

# 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

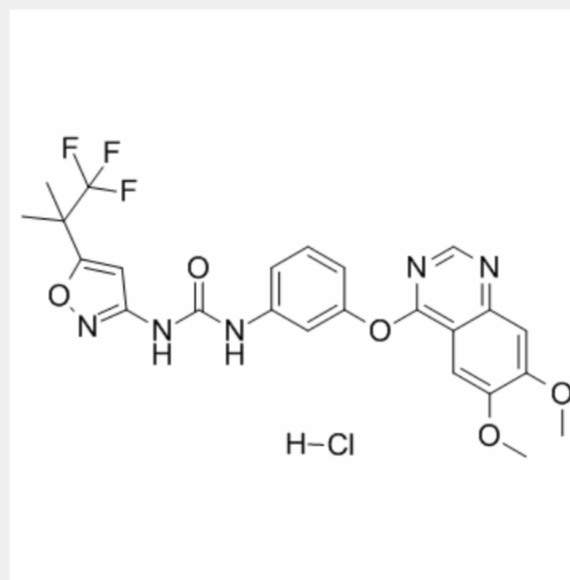
## Product Description

Agerafenib hydrochloride is a highly potent and orally efficacious inhibitor of **BRAF<sup>V600E</sup>** with a **K<sub>d</sub>** of 14 nM.

IC<sub>50</sub> & Target: K<sub>d</sub>: 14 nM (BRAF<sup>V600E</sup>), 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)<sup>[1]</sup>

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

**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]).



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!