Conivaptan hydrochloride

Cat. No.: HY-18347A

CAS No.: 168626-94-6

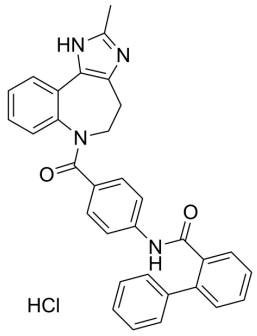
Molecular Formula: $C_{32}H_{27}ClN_4O_2$

Molecular Weight: 535.04

Target: Vasopressin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (186.90 mM)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8690 mL	9.3452 mL	18.6904 mL
5 mM	0.3738 mL	1.8690 mL	3.7381 mL
10 mM	0.1869 mL	0.9345 mL	1.8690 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Conivaptan (hydrochloride) is a non-peptide antagonist of vasopressin receptor, with K_i values of 0.48 and 3.04 nM for rat liver V1A receptor and rat kidney V2 receptor respectively.

IC_{50} & Target

V2 Receptor

In Vivo

Conivaptan (0.03, 0.1 and 0.3 mg/kg, i.v.) dose-dependently increases urine volume and reduces urine osmolality in both

myocardial infarction and sham-operated rats. Conivaptan (0.3 mg/kg i.v.) significantly reduces right ventricular systolic pressure, left ventricular end-diastolic pressure, lung/body weight and right atrial pressure in myocardial infarction rats. Conivaptan (0.3 mg/kg i.v.) significantly increases dP/dt(max)/left ventricular pressure in myocardial infarction rats[1]. Conivaptan produces an acute increase in urine volume (UV), a reduction in osmolality (UOsm) and, at the end of the investigation, cirrhotic rats receiving the V(1a)/V(2)-AVP receptor antagonist does not show hyponatremia or hypoosmolality. Conivaptan also normalizes U(Na)V without affecting creatinine clearance and arterial pressure[2]. Conivaptan (0.01 to 0.1 mg/kg, i.v.) exerts a dose-dependent diuretic effect in dogs without an increase in the urinary excretion of electrolytes, inhibits the pressor effect of exogenous vasopressin in a dose-dependent manner (0.003 to 0.1 mg/kg i.v.) and, at the highest dose (0.1 mg/kg i.v.), almost completely blocks vasoconstriction caused by exogenous vasopressin. Conivaptan (0.1 mg/kg, i.v.) improves cardiac function, as evidenced by significant increases in left ventricular dP/dtmax, cardiac output and stroke volume, and reduces preload and afterload, as evidenced by significant decreases in left ventricular end-diastolic pressure and total peripheral vascular resistance in dogs with congestive heart failure[3].

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

PROTOCOL

Animal Administration [1]

At 4 weeks after the operation, 39 myocardial infarction rats survived. Thirty are randomly selected without bias and divided into five groups such that the distribution of infarct size and body weight among groups are similar, and given vehicle, conivaptan (0.03, 0.1 and 0.3 mg/kg) or SR121463A (0.3 mg/kg) by intravenous administration. Sham rats are also divided into four groups and given vehicle or conivaptan (0.03, 0.1 and 0.3 mg/kg) by intravenous administration. Rats are then placed individually in metabolic cages and urine is collected for 3 h. Urine osmolality is measured by the freezing point depression method using an osmometer.

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

CUSTOMER VALIDATION

- J Med Chem. 2022 May 17.
- Front Pharmacol. 2019 Nov 15;10:1380.
- Biomed J. 2020 Aug;43(4):368-374.
- Eur J Pharmacol. 2020 Aug 5;880:173157.
- Neurogastroenterol Motil. 2019 Feb;31(2):e13493.

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REFERENCES

[1]. Wada K, et al. Intravenous administration of conivaptan hydrochloride improves cardiac hemodynamics in rats with myocardial infarction-induced congestive heart failure. Eur J Pharmacol. 2005 Jan 10;507(1-3):145-51. Epub 2005 Jan 1.

[2]. Fernandez-Varo G, et al. Effect of the V1a/V2-AVP receptor antagonist, Conivaptan, on renal water metabolism and systemic hemodynamics in rats with cirrhosis and ascites. J Hepatol. 2003 Jun;38(6):755-61.

[3]. Yatsu T, et al. Cardiovascular and renal effects of conivaptan hydrochloride (YM087), a vasopressin V1A and V2 receptor antagonist, in dogs with pacing-induced congestive heart failure. Eur J Pharmacol. 1999 Jul 9;376(3):239-46.

Caution: Product has not been fully validated for medical applications. For research use only.

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