**Proteins** 

# Inhibitors



## **Product** Data Sheet

### **CRA-2059 TFA**

Cat. No.: HY-19303B Molecular Formula:  $C_{36}H_{47}F_{3}N_{12}O_{10}$ 

Molecular Weight: 864.83

Target: Ser/Thr Protease

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

#### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (115.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.1563 mL	5.7815 mL	11.5630 mL
	5 mM	0.2313 mL	1.1563 mL	2.3126 mL
	10 mM	0.1156 mL	0.5781 mL	1.1563 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: ≥ 2.5 mg/mL (2.89 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (2.89 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (2.89 mM); Clear solution

#### **BIOLOGICAL ACTIVITY**

Description	CRA-2059 is a highly specific and selective tryptase inhibitor, with a $K_i$ of 620 pM for recombinant human tryptase- $\beta$ (rHT $\beta$ ) <sup>[1]</sup>
In Vitro	Tryptase is a trypsin-like serine protease found as a major protein component in human mast cell secretory granules. CRA-2059 has the potential for inflammatory bowel disease research <sup>[1]</sup> .
	MCE has not independently confirmed the accuracy of these methods. They are for reference only

#### **REFERENCES**

[1]. Tremaine WJ, et al. Treatment of mildly to moderately active ulcerative colitis with a tryptase inhibitor (APC 2059): an open-label pilot study. Aliment Pharmacol Ther. 2002;16(3):407-413.
[2]. Selwood T, et al. Potent bivalent inhibition of human tryptase-beta by a synthetic inhibitor. Biol Chem. 2003;384(12):1605-1611.
Caution: Product has not been fully validated for medical applications. For research use only.
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