Product Data Sheet

Product Name: Eniporide
Cat. No.: GC16924

Chemical Properties

Cas. No.	176644-21-6		
Chemical Name	N-(aminoiminomethyl)-2-methyl-5-(methylsulfonyl)-4-(1H-pyrrol-1-yl)-benzamide		
SMILES	CC1=C(C(NC(N)=N)=O)C=C(S(C)(=O)=O)C(N2C=CC=C2)=C1		
Formula	$C_{14}H_{16}N_4O_3S$	M.Wt	320.4
Solubility	≤5mg/ml in DMSO;20mg/ml in dimethyl formamide	Storage	Store 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	Eniporide		

Background

IC50: 4.7 nM

Eniporide is a Na+/H+ exchange inhibitor.

Regulation of intracellular pH is a very complex process and reflects a net balance of alkalinizing and acidification processes. The Na+-H+ exchange plays a critical role in the regulation of intracellular pH by removing protons.

In vitro: Eniporide was identified as a selective inhibitor of Na+-H+ exchange and a compound of the benzoylguanidine group. In addition, eniporide and its metabolite were found to inhibit the Na+-H+ exchange in acidified rabbit erythrocytes with an IC50 value of 4.7 ± 0.6 and 15 ± 3 nM, respectively [1].

In vivo: Animal study showed that the pretreatment of the mice with the eniporide led to a substantial decrease of annexin-V–positive cardiomyocytes in the I/R 30/90 group from

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20.2% to 2.2%. Moreover, the pretreatment of I/R 30/90 mice with eniporide resulted in the complete absence of IgG-positive cells, suggesting that the cardiomyocytes with extensive cell membrane leakage were a result of an active cell death program [2].

Clinical trial: Clinical pharmacokinetic-pharmacodynamic evaluation of eniporide with platelet swelling time as a biomarker has been reported. Eniporide showed linear pharmacokinetics with an average half-life of 2 hours. The mean total body clearance and volume of distribution were 34.4 L/h and 77.5 L, respectively. An average of 43% of the dose was recovered unchanged from urine [1].

References:
[1] Bhattaram VA, Nagaraja NV, Peters T, Machnig T, Kroesser S, Kovar A, Derendorf H. Population pharmacokinetics of eniporide and its metabolite in healthy subjects and patients with acute myocardial infarction. J Clin Pharmacol. 2005 Jun;45(6):631-9.
[2] Dumont EA et al. Cardiomyocyte death induced by myocardial ischemia and reperfusion: measurement with recombinant human annexin-V in a mouse model. Circulation. 2000 Sep 26;102(13):1564-8.

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