Product Data Sheet

Chemical Properties

Product Name: Ivacaftor (VX-770)
Cas No.: 873054-44-5
M.Wt: 392.49
Formula: C24H28N2O3

Chemical Name: N-(2,4-ditert-butyl-5-hydroxyphenyl)-4-oxo-1H-quinoline-3-carboxamide
Canonical SMILES: CC(C)(C)C1=CC(=C(C=C1NC(=O)C2=CNC3=CC=CC=C3C2=O)O)C(C)(C)C

Solubility: ≥19.6mg/mL in DMSO
Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Membrane Transporter/Ion Channel
Pathways: CFTR

Description:
Ivacaftor (VX-770) is a potent and orally bioavailable small molecule potentiator of cystic fibrosis transmembrane conductance regulator (CFTR). Studies in both G551D- and F508del-CFTR expressing cells have shown VX-700 combined with forskolin, but not VX-700 alone, has significantly increased CFTR-mediated Cl-secretion. The EC50 values of VX-700 in G551D- and F508del-CFTR are 100 nM and 25 nM, respectively [1].
VX-770 has been reported to reduce ENaC-mediated Na+ absorption and increase the amount of fluid on the apical surface in human CF bronchial epithelia (HBE) carrying G551D/F508del [1]. VX-770 combined with OAG has been shown to increase G551D-CFTR activity and OAG-dependent Ca2+ influx and in Chinese hamster ovary (CHO) cells [2].

Reference:

Protocol

Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>CHO-G551D cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt; 10 mM. 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.</td>
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<tr>
<td>Reacting conditions</td>
<td>10 μM; 0 ~ 8 mins</td>
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<tr>
<td>Applications</td>
<td>In CHO-G551D cells, VX-770 activated G551D-CFTR channel, and OAG + VX-770 increased G551D-CFTR activity by 58%.</td>
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</tbody>
</table>

Reference:

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.