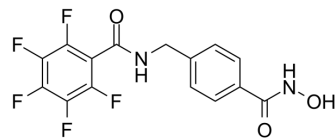


PTG-0861

Cat. No.:	HY-150586
CAS No.:	2494082-34-5
Molecular Formula:	C ₁₅ H ₉ F ₅ N ₂ O ₃
Molecular Weight:	360.24
Target:	HDAC; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PTG-0861 is a selective histone deacetylase 6 (HDAC6) inhibitor with the IC ₅₀ value of 5.92 nM. PTG-0861 induces apoptosis and can be used in the study of acute myeloid leukemia, multiple myeloma and other hematological cancers ^[1] .																		
IC₅₀ & Target	HDAC6 5.92 nM (IC ₅₀)																		
In Vitro	<p>PTG-0861 (compound 54) (0.1-5 μM, 6 hours) stimulates the expression of acetylated α-tubulin and has inhibitory activity against HDAC6 with the IC₅₀ value of 0.59 μM^[1].</p> <p>PTG-0861 (compound 54) (0-4 μM, 18 hours) can induce apoptosis in a dose-dependent manner and has some cytotoxic effect^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MV4-11 cells</td> </tr> <tr> <td>Concentration:</td> <td>0.1-5 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>6 hours</td> </tr> <tr> <td>Result:</td> <td>Induced the accumulation of acetylated α-tubulin expression at 500 nM.</td> </tr> </table> <p>Immunofluorescence^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HeLa cells</td> </tr> <tr> <td>Concentration:</td> <td>0-2 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>6 hours</td> </tr> <tr> <td>Result:</td> <td>Increased levels of acetylated α-tubulin at 0.1 μM.</td> </tr> </table> <p>Apoptosis Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MV4-11 cells</td> </tr> </table>	Cell Line:	MV4-11 cells	Concentration:	0.1-5 μM	Incubation Time:	6 hours	Result:	Induced the accumulation of acetylated α-tubulin expression at 500 nM.	Cell Line:	HeLa cells	Concentration:	0-2 μM	Incubation Time:	6 hours	Result:	Increased levels of acetylated α-tubulin at 0.1 μM.	Cell Line:	MV4-11 cells
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Concentration:	0-4 μ M
Incubation Time:	18 hours
Result:	Induced about 18% cells late apoptosis at 4 μ M while at low dose 0.25 μ M only about 5%.

Cell Cytotoxicity Assay^[1]

Cell Line:	Hematological cancer cell lines MV4-11, MM.1S, and RPMI 8226
Concentration:	1.24-4.94 μ M
Incubation Time:	72 hours
Result:	Showed cytotoxic effects on MV4-11, MM.1S, and RPMI 8226 with the IC ₅₀ value of 1.85 μ M \approx 1.9 μ M \approx 4.94 μ M, respectively.

Cell Line:	
Concentration:	
Incubation Time:	

Result: The pharmacokinetic parameters of PTG-0861 in vitro

Parameter	PTG-0861
Percent Remaining (%)	0 min 100.00
Percent Remaining (%)	30 min 96.41
Percent Remaining (%)	60 min 97.98
Percent Remaining (%)	120 min 97.08
T1/2 (min)	∞
T1/2 (min)	50.85 \pm 3.37
CLint (mL/min/10 ⁶ cells)	27.32 \pm 1.81
-Log Pe	5.66 \pm 0.02
Papp (A-B) (10 ⁶ , cm/s)	1.33 \pm 0.03
Papp (B-A) (10 ⁶ , cm/s)	0.94 \pm 0.13
Efflux Ratio	0.71 \pm 0.08

In Vivo

PTG-0861 (compound 54) (oral administration, 20 mg/kg, everyday, 5 days) has no effect on weight and no obvious toxicity in CD1 mice^[1].

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

Animal Model:	CD1 mice ^[1]
Dosage:	20 mg/kg
Administration:	Oral administration; everyday; 5 days
Result:	No weight loss in mice and no obvious toxicity.

Animal Model:	Male CD1 mice ^[1]
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection; once
Result:	The pharmacokinetic parameters of PTG-0861 in vivo

Parameter	PTG-0861
half-life	0.25 h
C _{max}	526 ng/mL
AUC _{last}	190 h·ng/mL
AUC _{inf}	219 h·ng/mL
AUC Extr(%)	0.324
MRT(h)	0.350
AUC/D(h·ng/mL)	9.5

REFERENCES

[1]. Justyna M Gawel et al. PTG-0861: A novel HDAC6-selective inhibitor as a therapeutic strategy in acute myeloid leukaemia. *Eur J Med Chem.* 2020 Sep 1;201:112411.

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

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