

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

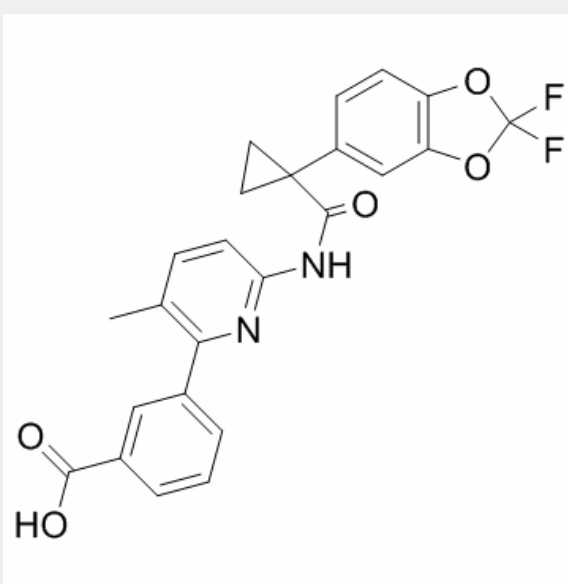
Product Description

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

IC50 & Target: EC50: 0.1 μ M (CFTR)^[1]

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_{50} , 0.1 ± 0.1 μ M; $n=3$) and enhances F508del-CFTR-mediated chloride transport by approximately fivefold (EC_{50} , 0.5 ± 0.1 μ M; $n=3$). At Lumacaftor concentrations greater than 10 μ M, the response is reduced, resulting in a bell-shaped dose-response relationship with an IC_{50} of approximately 100 μ M. Lumacaftor is orally bioavailable in rats and achieved in vivo plasma levels significantly above concentrations required for in vitro efficacy^[1]. 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_{50} value of approximately 0.3 μ M. In F508-HRP CFBE41o⁻ 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⁻ 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^[2].

In Vivo: Oral dosing of 1 mg/kg Lumacaftor in male Sprague-Dawley rats results in a C_{max} of 2.4 ± 1.3 μ M with a $t_{1/2}$ of 7.7 ± 0.4 h (mean \pm SD; $n=3$), indicating that that Lumacaftor is orally bioavailable and able to reach plasma levels that significantly exceeded EC_{50} s for F508del-CFTR correction^[1].



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