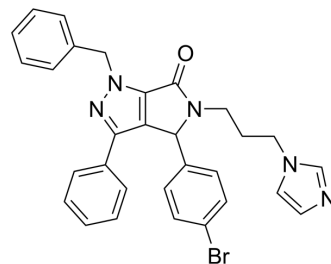


p53-MDM2-IN-2

Cat. No.:	HY-116052
CAS No.:	1542066-69-2
Molecular Formula:	C ₃₀ H ₂₆ BrN ₅ O
Molecular Weight:	552.46
Target:	MDM-2/p53; NF-κB
Pathway:	Apoptosis; NF-κB
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	p53-MDM2-IN-2 (Compound 5q) is an orally active p53-MDM2 inhibitor with a K _i value of 0.25 μM. p53-MDM2-IN-2 exerts antitumor activity by inhibiting NF-κB pathway ^[1] .																																	
In Vitro	p53-MDM2-IN-2 (0.1-20 μM, 4 h) dose-dependent increases p65 levels in A549 cytoplasm and nucleus ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.																																	
In Vivo	p53-MDM2-IN-2 (200 mg/kg, gavage for 14 days) effectively inhibits tumor growth in A549 xenotransplantation model ^[1] . Pharmacokinetic Analysis in Sprague–Dawley Rats ^[1]																																	
	<table border="1"> <thead> <tr> <th>Route</th> <th>Dose (mg/kg)</th> <th>C_{max} (ng/mL)</th> <th>AUC_{last} (μg·h/L)</th> <th>AUC_{INF_obs} (g·h/L)</th> <th>MRT_{INF_obs} (h)</th> <th>t_{1/2} (h)</th> <th>T_{max} (h)</th> <th>Cl_{obs} (L·h/kg)</th> <th>V_{ss_obs} (L/kg)</th> <th>F (%)</th> </tr> </thead> <tbody> <tr> <td>i.v.</td> <td>5</td> <td>2548</td> <td>1581</td> <td>1589</td> <td>1.21</td> <td>2.11</td> <td>/</td> <td>3.18</td> <td>9.74</td> <td>/</td> </tr> <tr> <td>i.g.</td> <td>100</td> <td>4589</td> <td>23155</td> <td>23161</td> <td>4.99</td> <td>1.80</td> <td>3.25</td> <td>/</td> <td>/</td> <td>72.9</td> </tr> </tbody> </table>	Route	Dose (mg/kg)	C _{max} (ng/mL)	AUC _{last} (μg·h/L)	AUC _{INF_obs} (g·h/L)	MRT _{INF_obs} (h)	t _{1/2} (h)	T _{max} (h)	Cl _{obs} (L·h/kg)	V _{ss_obs} (L/kg)	F (%)	i.v.	5	2548	1581	1589	1.21	2.11	/	3.18	9.74	/	i.g.	100	4589	23155	23161	4.99	1.80	3.25	/	/	72.9
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REFERENCES

[1]. Zhuang C, et al. Double-edged swords as cancer therapeutics: novel, orally active, small molecules simultaneously inhibit p53-MDM2 interaction and the NF-κB pathway. J Med Chem. 2014 Feb 13;57(3):567-77.

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

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