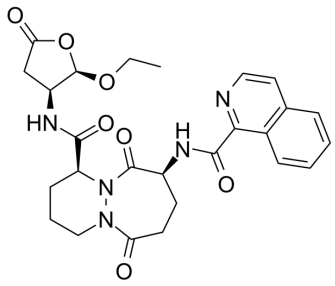
Pralnacasan

Cat. No.:	HY-19676
CAS No.:	192755-52-5
Molecular Formula:	$C_{26}H_{29}N_5O_7$
Molecular Weight:	523.54
Target:	Caspase
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 220 mg/mL (420.22 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9101 mL	9.5504 mL	19.1007 mL
	5 mM	0.3820 mL	1.9101 mL	3.8201 mL
	10 mM	0.1910 mL	0.9550 mL	1.9101 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: ≥ 5.5 mg/mL (10.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5.5 mg/mL (10.51 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5.5 mg/mL (10.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pralnacasan (VX-740) is a potent, selective, non-peptide and orally active interleukin-1β converting enzyme (ICE, caspase 1) inhibitor with a K_i of 1.4 nM. Pralnacasan inhibits proinflammatory cytokines IL-18, IL-1β , and IFN-γ. Pralnacasan has the potential for osteoarthritis and rheumatoid arthritis treatment[1][2].

IC_{50} & Target

Interleukin-1β converting enzyme
1.4 nM (Ki)

In Vivo

Pralnacasan (0 -50 mg/kg; oral gavage; twice a day; for 6 weeks; female Balb/c mice) treatment reduces joint damage. Pralnacasan treatment does not appear to affect the weight of the animals[1].

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

Animal Model:	Female Balb/c mice induced with collagenase[1]
Dosage:	0 mg/kg, 12.5 mg/kg, 25 mg/kg and 50 mg/kg
Administration:	Oral gavage; twice a day; for 6 weeks
Result:	Significantly ameliorated the histopathological damage of the medial knee joint compartments.

REFERENCES

[1]. Rudolphi K, et al. Pralnacasan, an inhibitor of interleukin-1beta converting enzyme, reduces joint damage in two murine models of osteoarthritis. Osteoarthritis Cartilage. 2003 Oct;11(10):738-46.

[2]. Loher F, et al. The interleukin-1 beta-converting enzyme inhibitor pralnacasan reduces dextran sulfate sodium-induced murine colitis and T helper 1 T-cell activation. J Pharmacol Exp Ther. 2004 Feb;308(2):583-90.

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