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Transthyretin-IN-3

Cat. No.: HY-161505

CAS No.: 3008535-20-1

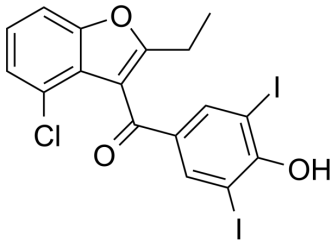
Molecular Formula: $C_{17}H_{11}ClI_2O_3$

Molecular Weight: 552.53

Target: Transthyretin (TTR)

Pathway: Neuronal Signaling

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



BIOLOGICAL ACTIVITY

Description

Transthyretin-IN-3 (compound 6) is a designed benzofuran analogue. Transthyretin-IN-3 selectively binds to plasma transthyretin (TTR) to inhibit Amyloid aggregation (IC_{50}=5.0±0.2 μM). Transthyretin-IN-3 can bind to TTR's thyroxine binding site specifically by carrying chlorine substituents at specific locations in its structure. This binding can prevent TTR tetramers from dissociating into unstable monomers[1].

In Vivo

Transthyretin-IN-3 (0.1 mg/kg, iv; 0.1 mg/kg, po; 8 h) had an oral bioavailability (BA) of 66.8%, comparable to the current therapeutic drug Tafamidis (HY-14852) in SD rats[1].

Pharmacokinetic Analysis in SD rats[1]

Route	Dose (mg/kg)	$C_{0/max}$ (ng/mL)	CL_{tot} (mL/h/kg)	V_{dss} (mL/kg)	AUC_{0_8} (ng•h/mL)	BA (%)
i.v.	0.1	1718	20.6	112	3726	/
p.o.	0.1	395	/	/	2524	67.7

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

REFERENCES

[1]. Mizuguchi, Mineyuki, et al. "Development of Benziodarone Analogues with Enhanced Potency for Selective Binding to Transthyretin in Human Plasma." Journal of Medicinal Chemistry (2024).

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