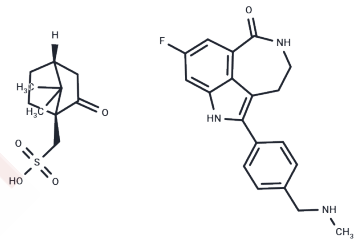
Data Sheet (Cat.No.T16807)

TargetMol

Rucaparib monocamsylate

Chemical Properties



CAS No. : 1859053-21-6

Formula: C29H34FN3O5S

Molecular Weight: 555.66

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Rucaparib monocamsylate (Rucaparib Camsylate) is a PARP inhibitor (PARP1,Ki of 1.4 nM). Rucaparib Camsylate also displays binding affinity to eight other PARP domains.
Targets(IC50)	PARP
In vitro	Rucaparib is the most effective PARP inhibitor in enzyme assays (Ki: 1.4 nM). Rucaparib inhibits PARP-1 activity by 97.1% at a concentration of 1 μM in permeabilized D283Med cells. Rucaparib could target NF-κB activated by DNA damage and overcome toxicity observed with classical NF-κB inhibitors without compromising other vital inflammatory functions. The radio-sensitization by Rucaparib is due to downstream inhibition of activation of NF-κB and is independent of SSB repair inhibition [1][2][3].
In vivo	Rucaparib is not toxic but obviously enhances temozolomide-induced TGD in the DNA repair protein-competent D384Med xenografts. Rucaparib and AG14584 obviously ($P < 0.05$) increase temozolomide toxicity. Rucaparib enhances the antitumor activity of temozolomide and indicates complete and sustained tumor regression in NB1691 and SHSY5Y xenografts. Rucaparib significantly potentiates the cytotoxicity of topotecan and temozolomide in NB-1691, SH-SY-5Y, and SKNBE (2c) cells. Rucaparib (1 mg/kg) significantly increases temozolomide-induced body weight loss. Rucaparib (0.1 mg/kg) results in a 50% increase in the temozolomide-induced tumor growth delay. Pharmacokinetics studies also show that Rucaparib is detected in the brain tissue, which indicates that Rucaparib has potential in intra-cranial malignancy therapy [1][3][4].

Solubility Information

Solubility	DMSO: 82.33 mg/mL (148.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7997 mL	8.9983 mL	17.9966 mL
5 mM	0.3599 mL	1.7997 mL	3.5993 mL
10 mM	0.180 mL	0.8998 mL	1.7997 mL
50 mM	0.036 mL	0.180 mL	0.3599 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Thomas HD, et al. Preclinical selection of a novel poly(ADP-ribose) polymerase inhibitor for clinical trial. Mol Cancer Ther, 2007, 6(3), 945-956.

Hunter JE, et al. NF-κB mediates radio-sensitization by the PARP-1 inhibitor, AG-014699. Oncogene, 2012, 31(2), 251-264.

Daniel RA, 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, 2010, 103(10), 1588-1596.

Daniel RA, et al. Inhibition of poly(ADP-ribose) polymerase-1 enhances temozolomide and topotecan activity against childhood neuroblastoma. Clin Cancer Res, 2009, 15(4), 1241-1249.

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