

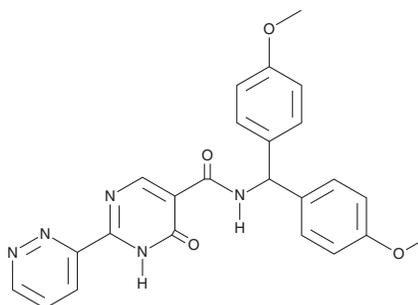
PRODUCT INFORMATION



MK-8617

Item No. 33790

CAS Registry No.: 1187990-87-9
Formal Name: N-[bis(4-methoxyphenyl)methyl]-1,6-dihydro-6-oxo-2-(3-pyridazinyl)-5-pyrimidinecarboxamide
MF: C₂₄H₂₁N₅O₄
FW: 443.5
Purity: ≥98%
UV/Vis.: λ_{max}: 231 nm
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

MK-8617 is supplied as a solid. A stock solution may be made by dissolving the MK-8617 in the solvent of choice, which should be purged with an inert gas. MK-8617 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of MK-8617 in these solvents is approximately 1 mg/ml.

MK-8617 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-8617 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MK-8617 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MK-8617 is an inhibitor of hypoxia-inducible factor prolyl hydroxylase 1 (HIF-PH1), HIF-PH2, and HIF-PH3 (IC₅₀s = 1, 1, and 14 nM, respectively).¹ It is selective for HIF-PH1, -2, and -3 over the cytochrome P450 (CYP) isoforms CYP1A2, -3A4, -2B6, -2C9, -2C19, and -2D6 (IC₅₀s = >1.6 μM for all) and factor inhibiting HIF (FIH; IC₅₀ = 18 μM), as well as over a panel of 171 enzymes at 10 μM. MK-8617 (5 and 15 mg/kg) increases serum levels of erythropoietin (EPO) and hemoglobin, as well as the number of circulating red blood cells, in rats.

Reference

1. Debenham, J.S., Madsen-Duggan, C., Clements, M.J., *et al.* Discovery of N-[bis(4-methoxyphenyl)methyl]-4-hydroxy-2-(pyridazin-3-yl)pyrimidine-5-carboxamide (MK-8617), an orally active pan-inhibitor of hypoxia-inducible factor prolyl hydroxylase 1-3 (HIF PHD1-3) for the treatment of anemia. *J. Med. Chem.* **59**(24), 11039-11049 (2016).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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