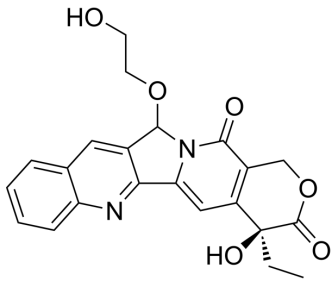
DRF-1042

Cat. No.:	HY-125331
CAS No.:	200619-13-2
Molecular Formula:	$C_{22}H_{20}N_2O_6$
Molecular Weight:	408.4
Target:	Topoisomerase; ADC Cytotoxin
Pathway:	Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (122.43 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4486 mL	12.2429 mL	24.4858 mL
	5 mM	0.4897 mL	2.4486 mL	4.8972 mL
	10 mM	0.2449 mL	1.2243 mL	2.4486 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.12 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DRF-1042 is an orally active derivative of Camptothecin. DRF-1042 acts to inhibit DNA topoisomerase I. DRF-1042 shows good anticancer activity against a panel of human cancer cell lines including multi-agent resistance (MDR) phenotype[1][2].

IC_{50} & Target

DNA topoisomerase I[1]

In Vitro

DRF-1042 demonstrates superior lactone stability and good in vitro anticancer activity against a panel of human cancer cell lines including multi-drug resistance (MDR) phenotype[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	In clonogenic assay against murine, canine and human bone marrow cells, DRF-1042 treatment shows less mylosupression that supports the possibility of protracted dose schedule in both experimental and clinical studies[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Chatterjee A, et al. Safety, tolerability, and pharmacokinetics of a capsule formulation of DRF-1042, a novelcamptothecin analog, in refractory cancer patients in a bridging phase I study. J Clin Pharmacol. 2005 Apr;45(4):453-60.

[2]. Sriram Rajagopal, et al. Preclinical evaluation of the anticancer activity of DRF-1042, a novel camptothecin analog targeting Topoisomerase-I. Published April 2004.

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