P-gp inhibitor 21

Cat. No.: HY-162396

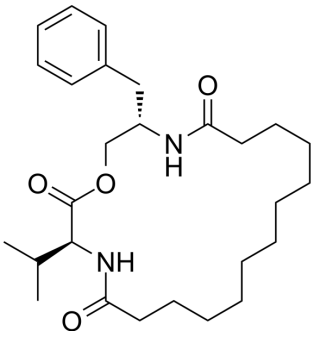
Molecular Formula: $C_{27}H_{42}N_2O_4$

Molecular Weight: 458.63

Target: P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

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



BIOLOGICAL ACTIVITY

Description

P-gp inhibitor 21 (Compound 56) is an inhibitor for P-glycoprotein (P-gp) transport, which reverses P-gp-mediated multidrug resistance (MDR) and exhibits antitumor efficacy in mice without significant cytotoxicity[1].

In Vitro

P-gp inhibitor 21 inhibits proliferations of cells KBV200 and NCI/ADR-RES (combined with VNR) with IC_{50}s of 2.4 and 27.9 nM, respectively[1].

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

In Vivo

P-gp inhibitor 21 (75 mg/kg, i.p.) inhibits tumor growth and restores the sensitivity of MDR tumors to the VNR in KBV200 xenograft BALB/c nude mice without significant toxicity[1].

Pharmacokinetic Analysis of P-gp inhibitor 21 in mice[1]

route	Dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	AUC_{last} (ng·h/mL)	AUC_{inf_obs} (ng·h/mL)	CL_{obs} (mL/min/kg)	MRT_{inf_obs} (h)	V_{ss} (mL/kg)	F (%)
iv	1	-	-	1260	1263	33.5	0.75	1528	-	
po	30	0.25	34.4	32.3	43.4	-	3.11	-	0.09	

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

Animal Model:	KBV200 xenograft BALB/c nude mice[1]
Dosage:	75 mg/kg
Administration:	i.p.
Result:	Suppressed tumor growth without significant body weight loss.

REFERENCES

[1]. Yang GZ, et al., Design and Synthesis of Cyclolipopeptide Mimics of Dysoxylactam A and Evaluation of the Reversing Potencies against P-Glycoprotein-Mediated Multidrug Resistance. J Med Chem. 2024 Mar 28;67(6):4560-4582.

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