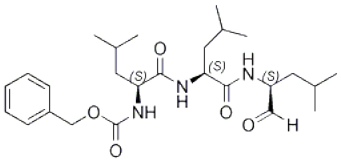
# MG132 目录号 BN26000

## 化学数据



| | | | |
|---|---|---|---|
| 分子量 | 475.63 | 溶解性（25℃） | DMSO 95 mg/mL |
| 分子式 | $C_{26}H_{41}N_3O_5$ | | Water<1 mg/mL |
| CAS号 | 133407-82-6 | | Ethanol95 mg/mL |
| 储存条件 | 3年 -20℃ 粉末状 | | |

## 生物活性

MG-132是一种蛋白酶体抑制剂，IC50为100 nM，也抑制钙蛋白酶，IC50为1.2 μM。

## 实验操作 来自于公开的文献，仅供参考

| 细胞实验 | |
|---|---|
| 细胞系 | Lung cancer cell lines A549 and H1299 |
| 方法 | Cell viability assay. Cell viability was determined using the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) assay. Cells were seeded in 96-well plates at a density of 2.5x103/well 1 day prior to treatment. Then, cells were treated with MG132 or/and irradiation. After treatment, 20 μl of 5 mg/ml MTT solution was added into each well and incubated for 4 h. After the supernatant was removed, 100 μl of DMSO was added, and then placed in a microplate reader to measure OD value. Cell viability rate (vR) was calculated according to the following formula: vR = (OD in observed group/OD in 0 Gy group) x 100%. All assays were repeated 3 times in quintuplicate. |
| 浓度 | 200 nM |
| 处理时间 | 6h |

| 动物实验 | |
|---|---|
| 动物模型 | H1299 cells Xenograft tumorigenicity in nude mice |
| 配制 | saline |
| 剂量 | unknown |
| 给药处理 | oral gavage |

不同实验动物依据体表面积的等效剂量转换表（数据来源于FDA指南）

| | 小鼠 | 大鼠 | 兔 | 豚鼠 | 仓鼠 | 狗 |
|---|---|---|---|---|---|---|
| 重量 (kg) | 0.02 | 0.15 | 1.8 | 0.4 | 0.08 | 10 |
| 体表面积 ($m^2$) | 0.007 | 0.025 | 0.15 | 0.05 | 0.02 | 0.5 |
| $K_m$ 系数 | 3 | 6 | 12 | 8 | 5 | 20 |

$$\text{动物 A (mg/kg)} = \text{动物 B (mg/kg)} \times \frac{\text{动物 B 的} K_m \text{ 系数}}{\text{动物 A 的} K_m \text{ 系数}}$$

例如，依据体表面积折算法，将白藜芦醇用于小鼠的剂量22.4 mg/kg 换算成大鼠的剂量，需要将22.4 mg/kg 乘以小鼠的$K_m$ 系数（3），再除以大鼠的$K_m$ 系数（6），得到白藜芦醇用于大鼠的等效剂量为11.2 mg/kg。

## 参考文献

MG132, a proteasome inhibitor, induces apoptosis in tumor cells.
Guo N, et al. Asia Pac J Clin Oncol. 2012 May 15. PMID: 22897979.

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Zanotto-Filho A, et al. Invest New Drugs. 2012 Feb 28. PMID: 22367315.

The effect of MG132, a proteasome inhibitor on HeLa cells in relation to cell growth, reactive oxygen species and GSH.
Han YH, et al. Oncol Rep. 2009 Jul;22(1):215-21. PMID: 19513526.