

Product Data Sheet

Product Name: Tazemetostat hydrobromide

Cat. No.: GC38163

Chemical Properties

Cas. No. 1467052-75-0

SMILES O=C(C1=CC(C2=CC=C(CN3CCOCC3)C=C2)=CC(N(CC)C4CCOCC4)=C1C)NCC5=C(C)C=C(C)NC5=O.[H]Br

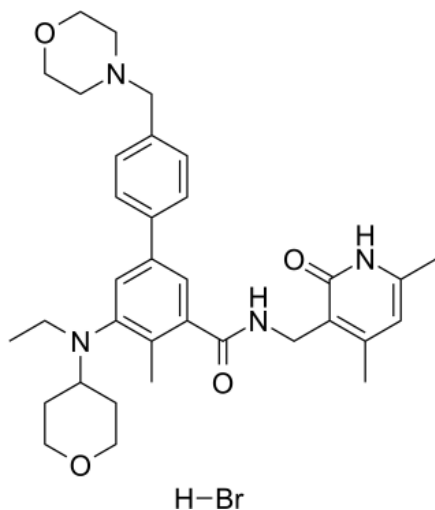
Formula $C_{34}H_{45}BrN_4O_4$ M.Wt 653.65

Solubility DMSO: 100 mg/mL (152.99 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Tazemetostat hydrobromide (EPZ-6438 hydrobromide) is a potent, selective and orally available

Caution: Product has not been fully validated for medical applications. For research use only.

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EZH2 inhibitor. Tazemetostat hydrobromide inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with a K_i value of 2.5 nM. Tazemetostat hydrobromide inhibits EZH2 with IC_{50} s of 11 and 16 nM in peptide assay and nucleosome assay, respectively. Tazemetostat hydrobromide inhibits Rat EZH2 with an IC_{50} of 4 nM. Tazemetostat hydrobromide also inhibits EZH1 with an IC_{50} of 392 nM.

Tazemetostat (EPZ-6438) inhibits multi wild-type and mutant lymphoma cell lines proliferation with IC_{50} s of 0.49 nM-7.6 μ M[1]. Cell Proliferation Assay[1] Cell Line: Wild-type and mutant lymphoma cell lines: DOHH-2 cell (EZH2 wild-type), Farage cell (EZH2 wild-type), OCI-LY19 cell (EZH2 wild-type), Toledo cell (EZH2 wild-type), KARPAS-422 (EZH2 Y646N), Pfeiffer (EZH2 A682G), RL cell line (EZH2 Y646N), SU-DHL-10 (EZH2 Y646F), SU-DHL-6 (EZH2 Y646N), WSU-DLCL2 (EZH2 Y646F)

Tazemetostat (EPZ-6438; 250 or 500 mg/kg twice daily for 21-28 days) practically eliminates the fast-growing G401 tumors[1]. Animal Model: SCID mice bearing s.c. G401 xenografts [1]

[1]. Knutson SK, et al. Durable tumor regression in genetically altered malignant rhabdoid tumors by inhibition of methyltransferaseEZH2. Proc Natl Acad Sci U S A. 2013 May 7;110(19):7922-7.

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