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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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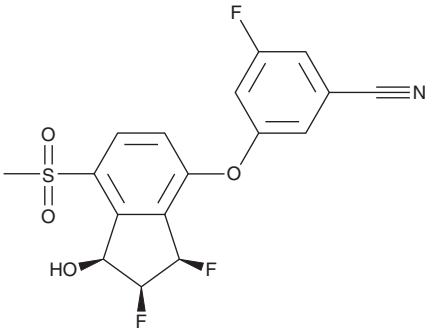
PRODUCT INFORMATION

Belzutifan

Item No. 39652

CAS Registry No.:	1672668-24-4
Formal Name:	3-[[(1S,2S,3R)-2,3-difluoro-2,3-dihydro-1-hydroxy-7-(methylsulfonyl)-1H-inden-4-yl]oxy]-5-fluoro-benzonitrile
Synonyms:	MK-6482, PT2977
MF:	$C_{17}H_{12}F_3NO_4S$
FW:	383.3
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Belzutifan is supplied as a solid. A stock solution may be made by dissolving the belzutifan in the solvent of choice, which should be purged with an inert gas. Belzutifan is soluble in acetonitrile and DMSO.

Description

Belzutifan is an inhibitor of hypoxia-inducible factor-2α (HIF-2α).[1,2] It inhibits HIF-2α heterodimerization with the aryl hydrocarbon receptor nuclear translocator (ARNT) PAS-B domain in a cell-free assay (K_i = 23 nM), activation of HIF-2α in a reporter assay using 786-O renal cell carcinoma cells (IC_{50} = 17 nM), and secretion of the HIF-2α target VEGF-A from 786-O cells (EC_{50} = 17 nM). Belzutifan (0.3-3 mg/kg) induces tumor regression in a 786-O mouse xenograft model.[2] It reduces hematocrit levels and right ventricular pressure in mice expressing an arginine-to-tryptophan mutation at position 200 in the von Hippel-Lindau protein (VHL^{R200W}) in a model of Chuvash polycythemia when administered at a dose of 0.1 mg/g.[3] Formulations containing belzutifan have been used in the treatment of VHL disease-associated cancers.

References

1. Ren, X., Diao, X., Zhuang, J., *et al.* Structural basis for the allosteric inhibition of hypoxia-inducible factor 2 by belzutifan. *Mol. Pharmacol.* **MOLPHARM-AR-2022-000525**, (2022).
2. Xu, R., Wang, K., Rizzi, J.P., *et al.* 3-[(1 S,2 S,3 R)-2,3-difluoro-1-hydroxy-7-methylsulfonylindan-4-yl]oxy-5-fluorobenzonitrile (PT2977), a hypoxia-inducible factor *2α* (HIF-*2α*) inhibitor for the treatment of clear cell renal cell carcinoma. *J. Med. Chem.* **62(15)**, 6876-6893 (2019).
3. Ghosh, M.C., Zhang, D.-L., Ollivierre, W.H., *et al.* Therapeutic inhibition of HIF-2α reverses polycythemia and pulmonary hypertension in murine models of human diseases. *Blood* **137(18)**, 2509-2519 (2021).

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