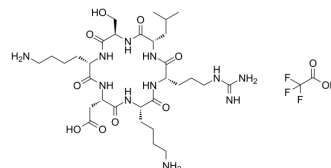


cyclo(RLsKDK) TFA

Cat. No.:	HY-P1676A
Molecular Formula:	C ₃₃ H ₅₈ F ₃ N ₁₁ O ₁₁
Molecular Weight:	841.88
Sequence:	Cyclo(Arg-Leu-[d-Ser]-Lys-Asp-Lys)
Sequence Shortening:	Cyclo(RL-[d-Ser]-KDK)
Target:	MMP
Pathway:	Metabolic Enzyme/Protease
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

H₂O : 100 mg/mL (118.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
	1 mM		1.1878 mL	5.9391 mL	11.8782 mL
	5 mM		0.2376 mL	1.1878 mL	2.3756 mL
	10 mM		0.1188 mL	0.5939 mL	1.1878 mL

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

BIOLOGICAL ACTIVITY

Description

cyclo(RLsKDK) (TFA) (BK-1361 (TFA)) is a specific inhibitor of metalloproteinase ADAM8 with an IC₅₀ value of 182 nM. cyclo(RLsKDK) (TFA) has potential applications in inflammatory diseases and cancer^[1].

In Vitro

cyclo(RLsKDK) (TFA) promotes ADAM8 activation and CD23 shedding with IC₅₀ values of 120 nM and 182 nM, respectively^[2].
 cyclo(RLsKDK) (TFA) (200 nM; 0-120 h) increases activity of pro-ADAM8^[2].
 cyclo(RLsKDK) (TFA) (200 nM and 500 nM; 12 h) promotes the growth of Panc1_ctrl and Panc1_A8 cells^[2].
 cyclo(RLsKDK) (TFA) (500 nM) causes ERK1/2 phosphorylation in Panc1_ctrl and Panc1_A8 cells^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
 Cell Invasion Assay^[2]

Cell Line:	Panc1_A8 cells.
Concentration:	10, 100 and 1,000 nM.

	<table border="1"> <tr> <td data-bbox="318 96 613 191">Incubation Time:</td> <td data-bbox="613 96 1529 191">6 h.</td> </tr> <tr> <td data-bbox="318 191 613 275">Result:</td> <td data-bbox="613 191 1529 275">Reduced cell invasion with dose-dependent manner.</td> </tr> </table>	Incubation Time:	6 h.	Result:	Reduced cell invasion with dose-dependent manner.
Incubation Time:	6 h.				
Result:	Reduced cell invasion with dose-dependent manner.				
In Vivo	<p>cyclo(RLsKDK) (TFA) (10 µg/g; i.p.; once weekly for 4 weeks) significantly reduces tumour load in mice which implant Panc1_ctrl or Panc1_A8 cells. cyclo(RLsKDK) (TFA) improves the survival rate of pancreatic ductal adenocarcinoma (PDAC) mice, reduces soluble ADAM8 (sADAM8) content, pERK1/2 activation, and PDAC metastasis in the liver and lungs of PDAC mice^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>				

REFERENCES

- [1]. Yim V, et al. Synthesis and biological evaluation of analogues of the potent ADAM8 inhibitor cyclo(RLsKDK) for the treatment of inflammatory diseases and cancer metastasis. *Bioorg Med Chem*. 2016 Sep 15;24(18):4032-4037.
- [2]. Schlomann U, et al. ADAM8 as a drug target in pancreatic cancer. *Nat Commun*. 2015 Jan 28;6:6175.

Caution: Product has not been fully validated for medical applications. For research use only.

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