Product Data Sheet

Product Name: OG-L002 HCl
Cat. No.: GC11792

Chemical Properties

Cas. No.	1357298-75-9		
Chemical Name	4'-((1R,2S)-2-aminocyclopropyl)-[1,1'-biphenyl]-3-ol hydrochloride		
SMILES	OC1=CC=CC(C2=CC=C([C@H]3C[C@@H]3N)C=C2)=C1.Cl		
Formula	$C_{15}H_{16}ClNO$	M.Wt	261.75
Solubility	≥ 26.2mg/mL in DMSO	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	OG-L002 HCl		

Protocol

Kinase experiment
[1]:

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LSD1 demethylation assay	Human recombinant LSD1 protein is incubated with dimethylated H3K4 peptide as the substrate in the presence of various concentrations of lead compound inhibitors (0 to 75 μM) or control tranylcypromine. The demethylase activity is measured by the release of H2O2 produced during the catalytic process, using the Amplex red peroxide/peroxidase-coupled assay kit. Each reaction is done in triplicate. The maximum LSD1 demethylase activity is obtained in the absence of inhibitor and corrected for background fluorescence. The Ki (IC50) of OG-L002 is calculated as half-maximal activity.

Cell experiment [1]:

Cell lines	HeLa, HFF cells, MRC-5 cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting condition	50 μM for 12 hr;
Applications	OG-L002 inhibited HSV IE gene expression and progeny virus production in both HeLa and HFF cells.

Animal experiment [1]:

Product Data Sheet

Animal models	Mouse ganglion explant model
Dosage form	2 to 40 mg/kg /day; intraperitoneal administration for 15-17 days
Applications	OG-L002 repressed herpes simplex virus (HSV) primary infection in vivo.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

1Liang, Y., Quenelle, D., Vogel, J. L., Mascaro, C., Ortega, A. and Kristie, T. M. (2013) A novel selective LSD1/KDM1A inhibitor epigenetically blocks herpes simplex virus lytic replication and reactivation from latency. MBio. 4, e00558-00512

Background

OG-L002 is a specific and potent inhibitor of lysine (K)-specific demethylase 1A (LSD1) with IC50 value of 20 nM [1].
LSD1 is a flavin-dependent monoamine oxidase, which can demethylate lysines. LSD1

plays critical roles in oocyte growth, embryogenesis and tissue-specific differentiation [2].

OG-L002 potently inhibited HSV IE gene expression in both HFF and HeLa cells with IC50 of ~3 μM and ~10 μM, respectively. OG-L002 treatment can potently reduce production of progeny virus (~100-fold) with no significant toxicity in HeLa or HFF cells. In chromatin immunoprecipitation assays, OG-L002 increased the levels of total histone H3K9-me2 and H3 (20- to 30-fold) associated with viral IE promoters, which resulted in decreased viral IE gene expression. In addition, OG-L002 also repressed the expression of adenovirus E1A gene and hCMV IE genes [1].

In a mouse model, OG-L002 repressed primary HSV infection in a dose-dependent manner. Moreover, OG-L002 plays an important role in the viral latency-reactivation cycle in a mouse ganglion explant model [1].

References:

[1]. Liang Y, Quenelle D, Kristie TM, et al. A Novel Selective LSD1/KDM1A Inhibitor epigenetically blocks herpes simplex virus lytic replication and reactivation from latency. mBio, 2013, 4(1), e00558-12.

[2]. Pedersen MT, Helin K. Histone demethylases in development and disease. Trends in Cell Biology, 2010, 20 (11): 662-71.

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