

Catalog Number: CM04644

产品信息

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CM04644

CAS号:
888216-25-9

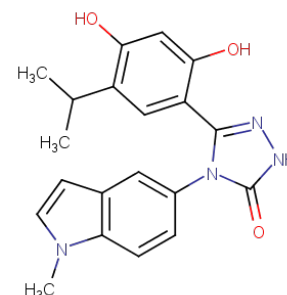
分子式:
 $C_{20}H_{20}N_4O_3$

主要靶点:
HSP|HIF|Apoptosis

主要通路:
血管生成|代谢|凋亡|细胞骨架|表观遗传

分子量:
364.4

溶解度:
Ethanol:<1 mg/mL,DMSO:38 mg/mL (104.3 mM),H₂O:<1 mg/mL



靶点活性

HSP90:4 nM

体外活性

在多种小鼠肿瘤异种移植模型中,Ganetespib表现出低毒性并且能够导致肿瘤缩小,其中,在恶性肥大细胞和OSA异种植物模型中,Ganetespib (25 mg / kg / day) 具有良好的安全性,并且能够抑制肿瘤细胞的生长。

体内活性

在多种人类抗受体酪氨酸激酶抑制剂和抗塔霉素的癌细胞系中,Ganetespib在纳摩尔级别能够表现出细胞毒性,抑制细胞增殖,同时诱导细胞凋亡。在MG63细胞系中 (IC₅₀=43 nM),Ganetespib抑制细胞生长。在C2 (IC₅₀=19 nM) 和BR犬恶性肥大细胞 (IC₅₀=4 nM) 中,Ganetespib诱导细胞凋亡。

细胞实验

A total of 1.5×10^3 OSA cells are seeded in 96-well plates in 10% serum-containing complete medium and incubated overnight to determine the 50% inhibitory concentrations. Plates are harvested at day 5 following 0.001, 0.005, 0.01, 0.05, 0.1, 0.5 and 1 μ M Ganetespib treatment and analyzed. Fluorescence measurements are made using a plate reader with excitation at 485 nm and emission detection at 530 nm. Relative cell number is calculated as a percentage of the control wells: absorbance of sample/absorbance of DMSO treated cells $\times$ 100.(Only for Reference)

描述

Ganetespib is a synthetic small-molecule inhibitor of heat shock protein 90 (Hsp90) with potential antineoplastic activity.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year