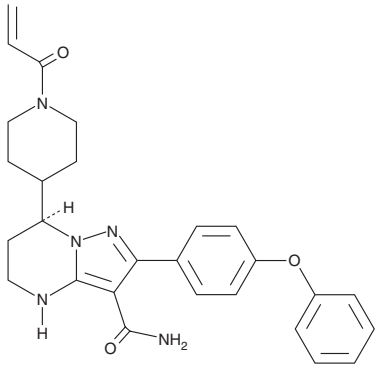
PRODUCT INFORMATION

Zanubrutinib

Item No. 28924

CAS Registry No.:	1691249-45-2
Formal Name:	(7S)-4,5,6,7-tetrahydro-7-[1-(1-oxo-2-propen-1-yl)-4-piperidinyl]-2-(4-phenoxyphenyl)-pyrazolo[1,5-a]pyrimidine-3-carboxamide
Synonym:	BGB-3111
MF:	$C_{27}H_{29}N_5O_3$
FW:	471.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 242 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

Zanubrutinib is supplied as a solid. A stock solution may be made by dissolving the zanubrutinib in the solvent of choice, which should be purged with an inert gas. Zanubrutinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of zanubrutinib in ethanol and DMSO is approximately 5 mg/ml and approximately 10 mg/ml in DMF.

Zanubrutinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zanubrutinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Zanubrutinib has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Zanubrutinib is a potent and covalent inhibitor of Bruton's tyrosine kinase (BTK; IC_{50} = 0.3 nM).[1] It is selective for BTK over a panel of 304 kinases at 1 µM but does inhibit EGFR, Tec, Blk, BMX, HER4, and TXK (IC_{50}s = 0.62-33 nM). Zanubrutinib inhibits phosphorylation of BTK at Y233 (IC_{50} = 1.8 nM) in Ramos cells and reduces viability of Rec-1 cells (IC_{50} = 0.36 nM). *In vivo*, zanubrutinib (2.5 and 7.5 mg/kg) reduces tumor volume in an OCI-LY10 diffuse large B cell lymphoma (DLBCL) mouse xenograft model.

Reference

1. Guo, Y., Liu, Y., Hu, N., *et al.* Discovery of zanubrutinib (BGB-3111), a novel, potent, and selective covalent inhibitor of bruton's tyrosine kinase. *J. Med. Chem.* **62(17),** 7923-7940 (2019).

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