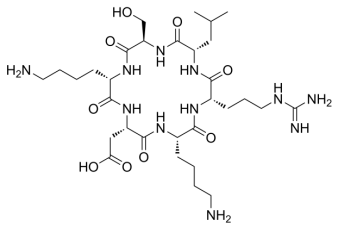
cyclo(RLsKDK)

Cat. No.:	HY-P1676
CAS No.:	1975145-82-4
Molecular Formula:	$C_{31}H_{57}N_{11}O_9$
Molecular Weight:	727.85
Sequence:	Cyclo(Arg-Leu-{d-Ser}-Lys-Asp-Lys)
Sequence Shortening:	Cyclo(RL-{d-Ser}-KDK)
Target:	MMP
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

cyclo(RLsKDK) (BK-1361) is a specific inhibitor of metalloproteinase ADAM8 with an IC_{50} value of 182 nM. cyclo(RLsKDK) has potential applications in inflammatory diseases and cancer[1].

In Vitro

cyclo(RLsKDK) promotes ADAM8 activation and CD23 shedding with IC_{50} values of 120 nM and 182 nM, respectively[2].
cyclo(RLsKDK) (200 nM; 0-120 h) increases activity of pro-ADAM8[2].
cyclo(RLsKDK) (200 nM and 500 nM; 12 h) promotes the growth of Panc1_ctrl and Panc1_A8 cells[2].
cyclo(RLsKDK) (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.
Incubation Time:	6 h.
Result:	Reduced cell invasion with dose-dependent manner.

In Vivo

cyclo(RLsKDK) (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) 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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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