Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Agerafenib (CEP-32496;RXDX105)

Catalog No: tcsc1115

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1188910-76-0

Formula:
$C_{24}H_{22}F_3N_5O_5$

Pathway:
MAPK/ERK Pathway

Target:
Raf

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
CEP-32496; RXDX-105

Observed Molecular Weight:
517.46

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Product Description

Agerafenib (CEP-32496; RXDX-105) is a highly potent and orally efficacious inhibitor of **$BRAF^{V600E}$** with a **K_d** of 14 nM.

IC50 & Target: Kd: 14 nM ($BRAF^{V600E}$), 36 nM (wt BRAF),39 nM (CRAF), 2 nM (c-Kit), 2 nM (Ret), 2 nM (LCK), 3 nM (Abl-1), 8 nM (VEGFR-2), 9 nM (CSF-1R), 14 nM (EPHA2), 22 nM (EGFR), 513 nM (c-Met), 4700 nM (JAK-2), 7100 nM (MEK-1), 8300 nM (MEK-2)[1]

In Vitro: Agerafenib (CEP-32496) exhibits high potency against several $BRAF^{V600E}$-dependent cell lines and selective cytotoxicity for tumor cell lines expressing mutant $BRAF^{V600E}$ versus those containing wild-type BRAF. Agerafenib exhibits potent binding ($BRAF^{V600E}$ K_d=14 nM) and cellular activity (pMEK IC_{50}=82 nM and A375 proliferation IC_{50}=78 nM), with activity in the proliferation assay. Agerafenib also exhibits a favorable CYP450 inhibition profile, with measured IC_{50} values greater than 10 μM versus the CYP1A2, CYP2C9, CYP2D6, and CYP3A4 isoforms and an IC_{50}=3.4 μM versus CYP2C19[1].

In Vivo: Oral administration of Agerafenib (CEP-32496) to Colo-205 tumor xenograft-bearing mice results in significant inhibition of pMEK in tumor cell lysates. For instance, a single 30 mg/kg (po) dose of Agerafenib leads to a 50 and 75% inhibition of normalized pMEK in tumor lysates at the 2 and 6 h postdose time point, respectively (p[1].