

PRODUCT INFORMATION

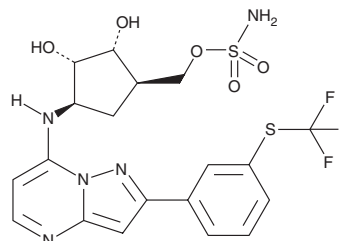


MLN7243

Item No. 30108

CAS Registry No.: 1450833-55-2
Formal Name: sulfamic acid, [(1R,2R,3S,4R)-2,3-dihydroxy-4-[[2-[3-[(trifluoromethyl)thio]phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]amino]cyclopentyl]methyl ester

Synonym: TAK-243
MF: C₁₉H₂₀F₃N₅O₅S₂
FW: 519.5
Purity: ≥98%
UV/Vis.: λ_{max}: 217, 262, 309 nm
Supplied as: A crystalline 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

MLN7243 is supplied as a crystalline solid. A stock solution may be made by dissolving the MLN7243 in the solvent of choice, which should be purged with an inert gas. MLN7243 is soluble in organic solvents such as DMSO and methanol. The solubility of MLN7243 in these solvents is approximately 10 mg/ml.

Description

MLN7243 is an inhibitor of ubiquitin-activating enzyme (UAE; IC₅₀ = 1 nM).¹ It is selective for UAE over NEDD8-activating enzyme (NAE), SUMO-activating enzyme (SAE), and the autophagy-activating enzyme ATG7 (IC₅₀s = 28, 850, and >10,000 nM, respectively). MLN7243 inhibits proliferation in a panel of 30 cancer cell lines (EC₅₀s = 0.006-1.1 μM). It activates the unfolded protein response (UPR) and expansion of the endoplasmic reticulum surface area, a marker of ER stress, in HCT116 cells. *In vivo*, MLN7243 (12.5-25 mg/kg) reduces tumor growth in WSU-DLCL2, HCT116, Calu-6, THP-1, CWR22, HCC70, and MM.1S mouse xenograft models, as well as patient-derived xenograft (PDX) mouse models of colon, lung, breast, ovarian, and neck cancer.

Reference

1. Hyer, M.L., Milhollen, M.A., Ciavarri, J., *et al.* A small-molecule inhibitor of the ubiquitin activating enzyme for cancer treatment. *Nat. Med.* **24**(2), 186-193 (2018).

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.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM