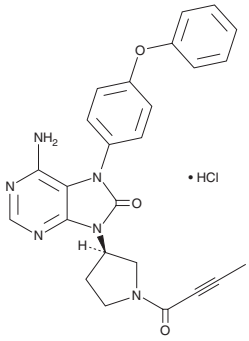
PRODUCT INFORMATION

ONO-4059 (hydrochloride)

Item No. 32818

CAS Registry No.:	1439901-97-9
Formal Name:	6-amino-7,9-dihydro-9-[(3R)-1-(1-oxo-2-butyn-1-yl)-3-pyrrolidinyl]-7-(4-phenoxyphenyl)-8H-purin-8-one, monohydrochloride
Synonyms:	GS-4059, ONO-WG-307
MF:	$C_{25}H_{22}N_6O_3$ • HCl
FW:	490.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 215 nm
Supplied as:	A crystalline 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

ONO-4059 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the ONO-4059 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. ONO-4059 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ONO-4059 (hydrochloride) in these solvents is approximately 1 mg/ml.

ONO-4059 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ONO-4059 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ONO-4059 (hydrochloride) 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

ONO-4059 is an inhibitor of Bruton's tyrosine kinase (BTK; IC_{50} = 2.2 nM).[1] It is selective for BTK over LCK, LYN, and Fyn at 1 µM.[2] ONO-4059 inhibits B cell proliferation and activation *in vitro* and reduces tumor growth in a TMD8 mouse xenograft model.[1,3]

References

1. Burger, A. Bruton's tyrosine kinase (BTK) inhibitors in clinical trials. *Curr. Hematol. Malig. Rep.* **9(1)**, 44-49 (2014).
2. Yasuhiro, T., Yoshizawa, T., Daub, H., *et al.* Abstract 2021: ONO-WG-307, a novel, potent and selective inhibitor of Bruton's tyrosine kinase (Btk), results in sustained inhibition of the ERK, AKT and PKD signaling pathways. *Cancer Res.* **72(8 Supplement)**, 2021 (2012).
3. Kozaki, R., Yoshizawa, T., Tohda, S., *et al.* Abstract 3731: Development of a Bruton's tyrosine kinase (Btk) inhibitor, ONO-WG-307: Efficacy in ABC-DLBCL xenograft model – potential treatment for B-cell malignancies. *Blood* **118(21)**, 3731 (2011).

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