

Midostaurin

Catalog No: tcsc3331



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

120685-11-2

Formula:

$C_{35}H_{30}N_4O_4$

Pathway:

TGF-beta/Smad;Epigenetics

Target:

PKC;PKC

Purity / Grade:

>98%

Solubility:

DMSO : 62.5 mg/mL (109.53 mM; Need ultrasonic); H2O :

Alternative Names:

CGP41231;PKC412;CGP 41251

Observed Molecular Weight:

570.64

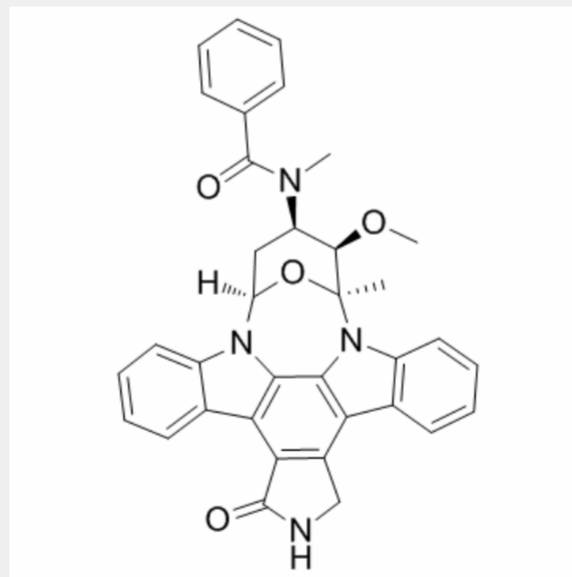
Product Description

Midostaurin (CGP41231; PKC412) is a multi-targeted protein kinase inhibitor which inhibits PKC $\alpha/\beta/\gamma$, Syk, Flk-1, Akt, PKA, c-Kit, c-Fgr, c-Src, FLT3, PDFR β and VEGFR1/2 with **IC₅₀** ranging from 16-500 nM.

IC₅₀ & Target: IC₅₀: 22 nM (cPKC- α), 30 nM (cPKC- β 1), 31 nM (cPKC- β 2), 24 nM (cPKC- γ), 330 nM (nPKC- δ), 160 nM (nPKC- η), 1.25 μ M (nPKC- ϵ), 465 μ M (aPKC- ζ), 38 nM (PPK), 570 nM (Protein kinase A), 95 nM (c-Syk), 86 nM (KDR), 912 nM (Flt-1), 1.90 μ M (Myosin-light chain kinase)^[5]

In Vitro: Midostaurin (PKC412) shows a broad antiproliferative activity against various tumor and normal cell lines in vitro, and is able to reverse the Pgp-mediated multidrug resistance of tumor cells in vitro. Exposure of cells to Midostaurin (PKC412) results in a dose-dependent increase in the G2/M phase of the cell cycle concomitant with increased polyploidy, apoptosis and enhanced sensitivity to ionizing radiation^[1]. Midostaurin (PKC412) with ponatinib induced substantial inhibition of KIT-, Lyn-, and STAT5 activity, but did not suppress Btk in HMC-1 cells and primary neoplastic mast cells^[2]. Midostaurin (PKC412) inhibits EN fusion tyrosine kinase in hematopoietic Ba/F3 cells. Midostaurin (PKC412) significantly inhibits EN phosphorylation in M0-91 and IMS-M2 cells in a dose-dependent manner^[3].

In Vivo: Midostaurin (PKC412) strongly inhibits retinal neovascularization as well as laser-induced choroidal neovascularization in murine models^[1]. Midostaurin (PKC412) (25 mg/kg, i.p.) protects mouse livers of the K18 Arg90Cys-overexpressing transgenic mice from Fas-induced apoptosis^[4].



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