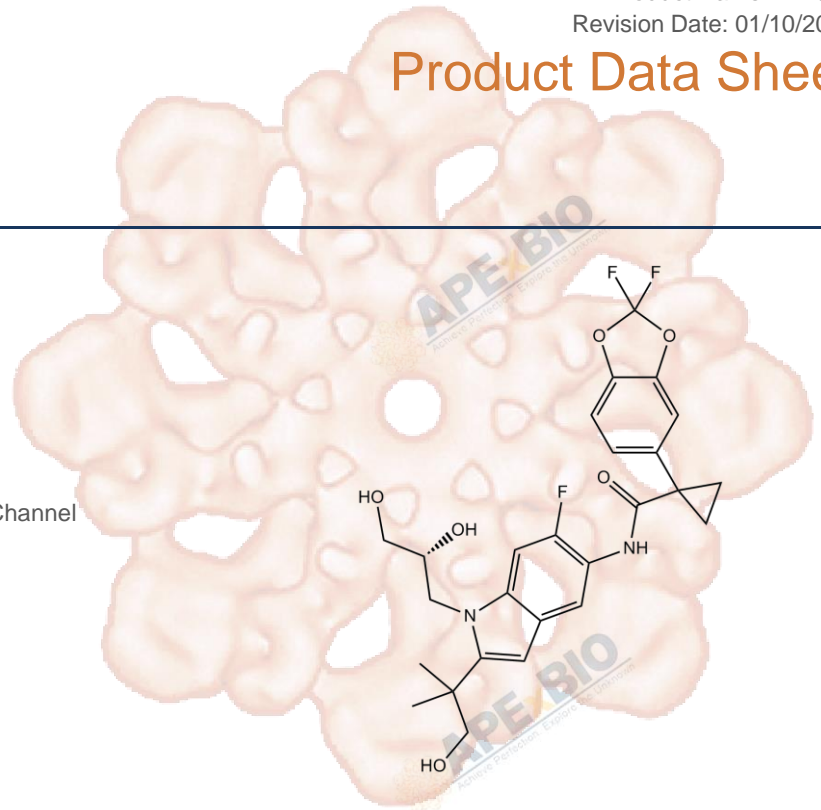


# Product Data Sheet

## VX-661

<b>Cat. No.:</b>	A2664
<b>CAS No.:</b>	1152311-62-0
<b>Formula:</b>	C <sub>26</sub> H <sub>27</sub> F <sub>3</sub> N <sub>2</sub> O <sub>6</sub>
<b>M.Wt:</b>	520.5
<b>Synonyms:</b>	
<b>Target:</b>	Membrane Transporter/Ion Channel
<b>Pathway:</b>	CFTR
<b>Storage:</b>	Store at -20°C



## Solvent & Solubility

≥21.8 mg/mL in DMSO; insoluble in EtOH; ≥24.3 mg/mL in H<sub>2</sub>O

In Vitro

Preparing Stock Solutions	Mass			
	Solvent Concentration	1mg	5mg	10mg
	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.

## Biological Activity

Shortsummary

F508del CFTR corrector

IC<sub>50</sub> & Target

In Vitro

### Cell Viability Assay

Cell Line:	human CF bronchial epithelial cell line CFBE41o
Preparation method:	The solubility of this compound in DMSO >21.8mg/mL. 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:	3 µM for 24 hours at 26°C.
	Applications:	VX-661 could partially revert the folding and processing defects and rescue PM(plasma membrane) densities of ΔF508-CFTR(Cystic fibrosis transmembrane regulator). VX-770 was a potentiators that increase channel gating and conductance.VX-770 reduced the correction efficacy of corrector VX-661. The VX-770 effect was attenuated in VX-661 treated cells, probably due to partial stabilization of the mature ΔF508-CFTR pool. A combination of chronic VX-661 and acute VX-770, together with a cAMP (cyclic adenosine 3',5'-monophosphate) agonist, increased ΔF508-CFTR conductance to ~25% of that in non-CF HBE (human bronchial epithelial).
In Vivo	<b>Animal experiment</b>	
	Dosage form:	10,30, 100, or 150 mg daily in oral for 28 days
	Applications:	Interim results found decreases in sweat chloride with VX-661 alone and in combination with ivacaftor(VX-770). A relative change in FEV1 (forced expiratory volume in one second) compared with placebo was significant at 28 days with VX-661 100 mg (9%) and 150 mg (7.5%) in combination with ivacaftor.
	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

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References

- [1] S. Donaldson, J. Pilewski, M. Griese, Q. Dong, P.-S. Lee, for the VX11–661-101 Study Group. WS7.3 VX-661, an investigational CFTR corrector, in combination with ivacaftor, a CFTR potentiator, in patients with CF and homozygous for the F508Del-CFTR mutation: Interim analysis. Journal of Cystic Fibrosis, Volume 12, Supplement 1, June 2013, Page S14
- [2] National Institutes of Health. Study of VX-661 Alone and in Combination With Ivacaftor in Subjects Homozygous or Heterozygous to the F508del-Cystic Fibrosis Transmembrane Conductance Regulator(CFTR) Mutation (February 1, 2012). Available at: <http://clinicaltrials.gov/ct2/show/NCT01531673>. Accessed May 5, 2015.

## 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.

**APExBIO Technology**

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