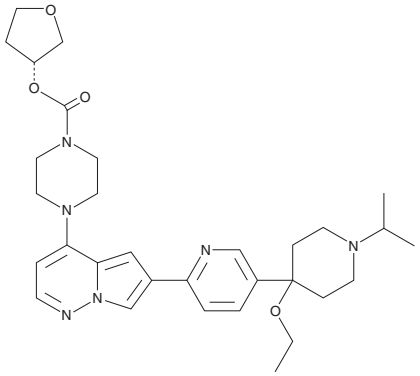
PRODUCT INFORMATION

BLU-782

Item No. 35490



CAS Registry No.:	2141955-96-4
Formal Name:	4-[6-[5-[4-ethoxy-1-(1-methylethyl)-4-piperidinyl]-2-pyridinyl]pyrrolo[1,2-b]pyridazin-4-yl]-1-piperazinecarboxylic acid, (3R)-tetrahydro-3-furanyl ester
Synonyms:	Activin Receptor-like Kinase 2 Inhibitor 1, ALK2-IN-1, Fidrisertib
MF:	$C_{31}H_{42}N_6O_4$
FW:	562.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 255, 320 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

BLU-782 is supplied as a solid. A stock solution may be made by dissolving the BLU-782 in the solvent of choice, which should be purged with an inert gas. BLU-782 is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml.

Description

BLU-782 is an inhibitor of activin receptor-like kinase 2 (ALK2) containing an arginine-to-histidine substitution mutation at position 206 ($ALK2^{R206H}$), an activating mutation found in patients with fibrodysplasia ossificans progressiva (FOP), which is characterized by progressive heterotopic ossification, or diffuse intrinsic pontine glioma (DIPG), which is a type of pediatric brain stem cancer.[1] It binds to $ALK2^{R206H}$ (IC_{50} = ≤10 nM) and reduces phosphorylation of the ALK2 substrate SMAD1 at serine 463 (Ser^{463}) and Ser^{465} in HEK293 cells expressing $ALK2^{R206H}$ (IC50 = ≤100 nM).

Reference

1. Fleming, P.E., Hodous, B.L., Kim, J.L., *et al.* Inhibitors of activin receptor-like kinase. *Blueprint Medicines Corporation*. **WO2017/181117AI** (2017).

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