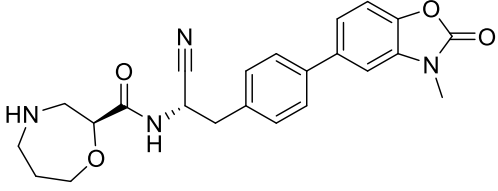


Product data sheet



MedKoo Cat#: 526881 Name: AZD7986 CAS#: 1802148-05-5 Chemical Formula: C ₂₃ H ₂₄ N ₄ O ₄ Exact Mass: 420.1798 Molecular Weight: 420.469		
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:

Brensocatic, also known as AZD7986, INS 1007, is a second generation reversible covalent, potent and selective DPP1 inhibitor which may be used in the treatment of chronic obstructive pulmonary disease (COPD). AZD7986 is also a Cathepsin C inhibitor.

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

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	237.83

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.38 mL	11.89 mL	23.78 mL
5 mM	0.48 mL	2.38 mL	4.76 mL
10 mM	0.24 mL	1.19 mL	2.38 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

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

1. Doyle K, Lönn H, Käck H, Van de Poël A, Swallow S, Gardiner P, Connolly S, Root J, Wikell C, Dahl G, Stenvall K, Johannesson P. Discovery of Second Generation Reversible Covalent DPP1 Inhibitors Leading to an Oxazepane Amidoacetonitrile Based Clinical Candidate (AZD7986). *J Med Chem.* 2016 Oct 27;59(20):9457-9472. doi: 10.1021/acs.jmedchem.6b01127. Epub 2016 Oct 11. PMID: 27690432.

In vivo study

1. Doyle K, Lönn H, Käck H, Van de Poël A, Swallow S, Gardiner P, Connolly S, Root J, Wikell C, Dahl G, Stenvall K, Johannesson P. Discovery of Second Generation Reversible Covalent DPP1 Inhibitors Leading to an Oxazepane Amidoacetonitrile Based Clinical Candidate (AZD7986). *J Med Chem.* 2016 Oct 27;59(20):9457-9472. doi: 10.1021/acs.jmedchem.6b01127. Epub 2016 Oct 11. PMID: 27690432.

7. Bioactivity

Biological target:

Brensocatic (AZD7986) is a dipeptidyl peptidase 1 (DPP1) inhibitor with pIC₅₀s of 6.85, 7.6, 7.7, 7.8, and 7.8 in human, mouse, rat, dog and rabbit, respectively

In vitro activity

Product data sheet



The effect of DPP1 inhibition from AZD7986 (compound 30) on the activation of NSPs was studied in vitro using human primary bone marrow-derived CD34+ neutrophil progenitor cells. After differentiation in the presence of compound 30 (38 pM to 10 μ M), concentration-dependent decreases in cell lysate enzyme activity were observed for DPP1, as well as for all of the three NSPs, NE, Pr3, and CatG (Figure 5). In conclusion compound 30 inhibited activation of all three NSPs in a concentration dependent manner, with pIC50 values of around 7 for all three NSPs (Figure 5 and Table 7). The reduction of the activities was almost complete, with NE, Pr3, and CatG activities reduced to 4–10% of control at 10 μ M 30 (Figure 5).

Reference: J Med Chem. 2016 Oct 27;59(20):9457-9472. <https://doi.org/10.1021/acs.jmedchem.6b01127>

In vivo activity

The ability of compound 30 to inhibit activation of NSPs in vivo was assessed by treatment of naive rats with the compound twice daily (0.2, 2, and 20 mg kg⁻¹ day⁻¹) for 8 days. Compound 30 inhibited activation of NE and Pr3, but not CatG, in bone marrow cell lysates in a dose dependent manner in vivo (Figure 6). Variability in the CatG assay was due to the colorimetric substrate used, as opposed to fluorometric substrates used in the NE and Pr3 assays.

Reference: J Med Chem. 2016 Oct 27;59(20):9457-9472. <https://doi.org/10.1021/acs.jmedchem.6b01127>

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.