For Research Use Only

Elacridar

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Catalog Number: CM00232

产品信息

Catalog Number:
CM00232

CAS号:
143664-11-3

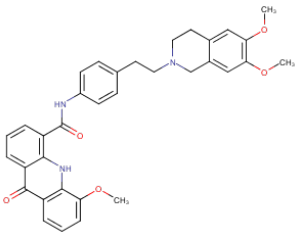
分子式:
$C_{34}H_{33}N_3O_5$

主要靶点:
P-gp|BCRP

主要通路:
离子通道|神经科学

分子量:
563.64

溶解度:
DMSO:41 mg/mL (72.74 mM),Ethanol:<1 mg/mL,H2O:<1 mg/mL



体外活性

在弗兰德白血病病毒染色的B模型小鼠中,Elacridar（2.5 mg/kg,i.v.100 mg/kg,i.p.;100 mg/kg,p.o.）在大脑-血浆中的分配系数(Kp,大脑)分别为0.82, 0.43和 4.31. 在野生型小鼠中, Elacridar（100 mg/kg,i.p.）和克唑替尼口服联用可使血浆和脑组织中克唑替尼的浓度增加,并增加克唑替尼b的大脑-血浆比值,与Abcb1a/1b; Abcg2-/-小鼠体内水平一致.在Mrp4(-/-) 模型小鼠中,Elacridar对P糖蛋白介导的托泊替康转运具有明显抑制作用,但几乎不影响Bcrp1介导的转运.

体内活性

在Caki-1和 ACHN细胞中,Elacridar（2.5 μM）对细胞生长有显著抑制效果。Elacridar可抑制P-gp的活性。Elacridar抑制[3H]azidopine对P糖蛋白的标记（IC50：0.16 μM）。Elacridar与舒尼替尼联用可使ABC亚家族B分子2在786-O细胞中的表达显著降低。

细胞实验

3.0×103 cells per well are seeded in a 96-well plate. After 24 h incubation, an optimum concentration gradient of elacridar is added to each well. After culturing for 48 h, cell viability is assessed using the proliferation reagent, MTT. Control cells are treated with the vehicle only, 0.1% DMSO. After this ?nal incubation, the medium is aspirated and precipitated formazan crystals are dissolved in DMSO (100 μL/well). The absorbance of each well is measured at 540 nm, and a reference wavelength of 650 nm is read with a multiskan JX microplate reader. Cell viability is calculated as percentage of the control value. (Only for Reference)

描述

Elacridar (GF120918) is an effective BCRP and P-gp (MDR-1) inhibitor.

储存

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