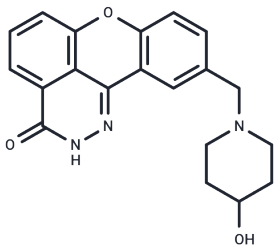
Data Sheet (Cat.No.T61189)

TargetMol

E7016

Chemical Properties



CAS No. : 902128-92-1

Formula: C20H19N3O3

Molecular Weight: 349.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	E7016 (GPI 21016) is an orally available PARP inhibitor that inhibits DNA repair and enhances tumor cell radiosensitivity both in vitro and in vivo.
Targets(IC50)	PARP
In vitro	E7016 (3 μM) enhances radiosensitization by an increase in the number of cells undergoing mitotic catastrophe and not an increase in the number of cells undergoing apoptosis[1]. E7016 inhibits PARP by mimicking NAD+[2].
In vivo	Administration of E7016 (40 mg/kg; oral gavage) to mice bearing U251 xenografts enhances the effectiveness of the Temozolomide/radiation combination. Mice treated with E7016/irradiation/Temozolomide have an additional growth delay of six days compared with the combination of irradiation/Temozolomide in vivo[1].

Solubility Information

Solubility	DMSO: 22.5 mg/mL (64.4 mM),Sonication and heating to 60°C are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8622 mL	14.3111 mL	28.6221 mL
5 mM	0.5724 mL	2.8622 mL	5.7244 mL
10 mM	0.2862 mL	1.4311 mL	2.8622 mL
50 mM	0.0572 mL	0.2862 mL	0.5724 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Andrea L Russo, et al. In vitro and in vivo radiosensitization of glioblastoma cells by the poly (ADP-ribose) polymerase inhibitor E7016. Clin Cancer Res. 2009 Jan 15;15(2):607-12.

W George Lai, et al. A Baeyer-Villiger oxidation specifically catalyzed by human flavin-containing monooxygenase 5. Drug Metab Dispos. 2011 Jan;39(1):61-70.

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