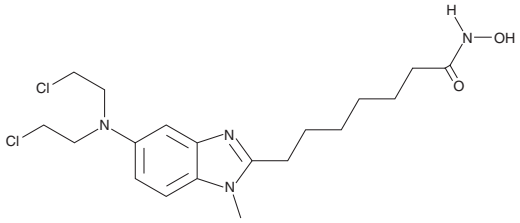
PRODUCT INFORMATION

EDO-S101

Item No. 23328

CAS Registry No.:	1236199-60-2
Formal Name:	5-[*bis*(2-chloroethyl)amino]-N-hydroxy-1-methyl-1H-benzimidazole-2-heptanamide
Synonym:	Tinostamustine
MF:	$C_{19}H_{28}Cl_2N_4O_2$
FW:	415.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 231, 324 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

EDO-S101 is supplied as a crystalline solid. A stock solution may be made by dissolving the EDO-S101 in the solvent of choice. EDO-S101 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of EDO-S101 in these solvents is approximately 5 and 1 mg/ml, respectively.

EDO-S101 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EDO-S101 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EDO-S101 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EDO-S101 is a fusion molecule composed of the DNA alkylating agent bendamustine and the histone deacetylase (HDAC) inhibitor SAHA (Item No. 10009929) that inhibits class I and II HDACs (IC_{50}s = 9-107 nM for HDAC1-3, 6, 8, and 10).[1] It inhibits HDAC activity in rat peripheral blood mononuclear cells (PBMCs) *ex vivo* by 90% following a single dose of 10 mg/kg. EDO-S101 also induces formation of DNA crosslinks and double-strand breaks in HL-60 cells. It reduces growth of multiple myeloma (MM) cell lines irrespective of p53 mutational status or established resistance to DNA alkylating agents (IC_{50}s = 1.6-4.8 µM).[2] EDO-S101 also induces MM cell death *ex vivo* in bone marrow samples isolated from patients with early- and late-stage MM. *In vivo*, EDO-S101 (60 mg/kg per week) reduces tumor growth and prolongs survival in an MM1S end-stage mouse xenograft model. It also prolongs survival in a multidrug resistant Vk12653 mouse transplant model of refractory MM.

References

1. Mehrling, T. and Chen, Y. The alkylating-HDAC inhibition fusion principle: Taking chemotherapy to the next level with the first in class molecule EDO-S101. *Anticancer Agents Med. Chem.* **16(1)**, 20-28 (2016).
2. López-Iglesias, A.A., Herrero, A.B., Chesi, M., *et al.* Preclinical anti-myeloma activity of EDO-S101, a new bendamustine-derived molecule with added HDACi activity, through potent DNA damage induction and impairment of DNA repair. *J. Hematol. Oncol.* **10(1)**, 127 (2017).

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