

PRODUCT INFORMATION

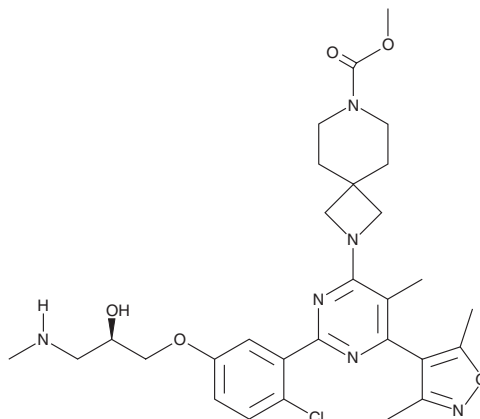


EZM2302

Item No. 29954

CAS Registry No.: 1628830-21-6
Formal Name: 2-[2-[2-chloro-5-[(2R)-2-hydroxy-3-(methylamino)propoxy]phenyl]-6-(3,5-dimethyl-4-isoxazolyl)-5-methyl-4-pyrimidinyl]-2,7-diazaspiro[3.5]nonane-7-carboxylic acid, methyl ester

Synonym: GSK3359088
MF: C₂₉H₃₇ClN₆O₅
FW: 585.1
Purity: ≥98%
Supplied as: A 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

EZM2302 is supplied as a solid. A stock solution may be made by dissolving the EZM2302 in the solvent of choice, which should be purged with an inert gas. EZM2302 is soluble in the organic solvent DMSO.

Description

EZM2302 is an inhibitor of protein arginine methyltransferase 4 (PRMT4; IC₅₀ = 6 nM).¹ It decreases asymmetric methylation of the PRMT4 substrate PABP1 (IC₅₀ = 0.038 μM) and increases levels of demethylated SmB (EC₅₀ = 0.018 μM) in RPMI-8226 multiple myeloma cells. EZM2302 inhibits proliferation in a panel of 36 hematopoietic cancer cell lines, with IC₅₀ values of less than 100 μM for nine of the 15 multiple myeloma cell lines included in the panel. It reduces tumor growth and decreases asymmetric PABP1 methylation in tumor tissue in an RPMI-8226 mouse xenograft model when administered at doses of 75, 150, and 300 mg/kg twice per day. Intrathecal injection of EZM2302 (10 μg) reduces acute mechanical allodynia and thermal hyperalgesia in a mouse model of neuropathic pain induced by chronic constriction injury (CCI).²

References

1. Drew, A.E., Moradei, O., Jacques, S.L., *et al.* Identification of a CARM1 inhibitor with potent *in vitro* and *in vivo* activity in preclinical models of multiple myeloma. *Sci. Rep.* **7(1)** 17993 (2017).
2. Mo, K., Xu, H., Gong, H., *et al.* Dorsal root ganglia coactivator-associated arginine methyltransferase 1 contributes to peripheral nerve injury-induced pain hypersensitivities. *Neuroscience* **394**, 232-242 (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.

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