

PRODUCT INFORMATION

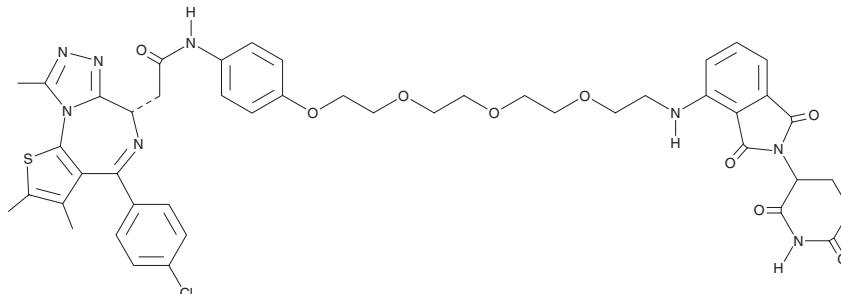


ARV-825

Item No. 21109

CAS Registry No.: 1818885-28-7
Formal Name: 2-((S)-4-(4-chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-6-yl)-N-(4-(2-(2-(2-(2-(2-(2,6-dioxopiperidin-3-yl)-1,3-dioxoisindolin-4-yl)amino)ethoxy)ethoxy)ethoxy)phenyl)acetamide

MF: C₄₆H₄₇ClN₈O₉S
FW: 923.4
Purity: ≥98%
UV/Vis.: λ_{max}: 247, 409 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

ARV-825 is supplied as a crystalline solid. A stock solution may be made by dissolving the ARV-825 in the solvent of choice. ARV-825 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of ARV-825 in these solvents is approximately 1 and 15 mg/ml, respectively.

Description

ARV-825 is a hetero-bifunctional molecule that is composed of a BRD4 binding moiety joined to an E3 ligase cereblon binding moiety using proteolysis targeting chimera (PROTAC) technology.¹ ARV-825 actively recruits BRD4 to cereblon, resulting in the rapid and efficient degradation of BRD4 by the proteasome (50% maximum degradation at < 1 nM).¹ In Burkitt's lymphoma cells, ARV-825 reduces c-Myc levels, blocks cell proliferation, and induces apoptosis.¹ The degradation of BRD4 in cells treated with ARV-825 can be blocked with lenalidomide (Item No. 14643), a competitor for binding to cereblon.²

References

- Lu, J., Qian, Y., Altieri, M., *et al.* Hijacking the E3 ubiquitin ligase cereblon to efficiently target BRD4. *Chem. Biol.* **22(6)**, 755-763 (2015).
- Abruzzese, M.P., Bilotta, M.T., Fionda, C. *et al.* Inhibition of bromodomain and extra-terminal (BET) proteins increases NKG2D ligand MICA expression and sensitivity to NK cell-mediated cytotoxicity in multiple myeloma cells: role of cMYC-IRF4-miR-125b interplay. *J. Hematol. Oncol.* **9(1)**, 134 (2016).

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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