

Catalog Number: CM03145

## 产品信息

**Catalog Number:**  
CM03145

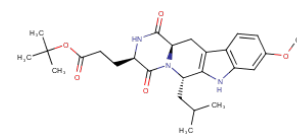
**CAS号:**  
461054-93-3

**分子式:**  
C<sub>26</sub>H<sub>35</sub>N<sub>3</sub>O<sub>5</sub>
**主要靶点:**  
BCRP|ABC

**主要通路:**  
离子通道

**分子量:**  
469.57

**溶解度:**

H<sub>2</sub>O: Insoluble, DMSO: 90 mg/mL  
(191.66 mM), Sonification is  
recommended.


## 靶点活性

ABCG2: 26 nM (EC<sub>50</sub>)

## 体外活性

In HEK G2 cells and mouse G2 cells, Ko143 (10 nM) significantly decreases the IC<sub>50</sub> of MTX. Ko143 (1-100 μM) metabolite does not inhibit the function of ABC transporters [1]. Reversal of drug resistance in SK104864A-selected mouse MEF3.8/T6400 cells and human IGROV1/T8 cells by Ko143 [2]. Ko143 inhibits BCRP-mediated transport of ZD 4522 in Madin-Darby Canine Kidney (MDCK) 2-BCRP421CC (wild type) cells and MDCK2-BCRP421AA (mutant type) cells [3].

## 体内活性

Ko143 (10 mg/kg, p.o.) increases the oral availability of SK104864A in mice [2].

## 动物实验

Oral toxicity of FTC analogs in mice is tested by mixing 50 mg/mL stocks in DMSO 1:1 with Tween 80 (polyoxyethylene sorbitan mono-oleate) and diluting with 5% w/v glucose such that the final volume administered by oral gavage is 10 μL/g of body weight. Pairs of mice are administered oral doses of 50 mg/kg Ko132, Ko134, Ko143, or vehicle under light methoxyflurane anesthesia. Final tests of 50 mg/kg Ko134 or Ko143 are performed on additional pairs of unanesthetized animals to observe any behavioral effects. Further, another pair of mice receive a higher dose of 100 mg/kg Ko134. For i.p. toxicity tests, the FTC analog stocks in DMSO are dispersed in at least 10 volumes of sterile corn oil such that the injected volume is 5 μL/g of body weight. After pilot tests at lower doses show no adverse effects, mice (4 per group) are administered vehicle or 10 mg/kg i.p. of Ko132, Ko134, or Ko143. The mice are observed continuously during the first hour after administration and then at increasing intervals for 2 weeks, after which they are sacrificed for histological examination of major organs and structures [2].

## 细胞实验

Cells are plated at 400 or 1000/well in 96-well plates the night before the addition of drugs. A concentration series of the drug is applied along one plate axis and left for the duration of the assay. Plates are harvested after 4-5 days while untreated wells are still subconfluent. Relative cell proliferation is quantified with CyQuant or Sybr Green I fluorescent nucleic acid stains. Assays with human cell lines are performed in the presence of 0.1 μM PSC833 to inhibit confounding P-gp activity [2].

## 描述

Ko 143 is a selective inhibitor of ATP-binding cassette sub-family G member 2 (ABCG2; BCRP).

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years