

Elexacaftor

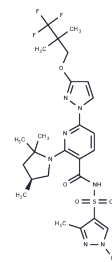
Chemical Properties

CAS No. : 2216712-66-0

Formula: C₂₆H₃₄F₃N₇O₄S

Molecular Weight: 597.65

Storage: Store at low temperature, Keep away from direct sunlight
 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	Elexacaftor (VX-445) is a cystic fibrosis transmembrane conductance regulator (CFTR) corrector. It promotes the processing and trafficking of CFTR, increases the amount of CFTR on the cell surface, and improves the processing and trafficking of Phe508del CFTR protein.
Targets(IC50)	CFTR, Autophagy
In vitro	Elexacaftor (VX-445) has the potential to treat cystic fibrosis and it also is a next-generation cystic fibrosis transmembrane conductance regulator (CFTR) corrector designed to restore Phe508del CFTR protein function. VX-445-Tezacaftor-VX-770 significantly improves Phe508del CFTR protein processing, trafficking, chloride transport. To a greater extent than any two of these agents in dual combination[2].
In vivo	METHODS: Patients with the Phe508del-Phe508del genotype underwent a 4-week run-in of tezacaftor and ivacaftor and were randomized to receive either elexacaftor (VX-445) (200 mg orally daily) plus tezacaftor (100 mg daily) and ivacaftor (150 mg daily) or matching placebo plus tezacaftor and ivacaftor for 4 weeks. RESULTS Treatment with elexacaftor (VX-445)-tezacaftor-ivacaftor significantly increased the percentage predicted FEV1 by up to 13.8 percentage points in patients with the Phe508del-MF genotype and up to 11.0 percentage points in patients with the Phe508del-Phe508del genotype who were already receiving tezacaftor-ivacaftor.[2]

Solubility Information

Solubility	DMSO: 125 mg/mL (209.15 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: 4 mg/mL (6.69 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	1.6732 mL	8.3661 mL	16.7322 mL
5 mM	0.3346 mL	1.6732 mL	3.3464 mL
10 mM	0.1673 mL	0.8366 mL	1.6732 mL
50 mM	0.0335 mL	0.1673 mL	0.3346 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

Alexander Russell Abela, et al. MODULATOR OF THE CYSTIC FIBROSIS TRANSMEMBRANE CONDUCTANCE REGULATOR , PHARMACEUTICAL COMPOSITIONS , METHODS OF TREATMENT , AND PROCESS FOR MAKING THE MODULATOR. US 20180162839 A1.

Keating D, et al. VX16-445-001 Study Group. VX-445-Tezacaftor-Ivacaftor in Patients with Cystic Fibrosis and One or Two Phe508del Alleles. N Engl J Med. 2018 Oct 25;379(17):1612-1620.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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