

Product Name: Mastoparan
Cat. No.: GC12692

Cas. No. 72093-21-1

Chemical Name	(S,Z)-2-((Z)-((2S,3S)-2-amino-1-hydroxy-3-methylpentylidene)amino)-N'1-((4S,5Z,7S,8Z,10S,11Z,13S,14Z,16S,17Z,19S,20Z,22S,23Z,25S,26Z,28S,29Z,31S,32Z,34S,35Z,37S)-10,13,34-tris(4-aminobutyl)-7-((S)-sec-butyl)-6,9,12,15,18,21,24,27,30,33,36-undecahydroxy-4-
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[illegible]

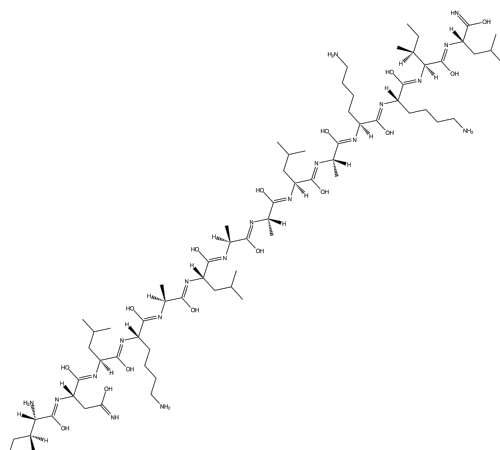
Formula	C ₇₀ H ₁₃₁ N ₁₉ O ₁₅	M.Wt	1479
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Solubility	Soluble to 2.60 mg/ml in sterile water	Storage	Desiccate at -20°C
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General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
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Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
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Structure



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

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Cell experiment [1]:

Cell lines Immortalized human dermal microvessel endothelial cells

The growth of immortalized human dermal microvessel endothelial cells (HMECs) occurred in MCDB-131 medium which contained 10% inactivated FBS and 2mM glutamine as well as 100U/ml penicillin and 100µg/ml streptomycin.

Preparation Method HMECs were seeded in 24-well culture plates (approximate cell density, 3×10^5 cells/well) containing medium supplemented with 5% heat-inactivated FBS. After cells reached confluence, cells were stimulated for 6h with lipopolysaccharide (LPS) at 10ng/ml, with or without a 30min preincubation of cells with Mastoparan (0, 5, 10, 15, 20, and 25µM). Supernatants were harvested and assayed for IL-6 activity by ELISA.

Reaction Conditions 0, 5, 10, 15, 20, and 25µM; 30min

Applications Mastoparan exerted a dose-dependent inhibition on the expression and secretion of IL-6 induced by LPS.

Animal experiment [2]:

Animal models C57BL/6 mice

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Preparation Method	At 6 to 8 weeks of age of male C57BL/6 mice, 5×10^4 viable B16F10-Nex2 cells were subcutaneously (s.c.) inoculated on the right side of male C57BL/6 mice.
	When the tumor reached a volume of 100mm^3 , the mice received 5 weekly intratumoral doses of Mastoparan (5mg/kg/day). The untreated tumor control group received 100 μ L PBS. After administration of the therapeutic dose, the tumor size was measured daily using a caliper. The tumor volume (V) was calculated using the formula $V=0.52 \times d^2 \times D$, where d and D are the short and long diameters of the tumor, respectively. When the tumor size reached a maximum of 3000mm^3 , the animals were sacrificed for subsequent experimental analysis.
Dosage form	5mg/kg/day for 5 weeks a day; i.t.
Applications	Mastoparan treatment inhibited the growth of subcutaneous melanoma in mice and increased the survival rate.

References:

- [1] Lentschat A, Karahashi H, Michelsen K S, et al. Mastoparan, a G protein agonist peptide, differentially modulates TLR4-and TLR2-mediated signaling in human endothelial cells and murine macrophages[J]. The Journal of Immunology, 2005, 174(7): 4252-4261.
- [2] de Azevedo R A, Figueiredo C R, Ferreira A K, et al. Mastoparan induces apoptosis in B16F10-Nex2 melanoma cells via the intrinsic mitochondrial pathway and displays antitumor activity in vivo[J]. Peptides, 2015, 68: 113-119.

Background

Mastoparan, a peptide toxin from wasp venom, induces stimulation of phosphoinositide breakdown with an EC_{50} value of $9\mu\text{M}$ ^[1]. Mastoparan accelerates guanosine-5'-3-O-thiotriphosphate binding and consequent GTP-binding regulatory protein (G protein) activation in part by enhancing the dissociation of bound GDP^[2]. Mastoparan has been widely used in anti-inflammatory studies and as a model compound to develop related derivatives^[3].

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In vitro, Mastoparan exhibited an anticancer towards Hep-G2 cells with $IC_{50}=189.59\pm0.6\mu\text{g/ml}$ after 24h treatment^[4]. Treatment with 25 μM Mastoparan for 8h significantly induced cell death in Jurkat T leukemia cells and normal peripheral blood cells^[5]. Mastoparan treatment at 20 μM within 1min significantly induced a rapid increase in cytosolic-free Ca^{2+} concentration elevation in Tobacco BY-2 cells^[6].

In vivo, Mastoparan treatment via a peritumor route at 5 mg/kg/day for 5 consecutive days inhibited the tumor growth in the murine melanoma model^[7]. The combination therapy of gemcitabine (60mg/kg/day) and Mastoparan (6mg/kg/day) for 14 days via intraperitoneal injection significantly inhibited tumor progression in a mouse model of breast cancer^[5].

References:

- [1] Gusovsky F, Soergel D G, Daly J W. Effects of mastoparan and related peptides on phosphoinositide breakdown in HL-60 cells and cell-free preparations[J]. European Journal of Pharmacology: Molecular Pharmacology, 1991, 206(4): 309-314.
- [2] Higashijima T, Uzu S, Nakajima T, et al. Mastoparan, a peptide toxin from wasp venom, mimics receptors by activating GTP-binding regulatory proteins (G proteins)[J]. Journal of Biological Chemistry, 1988, 263(14): 6491-6494.
- [3] Chen X, Zhang L, Wu Y, et al. Evaluation of the bioactivity of a mastoparan peptide from wasp venom and of its analogues designed through targeted engineering[J]. International journal of biological sciences, 2018, 14(6): 599.
- [4] Elsharkawy A M, Galhom R A, Amin B H, et al. In Vitro Evaluation of Some Therapeutic Applications of Wasp Venom and Mastoparan[J]. Egyptian Academic Journal of Biological Sciences. A, Entomology, 2024, 17(1): 121-130.
- [5] Hilchie A L, Sharon A J, Haney E F, et al. Mastoparan is a membranolytic anti-cancer peptide that works synergistically with gemcitabine in a mouse model of mammary carcinoma[J]. Biochimica Et Biophysica Acta (BBA)-Biomembranes, 2016, 1858(12): 3195-3204.
- [6] Takahashi K, Isobe M, Muto S. Mastoparan induces an increase in cytosolic calcium ion concentration and subsequent activation of protein kinases in tobacco suspension culture cells[J]. Biochimica et Biophysica Acta (BBA)-Molecular Cell Research, 1998, 1401(3): 339-346.
- [7] de Azevedo R A, Figueiredo C R, Ferreira A K, et al. Mastoparan induces apoptosis in B16F10-Nex2 melanoma cells via the intrinsic mitochondrial pathway and displays antitumor activity in vivo[J]. Peptides, 2015, 68: 113-119.

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