Cintirorgon

Cat. No.: HY-104037

CAS No.: 2055536-64-4

Molecular Formula: $C_{27}H_{23}F_6NO_6S$

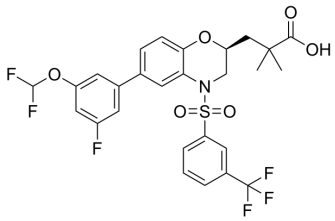
Molecular Weight: 604

Target: ROR

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 113.3 mg/mL (187.58 mM)

Ethanol : 100 mg/mL (165.56 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6556 mL	8.2781 mL	16.5563 mL
5 mM	0.3311 mL	1.6556 mL	3.3113 mL
10 mM	0.1656 mL	0.8278 mL	1.6556 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (4.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cintirorgon (LYC-55716) is a first-in-class, selective and orally bioavailable RORγ agonist. Cintirorgon (LYC-55716) modulates gene expression of RORγ expressing T lymphocyte immune cells, resulting in enhanced effector function, as well as decreased immunosuppression, resulting in decreased tumor growth, and improved survival[1][2].

IC_{50} & Target

RORγ[1]

In Vivo

Upon oral administration of RORγ agonist Cintirorgon (LYC-55716), this agent selectively binds to the nuclear receptor transcription factor RORγ, forming a receptor complex that translocates to the nucleus, and binds to ROR response elements (ROREs), enhancing the function, proliferation and survival of type 17 T cells, including Th17 (helper T cells) and Tc17 (cytotoxic T cells). RORγ, the nuclear receptor transcription factor that is involved in Th17/Tc17 differentiation, plays a

key role in immune activation. Cintirorgon (LYC-55716) is also orally bioavailable, while the new generation of immuno-oncology drugs-ncluding PD-1/PD-L1 inhibitors are delivered by injection[1].

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

CUSTOMER VALIDATION

- Pharmacol Res. 2021 Jul 30;105793.
- Diabetes. 2023 Aug 8;db220605.
- Antiviral Res. 2023 Dec 4:105769.
- Eur J Med Chem. 2021, 113585.
- Heliyon. 2023 Jun 28.

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REFERENCES

[1]. Lycera Announces Initiation of Phase 1/2a Study ARGON of Immuno-Oncology Candidate LYC-55716 in Patients with Advanced Solid Tumors. Jan 04, 2017.

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