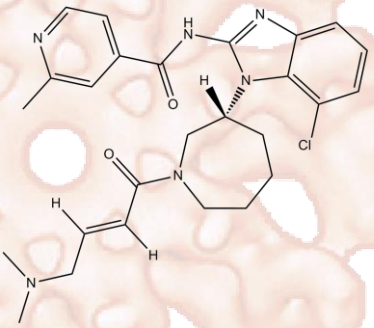
Product Data Sheet

EGF816



Cat. No.:	B5889
CAS No.:	1508250-71-2
Formula:	C26H31ClN6O2
M.Wt:	495.02
Synonyms:	Nazartinib
Target:	Tyrosine Kinase
Pathway:	EGFR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

Soluble in DMSO

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.0201 mL	10.1006 mL	20.2012 mL
5 mM	0.4040 mL	2.0201 mL	4.0402 mL
10 mM	0.2020 mL	1.0101 mL	2.0201 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Novel covalent inhibitor of mutant-selective EGFR
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	H3255, HCC827 and H1975 cells
Preparation method:	This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	0.01 nM ~ 100 μM; 3 hrs
Applications:	In H3255, HCC827 and H1975 cells, EGF816 significantly lowered pEGFR

		levels with EC50 values of 5, 1 and 3 nM, respectively. In addition, EGF816 inhibited proliferation of H3255, HCC827 and H1975 cells with EC50 values of 9, 11 and 25 nM, respectively.
In Vivo	**Animal experiment**	
	Animal models:	H1975 mouse xenograft models
	Dosage form:	3, 10, 30 or 100 mg/kg; p.o.; q.d., for 14 days
	Applications:	In H1975 mouse xenograft models, EGF816 (10 mg/kg) significantly inhibited tumor growth with a T/C value of 29%. At higher doses of 30 and 100 mg/kg, EGF816 inhibited tumor growth with T/C values reaching ~ 60% and ~ 80%, respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Masuzawa K, Yasuda H, et al. "Characterization of the efficacies of osimertinib andnazartinib against cells expressing clinically relevant epidermal growth factorreceptor mutations." Oncotarget. 2017 Nov 6;8(62):105479-105491.PMID:29285266
2. Nukaga S, Yasuda H, et al. "Amplificationof EGFR Wild-Type Alleles in Non-Small Cell Lung Cancer Cells Confers AcquiredResistance to Mutation-Selective EGFR Tyrosine Kinase Inhibitors." Cancer Res.2017 Apr 15;77(8):2078-2089.PMID:28202511

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References

[1]. Jia Y, Juarez J, Li J, Manuia M, Niederst MJ, Tompkins C, Timple N, Vaillancourt MT, Pferdekamper AC, Lockerman EL, Li C, Anderson J, Costa C, Liao D, Murphy E, DiDonato M, Bursulaya B, Lelais G, Barretina J, McNeill M, Epple R, Marsilje TH, Pathan N, Engelman JA, Michellys PY, McNamara P, Harris J, Bender S, Kasibhatla S. EGF816 Exerts Anticancer Effects in Non-Small Cell Lung Cancer by Irreversibly and Selectively Targeting Primary and Acquired Activating Mutations in the EGF Receptor. Cancer Res. 2016 Mar 15;76(6):1591-602.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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