# **PRODUCT** INFORMATION



**ORY-1001** 

Item No. 19136

CAS Registry No.:	1431326-61-2	
Formal Name:	rel-N <sup>1</sup> -[(1R,2S)-2-phenylcyclopropyl]-1,4-	
	cyclohexanediamine, dihydrochloride	
Synonyms:	ladademstat, RG-6016	Η
MF:	$C_{15}H_{22}N_2 \bullet 2HCI$	
FW:	303.3	
Purity:	≥98%	
UV/Vis.:	λ <sub>max</sub> : 212, 264 nm	• 2HCl
Supplied as:	A crystalline solid	
Storage:	-20°C	
Stability:	≥4 years	
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.		

## Laboratory Procedures

ORY-1001 is supplied as a crystalline solid. ORY-1001 is sparingly soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. For biological experiments, we suggest that organic solvent-free aqueous solutions of ORY-1001 be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ORY-1001 in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

## Description

ORY-1001 is an inhibitor of lysine-specific demethylase 1 (LSD1), an oxidase that demethylates histone 3 at lysine 4 (H3K4) and H3K9 (IC<sub>50</sub> = 0.018  $\mu$ M).<sup>1</sup> It is selective for LSD1 over LSD2, monoamine oxidase A (MAO-A), and MAO-B (IC<sub>50</sub>s =  $>100 \mu$ M) but does inhibit spermine oxidase (IC<sub>50</sub> = 7.4  $\mu$ M). ORY-1001 also inhibits the imidazoline I<sub>2</sub> receptor, norepinephrine and dopamine transporters, and L-type voltage-gated calcium channels (IC<sub>50</sub>s = 0.37, 2.4, 1.7, and 4.9  $\mu$ M, respectively). It induces accumulation of dimethylated H3K4 (H3K4me2) in THP-1 cells (EC<sub>50</sub> = 0.0022  $\mu$ M) and reduces viability in a panel of primary acute myeloid leukemia (AML) cells when used at a concentration of 100 nM. ORY-1001 (0.0125 mg/kg) increases survival in a patient-derived xenograft (PDX) mouse model of T cell acute lymphoblastic leukemia (T-ALL). Formulations containing ORY-1001 have been used in the treatment of AML.

## Reference

1. Maes, T., Mascaró, C., Tirapu, I., et al. ORY-1001, a potent and selective covalent KDM1A inhibitor, for the treatment of acute leukemia. Cancer Cell 33(3), 495-511 (2018).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

## WARRANTY AND LIMITATION OF REMEDY

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