

# Lumacaftor

## Catalog No: tcsc0479



### Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



### Specifications

**CAS No:**

936727-05-8

**Formula:**

$C_{24}H_{18}F_2N_2O_5$

**Pathway:**

Membrane Transporter/Ion Channel

**Target:**

CFTR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

VX-809;VRT 826809

**Observed Molecular Weight:**

452.41

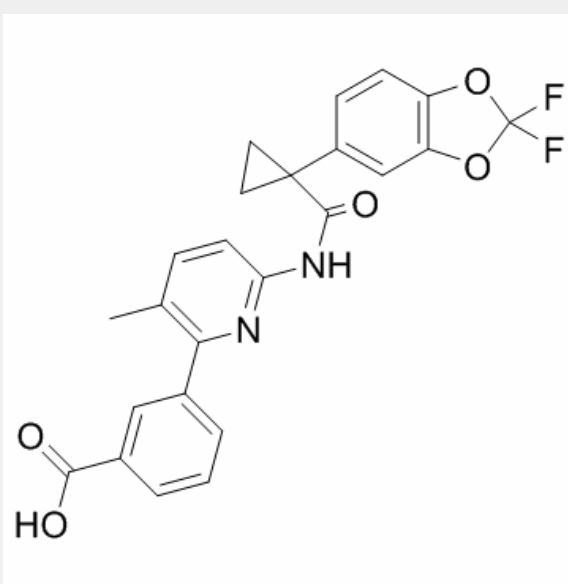
## Product Description

Lumacaftor (VX-809) is a **CFTR** modulator that corrects the folding and trafficking of CFTR protein.

IC<sub>50</sub> & Target: EC<sub>50</sub>: 0.1 μM (CFTR)<sup>[1]</sup>

**In Vitro:** In fischer rat thyroid (FRT) cells, Lumacaftor improves F508del-CFTR maturation by 7.1±0.3 fold (n=3) compared with vehicle-treated cells (EC<sub>50</sub>, 0.1±0.1 μM; n=3) and enhances F508del-CFTR-mediated chloride transport by approximately fivefold (EC<sub>50</sub>, 0.5±0.1 μM; n=3). At Lumacaftor concentrations greater than 10 μM, the response is reduced, resulting in a bell-shaped dose-response relationship with an IC<sub>50</sub> of approximately 100 μM. Lumacaftor is orally bioavailable in rats and achieved in vivo plasma levels significantly above concentrations required for in vitro efficacy<sup>[1]</sup>. Lumacaftor produces a concentration-dependent increase in the HRP luminescence signal after incubation with cells at 37°C or 27°C in both cell lines, with a similar EC<sub>50</sub> value of approximately 0.3 μM. In F508-HRP CFBE41o<sup>-</sup> cells at 37°C, Lumacaftor increases the signal maximally to approximately 250 luminescence arbitrary units (a.u.) over the DMSO control baseline of approximately 60 a.u., representing an approximately 4-fold signal increase. Similarly, with the R1070W-HRP CFBE41o<sup>-</sup> cells, Lumacaftor increases the signal maximally to approximately 220 a.u. over the DMSO control baseline of approximately 85 a.u., representing an approximately 2.5-fold signal increase. Therefore, both cell lines produced robust signals with a good dynamic range for high-throughput screening<sup>[2]</sup>.

**In Vivo:** Oral dosing of 1 mg/kg Lumacaftor in male Sprague-Dawley rats results in a C<sub>max</sub> of 2.4±1.3 μM with a t<sub>1/2</sub> of 7.7±0.4 h (mean±SD; n=3), indicating that that Lumacaftor is orally bioavailable and able to reach plasma levels that significantly exceeded EC<sub>50</sub>s for F508del-CFTR correction<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!