

Product Data Sheet

Anti-inflammatory agent 79

Cat. No.: HY-163512Molecular Formula: $C_{21}H_{17}NO_2$ Molecular Weight: 315.37

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description

Anti-inflammatory agent 79 (compound 17q) is an isoquinolinone derivative-based HIF-1 inhibitor (IC $_{50}$: 0.55 μ M), which can effectively block HIF-1 signals and increase HIF- Degradation of 1α . Anti-inflammatory agent 79 inhibits synovial invasion and migration and inhibits angiogenesis. Anti-inflammatory agent 79 also effectively reduced foot swelling and arthritis in a mouse inflammation model, and down-regulated the levels of inflammatory factors and blood vessel proliferation in the body. [13][1].

IC₅₀ & Target

HIF-1α

.55 μ M (IC₅₀, [1])

In Vitro

Anti-inflammatory agent 79 (2.5-10 μ M; 24 h) can concentration-dependently block hypoxia-induced HIF-1 α protein accumulation, reduce inflammatory response, inhibit cell invasiveness and promote VHL-dependent HIF-1 α degradation in human RA synovial cell lines^[1].

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

Western Blot Analysis^[1]

Cell Line:	MH7A cells
Concentration:	2.5 μΜ, 5 μΜ, 10 μΜ
Incubation Time:	24 h
Result:	Decreased the protein level of HIF-1 α Decreased the secretion of cytokines, including IL-1 β , IL-6 and TNF- α .

In Vivo

Anti-inflammatory agent 79 (30 mg/kg, 60 mg/kg; ip; once every 2 days for 16 days) improved pathological damage to the ankle joint and reduced vascularity in a rat model of adjuvant-induced arthritis (AIA) Generate and weaken inflammatory response^[1].

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$

Animal Model:	Adjuvant-induced arthritic (AIA) model in SD $rats^{[1]}$
Dosage:	30 mg/kg, 60 mg/kg

Administration:	ip; once per two days; from 13 to 29 days after CFA injection (vehicle: 5 $\%$ ethanol and 5 $\%$ cremophor EL in FBS)
Result:	Attenuated the development and severity of arthritis
	Significantly decreased the HIF-1 α expression and the percentage of HIF-1 α positive cells.

REFERENCES

[1]. Cai L, et al. Discovery of novel diaryl substituted isoquinolin-1(2H)-one derivatives as hypoxia-inducible factor-1 signaling inhibitors for the treatment of rheumatoid arthritis. Eur J Med Chem. 2024 Apr 16;271:116417.

Caution: Product has not been fully validated for medical applications. For research use only.

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