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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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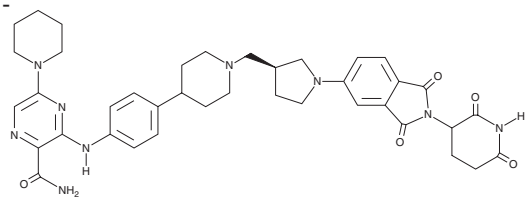
PRODUCT INFORMATION

NX-2127

Item No. 40785

CAS Registry No.: 2416131-46-7
Formal Name: 3-[[4-[1-[[(3S)-1-[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl]-3-pyrrolidinyl]methyl]-4-piperidinyl]phenyl]amino]-5-(1-piperidinyl)-2-pyrazinecarboxamide
MF: $C_{39}H_{45}N_9O_5$
FW: 719.8
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

NX-2127 is supplied as a solid. A stock solution may be made by dissolving the NX-2127 in the solvent of choice, which should be purged with an inert gas. NX-2127 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

NX-2127 is a proteolysis-targeting chimera (PROTAC) containing a binding moiety for Bruton's tyrosine kinase (BTK) linked to a cereblon (CRBN) binding moiety.[1] It induces degradation of wild-type BTK (DC_{50} = 1.9 nM) and BTK mutants containing drug resistance-conferring mutations, including BTK^{C481S}, BTK^{V416L}, BTK^{T474I}, and BTK^{L528W} in TMD8 diffuse large B cell lymphoma (DLBCL) cells (DC_{50}s = 9.7, 4.2, 2.4, and 1.9 nM, respectively).[2] NX-2127 also degrades the lymphocyte transcription factors Ikaros family zinc finger protein 1 (IKZF1) and IKZF3 (DC_{50}s = 57 and 36 nM, respectively) and induces T cell activation in primary human T cells stimulated by anti-CD3 and anti-CD28 antibodies.[1] It reduces BTK levels in circulating mouse B cells to 12% of baseline when administered at a dose of 30 mg/kg. NX-2127 (10, 30, and 90 mg/kg per day) induces intratumoral BTK, IKZF1, and IKZF3 degradation in a TMD8 mouse xenograft model. It also reduces tumor growth in mouse xenograft models using TMD8 cells expressing wild-type BTK or BTK^{C481S}.

References

1. Robbins, D.W., Noviski, M.A., Tan, Y.S., *et al.* Discovery and preclinical pharmacology of NX-2127, an orally bioavailable degrader of Bruton's tyrosine kinase with immunomodulatory activity for the treatment of patients with B cell malignancies. *J. Med. Chem.* **67(4)**, 2321-2336 (2024).
2. Montoya, S., Bourcier, J., Noviski, M., *et al.* Kinase-impaired BTK mutations are susceptible to clinical-stage BTK and IKZF1/3 degrader NX-2127. *Science* **383(6682)**, eadi5798 (2024).

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