For Research Use Only

PD98059

www.ptgcn.com

Catalog Number: CM05068

产品信息

Catalog Number:
CM05068

CAS号:
167869-21-8

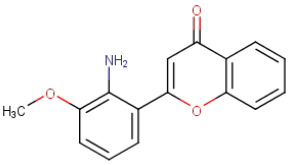
分子式:
$C_{16}H_{13}NO_3$

主要靶点:
ERK|MEK|Aryl Hydrocarbon Receptor|Autophagy

主要通路:
MAPK信号通路|自噬|免疫与炎症

分子量:
267.28

溶解度:
Ethanol:1.3 mg/mL (5 mM),DMSO:6.7 mg/mL (25 mM)



靶点活性

MEK2:50 μM (cell free)|MEK1:2 μM (cell free)

体外活性

PD 098059 does not inhibit Raf-activated MAPKK1 but that it prevents the activation of MAPKK1 by Raf or MEK kinase in vitro at concentrations (IC50: 2-7 μM). PD 098059 also acts as a specific inhibitor of the activation of MAPKK in Swiss 3T3 cells, suppressing by 80-90% its activation by a variety of agonists [1]. Concentrations of PD98059 of /=10 μM. In vivo exposure of cultures to 95%) of the dually phosphorylated forms of the extracellular signal-regulated kinase (IC50: 1 μM) [2].

体内活性

PD98059 (10mg/kg) was administered 1 and 6h after zymosan administration i.p. PD98059 attenuated the peritoneal exudation and the migration of polymorphonuclear cells caused by zymosan. Treatment of mice with PD98059 (10mg/kg) attenuated the NF-kappaB activation and MAPK expression induced by zymosan injection [3]. Administration of PD98059 (10 mg/kg) 1 h after carrageenan caused a reduction in all the parameters of inflammation measured [4].

动物实验

Mice were randomized into 4 groups (n= 40 animals/group): (i) CAR + vehicle group. Mice were subjected to carrageenan-induced pleurisy and received the vehicle for PD98059 (10% dimethylsulfoxide (DMSO) (v/v) i.p. bolus 1 h after carrageen administration(N=10); (ii) PD98059 group. Same as the CAR + vehicle group but were administered PD98059 (10 mg/kg, i.p. bolus) 1 h after carrageenan administration (N=10); (iii) Sham+saline group. Sham-treated group in which identical surgical procedures to the CAR group were performed, except that the saline was administered instead of carrageenan (n=10); (iv) Sham+ PD98059 group. Identical to Sham+saline group except for the administration of PD98059 (10 mg/kg i.p. bolus) 1h after carrageenan administration of saline (N=10). The doses of PD98059 (10 mg/kg) used here were based on previous in vivo studies that demonstrated regulation of the inflammation process [4].

细胞实验

The MCF10A-Neo and MCF10A-NeoT lines were derived by transfection of the MCF10A cell line with the pHo6 plasmid and the pHo6 plasmid containing an Ha-ras oncogene derived from the human T24 bladder carcinoma cell line, and subsequent selection for resistance to G418. The transfected lines represent pooled survivors, as opposed to clonal lines. With the exception of the EGF content being increased from 10 to 20 ng/ml, the cells were cultured in supplemented Dulbecco's modified Eagle's medium/Ham's F-12 medium in a humidified atmosphere of 95% air/5% CO2 at 37°C. Subconfluent cultures were treated with varying concentrations of chemicals dissolved in DMSO (absolute volume of solvent < 0.1% of medium volume). Subconfluent cultures are treated with PD98059 (0-100 μM). Viability of cells after treatment was assessed by ability to exclude trypan blue. Cultures earmarked for RNA isolation were washed twice with phosphate-buffered saline (2.7 mM KCl, 1.5 mM KH2PO4, 137mM NaCl, 8 mM Na2HPO4, pH 7.2) at harvesting and stored at 280°C [2].

描述

PD98059 is a non-ATP competitive MEK inhibitor (IC50: 2/50 μM for MEK1/MEK2).

储存

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