Product Data Sheet

Product Name: Zoniporide (hydrochloride)
Cat. No.: GC15126

Chemical Properties

Cas. No.	241800-97-5		
Chemical Name	N-(aminoiminomethyl)-5-cyclopropyl-1-(5-quinolinyl)-1H-pyrazole-4-carboxamide, monohydrochloride		
SMILES	O=C(C(C=N1)=C(C2CC2)N1C3=C4C(N=CC=C4)=CC=C3)/N=C(N)/N.Cl		
Formula	$C_{17}H_{16}N_6O$ • HCl	M.Wt	393.3
Solubility	≤1mg/ml in ethanol;10mg/ml in DMSO;10mg/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	Zoniporide (hydrochloride)		

Background

Zoniporide (hydrochloride) is a novel, potent, and selective sodium-hydrogen exchanger isoform-1 (NHE-1) inhibitor [1]. The Na+/H+ exchanger (NHE) has been involved in intracellular pH homeostasis of many mammalian cell types. Until now, seven NHE isoforms (NHE1–NHE7) have been identified. NHE1 is the most predominant isoform expressed in heart responsible for maintaining cardiomyocyte pH homeostasis. Activation of NHE is essential for the restoration of physiological pH. Hyperactivation of NHE1 during ischemia–reperfusion episodes disrupts the intracellular ion balance, leading to cardiac dysfunction and damage [2].

In vitro: Zoniporide inhibited human NHE-1 with an IC50 of 14 nM, showed >150-fold selectivity against other NHE isoforms, and potently inhibited ex vivo NHE-1-dependent swelling of human platelets. In the isolated heart (Langendorff), zoniporide dose-dependently reduced infarct size with an EC50 of 0.25 nM. Zoniporide at 50 nM reduced

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infarct size by 83% [1].

In vivo: Zoniporide was well tolerated in preclinical animal models, exhibited moderate plasma protein binding with t1/2 of 1.5 h in monkeys. In rabbit models of myocardial ischemia-reperfusion injury, zoniporide significantly reduced infarct size without adverse effects. In open chest, anesthetized rabbits, zoniporide reduced infarct size in a dose-dependent manner with an ED50 of 0.45 mg/kg/h. Zoniporide also inhibited NHE-1-mediated platelet swelling. Zoniporide attenuated postischemic cardiac contractile dysfunction in conscious primates, and reduced both the incidence and duration of ischemiareperfusion-induced ventricular fibrillation in rats [1].

References:
[1] Tracey W R, Allen M C, Frazier D E, et al. Zoniporide: a potent and selective inhibitor of the human sodium-hydrogen exchanger isoform 1 (NHE-1)[J]. Cardiovascular drug reviews, 2003, 21(1): 17-32.
[2] Masereel B, Pochet L, Laeckmann D. An overview of inhibitors of Na+/H+ exchanger[J]. European journal of medicinal chemistry, 2003, 38(6): 547-554.