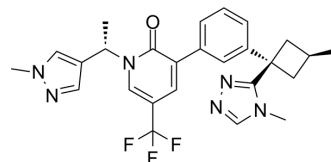


Cbl-b-IN-16

Cat. No.:	HY-163194
Molecular Formula:	C ₂₆ H ₂₇ F ₃ N ₆ O
Molecular Weight:	496.53
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Cbl-b-IN-16 (compound 31) is an orally active Cbl-b inhibitor with IC ₅₀ of 30 nM and induces IL-2 production in Hu-T-cells with EC ₅₀ of 230 nM. Cbl-b-IN-16 exhibits antitumor activity ^[1] .																																																							
IC₅₀ & Target	IC ₅₀ : Cbl-b (30 nM) ^[1]																																																							
In Vitro	<p>Cbl-b-IN-16 regulates the TCR signaling through inhibiting the autoubiquitination of Cbl-b and the ubiquitin transfer to Zap-70, with IC₅₀s of 63 nM and 84 nM, respectively^[1].</p> <p>Cbl-b-IN-16 (5 μM, 20 min) inhibits the phosphorylation of Cbl-b via spleen tyrosine kinase (SYK)^[1].</p> <p>Cbl-b-IN-16 inhibition enhances the TCR signaling and thereby upregulates the levels of pPLCγ1 in Hu-T-cells with an EC₅₀ of 0.61 μM^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																																																							
In Vivo	<p>Cbl-b-IN-16 reveals a pharmacokinetic profiles in CD1 mice and Wistar Han rats^[1]:</p> <p>Pharmacokinetic Analysis of Cbl-b-IN-16 in CD1 mice and Wistar Han rats^[1]</p> <table border="1"> <thead> <tr> <th>species</th> <th>route</th> <th>Dose (mg/kg)</th> <th>CL (mL/min/kg)</th> <th>V_{ss} (L/kg)</th> <th>T_{1/2} (h)</th> <th>C_{max} (μg/mL)</th> <th>T_{max} (h)</th> <th>AUC_{inf} (μg·h/mL)</th> <th>F (%)</th> <th>Calculated E_H^c</th> </tr> </thead> <tbody> <tr> <td>mouse</td> <td>iv</td> <td>0.5</td> <td>100</td> <td>1.3</td> <td>0.3</td> <td>-</td> <td>-</td> <td>-</td> <td>-</td> <td>0.66</td> </tr> <tr> <td>mouse</td> <td>po</td> <td>1.0</td> <td>-</td> <td>-</td> <td>-</td> <td>0.035</td> <td>0.083</td> <td>0.030</td> <td>19</td> <td>-</td> </tr> <tr> <td>rat</td> <td>iv</td> <td>0.5</td> <td>55</td> <td>1.6</td> <td>0.45</td> <td>-</td> <td>-</td> <td>-</td> <td>-</td> <td>0.76</td> </tr> <tr> <td>rat</td> <td>po</td> <td>1.0</td> <td>-</td> <td>-</td> <td>-</td> <td>0.021</td> <td>0.75</td> <td>0.046</td> <td>15</td> <td>-</td> </tr> </tbody> </table> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	species	route	Dose (mg/kg)	CL (mL/min/kg)	V _{ss} (L/kg)	T _{1/2} (h)	C _{max} (μg/mL)	T _{max} (h)	AUC _{inf} (μg·h/mL)	F (%)	Calculated E _H ^c	mouse	iv	0.5	100	1.3	0.3	-	-	-	-	0.66	mouse	po	1.0	-	-	-	0.035	0.083	0.030	19	-	rat	iv	0.5	55	1.6	0.45	-	-	-	-	0.76	rat	po	1.0	-	-	-	0.021	0.75	0.046	15	-
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rat	po	1.0	-	-	-	0.021	0.75	0.046	15	-																																														

REFERENCES

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

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