

Catalog Number: CM05051

## 产品信息

**Catalog Number:**  
CM05051

**CAS号:**  
606143-89-9

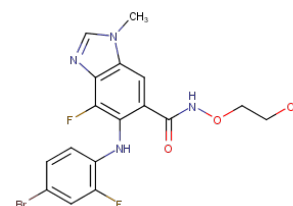
**分子式:**  
 $C_{17}H_{15}BrF_2N_4O_3$

**主要靶点:**  
MEK/Autophagy

**主要通路:**  
MAPK 信号通路/自噬

**分子量:**  
441.23

**溶解度:**  
DMSO:50 mg/mL (113.32  
mM); H<sub>2</sub>O:< 1 mg/mL (insoluble  
or slightly soluble); Ethanol:< 1  
mg/mL (insoluble or slightly  
soluble)



## 靶点活性

MEK:12 nM

## 体外活性

**方法:** 神经母细胞瘤细胞用 Binimetinib (0-2  $\mu$  M) 处理 24-120 h, 通过 MTT assay 检测细胞活力。 **结果:** CHP-212、SK-N-BE、SK-N-AS 和 SJ-NB-10 四种细胞系对 Binimetinib 敏感, 在治疗 24-120 h 后达到 <50% 的存活率, 而五种细胞系则对该药物产生耐药性。 [1] **方法:** NSCLC 细胞 A549、H157 和 H522 用 Binimetinib (0.5-1  $\mu$  M) 处理 48 h, 通过 flow cytometry 检测细胞周期。 **结果:** 在相对较低浓度范围内, 例如 0.5 和 1  $\mu$  M, Binimetinib 在三种敏感的 NSCLC 细胞系中诱导 G1 期阻滞。 [2]

## 体内活性

**方法:** 为检测体内抗肿瘤活性, 将 Binimetinib (5 mg/kg) 和 BMK120 (7.5 mg/kg) 灌胃给药给携带 A549 异种移植物的 athymic (nu/nu) 小鼠, 每天一次, 持续 21 天。 **结果:** 单独使用测试剂量的 Binimetinib 和 BMK120 仅对 A549 异种移植物的生长有微弱的抑制作用, 但 Binimetinib 与 BMK120 的组合显著抑制了 A549 异种移植物的生长。 [2]

## 细胞实验

MEK162 is dissolved in DMSO and stored, and then diluted with appropriate medium before use [2]. MCF7 cells infected as indicated are seeded in 12-well plates ( $2 \times 10^4$ ). After 24 hours, cells are treated with BEZ235 (100 or 200 nM), BMK120 (0.75 or 1  $\mu$  M), GDC-0941 (1  $\mu$  M), or MK2206 (2  $\mu$  M) alone or in combination with MEK162 (1  $\mu$  M), BI-D1870 (10  $\mu$  M), or AZD6244 (1  $\mu$  M), as indicated in text. Cell numbers are quantified by fixing cells with 4% glutaraldehyde or methanol, washing the cells twice in Water, and staining the cells with 0.1% crystal violet. The dye is subsequently extracted with 10% acetic acid, and its absorbance is determined (570 nm). Growth curves are performed in triplicate. Viability assays with CellTiter-Glo are performed by plating 2,000 cells in 96-well plates, adding the drug at 24 hours, and assaying 4 to 5 days after drug addition. Cell-cycle and hypodiploid apoptotic cells are quantified by flow cytometry. Briefly, cells are washed with PBS, fixed in cold 70% ethanol, and then stained with propidium iodide while being treated with RNase. Quantitative analysis of sub-G1 cells is carried out in a FACScalibur cytometer using Cell Quest software [2].

## 储存

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