

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 $C_{32}H_{26}N_4O_2 \cdot HCl$

M.Wt 535.04

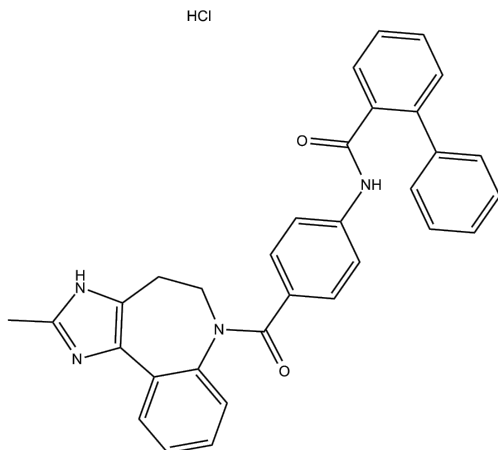
Solubility $\geq 14.8\text{mg/mL}$ in DMSO

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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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 EC₅₀ 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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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; $K_i=0.48\text{nM}/3.04\text{nM}$) 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 (2 $\mu\text{g}/\text{ml}$), anti-CD28 (2 $\mu\text{g}/\text{ml}$), interleukin-2 (10ng/ml), and transforming growth factor- β (10ng/ml), Conivaptan HCl (1 μM) 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 (0.78-100 μM) 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,

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA