Product Data Sheet

Product Name: [D-Ala2]-Deltorphin II
Cat. No.: GC11848

Chemical Properties

Cas. No.	122752-16-3
Chemical Name	(S)-5-(((R)-1-(((S)-1-((2-amino-2-oxoethyl)amino)-3-methyl-1-oxobutan-2-yl)amino)-3-methyl-1-oxobutan-2-yl)amino)-4-((S)-2-((R)-2-((S)-2-amino-3-(4-hydroxyphenyl)propanamido)propanamido)-3-phenylpropanamido)-5-oxopentanoic acid
SMILES	O=C([C@@H](C(C)C)NC([C@H](CCC(O)=O)NC([C@H](CC1=CC=CC=C1)NC([C@@H](C)NC([C@H](CC(C=C2)=CC=C2O)N)=O)=O)=O)=O)N[C@H](C(NCC(N)=O)=O)C(C)C
Formula	$C_{38}H_{54}N_8O_{10}$
M.Wt	782.89
Solubility	Soluble to 1 mg/ml in 10% acetonitrile
Storage	Desiccate at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
Structure	[D-Ala2]-Deltorphin II

Background

[D-Ala2]-deltorphin II (DADELT II) is a natural agonist of δ opioid receptor with Ki or IC50 value of 0.41 nM [1, 2].

Opioid receptors are most abundant in the central nervous system, but are also localized in many peripheral tissues in mammalian organisms. there are three types of opioid receptors that are well-defined; the μ, δ and κ receptors [2].

[D-Pen2, D-Pen5]enkephalin (DPDPE) is a second highly selective agonist of δ [3]. Treatment with DPDPE at 1 M for as short as 3 min significantly diminished the inhibition of the opioid receptor in NG108-15 cells to cyclic AMP production. That means neuronal delta opioid receptor underwent acute desensitization. This desensitization was

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temporally parallel to the phosphorylation of delta opioid receptor 3 min. after agonist stimulation [4].

I.c.v. administration of DADELT II from 0.38-12.78 nM resulted in a dose- and time-related antinociception. 10 min after the administration of DADELT II, the maximal antinociceptive response was seen. Until 60 min after the administration of DADELT II, effects were detected. These antinociceptive effects of i.c.v. DADELT II were antagonized by the selective δ antagonist, ICI 174,864 [3]. After supraspinal and spinal administration in rats intracerebroventricularly, DADELT II at 0.2, 1, 10 μg/rat in a dose-related fashion inhibited diarrhea and colonic bead expulsion but did not show any effect on the rate of small intestine transit. Spinal administration of DADELT II at the same dose produced similar results. Naltrindole is a selective antagonist of the δ opioid receptor. Subcutaneous pretreatment with naltrindole at 1 and 10 mg/kg antagonized effects of DADELT II partially and completely, respectively [1].

References:
[1]. Broccardo M, Improta G. Antidiarrheal and colonic antipropulsive effects of spinal and supraspinal administration of the natural δ opioid receptor agonist,[D-Ala2] deltorphin II, in the rat. European journal of pharmacology, 1992, 218(1): 69-73.
[2]. Janecka A, Fichna J, Janecki T. Opioid receptors and their ligands. Current topics in medicinal chemistry, 2004, 4(1): 1-17.
[3]. Jiang Q, Mosberg HI, Porreca F. Antinociceptive effects of [D-Ala2] deltorphin II, a highly selective δ agonist in vivo. Life sciences, 1990, 47(11): PL43-PL47.
[4]. Cai Y, Zhang Y, Wu Y, et al. δ opioid receptor in neuronal cells undergoes acute and homologous desensitization. Biochemical and biophysical research communications, 1996, 219(2): 342-347.

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