

## **Data Sheet**

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 Product Name
 : Lumacaftor

 Cat. No.
 : PC-43442

 CAS No.
 : 936727-05-8

 Molecular Formula
 : C<sub>24</sub>H<sub>18</sub>F<sub>2</sub>N<sub>2</sub>O<sub>5</sub>

Molecular Weight: 452.41
Target: CFTR

**Solubility** : 10 mM in DMSO

## **Biological Activity**

VX-809 (Lumacaftor, VRT 826809) is a potent **CFTR corrector** that increases F508del-CFTR-mediated chloride transport amd improves F508del-CFTR maturation in FRT cells with EC50 of 0.1 and 0.5 uM, respectively, also inhibits dual specificity phosphatase 18 (**DUSP18**).

VX-809 (Lumacaftor, VRT 826809) improves F508del-CFTR processing in the endoplasmic reticulum and enhances chloride secretion to approximately 14% of non-CF human bronchial epithelial cells (EC50=81 nM).

VX-809 (Lumacaftor, VRT 826809) exhibits biochemical and functional characteristics similar to normal CFTR, including biochemical susceptibility to proteolysis, residence time in the plasma membrane, and single-channel open probability after F508del-CFTR corrected by VX-809.

VX-809 (Lumacaftor, VRT 826809) inhibits CRC growth in mice and synergistically enhances anti-tumor immunity when combined with an anti-PD-1 antibody.

## References

Van Goor F, et al. *Proc Natl Acad Sci U S A.* 2011 Nov 15;108(46):18843-8.

Okiyoneda T, et al. *Nat Chem Biol.* 2013 Jul;9(7):444-54.

Farinha CM, et al. *Chem Biol.* 2013 Jul 25;20(7):943-55.

Kopeikin Z, et al. J Cyst Fibros. 2014 Sep;13(5):508-14.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com