenograft tumors with mutated or epigenetically silenced BRCA1/2.² Demonstrates antiproliferative effects in 26 out of 39 ovarian cancer cell lines.³ Synergizes with other anticancer agents such as topotecan, carboplatin, doxorubicin, paclitaxel³ and temozolamide.⁴ Ameliorates the cardiotoxicity of doxorubicin.⁵ Recently approved for human use by the FDA.

- 1) Thomas *et al.* (2007), *Preclinical selection of a novel poly(ADP-ribose) polymerase inhibitor for clinical trial*; Mol. Cancer Ther., **6** 945
- 2) Drew *et al.* (2011), *Therapeutic potential of poly(ADP-ribose) polymerase inhibitor AG014699 in human cancers with mutated or methylated BRCA1 or BRCA2*; J. Natl. Cancer Inst., **103** 334
- 3) Ihnen *et al.* (2013), *Therapeutic potential of the poly(ADP-ribose) polymerase inhibitor rucaparib for the treatment of sporadic human ovarian cancer*; Mol. Cancer Ther., **12** 1002
- 4) Plummer *et al.* (2013), *A phase II study of the potent PARP inhibitor, Rucaparib (PF-01367338, AG014699), with temozolomide in patients with metastatic melanoma demonstrating evidence of chemopotentialiation*; Cancer Chemother. Pharmacol., **71** 1191
- 5) Ali *et al.* (2011), *The clinically active PARP inhibitor AG014699 ameliorates cardiotoxicity but does not enhance the efficacy of doxorubicin, despite improving tumor perfusion and radiation response in mice*; Mol. Cancer Ther., **10** 2320

PHYSICAL DATA

Molecular Weight:	421.36
Molecular Formula:	C ₁₉ H ₁₈ FN ₃ O · H ₃ PO ₄
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 25 mg/ml)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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