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## Zuschläge

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# Tilorone

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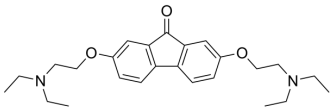
| **Cat. No.:** | HY-B1080A |
| **CAS No.:** | 27591-97-5 |
| **Molecular Formula:** | $C_{25}H_{34}N_2O_3$ |
| **Molecular Weight:** | 410.55 |
| **Target:** | Influenza Virus; Akt |
| **Pathway:** | Anti-infection; PI3K/Akt/mTOR |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

**Description**

Tilorone is an orally active antiviral agent and interferon inducer that also has potential antineoplastic, immunomodulatory, and metabolic modulating effects. Tilorone induces an abnormally delayed interferon response and primarily stimulates interferon production in lymphoid tissue. Thus, Tilorone exerts antiviral effects and can be used as a chemotherapeutic agent. Tilorone has the potential to inhibit type 2 diabetes by increasing glucose uptake in vivo and in skeletal muscle cells by enhancing Akt2/AS160 signaling and glucose transporter levels[1][2][3][4][5].

**In Vitro**

Tilorone (0.8-500 μg/mL; 2 d) induces insignificant interferon production in peritoneal macrophages and lymphocytes[1].
Tilorone has 52% of human plasma proteins Binding rate, excellent plasma stability, mouse liver microsomal half-life of 48 minutes[2].
Tilorone (20, 35 nM; 40 h) increases bone morphology in myoblasts The expression of BMP and Smad4[3].
Tilorone (20, 35 nM; 40 h) can also increase the expression of GLUT and glucose uptake in C2C12 cells[3] < /sup>.
Tilorone (3 μM-20 μM; 72 h) can also selectively target PC3 cells with low CDK5 activity[4] .

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

Western Blot Analysis[3]

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| Cell Line: | Myoblasts |
| Concentration: | 20 nM, 35 nM |
| Incubation Time: | 40 h |
| Result: | Increased the phosphorylation of Smad1/5/8, indicating the enhancement of BMP signaling. |

**In Vivo**

Tilorone (50-250 mg/kg; po; single dose) can induce a delayed but not prolonged interferon response; and affects circulating interferon levels in a dose-dependent manner[1].
The maximum tolerated single dose of Tilorone in mice is 100 mg/kg; pharmacokinetic results of Tilorone (2, 10 mg/kg; ip) in mice indicate that Tilorone has a high absorption rate and is The half-life in mice is 18 hours, but exposure in male mice is higher[2].
Tilorone (25-50 mg/kg; ip; once daily for 8 days ) protects 90% of Ebola virus-infected mice from lethal challenge[2].
Tilorone (25 mg/kg; iv; single dose) enhances immunity in small Uptake of the radiolabeled glucose analog $^{18}$F-fluoro-2-deoxyglucose in rats[3].

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

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| Animal Model: | 6-week-old female mouse[1] |
| Dosage: | 250 mg/kg |
| Administration: | po; single dose |
| Result: | Resulted in correspondingly lower levels of circulating interferon, although moderately high levels were obtained (2,500 units/ml) with as little as 50 mg/kg. Interferon production was not detected in the upper gastrointestinal tract. |

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| Animal Model: | Ebola virus disease (EVD) infected mouse model[2] |
| Dosage: | 25 mg/kg, 30 mg/kg, 50 mg/kg |
| Administration: | ip; once daily for 8 days |
| Result: | Showed was fully protective effect at 30 mg/kg, starting 2 or 24 h postchallenge and continuing through day 7 postinfection. |

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| Animal Model: | C57BL/6 mouse[3] |
| Dosage: | 25 mg/kg |
| Administration: | IV; in 100 μL saline via the lateral tail vein |
| Result: | Significant increased in the SUV mean of skeletal muscle, adipose tissue, and liver. |

## CUSTOMER VALIDATION

- Biomed J. 2020 Aug;43(4):368-374.

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## REFERENCES


[1]. Stringfellow D A, et al. Tilorone hydrochloride: an oral interferon-inducing agent[J]. Antimicrobial agents and chemotherapy, 1972, 2(2): 73-78.

[2]. Wissing M D, et al. Small-molecule screening of PC3 prostate cancer cells identifies tilorone dihydrochloride to selectively inhibit cell growth based on cyclin-dependent kinase 5 expression[J]. Oncology reports, 2014, 32(1): 419-424.

[3]. Kohler Z M, et al. Tilorone increases glucose uptake in vivo and in skeletal muscle cells by enhancing Akt2/AS160 signaling and glucose transporter levels[J]. Journal of Cellular Physiology, 2023, 238(5): 1080-1094.

[4]. Ekins S, et al. Efficacy of tilorone dihydrochloride against Ebola virus infection[J]. Antimicrobial agents and chemotherapy, 2018, 62(2): 10.1128/aac. 01711-17.

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

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