

Open Peer Review on Qeios

Rucaparib Phosphate

National Cancer Institute

Source

National Cancer Institute. <u>Rucaparib Phosphate</u>. NCI Thesaurus. Code C78186.

The phosphate salt form of rucaparib, an orally bioavailable tricyclic indole and inhibitor of poly(ADP-ribose) polymerases (PARPs) 1 (PARP1), 2 (PARP2) and 3 (PARP3), with potential chemo/radiosensitizing and antineoplastic activities. Upon administration, rucaparib selectively binds to PARP1, 2 and 3 and inhibits PARP-mediated DNA repair. This enhances the accumulation of DNA strand breaks, promotes genomic instability and induces cell cycle arrest and apoptosis. This may enhance the cytotoxicity of DNA-damaging agents and reverse tumor cell resistance to chemotherapy and radiation therapy. PARPs are enzymes activated by single-strand DNA breaks that catalyze the post-translational ADP-ribosylation of nuclear proteins, which induces signaling and the recruitment of other proteins to repair damaged DNA. The PARP-mediated repair pathway plays a key role in DNA repair and is dysregulated in a variety of cancer cell types.