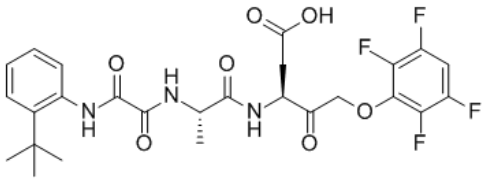
Product Data Sheet

Product Name: Emricasan
Cat. No.: GC35980

Chemical Properties

Cas. No.	254750-02-2		
SMILES	FC1=C(C(F)=C(C=C1F)F)OCC([C@@H](NC([C@@H](NC(C(NC2=C(C=CC=C2)C(C)(C)C)=O)=O)C)=O)CC(O)=O)=O		
Formula	$C_{26}H_{27}F_4N_3O_7$	M.Wt	569.5
Solubility	DMSO: ≥ 42 mg/mL (73.75 mM)	Storage	Store at -20°C
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

Cell experiment [1]:

Cell lines HEI-OC1 cells

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Preparation Method	HEI-OC1 cells were cultured under permissive conditions (33°C and 10% CO_2) in high-glucose Dulbecco's modified Eagle's medium (DMEM) with 10% heat-inactivated fetal bovine serum, 100U/ml penicillin, and 1mM sodium pyruvate. 2×10^3 cells were seeded into 96-well plates for 24h. The MV4-11 cells were cultured under the conditions of 37°C, 10% CO_2 and humidity in RPMI medium supplemented with 10% fetal bovine serum. In the presence of Emricasan (10μM), the cells are treated with cisplatin (5μM) for 72 hours, and then the cell viability is analyzed.
Reaction Conditions	10μM; 72h
Applications	Emricasan treatment reduced cell death of HEI-OC1 cells caused by cisplatin.

Animal experiment [2]:

Animal models	Male Wistar rats
Preparation Method	By inducing chronic inhalation of carbon tetrachloride (CCl_4) and simultaneously adding phenobarbital to the drinking water, male Wistar rats were made to develop liver cirrhosis. When the rats developed ascites (approximately 12-14 weeks later), the toxicant administration was stopped, and treatment was initiated one week later. The liver cirrhosis rats were respectively treated with Emricasan (10mg/kg/day; p.o.) or the vehicle (0.9% dimethylcarboxycellulose; p.o.) for 7 days, and the rat livers were collected for analysis.
Dosage form	10mg/kg/day for 7 days; p.o.
Applications	Emricasan treatment improved liver function, alleviating portal hypertension and liver microvascular dysfunction in the cirrhotic rats.

Caution: Product has not been fully validated for medical applications. For research use only.
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References:
[1] Nassauer L, Schott J W, Harre J, et al. The caspase-inhibitor Emricasan efficiently counteracts cisplatin-and neomycin-induced cytotoxicity in cochlear cells[J]. Journal of Molecular Medicine, 2024, 102(9): 1163-1174.
[2] Gracia-Sancho J, Manicardi N, Ortega-Ribera M, et al. Emricasan ameliorates portal hypertension and liver fibrosis in cirrhotic rats through a hepatocyte-mediated paracrine mechanism[J]. Hepatology communications, 2019, 3(7): 987-1000.

Background

Emricasan is an orally active, irreversible, broad-spectrum caspase inhibitor with good pharmacokinetic profile [1]. Emricasan inhibits Zika virus (ZIKV) infection and suppresses infection-induced caspase-3 activity, leading a reduction in cell death[2]. Emricasan has been widely used in mouse models of liver injury to inhibit liver fibrosis and restore liver function[3].

In vitro, Emricasan treatment for 72h significantly inhibited the cell death induced by the ZIKV virus strains FSS13025 and PRVABC59 in the SNB-19 cells, with IC_{50} values of 0.84μM and 0.45μM, respectively[4]. Treatment with 10μM Emricasan for 72 hours significantly inhibited the cytotoxicity of HEI-OC1 cells induced by cisplatin[5]. Pre-treatment with 5μM Emricasan for 30 minutes can enhance the cell-killing effect of birinapant on MLL-AF9 cells and increase the expression of TNF[6].

In vivo, Emricasan treatment via oral administration at a dose of 10mg/kg/day for 7 consecutive days significantly inhibited hepatocyte death in late-stage liver cirrhosis rats and improved liver function, alleviating portal hypertension and liver microvascular dysfunction[7]. Intragastric administration of Emricasan at a single dose of 15mg/kg 15 minutes before ischemia alleviated cerebral IS/reperfusion injury in rats and reduced the infarct area[8].

References:
[1] Lekakis V, Cholongitas E. The impact of emricasan on chronic liver diseases: current data[J]. Clinical Journal of Gastroenterology, 2022, 15(2): 271-285.
[2] Da Silva S, Oliveira Silva Martins D, Jardim A C G. A review of the ongoing research on Zika virus treatment[J]. Viruses, 2018, 10(5): 255.

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[3] Lekakis V, Cholongitas E. The impact of emricasan on chronic liver diseases: current data[J]. Clinical Journal of Gastroenterology, 2022, 15(2): 271-285.
[4] Xu M, Lee E M, Wen Z, et al. Identification of small-molecule inhibitors of Zika virus infection and induced neural cell death via a drug repurposing screen[J]. Nature medicine, 2016, 22(10): 1101-1107.
[5] Nassauer L, Schott J W, Harre J, et al. The caspase-inhibitor Emricasan efficiently counteracts cisplatin-and neomycin-induced cytotoxicity in cochlear cells[J]. Journal of Molecular Medicine, 2024, 102(9): 1163-1174.
[6] Brumatti G, Ma C, Lalaoui N, et al. The caspase-8 inhibitor emricasan combines with the SMAC mimetic birinapant to induce necroptosis and treat acute myeloid leukemia[J]. Science translational medicine, 2016, 8(339): 339ra69-339ra69.
[7] Gracia-Sancho J, Manicardi N, Ortega-Ribera M, et al. Emricasan ameliorates portal hypertension and liver fibrosis in cirrhotic rats through a hepatocyte-mediated paracrine mechanism[J]. Hepatology communications, 2019, 3(7): 987-1000.
[8] Tian J, Guo S, Chen H, et al. Combination of emricasan with ponatinib synergistically reduces ischemia/reperfusion injury in rat brain through simultaneous prevention of apoptosis and necroptosis[J]. Translational Stroke Research, 2018, 9(4): 382-392.

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