Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

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

IC50 & Target: EC50: 0.1 μM (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 μM; n=3) and enhances F508del-CFTR-mediated chloride transport by approximately fivefold (EC $_{50}$, 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_{50} of approximately 100 μM. 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 μM. 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 μM with a $t_{1/2}$ 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 $_{50}$s for F508del-CFTR correction[1].