Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Rucaparib (phosphate)

Catalog No: tcsc2780

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
459868-92-9

Formula:
$C_{19}H_{21}FN_3O_5P$

Pathway:
Epigenetics;Cell Cycle/DNA Damage

Target:
PARP;PARP

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (78.32 mM)

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Alternative Names:
AG-014699 phosphate;PF-01367338 phosphate

Observed Molecular Weight:
421.36

Product Description

Rucaparib (phosphate) is an inhibitor of **PARP** with $\mathbf{K_i}$ of 1.4 nM for PARP1 in a cell-free assay, and also shows binding affinity to eight other PARP domains.

IC50 & Target: Ki: 1.4 nM (PARP1)[1]

In Vitro: Rucaparib is the most potent PARP inhibitor in enzyme assays (K_i, 1.4 nM), and a possible N-demethylation metabolite of AG14644[1]. The radio-sensitization by Rucaparib is due to downstream inhibition of activation of NF-κB, and is independent of SSB repair inhibition. Rucaparib could target NF-κB activated by DNA damage and overcome toxicity observed with classical NF-κB inhibitors without compromising other vital inflammatory functions[2]. Rucaparib inhibits PARP-1 activity by 97.1% at a concentration of 1 μM in permeabilised D283Med cells[3].

In Vivo: Rucaparib and AG14584 significantly (P [1]. Rucaparib is not toxic but significantly enhances temozolomide-induced TGD in the DNA repair protein-competent D384Med xenografts. Pharmacokinetics studies also show that Rucaparib is detected in the brain tissue, which indicates that Rucaparib has potential in intra-cranial malignancy therapy[3]. Rucaparib significantly potentiates the cytotoxicity of topotecan and temozolomide in NB-1691, SH-SY-5Y, and SKNBE (2c) cells. Rucaparib enhances the antitumor activity of temozolomide and indicates complete and sustained tumor regression in NB1691 and SHSY5Y xenografts[4].