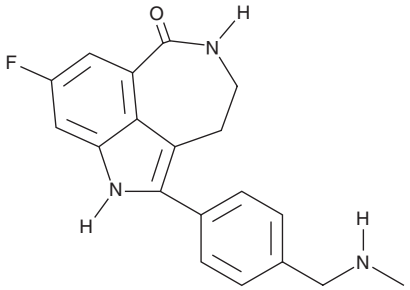
PRODUCT INFORMATION

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

Rucaparib is a poly(ADP-ribose) polymerase 1 (PARP1) inhibitor.[1] It reduces PARP1 activity in D283 Med medulloblastoma cells by 91.7% when used at a concentration of 1 µM. Rucaparib selectively inhibits the proliferation of MDA-MB-436 breast cancer cells expressing mutant *BRCA1* (IC_{50}s = 1.3 µM) over MCF-7 breast cancer cells expressing wild-type *BRCA1* and *BRCA2* (IC_{50}s = 20.2 µM).[2] It potentiates the growth inhibition induced by the DNA alkylating agent prodrug temozolomide (Item No. 14163) in D283 Med and D384 Med medulloblastoma cells when used at a concentration of 0.4 µM.[1] *In vivo*, rucaparib (1 mg/kg per day) potentiates temozolomide-induced delays in tumor growth in D283 Med and D384 Med mouse xenograft models. Formulations containing rucaparib have been used in the treatment of *BRCA* mutation-associated, drug-resistant ovarian, fallopian tube, or primary peritoneal cancers.

References

1. Daniel, R.A., Rozanska, A.L., Mulligan, E.A., *et al.* Central nervous system penetration and enhancement of temozolomide activity in childhood medulloblastoma models by poly(ADP-ribose) polymerase inhibitor AG-014699. *Br. J. Cancer* **103(10)**, 1588-1596 (2010).
2. Drew, Y., Mulligan, E.A., Vong, W.T., *et al.* Therapeutic potential of poly(ADP-ribose) polymerase inhibitor AG014699 in human cancers with mutated or methylated *BRCA1* or *BRCA2*. *JNCI* **103(4)**, 334-346 (2011).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM