

## EX-527

货号: E0146

储存条件: 粉末-20°C可保存3年; 液体-80°C可保存12月。

## 产品描述

SIRT1 is an enzyme which can remove acetyl groups without hydrolysis of  $\text{NAD}^+$  and can deacetylate p53 to modulate its function such as DNA damage-induced cell death. EX-527 is a novel, potent, and specific inhibitor of SIRT1 catalytic activity with  $\text{IC}_{50}$  value of 38nM (measure by activity of GST-tagged human SIRT1 purified from 293T cells), almost no activity to SIRT2 ( $\text{IC}_{50}$ =19.6 $\mu\text{M}$ ) or SIRT3 ( $\text{IC}_{50}$ =48.7 $\mu\text{M}$ ). Treatment with 1 $\mu\text{M}$  EX-527 for 6h after DNA damage by etoposide could enhance the level of p53 acetylation on K382 site in NCI-H460 cells. And this inhibition of SIRT1 catalytic activity by EX-527 could also be observed after treatment with adriamycin, hydroxyurea, or hydrogen peroxide in NCI-H460 cells, or in U-2 OS, MCF-7 and HMEC treated with etoposide. However, p53-controlled gene expression, such as p21, as well as cell survival or proliferation are not altered by inhibition of SIRT1 through EX-527 in these p53-positive cell lines. Inhibition of Sirt by EX-527 induced apoptosis-like changes in blood platelets, associated with a rise in active Bax and a significant drop in platelet count. Administration of 20mg/kg EX-527 led to a reduction in the number of reticulated platelets and thrombocytopenia in mice.

## 产品信息

|      |                        |                       |
|------|------------------------|-----------------------|
| CAS号 | 49843-98-3             |                       |
| 分子式  | C13H13ClN2O            |                       |
| 分子量  | 248.71                 |                       |
| 别名   | SEN0014196; Selisistat |                       |
| 溶解度  | DMSO                   | 49.0 mg/mL (197.0 mM) |
|      | Water                  | Insoluble             |
|      | Ethanol                | 16.0 mg/mL (64.3 mM)  |

