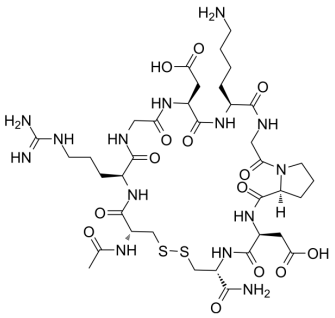
Certepetide

Cat. No.:	HY-P3448
CAS No.:	2580154-02-3
Molecular Formula:	$C_{37}H_{60}N_{14}O_{14}S_2$
Molecular Weight:	989.09
Sequence Shortening:	Ac-CRGDKGPDC-NH2 (Disulfide bridge: Cys1-Cys9)
Target:	Integrin; Complement System
Pathway:	Cytoskeleton; Immunology/Inflammation
Storage:	Sealed storage, away from moisture and light, under nitrogen Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (50.55 mM)
DMSO : 2.33 mg/mL (2.36 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0110 mL	5.0552 mL	10.1103 mL
5 mM	0.2022 mL	1.0110 mL	2.0221 mL
10 mM	0.1011 mL	0.5055 mL	1.0110 mL

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

BIOLOGICAL ACTIVITY

Description

Certepetide (CEND-1) is a bifunctional cyclic peptide (a.k.a. iRGD). Certepetide is a tumor-penetrating enhancer via RGD motif interaction with alphav-integrins and via activating NRP-1, and transforms the solid tumor microenvironment into a temporary agent conduit. Certepetide accumulates in tumors, and is used in the research of pancreatic cancer and other solid tumors[1][2][3].

IC_{50} & Target

Alphav-integrins, NRP-1[3].

In Vivo

CEND-1 (iRGD) can modulate the solid tumour microenvironment, enhancing the delivery and therapeutic index of co-administered anti-cancer agents[4].
CEND-1 enhances the penetration of anticancer therapeutics specifically into tumours, but not into normal tissues, it also holds the potential for dose reductions, which can attenuate side effects[4].

CEND-1 (intravenously injected) increases the levels of co-administered Evans blue approximately threefold[5].

Table 1. Derived mean pharmacokinetic parameters for CEND-1 in mouse, rat, dog and monkey plasma after a single intravenous [5].

Number of Animals (Sex)	CEND-1 Dose (mg/kg)	$t_{1/2}$ (h)	C_0 (ng/mL)	V (mL/kg)	Cl_obs (mL/hr/kg
Mouse *					
3 (M)	1.5	0.306	10,343	449	1016
3 (M)	13.5	0.547	68,358	1007	1277
Rat*					
6 (M)	75	0.341	469,000	171	348
6 (F)	75	0.391	436,333	254	451
Dog*					
3 (M)	1	0.665 (0.0448)	6010 (1985)	241 (27)	253 (40.1)
3 (F)	5	0.648 (0.0486)	25,133 (3635)	230 (14.6)	247 (32.9)
Monkey*					
3 (M)	5	0.888 (0.0963)	55,082 (19,905)	204 (14.1)	179 (23.4)
3 (M)	50	0.956 (0.0869)	602,161 (211,386)	162 (32.1)	178 (51.9)

Note:* Because of volume limitations in the mice and rats, each animal was used for one time point sampling, limiting the statistical analysis of the data. M, male; F, female.

REFERENCES

[1]. International Nonproprietary Names for Pharmaceutical Substances (INN). WHO Drug Information, Vol. 36, No. 2, 2022

[2]. Ruoslahti Erkki, et al. Methods for treating pancreatic cancer and other solid tumors. WO2021226148.

[3]. Andrew Peter Dean, et al. Updated single institution outcome data from the first-in-human CEND-1 trial in metastatic pancreatic cancer. Journal of Clinical Oncology 2021 39:15_suppl, e16274-e16274

[4]. Harri A Järveläinen, et al. Assessment of the Pharmacokinetics, Disposition, and Duration of Action of the Tumour-Targeting Peptide CEND-1. Int J Mol Sci. 2023 Mar 16;24(6):5700.

[5]. Schmithals, C, et al. Improving Drug Penetrability with iRGD Leverages the Therapeutic Response to Sorafenib and Doxorubicin in Hepatocellular Carcinoma. Cancer

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA