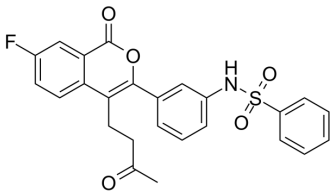
PDE4-IN-6

Cat. No.:	HY-115913
Molecular Formula:	$C_{25}H_{20}FNO_5S$
Molecular Weight:	465.49
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PDE4-IN-6 is a potent, safe and moderately selective PDE4 inhibitor with IC_{50}s of 0.125 and 0.43 μM for PDE4B and PDE4D, respectively. PDE4-IN-6 can downregulate the expression level of TNF-α and IL-6. PDE4-IN-6 has potent immunomodulatory activity thereby its potential against rheumatoid arthritis. Anti-inflammatory and anti-arthritic effects[1].

IC_{50} & Target	PDE4B	PDE4D
	0.125 μM (IC_{50})	0.43 μM (IC_{50})

In Vitro

PDE4-IN-6 (compound 5f) (0.1-10 μM; 1 hour) has concentration-dependent inhibition on TNF-α in Raw 264.7 cells, with 42.3, 49.6, 57.2 and 68.7% inhibition at concentration of 0.3, 1, 3 and 10 μM[1].

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

RT-PCR

Cell Line:	Raw 264.7 cells[1]
Concentration:	0.1-10 μM
Incubation Time:	1 hour
Result:	Showed concentration-dependent inhibition on TNF-α, with 42.3, 49.6, 57.2 and 68.7% inhibition at concentration of 0.3, 1, 3 and 10 μM.

In Vivo

PDE4-IN-6 (10 and 30 mg/kg; i.p.; daily from day 11 until day 20) can improve body weight and reduce paw swelling, also ameliorate joint space narrowing, cartilage degeneration and joint structural deformity in AIA rats at dosing 30 mg/kg[1].

PDE4-IN-6 (1-100 μM; incubated 4 days, 3 days or 4 hours) does not induce teratogenicity, hepatotoxicity and cardiac toxicity in zebrafish embryos[1].

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

Animal Model:	Adjuvant-induced arthritis (AIA) albino wistar rats (150-200 g)[1]
Dosage:	10 and 30 mg/kg
Administration:	i.p.; daily from day 11 until day 20

Result:	Improved body weight and reduced paw swelling, also ameliorated joint space narrowing, cartilage degeneration and joint structural deformity at dosing 30 mg/kg, depicting the anti-inflammatory and anti-arthritic effects in AIA model.

REFERENCES

[1]. Thirupataiah B, et al. Fe(III)-catalyzed regioselective and faster synthesis of isocoumarins with 3-oxoalkyl moiety at C-4: Identification of new inhibitors of PDE4. Bioorg Chem. 2022;121:105667.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA