For Research Use Only

PD168393

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Catalog Number: CM03927

产品信息

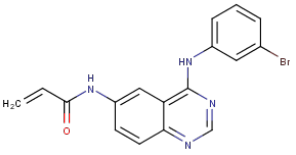
Catalog Number:
CM03927

CAS号:
194423-15-9

分子式:
$C_{17}H_{13}BrN_4O$

分子量:
369.22

溶解度:
Ethanol:<1 mg/mL,H2O:<1 mg/mL,DMSO:68 mg/mL (184.2 mM)



主要靶点:
Autophagy|Apoptosis|PKC|FGFR|EGFR|IGF-1R|PDGFR

主要通路:
血管生成|JAK/STAT信号通路|表观遗传|蛋白酪氨酸激酶|凋亡|自噬|细胞骨架

靶点活性

EGFR:0.70 nM

体外活性

PD 168393 is docked into the ATP binding pocket of EGFR TK. PD168393 completely suppresses EGF-dependent receptor autophosphorylation in A431 cells during continuous exposure, with continous suppression even after 8 hr in compound-free medium. PD168393 inhibits heregulin-induced tyrosine phosphorylation in MDA-MB-453 cells with IC50 of 5.7 nM. PD168393 is inactive against insulin, PDGF and basic FGFR TKs as well as PKC. PD168393 inhibits EGF-mediated tyrosine phosphorylation in HS-27 human fibroblasts with IC50 of 1-6 nM but has little effect on FGF- or PDGF-mediated tyrosine phosphorylation. [1] PD168393 shows rapid and potent inhibition of Her2-induced tyrosine phosphorylation with IC50 of ~100 nM in 3T3-Her2 cells. D168393 also inhibits phosphorylation of PLC γ 1/Stat1/Dok1/ δ -catenin in 3T3-Her2 cells, except for Fyb. [2]

体内活性

PD 168393 produces tumor growth inhibition of 115% in A431 human epidermoid carcinoma xenograft in nude mice, with 50% reduced phosphotyrosine content of EGFR. PD 168393 also shows a low plasma concentration. [1]

描述

PD168393 is an irreversible EGFR inhibitor (IC50: 0.70 nM), irreversibly alkylate Cys-773; inactive against PKC, FGFR, PDGFR, and insulin.

储存

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