

YM155

产品编号: D50380

CAS: 781661-94-7

保存条件: Store at -20°C for 3 year(Powder); In DMSO or others solvent store at 2-4°C for two weeks, at -20°C for six months.

产品介绍: **基本信息:**

CAS: 781661-94-7

分子式: C₂₀H₁₉BrN₄O₃

分子量: 443.29

纯度: ≥98%

溶解性: 可溶于水 (>89mg/ml)、二甲基亚砷 (>89mg/ml)、乙醇 (>24mg/ml)、二甲基甲酰胺 (~3mg/ml) 和多溴联苯 (pH7.2) (~10mg/ml)。

作用靶点: Survivin; Autophagy;

作用通路: Apoptosis; Autophagy;

用途/描述: YM155 (Sepantronium Bromide) 是一种有效的 survivin 抑制药, 通过抑制 Survivin 启动子活性而发挥作用, 在HeLa-SURP-luc 和 CHO-SV40-luc细胞中IC₅₀为0.54 nM; 对SV40启动子活性抑制作用不显著, 能够轻微抑制Survivin与XIAP相互作用。YM155 在乳腺癌细胞中可下调 survivin 和 XIAP、调节自噬并诱导自噬依赖的DNA损伤。

体外研究:

Sepantronium bromide (YM155; 30 μM) is not sensitive to survivin gene promoter-driven luciferase reporter activity. Sepantronium bromide shows significant suppression on endogenous survivin expression in PC-3 and PPC-1 human HRPc cells with deficient p53 via transcriptional inhibition of the survivin gene promoter. Sepantronium bromide (100 nM) does not affect protein expression of c-IAP2, XIAP, Bcl-2, Bcl-xL, Bad, α-actin, and β-tubulin. Sepantronium bromide potently inhibits human cancer cell lines (mutated or truncated p53) such as PC-3, PPC-1, DU145, TSU-Pr1, 22Rv1, SK-MEL-5 and A375 with IC₅₀s ranging from 2.3 to 11 nM, respectively.

Sepantronium bromide (YM155) results in an increase in sensitivity of NSCLC cells to γ-radiation. Sepantronium bromide combined with γ-radiation increases both the number of apoptotic cells and the activity of caspase-3. In addition, Sepantronium bromide delays the repair of radiation-induced double-strand breaks in nuclear DNA.

体内研究:

Sepantronium bromide (YM155; 3 and 10 mg/kg) inhibits the tumor growth in PC-3

xenografts, without obvious body weight loss and blood cell count decrease. Sepantronium bromide is highly distributed to tumor tissue in vivo. Sepantronium bromide shows 80% TGI at a dose of 5 mg/kg in PC-3 orthotopic xenografts. Sepantronium bromide (YM155) in combination with γ -radiation shows potent antitumor activity against H460 or Calu6 xenografts in nude mice.

In this orthotopic renal and metastatic lung tumors models, Sepantronium bromide (YM-155) and IL-2 additively decreases tumor weight, lung metastasis, and luciferin-stained tumor images.