

Product Data Sheet

Product Name: MGCD-265

Cat. No.: GC13598

Chemical Properties

Cas. No. 875337-44-3

Chemical Name N-[[3-fluoro-4-[2-(1-methylimidazol-4-yl)thieno[3,2-b]pyridin-7-yl]oxyphenyl]carbamothioyl]-2-phenylacetamide

SMILES CN1C=C(N=C1)C2=CC3=NC=CC(=C3S2)OC4=C(C=C(C=C4)NC(=S)NC(=O)CC5=CC=CC=C5)F

Formula $C_{26}H_{20}FN_5O_2S_2$

M.Wt 517.6

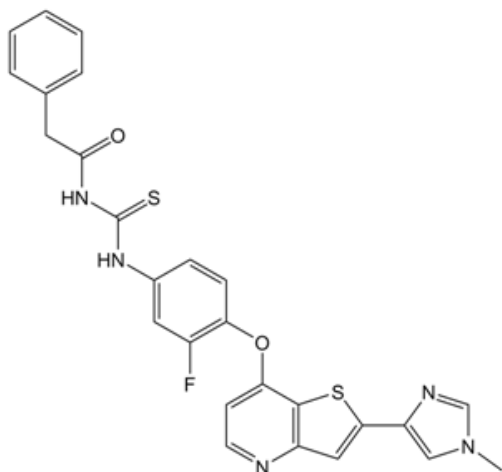
Solubility $\geq 25.9\text{mg/mL}$ in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

MGCD-265 is a multi-target and ATP-competitive inhibitor of c-Met and VEGFR1, 2, 3 with IC_{50} values of 1 nM, 3 nM, 3 nM and 4 nM, respectively. [1]

In Non-small cell lung cancer xenograft models including one that harbored the TKI resistant EGFR

Caution: Product has not been fully validated for medical applications. For research use only.

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mutation T790M, MGCD265 combined with either paclitaxel, docetaxel or erlotinib. Each combination elicited greater tumor response than agent alone, and displayed antiangiogenic properties with docetaxel [2].

MGCD265 has been studied in a variety of advanced solid tumors including NSCLC, as a monotherapy and in combination with either docetaxel or erlotinib. In a phase I study, MGCD265 was given orally from 24 mg/m² daily to 235 mg/m² twice daily uninterrupted to patients with advanced solid malignancy until disease progression [3]. In a phase I standard 3+3 dose escalation, MGCD265 (96 mg/m² once daily up to 162 mg/m² bid) was combined with erlotinib at 100 or 150 mg daily to determine safety, and 45 patients have been enrolled. In a separate phase I dose escalating trial, MGCD265 was combined with docetaxel (50 then 75 mg/m² iv once every 3 weeks) in advanced solid tumors (n=34), including 9 NSCLC patients. The MTD of the microionized formulation of MGCD265 is 72 mg/m² bid and docetaxel 75 mg/m² every 3 weeks but has not been reached with the new formulation. [4][5]

References:

- [1] Bonfils C. et al. AACR 2012 Annual Meeting, 2012. Abstract 1790.
- [2]. Besterman JM, Fournel M, Dupont I, et al. Potent preclinical antitumor activity of MGCD265, an oral Met/VEGFR kinase inhibitor in phase II clinical development, in combination with taxanes or erlotinib. J Clin Oncol 2010;28:abstr e13595.
- [3]. Kollmannsberger CK, Hurwitz H, Vlahovic G, et al. Phase I study of daily administration of MGCD265 to patients with advanced malignancies (Study 265-101). J Clin Oncol 2009;27:abstr e14525.
- [4]. Kollmannsberger CK, Hurwitz H, Cleary JM, et al. MGCD265, a multitargeted oral tyrosine kinase receptor inhibitor of Met and VEGFR: Dose-escalation phase I study (abstr 3039). 2012 ASCO Annual Meeting Chicago, IL. J Clin Oncol 2012;30:abstr 3039.
- [5]. Drouin MA, Kollmannsberger CK, Uronis HE, et al. Daily administration of MGCD265 to patients with solid tumors in a dose-escalation phase I study (study 265-101). J Clin Oncol 2010;28:abstr 3106.

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