

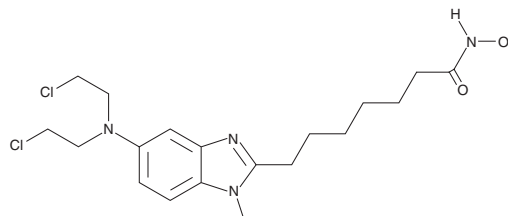
PRODUCT INFORMATION



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: C₁₉H₂₈Cl₂N₄O₂
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 (IC₅₀s = 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 (IC₅₀s = 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

- 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).
- 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).

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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