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Daminozide

产品编号: D50749
CAS: 1596-84-5
分子式: C6H12N2O3
纯度: ≥98%
InChi: InChI=1S/C6H12N2O3/c1-8(2)7-5(9)3-4-6(10)11/h3-4H2,1-2H3,(H,7,9)(H,10,11)
InChi Key: NOQGZXFMHARMLW-UHFFFAOYSA-N
Smiles: CN(C)NC(=O)CCC(O)=O
外观: 固体粉末
作用通路: Histone Demethylase
溶解性: DMSO up to 100 mM
保存条件: Store in dry, dark place for one year.
产品介绍: Daminozide is a potent and selective small molecule inhibitor of the KDM2/7 family of human JmjC histone demethylases. It inhibits KDM2A at IC50 ~1.5 μM, PHF8 at IC50 ~0.55 μM, and KIAA1718 at IC50 ~2.1 μM. It has at least 60-fold selectivity as an inhibitor of the KDM2/7 subfamily over the other demethylase subfamily members such as KDM3A, KDM4E, KDM5C and KDM6B, and exhibits no inhibition even at 1 mM for PHD2, FIH, and BBOX1 (all of which are important 2OG oxygenases). The X-ray co-crystal structures revealed the daminozide’ s inhibition mode: it binds in the 2OG binding pocket and chelates to the active site metal via its acylhydrazide carbonyl and dimethylamino groups. The selectivity of daminozide for the KDM2/7 subfamily could, at least in part, arise from a “snug fit obtained via binding in the position trans to His247 wherein its two methyl groups are accommodated in a tight hydrophobic pocket (formed by Val255, Ile313, and Tyr257), which is conserved in the KDM2/7subfamily. Given the link between JmjC enzymes and diseases (such as cancer, metabolic disease, neurological disease, and inflammation), further studies on the biological effects of daminozide is of great interest.