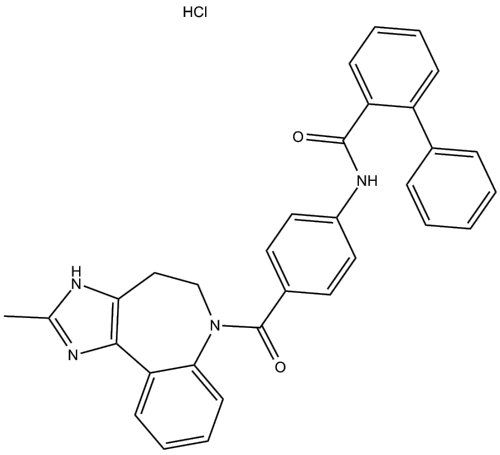
Product Data Sheet

Product Name: Conivaptan HCl
Cat. No.: GC12627

Chemical Properties

Cas. No.	168626-94-6		
Chemical Name	N-[4-(2-methyl-4,5-dihydro-3H-imidazo[4,5-d][1]benzazepine-6-carbonyl)phenyl]-2-phenylbenzamide;hydrochloride		
SMILES	CC1=NC2=C(N1)CCN(C3=CC=CC=C32)C(=O)C4=CC=C(C=C4)NC(=O)C5=CC=CC=C5C6=CC=CC=C6.Cl		
Formula	$C_{32}H_{26}N_4O_2$.HCl	M.Wt	535.04
Solubility	≥ 14.8mg/mL in DMSO	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Protocol

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Cell experiment [1]:

Cell lines	HCT-8 colon epithelial cells (human colon epithelial cell line)
Preparation Method	HCT-8 cells were grown as monolayers in a growth medium consisting of Dulbecco's modified Eagle's medium (DMEM) and 10% fetal bovine serum (FBS). HCT-8 cells were pre-treated with solutions of the Conivaptan HCl for 30min, and then infected with human coronavirus OC43 (HCoV-OC43) at a multiplicity of infection (MOI) of 0.05, and incubated at 37°C for 72h.
Reaction Conditions	0.78-100μM, pre-treatment for 30min
Applications	Conivaptan HCL exhibited inhibitory activity against HCoV-OC43 with an EC_{50} of 12.2 ± 4.20μM.

Animal experiment [2]:

Animal models	Balb/c mice
Preparation Method	Colitis was induced in Balb/c mice by intrarectal administration of TNBS. After colitis induction, mice were treated with Conivaptan HCl intraperitoneally for 6 days.
Dosage form	0.5mg/kg/day; i.p.; for 6 days

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Applications	Conivaptan HCl significantly attenuated the aggravation of TNBS-induced colitis by AVP, resulting in lower disease activity index (DAI) and histological scores.

References:
[1] Yang CW, Peng TT, Hsu HY, et al. Repurposing old drugs as antiviral agents for coronaviruses. Biomed J. 2020 Aug;43(4):368-374.
[2] Dou D, Chen L, Di H, et al. Vasopressin augments TNBS-induced colitis through enteric neuronal V1a receptor-mediated COX-2-dependent prostaglandin release from mast cells in mice. Neurogastroenterol Motil. 2019 Feb;31(2):e13493.

Background

Conivaptan HCl is an orally active vasopressin receptor (V1a/V2; K_i=0.48nM/3.04nM) antagonist. Conivaptan HCl modulates vascular resistance and enhances diuresis to increase serum sodium concentration. Conivaptan HCl can be used in research related to hyponatremia and heart failure[1-4].

In vitro, in the presence of anti-CD3 (2μg/ml), anti-CD28 (2μg/ml), interleukin-2 (10ng/ml), and transforming growth factor-β (10ng/ml), Conivaptan HCl (1μM) was used to treat mouse $CD4^+$ T cells for 4 days. Conivaptan HCl significantly inhibited the differentiation of $CD4^+$ T cells into helper T cells[5]. Conivaptan HCl (0.78-100μM) was used to pretreat HCT-8 cells for 30 minutes, followed by infection with HCoV-OC43 (MOI=0.05) for 72 hours. Conivaptan HCl inhibited the replication of HCoV-OC43 and reduced virus-induced cytopathic effects[6].

In vivo, Conivaptan HCl (0.5mg/kg/day) was intraperitoneally injected into TNBS-induced colitis Balb/c mice for 6 days. Conivaptan HCl significantly attenuated TNBS-induced colitis[7]. Conivaptan HCl (0.1 or 0.3mg/kg; single injection) was intravenously injected into Sprague-Dawley rats with myocardial infarction-induced congestive heart failure. Conivaptan HCl significantly improved cardiac hemodynamics and alleviated pulmonary congestion[8].

References:
[1] Fernández-Varo G, Ros J, Cejudo-Martín P, et al. Effect of the V1a/V2-AVP receptor antagonist,

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Conivaptan, on renal water metabolism and systemic hemodynamics in rats with cirrhosis and ascites. J Hepatol. 2003 Jun;38(6):755-61.
[2] Yatsu T, Tomura Y, Tahara A, 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.
[3] Li R, Sun H, Zheng H, et al. Intradermal Injection of Oxytocin Aggravates Chloroquine-Induced Itch Responses via Activating the Vasopressin-1a Receptor/Nitric Oxide Pathway in Mice. Front Pharmacol. 2019 Nov 15;10:1380.
[4] He Y, Bae JSH, Nowak E, et al. Rational discovery of therapeutic PAK1 allosteric activators. Cell. 2026 Mar 31:S0092-8674(26)00275-8.
[5] Dou D, Ji Y, Zheng J, et al. A New Role for Conivaptan in Ulcerative Colitis in Mice: Inhibiting Differentiation of CD4+T Cells into Th1 Cells. Dig Dis Sci. 2022 Aug;67(8):3683-3692.
[6] Yang CW, Peng TT, Hsu HY, et al. Repurposing old drugs as antiviral agents for coronaviruses. Biomed J. 2020 Aug;43(4):368-374.
[7] Dou D, Chen L, Di H, et al. Vasopressin augments TNBS-induced colitis through enteric neuronal V1a receptor-mediated COX-2-dependent prostaglandin release from mast cells in mice. Neurogastroenterol Motil. 2019 Feb;31(2):e13493.
[8] Wada K, Fujimori A, Matsukawa U, 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.

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