
Product Data Sheet

Product Name: Zeteletinib

Cat. No.: GC64340

Chemical Properties

Cas. No. 2216753-97-6

Formula C₂₅H₂₃F₃N₄O₄ M.Wt 500.47

Solubility 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

Zeteletinib (BOS-172738; DS-5010) is an orally active, selective RET kinase inhibitor with nanomolar potency against RET and >300-fold selectivity against VEGFR2. Zeteletinib shows exquisite potency for the wild type RET, RETV804M/L gatekeeper mutants, and the most common oncogenic RET mutation M918T. Zeteletinib has potent antitumor activity[1][2][3].

In biochemical assays of 106 kinases, RET and platelet-derived growth factor receptor (PDGFR) alpha/beta were inhibited more than 80% by 193 nM Zeteletinib (BOS-172738; DS-5010). The IC₅₀ values of Zeteletinib against RET, RET-GKm (V804L) were single digit nano-molar even under a condition of high concentration of ATP; besides it against KDR was more than 1000 nM[1].

In a Ba/F3-RET subcutaneous tumor model, Zeteletinib (BOS-172738; DS-5010) dosing at 10 mg/kg twice daily (bid) induces tumor regression[1]. In an LC2/ad NSCLC xenograft model, which has the RET-CCDC6 fusion gene, Zeteletinib dosing at 1 mg/kg thrice daily (tid) induced tumor regression[1].

[1]. Yasuyuki Kaneta, et al. Abstract B173: Preclinical characterization and antitumor efficacy of DS-5010, a highly potent and selective RET inhibitor. MOLECULAR

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

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CANCERTHERAPEUTICS. January 2018, Volume 17, Issue 1. [2]. Patrick Schoffski, et al. BOS172738, a highly potent and selective RET inhibitor, for the treatment of RET-altered tumors including RET-fusion+ NSCLC and RET-mutant MTC: Phase 1 study results. Journal of Clinical Oncology 39, no. 15_suppl (May 20, 2021) 3008-3008.

[3]. Kyaw Z Thein, et al. Precision therapy for RET-altered cancers with RET inhibitors. Trends Cancer. 2021 Dec;7(12):1074-1088.

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