SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

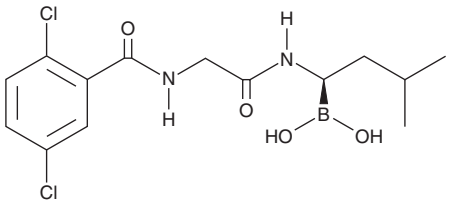
www.szabo-scandic.com

linkedin.com/company/szaboscandic

PRODUCT INFORMATION

MLN2238

Item No. 18385



CAS Registry No.:	1072833-77-2
Formal Name:	B-[(1R)-1-[[2-[(2,5-dichlorobenzoyl)amino] acetyl]amino]-3-methylbutyl]-boronic acid
MF:	$C_{14}H_{19}BCl_2N_2O_4$
FW:	361.0
Purity:	≥95%
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

MLN2238 is supplied as a crystalline solid. A stock solution may be made by dissolving the MLN2238 in the solvent of choice. MLN2238 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of MLN2238 in these solvents is approximately 25, 20, and 30 mg/ml, respectively.

MLN2238 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MLN2238 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MLN2238 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

MLN2238 is an inhibitor of the β5 subunit of the 20S proteasome (IC_{50} = 3.4 nM in a cell-free assay) and an active metabolite of MLN9708 (Item No. 18386).[1,2] It is formed from MLN9708 *via* hydrolysis in plasma.[1] MLN2238 is selective for the chymotrypsin-like β5 subunit over the caspase-like β1 and trypsin-like β2 subunits (IC_{50}s = 31 and 3,500 nM, respectively). It also binds caseinolytic protease P (K_d = 5,700 nM) and prevents hemolysis of isolated ovine erythrocytes induced by methicillin-resistant *S. aureus* (MRSA; EC_{50} = 3,600 nM).[3] MLN2238 inhibits the proliferation of A375 and H460 lung and HCT116 and HT-29 colon cancer cells (IC_{50}s = 20, 58, 19, and 52 nM, respectively) as well as osteoclastogenesis in peripheral blood mononuclear cells (PBMCs) isolated from patients with multiple myeloma (IC_{50} = 4.8 nM).[1,2] It reduces tumor growth in a CWR22 prostate cancer mouse xenograft model when administered at a dose of 14 mg/kg. Formulations containing MLN2238 have been used in the treatment of multiple myeloma.

References

1. Kupperman, E., Lee, E.C., Cao, Y., *et al.* Evaluation of the proteasome inhibitor MLN9708 in preclinical models of human cancer. *Cancer Research* **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. *Clinical Cancer Research* **20(6)**, 1542-1554 (2014).
3. 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