

## 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<sub>i</sub><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 n 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 chemopotentiation; 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

Solubility:

Molecular Formula: C<sub>19</sub>H<sub>18</sub>FN<sub>3</sub>O · H<sub>3</sub>PO<sub>4</sub> Purity: 98% by HPLC NMR: (Conforms)

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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