Product Data Sheet

Product Name: 2-Guanidinoethylmercaptosuccinic Acid
Cat. No.: GC11804

Chemical Properties

Cas. No.	77482-44-1		
Chemical Name	2-[[2-[(aminoiminomethyl)amino]ethyl]thio]-butanedioic acid		
SMILES	OC(C(CC(O)=O)SCCNC(N)=N)=O		
Formula	$C_7H_{13}N_3O_4S$	M.Wt	235.3
Solubility	Water: Soluble	Storage	Store at 4°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			

Background

Ki: 8.8 nM

2-Guanidinoethylmercaptosuccinic acid is a carboxypeptidase E inhibitor.

Carboxypeptidase E, also known as enkephalin convertase, can remove C-terminal residues during the processing of propeptides, such as enkephalin and proinsulin.

In vitro: 2-Guanidinoethylmercaptosuccinic acid was identified as a biproduct analogs of lysine and arginine that showed potent inhibitory effect on enkephalin convertase with the Ki value of 8.8 nM. In addition, 2-guanidinoethylmercaptosuccinic acid was found to be several hundred fold more potent towards enkephalin convertase than towards carboxypeptidase B or N and the kinetic analyses indicated the pure competitive nature of the inhibition [1].

In vivo: Previous study found that following the intrathecal administration of 2-

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guanidinoethylmercaptosuccinic acid at 12.5, 25 and 50 micrograms, an increase in the tail-flick latency was seen. In addition, 2-guanidinoethylmercaptosuccinic acid could potentiate the analgesic effects of the intrathecally applied Met5-enkephalin-Arg6-Phe7 and Met5-enkephalin-Arg6-Gly7-Leu8. All these effects of 2-guanidinoethylmercaptosuccinic acid were significantly attenuated by the treatment of naloxone. Moreover, the rats subjected to chronic pain showed a weaker analgesic response to the treatment of 2-guanidinoethylmercaptosuccinic acid. Furthermore, 2-guanidinoethylmercaptosuccinic acid bound to enkephalin convertase in the spinal cord of these rats produced only a slight increase in KD [2].

Clinical trial: Up to now, 2-guanidinoethylmercaptosuccinic acid is still in the preclinical development stage.

References:

1. Fricker LD, Plummer TH Jr, Snyder SH. Enkephalin convertase: potent, selective, and irreversible inhibitors. Biochem Biophys Res Commun. 1983 Mar 29;111(3):994-1000.
2. M. Bommer, K. Nikolarakis, E. P. Noble, et al. In vivo modulation of rat hypothalamic opioid peptide content by intracerebroventricular injection of guanidinoethylmercaptosuccinic acid (GEMSA): Possible physiological role of enkephalin convertase. Brain Research 492(1-2), 305-313 (1989).