

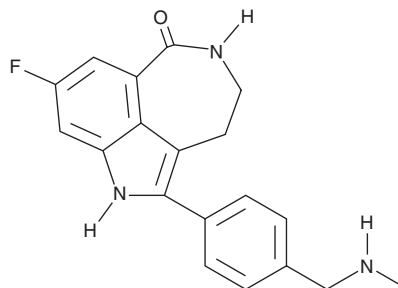
# PRODUCT INFORMATION



## Rucaparib

Item No. 15643

**CAS Registry No.:** 283173-50-2  
**Formal Name:** 8-fluoro-1,3,4,5-tetrahydro-2-[4-[(methylamino)methyl]phenyl]-6H-pyrrolo[4,3,2-ef][2]benzazepin-6-one  
**Synonym:** AG-014447  
**MF:** C<sub>19</sub>H<sub>18</sub>FN<sub>3</sub>O  
**FW:** 323.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 209, 239, 274, 347, 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the rucaparib in the solvent of choice, which should be purged with an inert gas. Rucaparib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of rucaparib in these solvents is approximately 1, 25, and 30 mg/ml, respectively.

Rucaparib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rucaparib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Rucaparib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF: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 and is used as a therapeutic strategy to selectively target cancers.<sup>4,5</sup> Rucaparib is a potent, cell-permeable inhibitor of PARP1 (K<sub>i</sub> = <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>

### References

1. Yuan, Y., Liao, Y.-M., Hsueh, C.-T., et al. *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(10)**, 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.

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