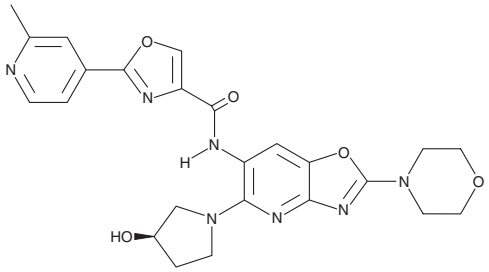
PRODUCT INFORMATION

Emavusertib

Item No. 36845

CAS Registry No.:	1801344-14-8
Formal Name:	N-[5-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-(4-morpholinyl)oxazolo[4,5-b]pyridin-6-yl]-2-(2-methyl-4-pyridinyl)-4-oxazolecarboxamide
Synonym:	CA-4948
MF:	$C_{24}H_{25}N_7O_5$
FW:	491.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 260, 345 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

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

Description

Emavusertib is an inhibitor of IL-1 receptor-associated kinase 4 (IRAK4; IC_{50} = 31.7 nM).[1] It is selective for IRAK4 over FMS-related tyrosine kinase 3 (FLT3), cyclin-dependent kinase 2 (Cdk2), Aurora A kinase, glycogen synthase kinase 3β (GSK3β), and muscle-associated receptor tyrosine kinase (MUSK) at 1 µM. Emavusertib inhibits IL-6 release mediated by toll-like receptor 2 (TLR2), TLR5, or IL-1 receptor (IL-1R) in isolated human whole blood (IC_{50}s = 989, 696, and 1,375 nM, respectively). *In vivo*, emavusertib (25-200 mg/kg) reduces tumor volume in an OCI-Ly3 diffuse large B cell lymphoma (DLBCL) mouse xenograft model.

Reference

1. Gummadi, V.R., Boruah, A., Ainan, B.R., *et al*. Discovery of CA-4948, an orally bioavailable IRAK4 inhibitor for treatment of hematologic malignancies. *ACS Med. Chem. Lett.* **11(12)**, 2374-2381 (2020).

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