

Product Name : Fluzoparib
Synonyms : SHR3162, HS10160
Cat No. : M22887
CAS Number : 1358715-18-0
Molecular Formula : C₂₂H₁₆F₄N₆O₂
Formula Weight : 472.4
Chemical Name : —

Description : fluzoparib is a novel, potent, and orally available inhibitor of PARP, potentially for the treatment of solid tumours. Fluzoparib potently inhibited PARP1 enzyme activity and induced DNA double-strand breaks, G₂/M arrest, and apoptosis in homologous recombination repair (HR)-deficient cells. Fluzoparib preferentially inhibited the proliferation of HR-deficient cells and sensitized both HR-deficient and HR-proficient cells to cytotoxic drugs. Notably, fluzoparib showed good pharmacokinetic properties, favorable toxicity profile, and superior antitumor activity in HR-deficient xenografts models. Furthermore, fluzoparib in combination with apatinib or with apatinib plus paclitaxel elicited significantly improved antitumor responses without extra toxicity. In vitro experiments in NSCLC cell lines along with in vivo experiments using an NSCLC xenograft mouse model demonstrated the radiosensitization effect of fluzoparib. The underlying mechanisms involved increased apoptosis, cell-cycle arrest, enhanced irradiation-induced DNA damage, and delayed DNA-damage repair.

Pathway : Cell Cycle/DNA Damage

Target : PARP

Receptor : PARP

Solubility : DMSO:94 mg/mL (198.98 mM)

SMILES : C1CN2C(=NC(=N2)C(F)(F)F)CN1C(=O)C3=C(C=CC(=C3)CC4=NNC(=O)C5=CC=CC=C54)F

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Wang L, Yang C, Xie C, et al. Pharmacologic characterization of fluzoparib, a novel poly(ADP-ribose) polymerase inhibitor undergoing clinical trials[J]. Cancer Science, 2019.