

Product Data Sheet

Product Name: ORY-1001

Cat. No.: GC11360

Chemical Properties

Cas. No. 1431326-61-2

Chemical Name N1-((1R,2S)-2-phenylcyclopropyl)cyclohexane-1,4-diamine

SMILES NC(CC1)CCC1N[C@H]2[C@H](C3=CC=CC=C3)C2

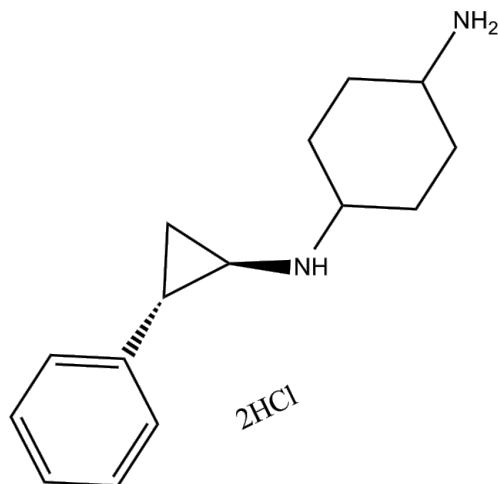
Formula $C_{15}H_{24}Cl_2N_2$ M.Wt 303.27

Solubility PBS (pH 7.2): 10 mg/ml 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

IC50: <20nM

Lysine (K)-specific demethylase 1A (KDM1A, aka LSD1) is a key regulator of leukemia stem cell (LSC) potential and is required for MLL-AF9 oncogenic transformation. Inhibition of KDM1A expression or activity overcomes the differentiation block in AML. ORY-1001 is a selective inhibitor of

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KDM1A.

In vitro: ORY-1001 is an enantiomerically pure KDM1A inhibitor with high selectivity against related FAD dependent aminoxidases. ORY-1001 does not inhibit non-related histone modifiers, and is clean in a CEREP diversity panel. Treatment of THP-1 cells with ORY-1001, results in a time/dose dependent me2H3K4 accumulation at KDM1A target genes and concomitant induction of differentiation markers [1].

In vivo: Daily oral administration of doses < 0.020 mg/kg leads to significantly reduced tumor growth in rodent xenografts. In vivo studies have shown that ORY-1001 presents excellent oral bioavailability, target exposure and activity in vivo [1].

Clinical trial: Oryzon Genomics announced the dosing of the first patient in the extension cohort (Part 2) of its ORY-1001 Phase I clinical trial. The trial will take place in 10 centers in Spain, UK and France.

Reference:

[1] Tamara Maes, I?igo Tirapu, Cristina Mascaró, Alberto Ortega, Angels Estiarte, Nuria Valls, Julio Castro-Palomino, Carlos Buesa Arjol, Guido Kurz; Oryzon Genomics, S. A., Cornell de Llobregat. Preclinical characterization of a potent and selective inhibitor of the histone demethylase KDM1A for MLL leukemia. J Clin Oncol 31, 2013 (suppl; abstr e13543).

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