Product Data Sheet

Product Name: EPZ011989 trifluoroacetate (EPZ-011989 trifluoroacetate)
Cat. No.: GC34136

Chemical Properties

Cas. No.	1598383-41-5		
SMILES	O=C(NCC1=C(C)C=C(C)NC1=O)C2=CC(C#CCN3CCOCC3)=CC(N(CC)[C@H]4CC[C@H](N(CCOC)C)CC4)=C2C.O=C(O)C(F)(F)F		
Formula	$C_{37}H_{52}F_3N_5O_6$	M.Wt	719.83
Solubility	DMSO : ≥ 34 mg/mL (47.23 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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

The lysine methyltransferase EZH2 (KMT6), part of polycomb repressive complex 2, catalyzes trimethylation of lysine 27 on histone H3 and is involved in proliferation and aggressive cell growth associated with neoplastic cells.[1] EPZ011989 is an orally bioavailable EZH2 inhibitor with K_i values that are less than 3 nM for wild type and Tyr^{646} mutated EZH2.[2] It displays 15-fold selectivity for EZH2 over EZH1 and is without effect against an array of other lysine methyltransferases.[2] EPZ011989 demonstrates significant tumor growth inhibition in a mouse xenograft model of human B cell lymphoma.[2]

1.Simon, J.A., and Lange, C.A.Roles of the EZH2 histone methyltransferase in cancer epigeneticsMutat. Res.647(1-2)21-29(2008) 2.Campbell, J.E., Kuntz, K.W., Knutson, S.K., et al.EPZ011989, a potent, orally-available EZH2 inhibitor with robust in vivo activityACS Med. Chem. Lett.6(5)491-495(2015)

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