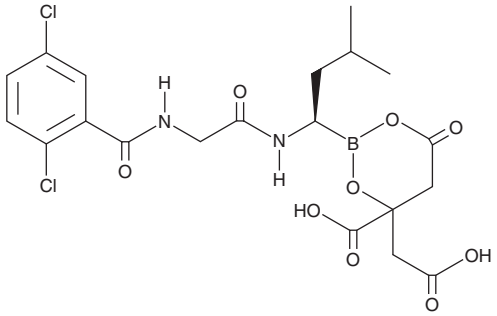
PRODUCT INFORMATION

MLN9708

Item No. 18386

CAS Registry No.: 1201902-80-8
Formal Name: 4-carboxy-2-[(1R)-1-[[2-[(2,5-dichlorobenzoyl)amino]acetyl]amino]-3-methylbutyl]-6-oxo-1,3,2-dioxaborinane-4-acetic acid
Synonym: Ixazomib Citrate
MF: $C_{20}H_{23}BCl_2N_2O_9$
FW: 517.1
Purity: ≥95%
UV/Vis.: λ_{max}: 282 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

MLN9708 is supplied as a crystalline solid. A stock solution may be made by dissolving the MLN9708 in the solvent of choice, which should be purged with an inert gas. MLN9708 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of MLN9708 in these solvents is approximately 2 and 5 mg/ml, respectively.

MLN9708 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MLN9708 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MLN9708 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MLN9708 is a prodrug form of the proteasome inhibitor MLN2238 (Item No. 18385).[1,2] It is converted to MLN2238 *via* hydrolysis in the plasma.[1] MLN9708 inhibits the 20S proteasome (IC_{50} = 8.4 nM for the human enzyme) and binds to caseinolytic protease P (K_d = 7,300 nM for the *S. aureus* enzyme).[3,4] It inhibits the proliferation of RPMI-8226, U266B, and ARH-77 multiple myeloma cells with IC_{50} values of 49.4, 67, and 43.5 nM, respectively.[3]

References

1. Kupperman, E., Lee, E.C., Cao, Y., *et al.* Evaluation of the proteasome inhibitor MLN9708 in preclinical models of human cancer. *Cancer Res.* **70(5)**, 1970-1980 (2010).
2. Garcia-Gomez, A., Quwaider, D., Canavese, M., *et al.* Preclinical activity of the oral proteasome inhibitor MLN9708 in Myeloma bone disease. *Clin. Cancer Res.* **20(6)**, 1542-1554 (2014).
3. Lei, M., Feng, H., Bai, E., *et al.* Discovery of a novel dipeptidyl boronic acid proteasome inhibitor for the treatment of multiple myeloma and triple-negative breast cancer. *Org. Biomol. Chem.* **17(3)**, 683-691 (2019).
4. Ju, Y., He, L., Zhou, Y., *et al.* Discovery of novel peptidomimetic boronate ClpP inhibitors with noncanonical enzyme mechanism as potent virulence blockers *in vitro* and *in vivo*. *J. Med. Chem.* **63(6)**, 3104-3119 (2020).

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