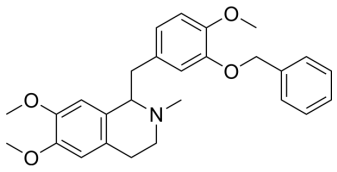
OY-101

Cat. No.:	HY-149053
CAS No.:	41183-02-2
Molecular Formula:	$C_{27}H_{31}NO_4$
Molecular Weight:	433.54
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

OY-101 is an orally active, potent and specific P-glycoprotein (P-gp) inhibitor. OY-101 can sensitize drug-resistant tumors and effectively reverse tumor multidrug resistance. OY-101 is improvements in water-solubility, cytotoxicity, and reversal activity compared to Tetrandrine (HY-13764)[1].

In Vitro

OY-101 shows excellent synergistic anti-cancer effect with Vincristine (HY-N0488A) against drug-resistant cells Eca109/VCR, with an IC_{50} of 9.9 ± 1.3 nM[1].

OY-101 (0-5 μM) is not significantly toxic to Eca109/VCR cells, and exhibits significantly increased Vincristine (HY-N0488A) sensitization in Eca109/VCR cells[1].

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

Cell Viability Assay[1]

Cell Line:	Eca109/VCR cells
Concentration:	1.0, 2.5, and 5.0 μM
Incubation Time:	48 h
Result:	Exhibited significantly increased Vincristine sensitization in Eca109/VCR cells, achieving around 3.7, 103.4, and 690.6-fold reversal activity, respectively.

In Vivo

OY-101 (30 mg/kg/2 days, IG, for 3 weeks) increases Vincristine (HY-N0488A) sensitization in vivo without obvious toxicity[1].

OY-101 (Intravenous (3 mg/kg) and oral administration (30 mg/kg); once) shows good pharmacokinetics[1].

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Animal Model:	Female nude mice (4-5 weeks old, xenograft model bearing P-gp-overexpressing Eca109/VCR cells)[1]
Dosage:	30 mg/kg
Administration:	IG, once every 2 days, for 3 weeks, 1 h before tail vein injection of Vincristine (HY-N0488A)
Result:	Only co-administration OY-101 with Vincristine can effectively inhibit tumor proliferation in vivo ($P < 0.001$) and significantly reduce tumor weight. After 3 weeks of treatment, the

	tumor growth inhibition rate of the OY-101/Vincristine combination was 79.13%, which was significantly lower than that of the single-treatment group and the vehicle group.

Animal Model:	SD rats (8 week-old, male, 300-400 g)[1]
Dosage:	3 mg/kg (IV), 30 mg/kg (PO)
Administration:	Intravenous and oral administration, once (Pharmacokinetic Analysis)
Result:	Pharmacokinetic Parameters of OY-101 in male Sprague-Dawley rats[1].

	IV (3 mg/kg)	PO (30 mg/kg)
T_{max} (h)	0.17 ± 0.12	0.38 ± 0.18
C_{max} (ng/mL)	1573.20 ± 143.97	636.55 ± 355.60
AUC_{0-t} (ng/mL⊠h)	2688.45 ± 180.10	2665.45 ± 450.92
$t_{1/2}$ (h)	8.43 ± 7.83	7.37 ± 4.92
CL/F (L/kg/h)	1.10 ± 0.08	11.16 ± 2.10
Vz/F (L/kg)	12.84 ± 11.33	111.27 ± 56.82
F (%)		7.65 ± 2.15

REFERENCES

[1]. Zeng R, et al. Simplified Derivatives of Tetrandrine as Potent and Specific P-gp Inhibitors to Reverse Multidrug Resistance in Cancer Chemotherapy. J Med Chem. 2023 Mar 23;66(6):4086-4105.

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