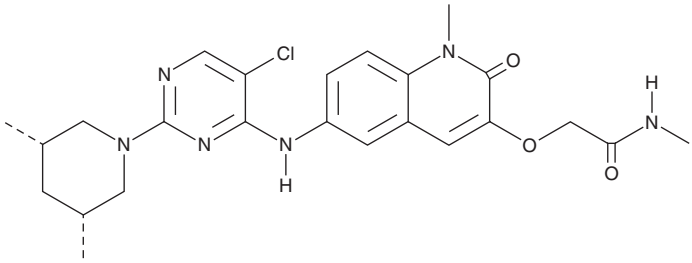
PRODUCT INFORMATION

BI-3802

Item No. 41731

CAS Registry No.:	2166387-65-9
Formal Name:	*rel*-2-[[6-[[5-chloro-2-[(3R,5S)-3,5-dimethyl-1-piperidinyl]-4-pyrimidinyl]amino]-1,2-dihydro-1-methyl-2-oxo-3-quinolinyl]oxy]-N-methyl-acetamide
MF:	$C_{24}H_{29}ClN_6O_3$
FW:	485.0
Purity:	≥95%
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

BI-3802 is supplied as a solid. A stock solution may be made by dissolving the BI-3802 in the solvent of choice, which should be purged with an inert gas. BI-3802 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

BI-3802 is a degrader of Bcl-6 with a half-maximal degradation concentration (DC_{50}) value of 20 nM in SU-DHL-4 B cell lymphoma cells.[1] It binds to Bcl-6 in a cell-free assay and inhibits the interaction of Bcl-6 with nuclear receptor co-repressor 1 (NCOR1) in a reporter assay (IC_{50}s = ≤3 and 43 nM, respectively). BI-3802 induces Bcl-6 polymerization, as well as SIAH1-dependent ubiquitination of Bcl-6, in cell-free assays.[2] It induces expression of the Bcl-6 target genes *PTPN6* and *RAPGEF1* in SU-DHL-4 cells in a concentration- and time-dependent manner.[1] BI-3802 enhances decreases in tumor volume and weight induced by the c-Abl, Bcr-Abl, PDGFR, and c-Kit inhibitor imatinib (Item No. 13139) in a GIST-T1 gastrointestinal stromal tumor mouse xenograft model.[3]

References

1. Kerres, N., Steurer, S., Schlager, S., *et al.* Chemically induced degradation of the oncogenic transcription factor BCL6. *Cell. Rep.* **20(12)**, 2860-2875 (2017).
2. Słabicki, M., Yoon, H., Koeppel, J., *et al.* Small-molecule-induced polymerization triggers degradation of BCL6. *Nature* **588(7836)**, 164-168 (2020).
3. Zeng, X., Zhao, F., Jia, J., *et al.* Targeting BCL6 in gastrointestinal stromal tumor promotes p53-mediated apoptosis to enhance the antitumor activity of imatinib. *Cancer Res.* **83(21)**, 3624-3635 (2023).

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