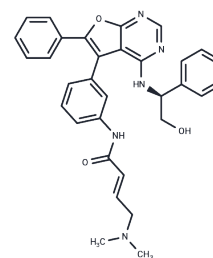


DBPR112

Chemical Properties

CAS No. : 1226549-49-0
 Formula: C₃₂H₃₁N₅O₃
 Molecular Weight: 533.62
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	DBPR112 is an orally active furanopyrimidine-based EGFR inhibitor with IC ₅₀ s of 15 nM for EGFR ^{WT} and 48 nM for EGFR ^{L858R/T790M} , capable of occupying the ATP-binding site and demonstrating significant antitumor efficacy.
Targets(IC ₅₀)	EGFR
In vitro	DBPR112 (0.32-1000 nM; 16 hours) induces reduction of phosphorylated EGFR in a dose-dependent manner[1]. DBPR112 shows the inhibitory activity against HCC827 (CC ₅₀ =25 nM), H1975 (CC ₅₀ =620 nM) and A431 Cell (CC ₅₀ =1.02 μM) cell lines[1]. DBPR112 occupies the ATP-binding site and interacts with surrounding residues by covalent bonding, hydrogen bonds, and hydrophobic interactions, which give it a potent inhibitory activity against WT EGFR[1].
In vivo	DBPR112 administered orally at 20-50 mg/kg for 5 days per week over 2 weeks significantly reduces tumor growth in the HCC827 tumor model. Oral administration of DBPR112 at 50 mg/kg once daily for 15 days results in a mean tumor growth inhibition of 34% in the H1975 tumor model [1]. Intravenous administration of DBPR112 at 5 mg/kg in rats shows a T _{1/2} of 2.3 hours, a CL of 55.6 mL/min•kg, and a V _{ss} of 8.6 L/kg [1].

Solubility Information

Solubility	DMSO: 150 mg/mL (281.1 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (9.37 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	1.874 mL	9.370 mL	18.7399 mL
5 mM	0.3748 mL	1.874 mL	3.748 mL
10 mM	0.1874 mL	0.937 mL	1.874 mL
50 mM	0.0375 mL	0.1874 mL	0.3748 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

Lin SY, et al. Discovery of a Furanopyrimidine-Based Epidermal Growth Factor Receptor Inhibitor (DBPR112) as a Clinical Candidate for the Treatment of Non-Small Cell Lung Cancer. J Med Chem. 2019 Sep 27.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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