

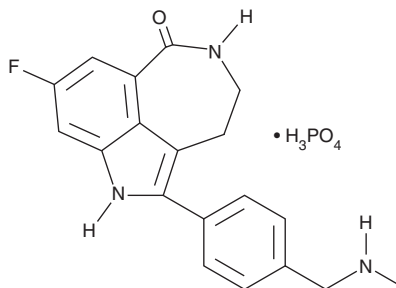
# PRODUCT INFORMATION



## Rucaparib (phosphate)

Item No. 11570

**CAS Registry No.:** 459868-92-9  
**Formal Name:** 8-fluoro-1,3,4,5-tetrahydro-2-[4-[(methylamino) methyl]phenyl]-6H-pyrrolo[4,3,2-ef][2] benzazepin-6-one, phosphate  
**Synonyms:** AG-014699, PF-01367338  
**MF:** C<sub>19</sub>H<sub>18</sub>FN<sub>3</sub>O • H<sub>3</sub>PO<sub>4</sub>  
**FW:** 421.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 208, 238, 279, 356 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

Rucaparib (phosphate) is supplied as a crystalline solid. A stock solution may be made by dissolving the rucaparib (phosphate) in the solvent of choice, which should be purged with an inert gas. Rucaparib (phosphate) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of rucaparib (phosphate) in these solvents is approximately 20 and 0.5 mg/ml, respectively.

Rucaparib (phosphate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rucaparib (phosphate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Rucaparib (phosphate) 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

Poly(ADP-ribose) polymerases (PARPs) are activated by DNA single- and double-strand breaks and promote repair of DNA damage through the relaxation of chromatin and recruitment of other repair proteins.<sup>1-3</sup> Inhibition of PARP activity has been linked to synthetic lethality in cells with mutations in *BRCA1* or *BRCA2*<sup>4</sup> and is used as a therapeutic strategy to selectively target cancers.<sup>5</sup> Rucaparib is a potent, cell-permeable inhibitor of PARP1 ( $K_i = <5$  nM) that is used in clinical therapy to sensitize cancer cells to chemotherapy.<sup>6,7</sup> Rucaparib inactivates PARP activity in cells with homologous recombination DNA repair pathway mutations at LC<sub>50</sub> values ranging from 1.3-5.5 μM.<sup>7</sup> At 25 mg/kg, rucaparib arrests tumor growth in mice bearing epigenetically silenced *BRCA1* UACC3199 xenograft tumors.<sup>7</sup> It has been shown to increase efficacy of temozolomide in medulloblastoma cells and xenografts.<sup>6</sup> Rucaparib (phosphate) is the phosphate salt of rucaparib (Item No. 15643) and has improved aqueous solubility.

### References

1. Yuan, Y., Liao, Y.-M., Hsueh, C.-T., et al. *J. Hematol. Oncol.* **4**(16), 1-14 (2011).
2. Javle, M. and Curtin, N.J. *Ther. Adv. Med. Oncol.* **3**(6), 257-267 (2011).
3. Plummer, R. *Breast Cancer Res.* **13**(4), 1-6 (2011).
4. Johnson, N., Li, Y.-C., Walton, Z.E., et al. *Nat. Med.* **17**(7), 875-882 (2011).
5. Rowe, B.P. and Glazer, P.M. *Breast Cancer Res.* **12**(2), 1-11 (2010).
6. Daniel, R.A., Rozanska, A.L., Mulligan, E.A., et al. *Br. J. Cancer* **103**, 1588-1596 (2010).
7. Drew, Y., Mulligan, E.A., Vong, W.-T., et al. *JNCI* **103**(4), 334-346 (2011).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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