

Product Data Sheet

Product Name: Golvatinib (E7050)

Cat. No.: GC17715

Chemical Properties

Cas. No. 928037-13-2

Chemical Name 1-N'-[2-fluoro-4-[2-[[4-(4-methylpiperazin-1-yl)piperidine-1-carbonyl]amino]pyridin-4-yl]oxyphenyl]-1-N-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

SMILES CN1CCN(CC1)C2CCN(CC2)C(=O)NC3=NC=CC(=C3)OC4=CC(=C(C=C4)NC(=O)C5(C5)C(=O)NC6=CC=C(C=C6)F)F

Formula C₃₃H₃₇F₂N₇O₄ M.Wt 633.69

Solubility ≥ 15.85mg/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.

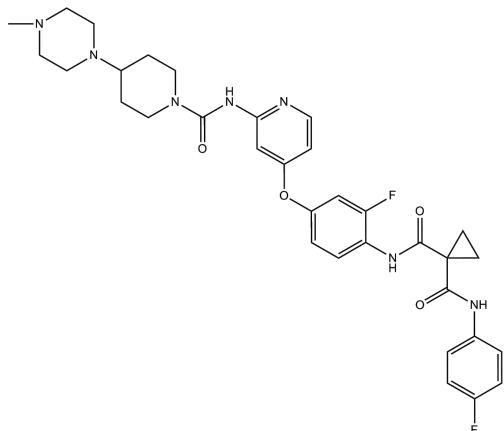
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines	SBC-5 cells
Preparation Method	SBC-5 cells were cultured in RPMI-1640 medium, supplemented with 10% fetal bovine serum and 1% penicillin-streptomycin, at 37°C in an incubator with 5% CO ₂ . Cells were inoculated into 96-well plates (5000 cells per well) overnight, and then the cells were treated with different concentrations of Golvatinib (0, 0.01, 0.1, 1, and 10μM). After 72h, cell viability was measured.
Reaction Conditions	0, 0.01, 0.1, 1, and 10μM; 72h

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Applications	Golvatinib treatment markedly reduced the cell viability of SBC-5 cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Nude mice
Preparation Method	Nude mice (6 weeks old) were housed under controlled conditions with a relative humidity of 55%±5%, room temperature maintained at 23°C-24°C, and a 12h light/dark cycle. Food and water were provided ad libitum. 3×10^6 A375 cells were resuspended in 100µl of phosphate buffer and injected subcutaneously into the nude mice. The volume was measured using a vernier caliper every two days, commencing after six days and continuing until the nude mice were euthanized. Subsequently, the xenografts were removed and subjected to analysis. In the xenograft experiments involving Golvatinib, Golvatinib was dissolved in a solution comprising 6% DMSO, 40% PEG300, 5% Tween-80, and 49% saline. This solution was administered by oral gavage at a concentration of 50mg/kg on a daily basis. Twelve days later, the mice were euthanized, and the subcutaneous xenograft tumors were excised for analysis.
Dosage form	50mg/kg/day; 12 days; p.o.
Applications	Golvatinib treatment inhibited tumor growth in mice with A375 xenografts.
References:[1] Taniguchi H, Yamada T, Takeuchi S, et al. Impact of MET inhibition on small-cell lung cancer cells showing aberrant activation of the hepatocyte growth factor/MET pathway[J]. Cancer Science, 2017, 108(7): 1378-1385.[2] Qiu Z, Sun C, Wang J, et al. USP10 stabilizes FOXM1 through KAT5-mediated acetylation to suppress cell senescence and promote melanoma malignant progression[J]. International Journal of Biological Sciences, 2026, 22(14): 8029.	

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Background

Golvatinib (E7050) is a highly potent, small-molecule, ATP-competitive inhibitor of c-Met and multiple members of the Eph receptor family, as well as c-Kit and Ron, with an IC₅₀ for c-Met of 0.001 μM, for Eph members (A6, B2, A8, A7, B4, B1, and A5) between 0.007 and 0.018 μM, for Kit of 0.010 μM, and for Ron of 0.017 μM^[1]. Golvatinib directly modulates ABCG2 transporter activity, restoring cellular sensitivity to representative ABCG2 substrates by inhibiting efflux of substrates and increasing intracellular drug accumulation^[2]. Golvatinib effectively interferes with the binding of the non-structural protein 1 (Nsp1) of SARS-CoV-2 to the host 40S ribosomal subunit, thereby preventing SARS-CoV-2 infection^[3]. Golvatinib has been widely used to reduce tumor angiogenesis and inhibit the growth of various tumor cells^[4].

In vitro, Golvatinib treatment for 72 hours significantly inhibited the viability of A549, HeLa, and MCF-7 cells, with IC₅₀ values of 6.89 μM, 4.14 μM and 20.61 μM, respectively^[5]. Treatment of mouse macrophages with 0.3 μM Golvatinib for 24 hours inhibited the upregulation of Tie2 expression induced by hypoxia and angiopoietin-2^[6]. 5 μM of Golvatinib incubation for 48 hours inhibited the proliferation and migration of A375 cells and aggravated cell senescence^[7].

In vivo, oral administration of Golvatinib at a dose of 50mg/kg daily for 12 days significantly suppressed tumor growth in the A375 xenograft mouse model^[7]. Daily oral administration of Golvatinib at a dose of 100mg/kg for 14 consecutive days markedly restrained systemic metastasis of small-cell lung cancer cells in SCID mice^[8].

References:

- [1] Molife L R, Dean E J, Blanco-Codesido M, et al. A phase I, dose-escalation study of the multitargeted receptor tyrosine kinase inhibitor, golvatinib, in patients with advanced solid tumors[J]. Clinical Cancer Research, 2014, 20(24): 6284-6294.
- [2] Chen X Y, Cao L Q, Chen X, et al. Golvatinib (E7050) restores chemosensitivity in multidrug-resistant cancer cells by inhibiting ABCG2 transporter[J]. Pathology-Research and Practice, 2026: 156663.
- [3] Shen M, Ding P, Luan G, et al. The antiviral activity of a small molecule drug targeting the NSP1-ribosome complex against Omicron, especially in elderly patients[J]. Frontiers in Cellular and Infection Microbiology, 2023, 13: 1141274.

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- [4] Nakagawa T, Matsushima T, Kawano S, et al. Lenvatinib in combination with golvatinib overcomes hepatocyte growth factor pathway-induced resistance to vascular endothelial growth factor receptor inhibitor[J]. Cancer Science, 2014, 105(6): 723-730.
- [5] Xiong H, Zhang J, Zhang Q, et al. Design, synthesis and biological evaluation of 4-(pyridin-4-yloxy) benzamide derivatives bearing a 5-methylpyridazin-3 (2H)-one fragment[J]. Bioorganic & Medicinal Chemistry Letters, 2020, 30(9): 127076.
- [6] Nakazawa Y, Kawano S, Matsui J, et al. Multitargeting strategy using lenvatinib and golvatinib: Maximizing anti-angiogenesis activity in a preclinical cancer model[J]. Cancer science, 2015, 106(2): 201-207.
- [7] Qiu Z, Sun C, Wang J, et al. USP10 stabilizes FOXM1 through KAT5-mediated acetylation to suppress cell senescence and promote melanoma malignant progression[J]. International Journal of Biological Sciences, 2026, 22(14): 8029.
- [8] Taniguchi H, Yamada T, Takeuchi S, et al. Impact of MET inhibition on small-cell lung cancer cells showing aberrant activation of the hepatocyte growth factor/MET pathway[J]. Cancer Science, 2017, 108(7): 1378-1385.

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