# PCC0208009

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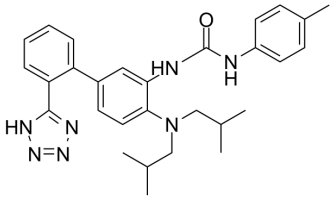
| **Cat. No.:** | HY-100771 |
| **CAS No.:** | 1668565-74-9 |
| **Molecular Formula:** | $C_{29}H_{35}N_7O$ |
| **Molecular Weight:** | 497.63 |
| **Target:** | Indoleamine 2,3-Dioxygenase (IDO) |
| **Pathway:** | Metabolic Enzyme/Protease |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (200.95 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.0095 mL | 10.0476 mL | 20.0953 mL |
| | 5 mM | 0.4019 mL | 2.0095 mL | 4.0191 mL |
| | 10 mM | 0.2010 mL | 1.0048 mL | 2.0095 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 (5.02 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.02 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

PCC0208009 is a potent IDO inhibitor with an $IC_{50}$ value of 4.52 nM in HeLa cell. PCC0208009 alleviates neuropathic pain and comorbidities by regulating synaptic plasticity of anterior cingulate cortex (ACC) and amygdala [1][2].

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

IDO
4.52 nM ($IC_{50}$, in HeLa cell)

**In Vitro**

PCC0208009 inhibits IDO1 activity in HeLa cells, with an $IC_{50}$ value of 4.52 nM, but it does not change the enzyme activity in vitro, indicating that it acts as an indirect IDO1 inhibitor[1].
PCC0208009 (0-200 nM; 48 hours) dose-dependently suppresses the IDO protein and mRNA expression induced by IFN-γ [3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

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| Cell Line: | HeLa cells |
| Concentration: | 0, 50, 100, 200 nM |
| Incubation Time: | 48 hours |
| Result: | The IDO protein expression induced by IFN-γ was dose-dependently suppressed by PCC, which showed significant differences at 100 and 200 nM ($P < 0.05$). |

RT-PCR [3]

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| Cell Line: | HeLa cells |
| Concentration: | 0, 50, 100, 200 nM |
| Incubation Time: | 48 hours |
| Result: | The IDO mRNA expression induced by IFN-γ was dose-dependently suppressed by PCC, which showed significant differences at all doses compared with the IFN-γ group. |

**In Vivo**

PCC0208009 (single oral gavage; 50 mg/kg) in adult male Sprague Dawley rats (180 g-200 g) is detected at 60, 120 and 240 min after drug administration in plasma and brain samples, and the highest concentrations of PCC0208009 in plasma and brain are observed at 60 min after administration. Concomitantly, the Kyn/Trp ratio decreases at 60, 120 and 240 min postdose, with the minimum level in the plasma and the brain seen at 60 min post-dose[1].
PCC0208009 (oral gavage; once; 12-50 mg/kg) in adult male Sprague Dawley rats (180 g-200 g) is detected at 30, 60 and 90min after administration to evaluate the antinociceptive effects of PCC0208009 on neuropathic pain[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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|---|---|
| Animal Model: | Adult male Sprague Dawley rats (180 g-200 g) [1] |
| Dosage: | 50 mg/kg |
| Administration: | Single oral gavage |
| Result: | The highest concentrations of PCC0208009 in plasma and brain were observed at 60 min afteradministration. |

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| Animal Model: | Adult male Sprague-Dawley rats bearing spinal nerve ligation (SNL)[1] |
| Dosage: | 12.5 mg/kg, 25 mg/kg, 50 mg/kg |
| Administration: | oral gavage; once |
| Result: | Showed the behavioral tests and the timelines. |

## REFERENCES

[1]. Yu Wang, et al. PCC0208009, an indirect IDO1 inhibitor, alleviates neuropathic pain and co-morbidities by regulating synaptic plasticity of ACC and amygdala. Biochem Pharmacol. 2020 Jul;177:113926.

[2]. David K Williams, et al. Development of a series of novel o-phenylenediamine-based indoleamine 2,3-dioxygenase 1 (IDO1) inhibitors. Bioorg Med Chem Lett. 2018 Feb 15;28(4):732-736.

[3]. Shanyue Sun, et al. PCC0208009 enhances the anti-tumor effects of temozolomide through direct inhibition and transcriptional regulation of indoleamine 2,3-dioxygenase in glioma models. Int J Immunopathol Pharmacol. Jan-Dec 2018;32:2058738418787991.



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