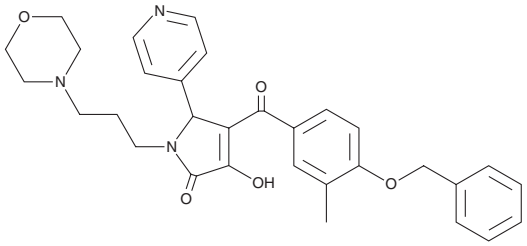
PRODUCT INFORMATION

SBC-115076

Item No. 19134

CAS Registry No.:	489415-96-5
Formal Name:	1,5-dihydro-3-hydroxy-4-[3-methyl-4-(phenylmethoxy)benzoyl]-1-[3-(4-morpholinyl)propyl]-5-(4-pyridinyl)-2H-pyrrol-2-one
MF:	$C_{31}H_{33}N_3O_5$
FW:	527.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 234, 320 nm
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

SBC-115076 is supplied as a crystalline solid. A stock solution may be made by dissolving the SBC-115076 in the solvent of choice, which should be purged with an inert gas. SBC-115076 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SBC-115076 in these solvents is approximately 5 and 2 mg/ml, respectively.

SBC-115076 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SBC-115076 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SBC-115076 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Proprotein convertase subtilisin kexin 9 (PCSK9) is a member of the subtilisin serine protease family with important roles in lipoprotein metabolism.[1] PCSK9 overexpression in wild-type mice doubles plasma total cholesterol, possibly through acceleration of degradation of the LDL receptor (LDLR).[1,2] SBC-115076 is an inhibitor of PCSK9 action that blocks LDLR degradation in HEK293T cells expressing PCSK9.[3] Through this mechanism, submicromolar concentrations of SBC-115076 increase the uptake of LDL by liver cells *in vitro*.[3] SBC-115076 is effective *in vivo*, lowering cholesterol levels in mice that are fed a high fat diet.[3]

References

1. Maxwell, K.N., Fisher, E.A., and Breslow, J.L. Overexpression of PCSK9 accelerates the degradation of the LDLR in a post-endoplasmic reticulum compartment. *Proc. Natl. Acad. Sci. USA* **102(6)**, 2069-2074 (2005).
2. Maxwell, K.N. and Breslow, J.L. Adenoviral-mediated expression of Pcsk9 in mice results in a low-density lipoprotein receptor knockout phenotype. *Proc. Natl. Acad. Sci. USA* **101(18)**, 7100-7105 (2004).
3. Abdel-Meguid, S.S., Elshourbagy, N., Meyers, H., *et al*. Anti-proprotein convertase subtilisin kexin type 9 (anti-pcsk9) compounds and methods of using the same in the treatment and/or prevention of cardiovascular diseases. WO2014150326 A1 (2014), PCT/US2014/022957.

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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