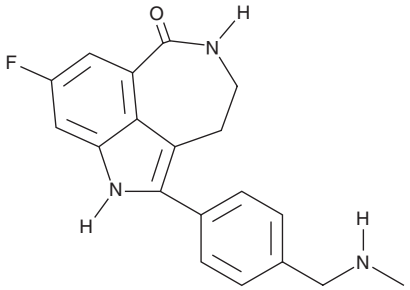
PRODUCT INFORMATION

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: $C_{19}H_{18}FN_3O$
FW: 323.4
Purity: ≥98%
UV/Vis.: λ_{max}: 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.[1-3] 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.[4,5] 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.[6,7] Rucaparib inactivates PARP activity in cells with homologous recombination DNA repair pathway mutations at LC_{50} values ranging from 1.3-5.5 µM.[7] At 25 mg/kg, rucaparib arrests tumor growth in mice bearing epigenetically silenced BRCA1 UACC3199 xenograft tumors.[7] It has been shown to increase efficacy of temozolomide in medulloblastoma cells and xenografts.[6]

References

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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).
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