# MA242

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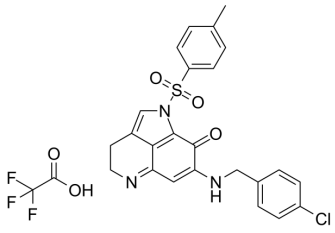
| **Cat. No.:** | HY-112816 |
| **CAS No.:** | 1049704-18-8 |
| **Molecular Formula:** | $C_{26}H_{21}ClF_3N_3O_5S$ |
| **Molecular Weight:** | 579.98 |
| **Target:** | MDM-2/p53; Apoptosis |
| **Pathway:** | Apoptosis |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | MA242 is a specific dual inhibitor of MDM2 and NFAT1. MA242 directly binds both MDM2 and NFAT1 with high affinity, induces their protein degradation, and inhibits NFAT1-mediated transcription of MDM2. MA242 induces apoptosis in pancreatic cancer cell lines regardless of p53 status[1]. |
| **$IC_{50}$ & Target** | MDM2, NFAT1[1] |
| **In Vitro** | MA242 (0.05-5 μM; 72 hours) significantly inhibits pancreatic cancer cell growth, with $IC_{50}$s ranging from 0.1 to 0.4 μM, regardless of the p53 status of the cells. However, MA242 shows minimal effects on the growth of normal HPDE cells ($IC_{50}$ =5.81 μM), indicating that MA242 has selective effects against cancer cells[1].<br>MA242 (0.1-0.5 μM; 24 hours) significantly decreases the MDM2 and NFAT1 protein levels at a low concentration in all three cell lines[1].<br>MA242 decreases cell proliferation and induces apoptosis in pancreatic cancer cell lines regardless of p53 status[1].<br>MA242 alone or in combination with Gemcitabine inhibits pancreatic tumor growth and metastasis without any host toxicity [1].<br>MA242 exerts cytotoxicity against hepatocellular carcinoma (HCC) cells by inhibiting the NFAT1-MDM2 pathway in vitro, independent of p53. MA242 shows selective cytotoxicity against HCC cells, with $IC_{50}$ values ranging from 0.1-0.31 μM[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

Cell Viability Assay[1]

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| Cell Line: | The human pancreatic cancer HPAC, Panc-1, AsPC-1, Mia-Paca-2 and BxPC-3 cell lines; The human pancreatic ductal epithelium (HPDE) cell line |
| Concentration: | 0.05, 0.5, and 5 μM |
| Incubation Time: | 72 hours |
| Result: | The $IC_{50}$s are 0.14, 0.14, 0.15, 0.25, 0.40, and 5.81 μM for Panc-1, Mia-Paca-2, AsPC-1, BxPC-3, HPAC, and HPDE cells, respectively. |

Western Blot Analysis[1]

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| Cell Line: | The human pancreatic cancer HPAC, Panc-1, and AsPC-1 cell lines |
| Concentration: | 0, 0.1, 0.2, and 0.5 μM |

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| Incubation Time: | 24 hours |
| Result: | Decreased the expression of MDM2 and NFAT1. |

**In Vivo**

MA242 (IP; 2.5, 5, 10 mg/kg) suppresses orthotopic pancreatic tumor growth in vivo, independent of p53[1].
There were no significant differences in the average body weights between the vehicle- and MA242-treated mice in either of the models, did not have significant host toxicity at these effective doses[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| Animal Model: | Female 4-6-week-old athymic nude mice (nu/nu, 4-6 weeks) bearing AsPC-1-Luc or Panc-1-Luc tumor[1] |
| Dosage: | 2.5 or 5 mg/kg for Panc-1 tumor-bearing mice; 10 mg/kg for AsPC-1 tumor-bearing mice |
| Administration: | IP; 2.5 or 5 mg/kg/d, 5 d/wk for five weeks for Panc-1 tumor-bearing mice;<br>IP; 10 mg/kg/d, 5 d/wk for three weeks for AsPC-1 tumor-bearing mice |
| Result: | Resulted in 56.1% and 82.5% inhibition of tumor growth in nude mice bearing Panc-1 orthotopic tumors, respectively.<br>Significantly suppressed the growth of AsPC-1 orthotopic tumors by 89.5% ($P < 0.01$) compared with the tumors in control animals.<br>Led to almost complete tumor regression in MD242-treated mice in both models. |

## CUSTOMER VALIDATION

- Biochem Pharmacol. 2020 Apr;174:113795.

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## REFERENCES

[1]. Wang W, et al. Discovery and Characterization of Dual Inhibitors of MDM2 and NFAT1 for Pancreatic Cancer Therapy. Cancer Res. 2018 Oct 1;78(19):5656-5667.

[2]. Wei Wang, et al. MDM2-NFAT1 dual inhibitor, MA242: Effective against hepatocellular carcinoma, independent of p53. Cancer Lett. 2019 Sep 10;459:156-167.



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