XR9051 hydrochloride

Cat. No.: HY-13776A

CAS No.: 180422-22-4

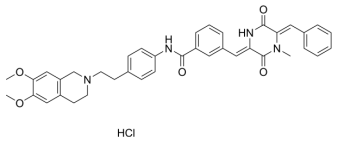
Molecular Formula: $C_{39}H_{39}ClN_4O_5$

Molecular Weight: 679.2

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	XR9051 hydrochloride is an orally active and specific modulator of P-glycoprotein-mediated multidrug resistance (MDR)[1].
In Vitro	XR9051 is able to reverse resistance to a variety of cytotoxic drugs, including doxorubicin, etoposide and vincristine, which are associated with classical MDR[1]. XR9051 is highly active and gave at least a 15- to 20- fold decrease in the doxorubicin IC_{50}, in the acquired resistance cell lines[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	XR9051 (20-40 mg/kg, ip) shows significant modulatory activity in mice bearing MDR human ovarian (2780AD and CH1/DOXr) and SCLC (H69/LX) xenografts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Balb/c mice (20-25 g)[2].
Dosage:	I.V.
Administration:	20 mg/kg at various times (5 min to 24 h).
Result:	The area under the concentration time curves (AUC) from time 0-∞ for plasma was 11.9 μg. h mL^{-1}. The ratio between AUC for tissue:plasma for liver, heart and brain were 79.6, 16.9 and 0.3 respectively.

Animal Model:	MDR 2780AD ovarian carcinoma xenografts[2].
Dosage:	I.P.
Administration:	20, 30, 40 mg/kg daily with Epirubicin i.v. (10 mg/kg).
Result:	Significantly reduced growth rate of MDR 2780AD ovarian carcinoma xenografts compared with either drug alone.

REFERENCES

[1]. I L Dale , et al. Reversal of P-glycoprotein-mediated multidrug resistance by XR9051, a novel diketopiperazine derivative. Br J Cancer. 1998 Oct;78(7):885-92.

[2]. P Mistry, et al. In vivo efficacy of XR9051, a potent modulator of P-glycoprotein mediated multidrug resistance. Br J Cancer. 1999 Apr;79(11-12):1672-8.

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