# CRT0273750

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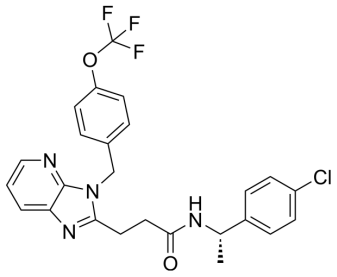
| **Cat. No.:** | HY-120797 |
| **CAS No.:** | 1979939-16-6 |
| **Molecular Formula:** | $C_{25}H_{22}ClF_3N_4O_2$ |
| **Molecular Weight:** | 502.92 |
| **Target:** | LPL Receptor |
| **Pathway:** | GPCR/G Protein |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 250 mg/mL (497.10 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.9884 mL | 9.9419 mL | 19.8839 mL |
| | 5 mM | 0.3977 mL | 1.9884 mL | 3.9768 mL |
| | 10 mM | 0.1988 mL | 0.9942 mL | 1.9884 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: ≥ 2.08 mg/mL (4.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.14 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

CRT0273750 is an autotaxin (ATX) inhibitor and modulates LPA levels in plasm ($IC_{50}$ = 0.014 μM). CRT0273750 can be used in ATX/LPA-dependent models of cancer[1].

**$IC_{50}$ & Target**

IC50: 0.014 μM (plasma CRA)

**In Vitro**

CRT0273750 shows high potency in both the biochemical ($IC_{50}$ = 0.01 μM) and plasma choline release assay($IC_{50}$ = 0.014 μM) [1].

CRT0273750 is also shown to inhibit the migration of 4T1 cells with an EC50 of 0.025μM[1].

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

**In Vivo**

CRT0273750 (1 mg/kg; i.v.)has a moderate blood clearance, with value of 41 mL/min/kg[1].

CRT0273750 (10 mg/kg; oral administration) treatment shows the $C_{max}$, AUC and $t_{1/2}$ values of 3.8 μM, 3.2 μM.h and 1.4 h, respectively[1].

CRT0273750 (10, 30 and 100 mg/kg; oral administration) shows a proportional increase[1].

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

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|---|---|
| Animal Model: | CD-1 mice[1] |
| Dosage: | 1 mg/kg |
| Administration: | I.v. |
| Result: | Had a moderate blood clearance. |

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|---|---|
| Animal Model: | Balb-c nu/nu mice[1] |
| Dosage: | 10, 30 and 100 mg/kg |
| Administration: | Oral administration (Pharmacokinetic Analysis) |
| Result: | The $C_{max}$s were 3.8, 10.9 and 18.1 μM, respectively.<br>The AUCs were 3.2, 15.2 and 59.3 μM.h, respectively.<br>The $t_{1/2}$s were 1.4, 0.9 and 1.3 h, respectively. |

## REFERENCES

[1]. Shah P, et al. Discovery of potent inhibitors of the lysophospholipase autotaxin. Bioorg Med Chem Lett. 2016;26(22):5403-5410.



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