Brensocatib

**Cat. No.:** HY-101056

**CAS No.:** 1802148-05-5

**Molecular Formula:** $C_{23}H_{24}N_4O_4$

**Molecular Weight:** 420.46

**Target:** Dipeptidyl Peptidase

**Pathway:** Metabolic Enzyme/Protease

**Storage:**
- Powder: $-20^\circ C$, 3 years, $4^\circ C$, 2 years
- In solvent: $-80^\circ C$, 6 months, $-20^\circ C$, 1 month

**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO: $\geq 100$ mg/mL (237.83 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>2.3783 mL</td>
<td>11.8917 mL</td>
<td>23.7835 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.4757 mL</td>
<td>2.3783 mL</td>
<td>4.7567 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.2378 mL</td>
<td>1.1892 mL</td>
<td>2.3783 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO $\gg$ 40% PEG300 $\gg$ 5% Tween-80 $\gg$ 45% saline
   - Solubility: $\geq 2.5$ mg/mL (5.95 mM); Clear solution

2. Add each solvent one by one: 10% DMSO $\gg$ 90% corn oil
   - Solubility: $\geq 2.5$ mg/mL (5.95 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

Brensocatib (AZD7986) is an oral dipeptidyl peptidase 1 (DPP1) inhibitor with $pIC_{50}$s of 6.85, 7.6, 7.7, 7.8, and 7.8 in human, mouse, rat, dog and rabbit, respectively\[^1\].

**IC$_{50}$ & Target**

DPP-1

**In Vitro**

Results from cell assay show that Brensocatib (AZD7986) is a Dipeptidyl peptidase 1 (DPP1) inhibitor with $pIC_{50}$s of 6.85, 7.6, 7.7, 7.8, and 7.8 in human, mouse, rat, dog and rabbit, respectively. Brensocatib is stable in the propionaldehyde reactivity assay, with a half-life over 50 h. After differentiation in the presence of Brensocatib (38 pM to 10 $\mu$M), concentration-dependent decreases in cell lysate enzyme activity are observed for DPP1, as well as for all of the three NSPs, NE, Pr3, and...
CatG. Brensocatib inhibits activation of all three NSPs in a concentration dependent manner, with pIC_{50} values of around 7 for all three NSPs. The reduction of the activities is almost complete, with NE, Pr3, and CatG activities reduced to 4 to 10% of control at 10 μM Brensocatib\[^1\].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### In Vivo

Brensocatib (AZD7986) shows good stability in plasma, with a half life of >10 h. Brensocatib inhibits activation of NE and Pr3, but not CatG, in bone marrow cell lysates in a dose dependent manner in vivo\[^1\].

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### PROTOCOL

#### Cell Assay\[^1\]

Cellular potency is studied using the DPP1-expressing monocytic U937 cell line. Briefly, cells grown in RPMI are plated on 384-well polypropylene v-bottom plates at a density of 5×10^5 cells/mL per well. Added to this is 10 μL of Brensocatib at 37°C for 60 min, followed by 350 μM Gly-Phe-AFC. The well fluorescence is read using a multilabel plate reader. Data are analyzed to calculate pIC_{50} values\[^1\].

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#### Animal Administration\[^1\]

Rats are used for the in vivo study. Naive rats are dosed orally twice daily with Brensocatib at 0.2, 2, and 20 mg/kg/day for 8 days. At termination, bone marrow is taken by femoral aspiration for neutrophil serine proteases (NSPs) activity analysis using commercial synthetic peptide substrates\[^1\].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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### REFERENCES


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**Caution:** Product has not been fully validated for medical applications. For research use only.

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