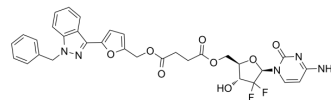


## GEM-5

<b>Cat. No.:</b>	HY-146540
<b>CAS No.:</b>	2233543-49-0
<b>Molecular Formula:</b>	C <sub>32</sub> H <sub>29</sub> F <sub>2</sub> N <sub>5</sub> O <sub>8</sub>
<b>Molecular Weight:</b>	649.6
<b>Target:</b>	HIF/HIF Prolyl-Hydroxylase; Apoptosis; MDM-2/p53
<b>Pathway:</b>	Metabolic Enzyme/Protease; Apoptosis
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	GEM-5 is a gemcitabine-based conjugate containing a HIF-1α inhibitor (YC-1) (IC <sub>50</sub> =30 nM). GEM-5 can significantly down-regulate the expression of HIF-1α and up-regulate the expression of tumor suppressor p53. GEM-5 induces the apoptosis of A2780 cells and inhibits tumor growth <sup>[1]</sup> .																
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 30 nM (HIF-1α) in A2780 <sup>[1]</sup>																
<b>In Vitro</b>	<p>GEM-5 (0-80 μM; 12 hours) exhibits excellent antitumor activity toward A2780 cells under hypoxic condition with IC<sub>50</sub> of 0.03 μM<sup>[1]</sup>.</p> <p>GEM-5 (0.5 μM; 72 hours) rises the apoptotic population to 52.67% under normoxic condition and 80.89% in A2780 cells under hypoxic condition<sup>[1]</sup>.</p> <p>GEM-5 (0.5 μM; 24 hours) arrests the cell cycle at the S phase (63.02% under normoxia and 72.64% under hypoxia)<sup>[1]</sup>.</p> <p>GEM-5 (0.1 and 1 μM; 24 hours) decreases the levels of HIF-1α and increases the levels of p53 in a dose dependent manner under hypoxic condition<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p><b>Apoptosis Analysis</b></p> <table border="1"> <tr> <td>Cell Line:</td> <td>A2780 cells<sup>[1]</sup></td> </tr> <tr> <td>Concentration:</td> <td>0.5 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Rose the apoptotic population to 52.67% under normoxic condition and 80.89% under hypoxic condition.</td> </tr> </table> <p><b>Cell Cycle Analysis</b></p> <table border="1"> <tr> <td>Cell Line:</td> <td>A2780 cells<sup>[1]</sup></td> </tr> <tr> <td>Concentration:</td> <td>0.5 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Arrested the cell cycle at the S phase (63.02% under normoxia and 72.64% under hypoxia).</td> </tr> </table>	Cell Line:	A2780 cells <sup>[1]</sup>	Concentration:	0.5 μM	Incubation Time:	72 hours	Result:	Rose the apoptotic population to 52.67% under normoxic condition and 80.89% under hypoxic condition.	Cell Line:	A2780 cells <sup>[1]</sup>	Concentration:	0.5 μM	Incubation Time:	24 hours	Result:	Arrested the cell cycle at the S phase (63.02% under normoxia and 72.64% under hypoxia).
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	Western Blot Analysis
Cell Line:	A2780 cells <sup>[1]</sup>
Concentration:	0.1 and 1 $\mu$ M
Incubation Time:	24 hours
Result:	Decreased the levels of HIF-1 $\alpha$ and increased the levels of p53 in a dose dependent manner
<b>In Vivo</b>	<p>GEM-5 (125 or 271 mg/kg; tail vein injection, once a week for 4 weeks) effectively inhibits tumor growth in the A2780 xenograft mouse model and exhibited low toxicity<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Model:	GEM-5 (125 or 271 mg/kg; tail vein injection, once a week for 4 weeks) effectively inhibits tumor growth in the A2780 xenograft mouse model and exhibited low toxicity <sup>[1]</sup> .
Dosage:	125 or 271 mg/kg
Administration:	Tail vein injection, once a week for 4 weeks
Result:	Effectively inhibited tumor growth in the A2780 xenograft mouse model and exhibited low toxicity.

## REFERENCES

[1]. Xu Z, et al. A gemcitabine-based conjugate with enhanced antitumor efficacy by suppressing HIF-1 $\alpha$  expression under hypoxia. *Bioorg Med Chem.* 2021;41:116214.

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

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