

Product Data Sheet

Product Name: INCB28060

Cat. No.: GC17866

Chemical Properties

Cas. No. 1029712-80-8

Chemical Name 2-fluoro-N-methyl-4-[7-(quinolin-6-ylmethyl)imidazo[1,2-b][1,2,4]triazin-2-yl]benzamide

SMILES CNC(=O)C1=C(C=C(C=C1)C2=NN3C(=CN=C3N=C2)CC4=CC5=C(C=C4)N=CC=C5)F

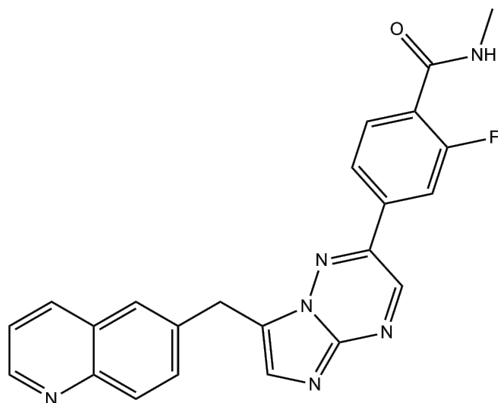
Formula $C_{23}H_{17}FN_6O$ M.Wt 412.42

Solubility $\geq 5.15\text{mg/mL}$ in DMSO with gentle warming 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



Protocol

Cell experiment [1]:

Cell lines Human umbilical vein endothelial cells (HUVECs) and THP-1 monocytes

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

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Preparation Method	HUVECs were cultured in M200PRF medium supplemented with a low-serum growth supplement mixture on 0.3% gelatin-coated culture plates. THP-1 cells were cultivated in RPMI 1640 media containing 10% fetal bovine serum, 100U/mL penicillin, and 100g/mL streptomycin. Cells were grown at 37°C in a humidified environment containing 5% CO ₂ . For co-culture, THP-1 monocytes were harvested and treated with HUVECs. HUVECs and THP-1 were treated with INCB28060 (0–5nM) for 0.5-3 hours before treated with LPS (200ng/mL) 24h.
Reaction Conditions	0–5nM; 30min
Applications	INCB28060 treatment significantly reduced the adhesion of THP-1 monocytes to HUVECs and the expression of adhesion molecules (ICAM-1, VCAM-1, and E-selectin) in HUVECs. INCB28060 also attenuated LPS-induced inflammatory responses, such as phosphorylation of NFκB and IκB, and the release of TNF-α and MCP-1
Animal experiment [2]:	
Animal models	BALB/c-nu mice
Preparation Method	Mice were injected with PC9-BrM cells via the left ventricle. After 3 days, mice were randomly assigned to different treatment groups: control (placebo), anetumab (0.2mg/kg intravenously weekly), crizotinib (5mg/kg intraperitoneally every 2 days), anetumab + crizotinib, INCB28060 (10mg/kg orally daily), and anetumab + INCB28060. Treatments continued for 5 weeks.

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Dosage form 10mg/kg; p.o.

Applications INCB28060 significantly inhibited the occurrence of brain metastasis (BM) in vivo and prolonged the survival of mice. Combined treatment with INCB28060 and anetumab showed improved survival rates.

References:

- [1] Park HS, Abd El-Aty AM, Jeong JH, et al. Capmatinib suppresses LPS-induced interaction between HUVECs and THP-1 monocytes through suppression of inflammatory responses. *Biomed J.* 2023 Apr;46(2):100534.
- [2] Xia S, Duan W, Xu M, et al. Mesothelin promotes brain metastasis of non-small cell lung cancer by activating MET. *J Exp Clin Cancer Res.* 2024 Apr 3;43(1):103.

Background

INCB28060 is a highly potent and orally bioavailable c-Met inhibitor with an IC_{50} value of 0.13nM [1]. INCB28060 is used to treat adults with metastatic non-small cell lung cancer (NSCLC), including those with NSCLC harboring mutations caused by MET exon 14 skipping[2]. INCB28060 has also demonstrated significant antitumor activity in preclinical models of various cancers, including glioma[3], and intrahepatic cholangiocarcinoma[4]

In vitro, pretreatment with INCB28060 (0–5nM) for 30 minutes to 2 hours in human umbilical vein endothelial cells (HUVECs) and THP-1 monocytes, followed by stimulation with LPS (200ng/ml) for 24 hours, significantly inhibits the expression of adhesion molecules, reduces the release of pro-inflammatory factors, and alleviates the inflammatory response[5]. Pretreatment of HepG2, Huh7, HeLa, and MCF-7 cells with INCB28060 (10 μ M) for 30 minutes, followed by incubation with different concentrations of doxorubicin (DOX), INCB28060 significantly enhances the apoptotic activity of DOX against cancer cell[6].

In vivo, INCB28060 (10mg/kg) administered orally once daily to a mouse model of NSCLC brain metastasis significantly inhibits the occurrence of brain metastasis and prolongs the survival time of

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the mice^[7]. In a mouse model of diethylnitrosamine-induced acute liver injury, oral administration of INCB28060 (5 mg/kg) significantly reduces the activities of alanine aminotransferase (ALT), aspartate aminotransferase (AST), and lactate dehydrogenase (LDH) in the serum. INCB28060 also alleviates hepatocellular ballooning and apoptosis, reduces the production and release of pro-inflammatory cytokines, and decreases the infiltration of inflammatory cells into the liver^[8].

References:

- [1] Liu X, Wang Q, Yang G, et al. A novel kinase inhibitor, INCB28060, blocks c-MET-dependent signaling, neoplastic activities, and cross-talk with EGFR and HER-3. Clin Cancer Res. 2011 Nov 15;17(22):7127-38.
- [2] Bi B, Zhan J, Fan B, et al. The pharmacokinetics of capmatinib and its efficacy in non-small cell lung cancer treatment: a narrative review. Transl Lung Cancer Res. 2025 Jul 31;14(7):2842-2852.
- [3] Zuckermann M, He C, Andrews J, et al. Capmatinib is an effective treatment for MET-fusion driven pediatric high-grade glioma and synergizes with radiotherapy. Mol Cancer. 2024 Jun 7;23(1):123.
- [4] Gautschi O, Menon R, Bertrand M, et al. Capmatinib and Osimertinib Combination Therapy for EGFR-Mutant Lung Adenocarcinoma. J Thorac Oncol. 2020 Jan;15(1):e13-e15.
- [5] Park HS, Abd El-Aty AM, Jeong JH, et al. Capmatinib suppresses LPS-induced interaction between HUVECs and THP-1 monocytes through suppression of inflammatory responses. Biomed J. 2023 Apr;46(2):100534.
- [6] Shaker ME, Shaaban AA, El-Shafey MM, et al. The selective c-Met inhibitor capmatinib offsets cisplatin-nephrotoxicity and doxorubicin-cardiotoxicity and improves their anticancer efficacies. Toxicol Appl Pharmacol. 2020 Jul 1;398:115018.
- [7] Xia S, Duan W, Xu M, et al. Mesothelin promotes brain metastasis of non-small cell lung cancer by activating MET. J Exp Clin Cancer Res. 2024 Apr 3;43(1):103.
- [8] Shaker ME, Ashamallah SA, El-Mesery M, et al. The novel c-Met inhibitor capmatinib mitigates diethylnitrosamine acute liver injury in mice. Toxicol Lett. 2016 Nov 2;261:13-25.

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