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-3quinolinyl]oxy]-N-methyl-acetamide

MF: C24H29CIN6O3

FW: 485.0 **Purity:** ≥95% Supplied as: A solid Storage: -20°C Stability: ≥4 years Ĥ

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 BcI-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 (IC₅₀s = ≤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. 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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