

Agerafenib hydrochloride

Catalog No: tcsc1116



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1227678-26-3

Formula:

$C_{24}H_{23}ClF_3N_5O_5$

Pathway:

MAPK/ERK Pathway

Target:

Raf

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

CEP-32496 (hydrochloride);RXDX-105 hydrochloride

Observed Molecular Weight:

553.92

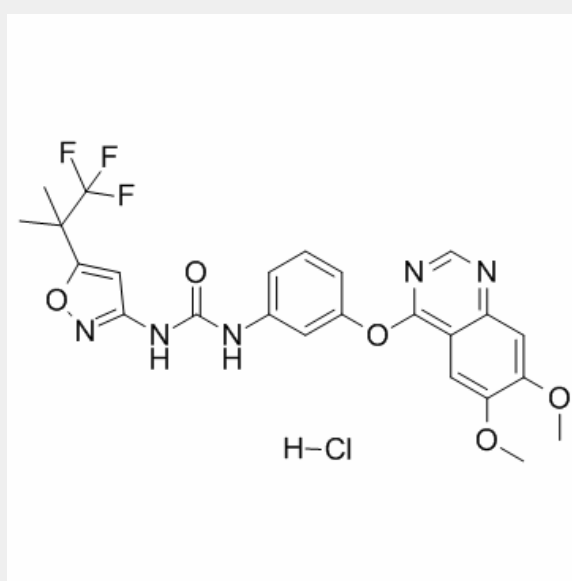
Product Description

Agerafenib hydrochloride is a highly potent and orally efficacious inhibitor of **BRAF^{V600E}** with a **K_d** of 14 nM.

IC₅₀ & Target: K_d: 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 (CEP-32496) exhibits potent binding (BRAF^{V600E} K_d=14 nM) and cellular activity (pMEK IC₅₀=82 nM and A375 proliferation IC₅₀=78 nM), with activity in the proliferation assay. CEP-32496 also exhibits a favorable CYP450 inhibition profile, with measured IC₅₀ values greater than 10 μM versus the CYP1A2, CYP2C9, CYP2D6, and CYP3A4 isoforms and an IC₅₀=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 (CEP-32496) 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]).



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