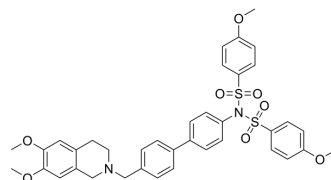


P-gp inhibitor 4

Cat. No.:	HY-146391
CAS No.:	2652001-05-1
Molecular Formula:	C ₃₈ H ₃₈ N ₂ O ₈ S ₂
Molecular Weight:	714.85
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 4 (Compound 8b) is a selective P-glycoprotein modulator with an EC ₅₀ of 94 nM. P-gp inhibitor 4 increases agent transport across gastro-intestinal barrier and recovers doxorubicin toxicity in multidrug resistant cancer cells ^[1] .																	
IC₅₀ & Target	EC ₅₀ : 94 nM (P-glycoprotein) ^[1]																	
In Vitro	<p>P-gp inhibitor 4 (Compound 8b) (0-1 μM, 48 h) significantly increases the cytotoxic effect of antineoplastic drug with co-administration^[1].</p> <p>P-gp inhibitor 4 does not alter the physiological properties of Caco-2 cells barrier mode^[1].</p> <p>P-gp inhibitor 4 selectively reduces the activity of P-gp and increases the transport of multiple P-gp substrates across gastro-intestinal barrier^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MDCK-MDR₁</td> </tr> <tr> <td>Concentration:</td> <td>100 nM, 500 nM and 1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 h</td> </tr> <tr> <td>Result:</td> <td>Showed no cytotoxicity. Significantly increased the cytotoxic effect of antineoplastic drug.</td> </tr> </table> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Caco-2 cells</td> </tr> <tr> <td>Concentration:</td> <td>0.1 nM-100 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 h</td> </tr> <tr> <td>Result:</td> <td>Displayed a dose-dependence cytotoxicity that was significant at ≥ 10 μM concentration. Did not reduce cell viability at 100 nM.</td> </tr> </table>		Cell Line:	MDCK-MDR ₁	Concentration:	100 nM, 500 nM and 1 μM	Incubation Time:	48 h	Result:	Showed no cytotoxicity. Significantly increased the cytotoxic effect of antineoplastic drug.	Cell Line:	Caco-2 cells	Concentration:	0.1 nM-100 μM	Incubation Time:	72 h	Result:	Displayed a dose-dependence cytotoxicity that was significant at ≥ 10 μM concentration. Did not reduce cell viability at 100 nM.
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REFERENCES

[1]. Contino M, et al. One molecule two goals: A selective P-glycoprotein modulator increases drug transport across gastro-intestinal barrier and recovers doxorubicin toxicity in multidrug resistant cancer cells. Eur J Med Chem. 2020 Dec 15;208:112843.

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

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