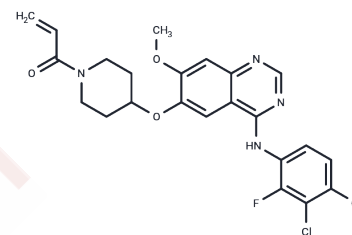


Poziotinib

Chemical Properties

CAS No. :	1092364-38-9
Formula:	C ₂₃ H ₂₁ Cl ₂ FN ₄ O ₃
Molecular Weight:	491.34
Storage:	Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Poziotinib (NOV120101)(NOV120101; HM781-36B) is an irreversible HER1/2/4 inhibitor (IC ₅₀ s: 3/5/23 nM).
Targets(IC ₅₀)	Apoptosis,EGFR,HER
In vitro	In nude mice bearing N87 human gastric carcinoma xenografts, Poziotinib (0.5 mg/kg, orally administered) significantly inhibits tumor growth. The combined use of Poziotinib and 5-FU further enhances the efficacy in suppressing tumor growth.
In vivo	Poziotinib inhibits the phosphorylation of EGFR and key components of downstream signaling cascades, such as STAT3, AKT, and ERK, and exhibits specific inhibitory effects on the growth of gastric cancer cells with HER2 amplification. It can induce G1 cell cycle arrest and apoptosis in gastric cancer cells with activated HER2 amplification through the mitochondrial pathway. Furthermore, Poziotinib demonstrates synergistic effects with chemotherapeutic agents in both HER2-amplified and non-amplified gastric cancer cells.
Kinase Assay	Enzyme activity assay: To determine the IC ₅₀ values of HM781-36B for kinase inhibition, enzymes of EGFR, HER2, and HER4 are expressed as recombinant proteins in Sf9 insect cells. Enzyme selectivity screening is then performed using a tyrosine kinase assay kit. Briefly, the reactions are performed in 96 well polystyrene round-bottomed plates containing kinase buffer composed of 100 mM HEPES (pH 7.4), 25 mM MgCl ₂ , 10 mM MnCl ₂ and 250 μM Na ₃ VO ₄ . The reactions are initiated by the addition of 100 ng/assay enzyme, 100 μM ATP, and 10 ng/mL poly(Glu, Tyr). After 1 h of incubation at room temperature, the reactions are terminated by adding 6 mM EDTA solution and then anti-phosphotyrosine antibody, PTK Green Tracer, and FP dilution buffer mixtures. The fluorescence polarization values are then measured after 30 min at room temperature using a Victor3 microplate reader. Finally, the IC ₅₀ values were calculated using the following equation: $Y = \text{bottom} + (\text{top} - \text{bottom}) / (1 + 10^{(\text{X} - \text{logIC}_{50}))}$.
Cell Research	Viable cell growth is determined by an MTT reduction assay. Briefly, all cell lines are seeded at a density of 3×10^3 per well in 96-well culture plates and then incubated at 37 °C for 24 h. The cells are then treated with 0.001, 0.01, 0.1, or 10 μM of HM781-36B. Three days later, 50 μg of MTT are added to each well and the samples are then incubated for 4 h to reduce the dye. Next, the samples are treated with DMSO, after which the absorbance of the converted dye in the living cells is measured at a wavelength of 540

A DRUG SCREENING EXPERT

Cell Research	nm. Six replicate wells are used for each analysis, and at least three independent experiments are conducted. Data points shown represent the mean while bars represent the SE.(Only for Reference)
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Solubility Information

Solubility	DMSO: 91 mg/mL (185.21 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.72 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0353 mL	10.1763 mL	20.3525 mL
5 mM	0.4071 mL	2.0353 mL	4.0705 mL
10 mM	0.2035 mL	1.0176 mL	2.0353 mL
50 mM	0.0407 mL	0.2035 mL	0.4071 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Nam HJ, et al. Cancer Lett. 2011, 302(2), 155-165.

Luo P, Hong H, Zhang B, et al.ERBB4 selectively amplifies TGF- β pro-metastatic responses.Cell Reports.2025, 44(2).

Cha MY, et al. Int J Cancer. 2012, 130(10), 2445-2454.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481