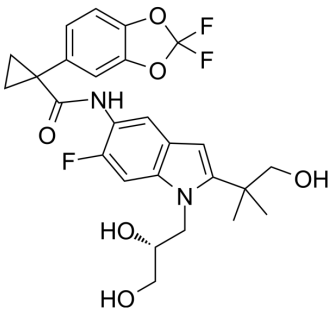
Tezacaftor

Cat. No.:	HY-15448
CAS No.:	1152311-62-0
Molecular Formula:	$C_{26}H_{27}F_3N_2O_6$
Molecular Weight:	520.5
Target:	CFTR
Pathway:	Membrane Transporter/Ion Channel
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 1 year; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (96.06 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9212 mL	9.6061 mL	19.2123 mL
	5 mM	0.3842 mL	1.9212 mL	3.8425 mL
	10 mM	0.1921 mL	0.9606 mL	1.9212 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tezacaftor (VX-661) is a F508del CFTR corrector. It helps CFTR protein reach the cell surface. However, Ivacaftor (VX-770, HY-13017), a CFTR potentiator, helps to prolong the opening time of cell surface CFTR protein channels. Tezacaftor combining with Ivacaftor, shows potent efficacy against cystic fibrosis and diseases with homozygous for the CFTR Phe508del mutation. Moreover, Elexacaftor (VX-445, HY-111772) is also a CFTR corrector. Elexacaftor-Tezacaftor-Ivacaftor aims at with cystic fibrosis (CF) with at least one Phe508del mutation, often avoids the indication for lung transplantation[1][2][3][4].

In Vitro

Tezacaftor (2 μM, 24 h) increases the expression level of N1303K-CFTR in HEK293 and CFBE cells[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[4]

Cell Line:	HEK293, CFBE
Concentration:	2 μM
Incubation Time:	24 h
Result:	Increased N1303K-CFTR expression levels.

CUSTOMER VALIDATION

- Cell. 2022 Jan 6;185(1):158-168.e11.
- Am J Respir Crit Care Med. 2021 Aug 11.
- Int J Mol Sci. 2021, 22(1), 344.
- Am J Physiol Cell Physiol. 2022 Sep 5.
- J Cell Sci. 2022 Jan 21;jcs.259002.

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REFERENCES

[1]. Taylor-Cousar JL, et al. Tezacaftor-Ivacaftor in Patients with Cystic Fibrosis Homozygous for Phe508del. N Engl J Med. 2017 Nov 23;377(21):2013-2023.

[2]. Burgel PR, et al. Rapid Improvement after Starting Elexacaftor-Tezacaftor-Ivacaftor in Patients with Cystic Fibrosis and Advanced Pulmonary Disease. Am J Respir Crit Care Med. 2021 Jul 1;204(1):64-73.

[3]. Huang Y, et al. Elexacaftor/Tezacaftor/Ivacaftor Improved Clinical Outcomes in a Patient with N1303K-CFTR Based on In Vitro Experimental Evidence. Am J Respir Crit Care Med. 2021 Nov 15;204(10):1231-1235.

[4]. Treatment with VX-661 and Ivacaftor in a Phase 2 Study Resulted in Statistically Significant Improvements in Lung Function in People with Cystic Fibrosis Who Have Two Copies of the F508del Mutation. April 18, 2013

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

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