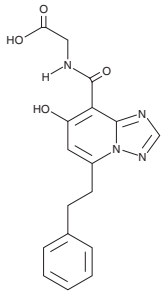
PRODUCT INFORMATION

Enarodustat

Item No. 33785

CAS Registry No.:	1262132-81-9
Formal Name:	N-[[7-hydroxy-5-(2-phenylethyl)[1,2,4]triazolo[1,5-a]pyridin-8-yl]carbonyl]-glycine
Synonym:	JTZ-951
MF:	$C_{17}H_{16}N_4O_4$
FW:	340.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 224 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

Enarodustat is supplied as a solid. A stock solution may be made by dissolving the enarodustat in the solvent of choice, which should be purged with an inert gas. Enarodustat is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of enarodustat in these solvents is approximately 30 mg/ml.

Enarodustat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, enarodustat should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Enarodustat has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Enarodustat is a pan hypoxia-inducible factor prolyl hydroxylase (HIF-PH) inhibitor (K_is = 16, 61, and 101 nM for HIF-PH1, -2, and -3, respectively).[1] It increases erythropoietin (EPO) levels in Hep3B cells (EC_{50} = 4.7 µM). Enarodustat (3 mg/kg) increases plasma EPO levels in control rats, as well as plasma EPO and hemoglobin levels in 5/6-nephrectomized rats. It also decreases renal fatty acid and amino acid metabolism and increases renal glucose metabolism in streptozotocin-induced rat and alloxan-induced mouse models of diabetic nephropathy.[2]

References

1. Fukui, K., Shinozaki, Y., Kobayashi, H., *et al.* JTZ-951 (enarodustat), a hypoxia-inducibe factor prolyl hydroxylase inhibitor, stabilizes HIF-α protein and induces erythropoiesis without effects on the function of vascular endothelial growth factor. *Eur. J. Pharmacol.* **859**, 172532 (2019).
2. Hasegawa, S., Tanaka, T., Saito, T., *et al.* The oral hypoxia-inducible factor prolyl hydroxylase inhibitor enarodustat counteracts alterations in renal energy metabolism in the early stages of diabetic kidney disease. *Kidney Int.* **97(5)**, 934-950 (2020).

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