

Catalog Number: CM00136

## 产品信息

**Catalog Number:**  
CM00136

**CAS号:**  
21679-14-1

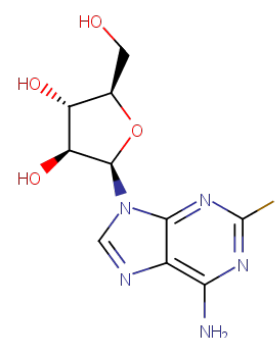
**分子式:**  
 $C_{10}H_{12}FN_5O_4$

**主要靶点:**  
Apoptosis|Nucleoside  
Antimetabolite/Analog|DNA/RNA  
Synthesis|STAT

**主要通路:**  
细胞周期|DNA 损伤和修复|干细胞  
|JAK/STAT 信号通路|凋亡|DNA  
损伤和修复|细胞周期

**分子量:**  
285.23

**溶解度:**  
DMSO:55 mg/mL (192.83 mM);



## 体外活性

**方法:** 多发性骨髓瘤细胞 RPMI8226、MM.1S 和 MM.1R 用 Fludarabine (0-64  $\mu$ g/mL) 处理 24-48 h, 使用 MTT Assay 检测细胞活力。 **结果:** Fludarabine 剂量-时间依赖性抑制 RPMI8226 细胞增殖, 24 h 的 IC<sub>50</sub> 为 1.54  $\mu$ g/mL。 48 h, Fludarabine 对 MM.1S 和 MM.1R 细胞的 IC<sub>50</sub> 分别为 13.48  $\mu$ g/mL 和 33.79  $\mu$ g/mL。 [1] **方法:** 大鼠主动脉 VSMCs 用 Fludarabine (50  $\mu$ M) 和 FBS 处理 30 min, 使用 Western Blot 检测靶点蛋白表达水平。 **结果:** FBS 刺激产生了渐进的 JAK2 和 STAT-1 激活, Fludarabine 诱导 STAT-1 磷酸化的显著减少, 而它没有改变 JAK2 的激活。 [2]

## 体内活性

**方法:** 为检测体内抗肿瘤活性, 将 Fludarabine (8-40 mg/kg) 腹腔注射给携带多发性骨髓瘤 RPMI8226 的 SCID 小鼠, 每天一次, 持续三天。 **结果:** 与对照肿瘤中的约 10 倍相比, 用 40mg/kg 的 Fludarabine 治疗的肿瘤在 25 天内增加了不到 5 倍, 证明了 Fludarabine 在体内的抗肿瘤活性。 [1] **方法:** 为研究对移植物抗宿主病 (GVHD) 的作用, 将 Fludarabine (0.8 mg/kg) 腹腔注射给携带 B 细胞白血病 (BCL-1) 的 (BALB/c x C57BL/6)F1 小鼠, 每两周接受两个周期的给药五天, 然后腹腔注射 cyclophosphamide (400 mg/kg)。 **结果:** 在移植前用含 Fludarabine 的方案治疗的小鼠在临床和尸检中的 GVHD 也少得多, 而移植物抗白血病似乎在相同的动物中增加。 [3]

## 动物实验

The animals in this study were handled according to the animal welfare regulation of the Magna Graecia University of Catanzaro, and the protocol was approved by the animal use committee of this institution. Fifty Wistar rats weighing  $340 \pm 40$  g were anesthetized with an intramuscular injection of 100 mg/kg ketamine and 5 mg/kg xylazine. Angioplasty of the common carotid artery was performed using a balloon embolectomy catheter, as previously described and well validated in our laboratory. Fludarabine was dissolved in 30% pluronic F127 gel to the final concentrations of 2.5, 5, 15, or 25 mg/ml. At the time of balloon injury, gel containing fludarabine or vehicle was applied around the middle segment (2 cm in length) of the right injured carotid artery (0.1 ml per 1-cm length of the artery segment, equivalent to 0.5, 1, 3, or 5 mg of total fludarabine locally delivered), as previously described. As a control experiment, 200  $\mu$ l of fludarabine/gel solution (25 mg/ml) were applied around the sham-operated carotid artery. To study the fludarabine toxicity, laboratory studies were performed at baseline and 2 wk after drug local delivery (25 mg/ml). Arterial pressure and heart rate were measured indirectly by a tail-cuff plethysmographic technique [2].

## 细胞实验

VSMCs were isolated from the aorta of male Wistar rats weighing  $\sim 350$ -500 g, as previously described. For cell culture experiments,  $2 \times 10^5$  rat VSMCs were plated in Dulbecco's modified Eagle's medium (DMEM) with 10% fetal bovine serum (FBS). Semiconfluent VSMCs were starved by incubation in 0.5% FBS/DMEM for 36-48 h and then serum-stimulated with normal growth medium (i.e., DMEM containing 10% FBS) in the presence or absence of fludarabine (50  $\mu$ M) [2].

## 储存

store at low temperature, keep away from direct sunlight, keep away from moisture | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.