For Research Use Only

Ko 143

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Catalog Number: CM03145

产品信息

Catalog Number:
CM03145

CAS号:
461054-93-3

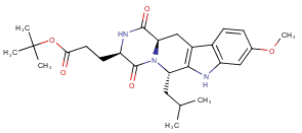
分子式:
$C_{26}H_{35}N_3O_5$

主要靶点:
BCRP|ABC

主要通路:
离子通道

分子量:
469.57

溶解度:
H2O:Insoluble,DMSO:90 mg/mL (191.66 mM),Sonification is recommended.



靶点活性

ABCG2:26 nM (EC50)

体外活性

In HEK G2 cells and mouse G2 cells, Ko143 (10 nM) significantly decreases the IC50 of MTX. Ko143 (1-100 μM) metabolite does not inhibit the function of ABC transporters [1]. Reversal of drug resistance in SKF 104864A-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 SKF 104864A 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 1 year