

Amuvatinib

Catalog No: tcsc0178



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

850879-09-3

Formula:

$C_{23}H_{21}N_5O_3S$

Pathway:

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:

PDGFR;c-Kit

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

MP470;HPK 56

Observed Molecular Weight:

447.51

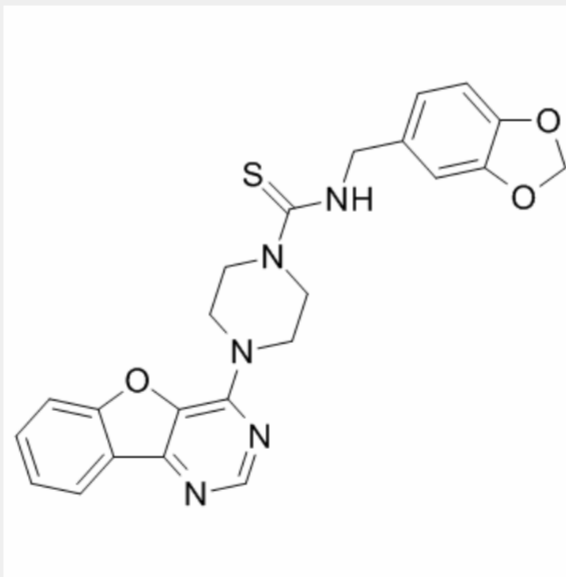
Product Description

Amuvatinib (MP470) is a multi-targeted receptor tyrosine kinases inhibitor, which inhibits **c-Kit (D816V)**, **c-Kit (D816H)**, **c-Kit (V560G)**, **c-Kit (V654A)**, **PDGFR α (D842V)**, and **PDGFR α (V561D)** with **IC₅₀s** of 950 nM, 10 nM, 34 nM, 127 nM, 81 nM, and 40 nM, respectively^[1]. Antineoplastic activity^[2].

In Vitro: MP470, a novel receptor tyrosine kinase (RTK) inhibitor has shown growth inhibitory activity against a variety of cancer cell lines. MP470 is effective on LNCaP and PC-3 cells with an IC₅₀ of ~4 μ M and 8 μ M, respectively. When Erlotinib (10 μ M) is combined with varying doses of MP470, the IC₅₀ of MP470 decreased to 2 μ M on LNCaP cells^[2].

Akt activity (as measured by phosphorylation on Ser473) is significantly reduced by 10 μ M MP470 alone but is not reduced by Erlotinib or Imatinib Mesylate (IM). Moreover, MP470 plus Erlotinib completely abolished Akt phosphorylation in LNCaP cells with an unchanged total protein level of Akt^[2].

In Vivo: Four LNCaP xenograft arms each with 12 mice are dosed intraperitoneally with DMSO (control) or Erlotinib 80 mg/kg or MP470 50 mg/kg or Erlotinib 80 mg/kg plus MP470 50 mg/kg daily for 2 weeks and then observed for a further 11 days. Individual therapy with MP470 or Erlotinib shows modest tumor growth inhibition (TGI), while MP470 plus Erlotinib has a marked effect on TGI (45-65%). However, due to the high doses of MP470 used, only five or one mouse remained alive in the combination arm at the end of treatment or at the end of the study, respectively. Therefore the MP470 dose is reduced to 10 mg/kg or 20 mg/kg for the combination treatment. TGI in the group receiving 10 mg/kg MP470+80 mg/kg Erlotinib is not significantly different from the control group. However, mice receiving 20 mg/kg MP470+80 mg/kg Erlotinib have a significant TGI compared to the control group (p=0.01)^[2].



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