

CE-224535

Catalog No: tcsc0006791

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:

724424-43-5

Formula:

 $\mathsf{C}_{22}\mathsf{H}_{29}\mathsf{CIN}_4\mathsf{O}_6$

Pathway: Membrane Transporter/Ion Channel

Target:

P2X Receptor

Purity / Grade:

Solubility:

10 mM in DMSO

Alternative Names:

PF-04905428

Observed Molecular Weight:

480.94

Product Description

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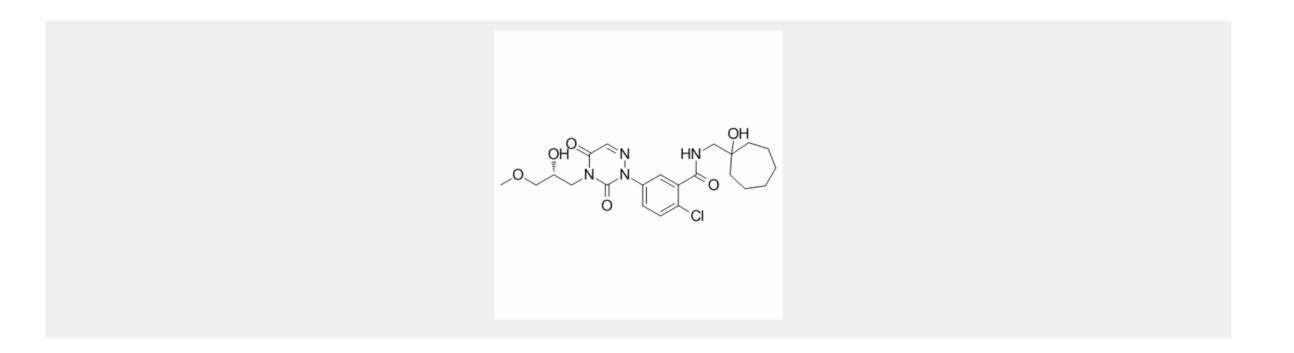


CE-224535 is a selective **P2X₇ receptor** antagonist.

IC50 & Target: P2X₇ receptor^[1]

In Vitro: CE-224535 is developed as a disease-modifying antirheumatic drugs (DMARD) and is a selective antagonist of the human $P2X_7$ receptor. CE-224535 can reduce leukocyte secretion of IL-1 and IL-18, thereby providing a novel therapeutic approach for treatment of rheumatoid arthritis (RA)^[1].

In Vivo: In rats, CE-224535 has low CL_p (11 mL/min/kg) and a large V_{dss} of 7.6 L/kg, which results in a half-life of 2.4 h. Upon oral administration to rats at 5 mg/kg, CE-224535 provides maximal plasma exposure (C_{max}) that is ~90 fold over its IC_{90} in human blood (C_{max} =0.21 µg/mL or 0.44 µM). The oral bioavailability of CE-224535 is low in rats (F=2.6%), but this is believed to be a rat specific phenomenon since corresponding oral bioavailability in both dog (59%) and monkey (22%) is adequate^[2].



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