

Catalog Number: CM00583

## 产品信息

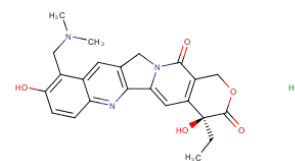
**Catalog Number:**  
CM00583

**CAS号:**  
119413-54-6

**分子式:**  
C<sub>23</sub>H<sub>24</sub>ClN<sub>3</sub>O<sub>5</sub>
**主要靶点:**  
Apoptosis|Autophagy|Topoisomerase

**主要通路:**  
DNA 损伤和修复|凋亡|自噬

**分子量:**  
457.92

**溶解度:**  
H<sub>2</sub>O:45.8 mg/mL (100.02  
mM);DMSO:55 mg/mL (120.11  
mM)


## 靶点活性

Topo I (DU-145 Luc cells):2 nM|Topo I (MCF-7 Luc cells):13 nM

## 体外活性

Topotecan在严重联合免疫缺陷的人预后不良ALL小鼠模型中,诱发有效的抗白血病活性。在全身性药物暴露水平下,Topotecan显著增加了用另外致命剂量的humaln白血病细胞攻击的SCID小鼠的无病存活。神经胶质瘤优先表达TRAIL R2,用Topotecan治疗明显上调其表达。皮下接种DU-145 Luc细胞然后用Topotecan处理,通过尾钡和发光成像测定,肿瘤显著生长和消退。

## 体内活性

Topotecan在耐辐射的人B系急性淋巴细胞性白血病细胞中,稳定拓扑异构酶I/DNA可裂解复合物,尽管bcl-2蛋白高度表达,也能够引起快速的细胞凋亡,并且以剂量依赖方式抑制急性淋巴细胞性白血病细胞的克隆生长。Topotecan对DU-145 Luc和MCF-7 Luc细胞具有更强的药物活性。Topotecan在DNA复制期间,通过稳定拓扑异构酶I和DNA之间的共价复合物,并防止酶联单链DNA断裂后再连接,引起细胞毒性。

## 细胞实验

Topotecan is dissolved in sterile water to a stock concentration of 1 mg/mL, diluted to 6 &mu;g/mL in cultured medium and then serially diluted 1:4 in opaque, white tissue culture-treated microplates to a final volume of 0.1 mL/well. MCF-7 Luc and DU-145 Luc cells are resuspended in 3&times;10<sup>4</sup> cells/mL in DMEM with high glucose containing 10% FBS and 0.5 mg/mL Geneticin; 100 &mu;L of cells are added in each well. Plates are incubated for 4 days at 37 &deg;C in 95% humidity/5% CO<sub>2</sub>. After incubation, 0.05 mL of 0.1 M HEPES buffer (pH 7.9) containing 50 &mu;g/mL D-luciferin is added to each well. After incubation at room temperature for 10 minutes, the culture microplate is measured in a microplate luminometer and a molecular light imager. Results obtained with the microplate luminometer are calculated using no inhibition control wells without exogenous drug and maximum inhibition control wells containing ATP inhibitor. Results for the molecular light imager are similarly calculated using values obtained with a 5 minutes luminescent imager. (Only for Reference)

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.