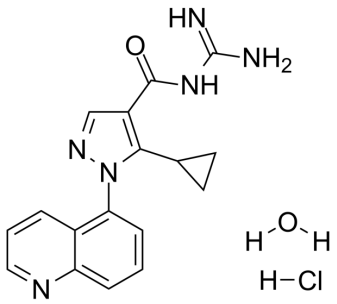
Zoniporide hydrochloride hydrate

Cat. No.:	HY-105064D
CAS No.:	863406-85-3
Molecular Formula:	$C_{17}H_{19}ClN_6O_2$
Molecular Weight:	374.82
Target:	Na+/H+ Exchanger (NHE)
Pathway:	Membrane Transporter/Ion Channel
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 2 mg/mL (5.34 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6679 mL	13.3397 mL	26.6795 mL
	5 mM	0.5336 mL	2.6679 mL	5.3359 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Zoniporide (CP-597396) hydrochloride hydrate is a potent and selective inhibitor of sodium-hydrogen exchanger type 1 (NHE-1). Zoniporide hydrochloride hydrate inhibits human NHE-1 (IC_{50}=14 nM), and has >150-fold selectivity versus other NHE isoforms. Zoniporide hydrochloride hydrate potently inhibits ex vivo NHE-1-dependent swelling of human platelets (IC_{50}=59 nM)[1][2].

IC_{50} & Target

IC50: 14 nM (NHE-1)[1]

In Vivo

Zoniporide hydrochloride hydrate (0.25-4 mg/kg; i.v.; every hour for 2 hours) elicits a dose-dependent reduction in infarct size (ED_{50}=0.45 mg/kg/h) in open chest anesthetized rabbits[1].

Zoniporide exhibits moderate plasma protein binding, has a $t_{1/2}$ of 1.5 hours in monkeys, and has one major active metabolite[1].

Zoniporide hydrochloride hydrate treatment shows the $AUC_{0-\infty}$ and $t_{1/2}$ are 0.07 μg h/mL and 0.5 hours, respectively[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rabbit[1]

Dosage:	0.25, 1, 4 mg/kg
Administration:	Every hour for 2 hours; intravenous injection
Result:	Elicited a significant dose-dependent reduction in infarct size in the anesthetized rabbit. The ED_{50} was 0.45 mg/kg/h.

Animal Model:	Rat[2]
Dosage:	1 mg/kg
Administration:	Intravenous injection(Pharmacokinetic Analysis)
Result:	The $AUC_{0-\infty}$ and $t_{1/2}$ were 0.07 μg h/mL and 0.5 hours, respectively.

CUSTOMER VALIDATION

- J Biol Chem. 2021 Sep 3;101166.

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REFERENCES

[1]. Tracey WR, et al. Zoniporide: a potent and selective inhibitor of the human sodium-hydrogen exchanger isoform 1 (NHE-1). Cardiovasc Drug Rev. 2003 Spring;21(1):17-32.

[2]. Guzman-Perez A, et al. Discovery of zoniporide: a potent and selective sodium-hydrogen exchanger type 1 (NHE-1) inhibitor with high aqueous solubility. Bioorg Med Chem Lett. 2001 Mar 26;11(6):803-7.

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