

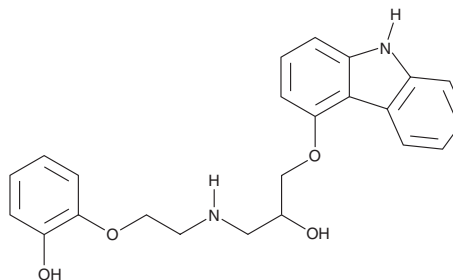
PRODUCT INFORMATION



Desmethyl Carvedilol

Item No. 35411

CAS Registry No.: 72956-44-6
Formal Name: 2-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-phenol
Synonyms: BM 14242, O-desmethyl Carvedilol
MF: C₂₃H₂₄N₂O₄
FW: 392.5
Purity: ≥90%
Supplied as: A 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

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

Description

Desmethyl carvedilol is an active metabolite of the non-selective β -adrenergic receptor (β -AR) antagonist carvedilol (Item No. 15418).¹⁻³ It is formed from carvedilol by the cytochrome P450 (CYP) isoform CYP2C9.¹ Desmethyl carvedilol inhibits store-overload-induced calcium release in HEK293 cells expressing the ryanodine receptor 2 (RyR2) R4496C (RyR2^{R4496C}) mutation ($IC_{50} = 7.62 \mu M$), a mutation that results in spontaneous calcium release from the endoplasmic reticulum.² It reduces increases in heart rate and prevents decreases in diastolic blood pressure induced by isoproterenol (Item No. 15592) in conscious rabbits ($ED_{50s} = 32$ and $5 \mu g/kg$, respectively).³

References

1. Oldham, H.G. and Clarke, S.E. *In vitro* identification of the human cytochrome P450 enzymes involved in the metabolism of R(+)- and S(-)-carvedilol. *Drug Metab. Dispos.* **25(8)**, 970-977 (1997).
2. Smith, C.D., Wang, A., Vembaiyan, K., *et al.* Novel carvedilol analogues that suppress store-overload-induced Ca^{2+} release. *J. Med. Chem.* **56(21)**, 8625-8655 (2013).
3. Strein, K., Sponer, G., Müller-Beckmann, B., *et al.* Pharmacological profile of carvedilol, a compound with β -blocking and vasodilating properties. *J. Cardiovasc. Pharmacol.* **10(Suppl. 11)**, S33-S41 (1987).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM