

# 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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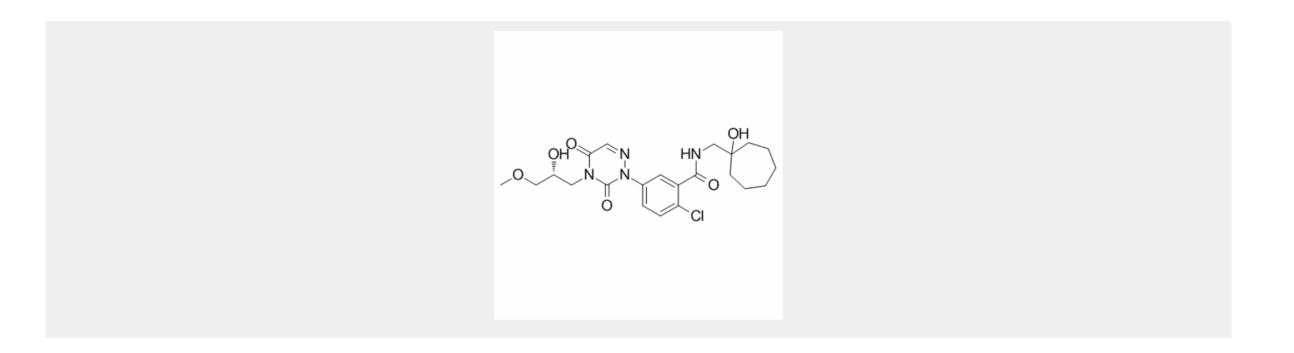


CE-224535 is a selective **P2X<sub>7</sub> receptor** antagonist.

IC50 & Target: P2X<sub>7</sub> receptor<sup>[1]</sup>

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)<sup>[1]</sup>.

*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<sup>[2]</sup>.



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