For Research Use Only

Midostaurin

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Catalog Number: CM00932

产品信息

Catalog Number:
CM00932

CAS号:
120685-11-2

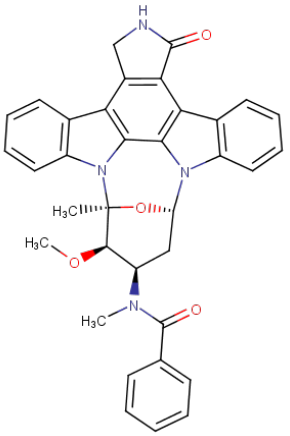
分子式:
$C_{35}H_{30}N_4O_4$

主要靶点:
Others|PKC

主要通路:
表观遗传|细胞骨架|其他

分子量:
570.64

溶解度:
DMSO:8.6 mg/mL (15 mM)



靶点活性

PKC γ :24 nM|PKC β 2:31 nM|PKC α :22 nM|PPK:38 nM|PKC β 1:30 nM

体外活性

Midostaurin(pkc412) is a broad spectrum protein kinase inhibitor. Midostaurin(pkc412) interacts strongly with ATP binding sites of the conventional PKC-α, -β and -γ, PDGFRβ, VEGF-R2, VEGF-R1 and the cyclin-dependant kinase 1-cyclin B complex. Midostaurin(pkc412) inhibits the growth of various human and animal cell lines in vitro at similar submicromolar concentrations. Midostaurin(pkc412) also effectively inhibits the in vitro proliferation of glioblastoma and induced the accumulation of cells in G2/M and formation of giant nuclei with extensive fragmentation and apoptotic bodies. Midostaurin(pkc412) is able to reverse the p-glycoprotein-mediated multidrug resistance of tumor cells in vitro. [1]

体内活性

Midostaurin(pkc412) may suppress tumor growth by inhibiting tumor angiogenesis (via its effects on the VEGF receptor tyrosine kinases) in addition to directly inhibiting tumor cell proliferation (via its effects on PKCs). This anti-angiogenic action may contribute to the antimetastatic and broad antitumor activity displayed by midostaurin(pkc412), as well as the synergy with cytotoxic agents, including doxorubicin, cyclophosphamide, cisplatin and gemcitabine. When given orally, the maximally tolerated dose for midostaurin(pkc412) is >300 mg/kg. [1]

细胞实验

Each well is added with 5 mM WST-1 and 0.2 mM 1-methoxy PMS and the absorbance at 450 nm is measured by a Microplate Reader.(Only for Reference)

描述

PKC412(Midostaurin; CGP41231; CGP41251) is a broad spectrum protein kinase inhibitor. Midostaurin inhibits protein kinase C alpha (PKCalpha), vascular endothelial growth factor receptor 2 (VEGFR2), c-kit, platelet-derived growth factor receptor (PDGFR) and FMS-like tyrosine kinase 3 (FLT3) tyrosine kinases, which may result in disruption of the cell cycle, inhibition of proliferation, apoptosis, and inhibition of angiogenesis in susceptible tumors.

储存

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