

PRODUCT INFORMATION

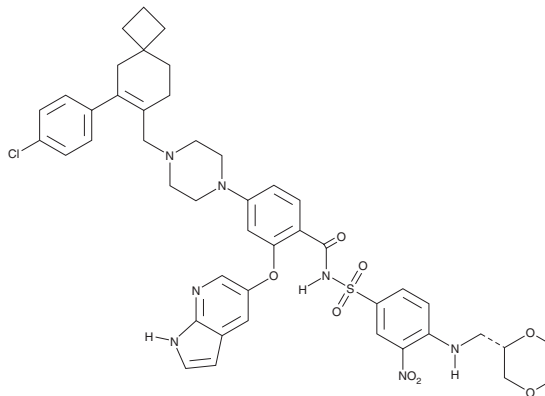


Lisaftoclax

Item No. 44963

CAS Registry No.: 2180923-05-9
Formal Name: 4-[4-[[6-(4-chlorophenyl)spiro[3.5]non-6-en-7-yl]methyl]-1-piperazinyl]-N-[[4-[[[(2S)-1,4-dioxan-2-ylmethyl]amino]-3-nitrophenyl]sulfonyl]-2-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-benzamide

Synonym: APG-2575
MF: C₄₅H₄₈ClN₇O₈S
FW: 882.4
Purity: ≥98%
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

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

Description

Lisaftoclax is an inhibitor of Bcl-2 ($K_i = <0.1$ nM).¹ It is selectively cytotoxic to diffuse large B cell lymphoma (DLBCL) cells with high Bcl-2 expression (IC_{50} s = 0.014-0.384 μ M) over those with low Bcl-2 and high Mcl-1 expression (IC_{50} s = 1.11-10.343 μ M). It induces apoptosis in OCI-LY8 and OCI-Ly19 cells at 5, 10, and 50 nM and induces M2-to-M1 repolarization in tumor-associated macrophages (TAMs).^{1,2} It reduces tumor growth in an OCI-LY8 DLBCL mouse xenograft model when administered at doses of 50 and 100 mg/kg.¹ Lisaftoclax also enhances anti-programmed cell death protein 1 (PD-1) monoclonal antibody-induced reductions in tumor growth in an H1299 humanized mouse model of non-small cell lung cancer (NSCLC).²

References

1. Luo, Q., Pan, W., Zhou, S., *et al.* A novel BCL-2 inhibitor APG-2575 exerts synthetic lethality with BTK or MDM2-p53 inhibitor in diffuse large B-cell lymphoma. *Oncol. Res.* **28(4)**, 331-344 (2020).
2. Luo, F., Li, H., Ma, W., *et al.* The BCL-2 inhibitor APG-2575 resets tumor-associated macrophages toward the M1 phenotype, promoting a favorable response to anti-PD-1 therapy via NLRP3 activation. *Cell. Mol. Immunol.* **21(1)**, 60-79 (2024).

WARNING

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

SAFETY DATA

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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