Product data sheet

MedKoo Cat#: 319580
Name: Esaxerenone
CAS#: 1632006-28-0
Chemical Formula: C_{22}H_{21}F_{3}N_{2}O_{4}S
Exact Mass: 466.1174
Molecular Weight: 466.4752

Product supplied as: Powder
Purity (by HPLC): ≥ 98%
Shipping conditions: Ambient temperature
Storage conditions: Powder: -20°C 3 years; 4°C 2 years.
In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Esaxerenone, also known as CS-3150, XL-550, is a nonsteroidal antimineralocorticoid which was discovered by Exelixis and is now under development by Daiichi Sankyo Company for the treatment of hypertension, essential hypertension, hyperaldosteronism, and diabetic nephropathies. It acts as a highly selective silent antagonist of the mineralocorticoid receptor (MR), the receptor for aldosterone, with greater than 1,000-fold selectivity for this receptor over other steroid hormone receptors, and 4-fold and 76-fold higher affinity for the MR relative to the existing antimineralocorticoids spironolactone and eplerenone.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Max Conc. mg/mL</th>
<th>Max Conc. mM</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>100.0</td>
<td>214.37</td>
</tr>
</tbody>
</table>

4. Stock solution preparation table:

<table>
<thead>
<tr>
<th>Concentration / Solvent Volume / Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.14 mL</td>
<td>10.72 mL</td>
<td>21.44 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.43 mL</td>
<td>2.14 mL</td>
<td>4.29 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.21 mL</td>
<td>1.07 mL</td>
<td>2.14 mL</td>
</tr>
<tr>
<td>50 mM</td>
<td>0.04 mL</td>
<td>0.21 mL</td>
<td>0.43 mL</td>
</tr>
</tbody>
</table>

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

In vivo study

7. Bioactivity
Biological target:
Product data sheet

Esaxerenone (CS-3150) is a highly potent and selective non-steroidal mineralocorticoid receptor antagonist.

In vitro activity

For example, as the rectangular voltage step from −80 to −10 mV with a duration of 40 ms was delivered (indicated in the inset of Figure 1A) to activate $I_{Na}$, the addition of 3 μM ESAX (esaxerenone) was noticed to result in an evident decrease in the peak or late amplitude of $I_{Na}$ to 1104 ± 197 or 9 ± 1 pA ($n = 8$, $p < 0.05$) from control values of 1498 ± 241 or 19 ± 3 pA ($n = 8$), respectively. After removal of ESAX, the peak or late amplitude of the current returned to 1452 ± 228 or 18 ± 3 pA ($n = 8$, $p < 0.05$), respectively.

Reference: Biomedicines. 2021 May; 9(5): 549. [https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8153305/](https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8153305/)

In vivo activity

All HSD-fed DSS rats died by 24 weeks of age (18 weeks of HSD feeding), whereas 100% of the LSD-fed DSS rats were alive even at 28 weeks, suggesting that the HSD-fed rats exhibited a relatively shorter lifespan (Figure 1A). However, 20% of the HSD-fed rats with concomitant intervention of esaxerenone were alive at 28 weeks. Kaplan-Meier curve analysis of the cumulative probability of survival revealed that esaxerenone treatment significantly improved mean survival time in the HSD-fed DSS rats.


Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.