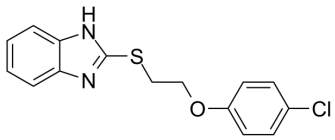
CLP-3094

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 4°C 2 years In solvent -80°C 6 months -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].

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

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.

CUSTOMER VALIDATION

- Obesity. 2023 Mar 30.

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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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