# CLP-3094

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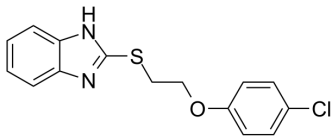
| **Cat. No.:** | HY-141487 |
| **CAS No.:** | 312749-73-8 |
| **Molecular Formula:** | $C_{15}H_{13}ClN_2OS$ |
| **Molecular Weight:** | 304.79 |
| **Target:** | Androgen Receptor |
| **Pathway:** | Vitamin D Related/Nuclear Receptor |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (328.09 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 3.2809 mL | 16.4047 mL | 32.8095 mL |
| 5 mM | 0.6562 mL | 3.2809 mL | 6.5619 mL |
| 10 mM | 0.3281 mL | 1.6405 mL | 3.2809 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 (8.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.20 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

CLP-3094 is a potent BF3 (binding function 3)-directed inhibitor of the androgen receptor (AR). CLP-3094 inhibits AR transcriptional activity ($IC_{50}$=4 μM)[1]. CLP-3094 is a selective, potent GPR142 antagonist[2].

**In Vitro**

CLP-3094 inhibits both an increase of intracellular $Ca^{2+}$ concentration ($[Ca^{2+}]i$) induced by L-tryptophan using CHO-K1 cells expressing GPR142 in the aequorin assay, and an accumulation of inositol phosphates using HEK293 cells expressing GPR142 in the SPA assay. The $IC_{50}$ of CLP-3094 is 0.2 μM against 200 μM L-tryptophanfor the mouse receptor and 2.3 μM against 1 mM L-tryptophan for the human receptor in the aequorin assay. CLP-3094 also inhibits the insulin secretion from islets induced by both L-tryptophan and GPR142 agonists[2].

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

**In Vivo**

CLP-3094 (30, 100 mg/kg; i.p. daily from Day 0 to Day 11) consistently displayed sig-nificantly lower severity of arthritis scores than vehicletreated mice[2].

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| Animal Model: | CAIA mouse model (Female DBA1/J mice were i.v. administered with2 mg of anti-collagen antibody, followed by i.p. administration of 50 μg of LPS)[2] |
| Dosage: | 30, 100 mg/kg |
| Administration: | I.p. daily from Day 0 to Day 11 |
| Result: | Dose-dependently reduced, by not much, the arth-ritis scores. |

## REFERENCES


[1]. Munuganti RS, et al. Targeting the binding function 3 (BF3) site of the androgen receptor through virtual screening. 2. development of 2-((2-phenoxyethyl) thio)-1H-benzimidazole derivatives. J Med Chem. 2013;56(3):1136-1148.

[2]. Murakoshi M, et al. Discovery and pharmacological effects of a novel GPR142 antagonist. J Recept Signal Transduct Res. 2017;37(3):290-296.





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