PRODUCT INFORMATION



MLN4760

Item No. 31327

CAS Registry No.:	305335-31-3	HO
Formal Name:	N-[(1S)-1-carboxy-3-methylbutyl]-3-	
	[(3,5-dichlorophenyl)methyl]-L-histidine	H N
Synonym:	GL-1001	
MF:	C ₁₉ H ₂₃ Cl ₂ N ₃ O ₄	HO
FW:	428.3	
Purity:	≥98%	Ĵ (l)
Supplied as:	A solid	
Storage:	-20°C	Ţ
Stability:	≥4 years	CI
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.		

Laboratory Procedures

MLN4760 is supplied as a solid. A stock solution may be made by dissolving the MLN4760 in the solvent of choice, which should be purged with an inert gas. MLN4760 is soluble in DMSO.

Description

MLN4760 is an inhibitor of angiotensin-converting enzyme 2 (ACE2; $IC_{50} = 0.44 \text{ nM}$).¹ It is selective for ACE2 over ACE and carboxypeptidase A (CPDA; $IC_{50}s = >100$ and 27 μ M, respectively). MLN4760 inhibits ACE2 hydrolysis of angiotensin I in vitro when used at a concentration of 1 μ M.² It also inhibits the protein-protein interaction between ACE2 and the severe acute respiratory coronavirus 2 (SARS-CoV-2) spike glycoprotein, also known as the surface glycoprotein.³ In vivo, MLN4760 inhibits exogenous recombinant ACE2-induced prevention of angiotensin II-induced hypertension in mice.²

References

- 1. Dales, N.A., Gould, A.E., Brown, J.A., et al. Substrate-based design of the first class of angiotensin-converting enzyme-related carboxypeptidase (ACE2) inhibitors. J. Am. Chem. Soc. 124(40), 11852-11853 (2002).
- 2. Ye, M., Wysocki, J., Gonzalez-Pacheco, F.R., et al. Murine recombinant ACE2: Effect on angiotensin II-dependent hypertension and distinctive ACE2 inhibitor characteristics on rodent and human ACE2. Hypertension 60(3), 730-740 (2012).
- 3. Williams-Noonan, B.J., Todorova, N., Kulkarni, K., et al. An active site inhibitor induces conformational penalties for ACE2 recognition by the spike protein of SARS-CoV-2. J. Phys. Chem. B 125(10), 2533-2550 (2021).

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.

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