RXR antagonist 1

Cat. No.: HY-144377

CAS No.: 3010910-40-1

Molecular Formula: $C_{28}H_{33}F_3N_2O_3$

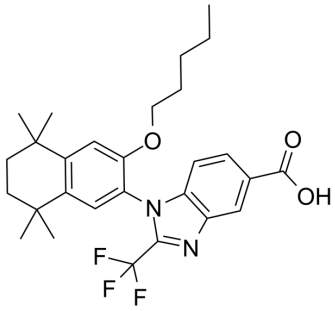
Molecular Weight: 502.57

Target: RAR/RXR

Pathway: Metabolic Enzyme/Protease; 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 (198.98 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9898 mL	9.9489 mL	19.8977 mL
	5 mM	0.3980 mL	1.9898 mL	3.9795 mL
	10 mM	0.1990 mL	0.9949 mL	1.9898 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 (4.97 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.97 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

RXR antagonist 1 (compound 6a) is a retinoid X receptor (RXR) modulator. RXR antagonist 1 shows potent RXR-antagonistic activity, with a pA_2 of 8.06. RXR antagonist 1 can be used for type 2 diabetes research[1].

In Vitro

RXR antagonist 1 (compound 6a) shows potent RXR-antagonistic activities at 1 μM[1].

RXR antagonist 1 shows competitive binding to the LBP in hRXRα-LBD, with K_i of 0.384 ± 0.072, K_d of 0.277 ± 0.038, and K_i/K_d of 1.39[1].

The cell permeability of RXR antagonist 1 shows no correlation with RXR-antagonistic activity[1].

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

REFERENCES

[1]. Masaki Watanabe, et al. Increased Molecular Flexibility Widens the Gap between Ki and Kd values in Screening for Retinoid X Receptor Modulators. ACS Med. Chem. Lett. 2022, 13, 2, 211-217.

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