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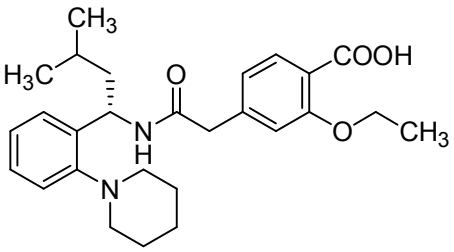
Product Information

Repaglinide

Catalog Number **R9028**
Storage Temperature 2–8 °C

CAS RN 135062-02-1
Synonyms: (S)-(+)-2-Ethoxy-4-[N-[1-(2-piperidinophenyl)-3-methyl-1-butyl]-aminocarbonylmethyl]benzoic acid, Novonorm, Prandin®

Product Description



Molecular Formula: $C_{27}H_{36}N_2O_4$
Molecular Weight: 452.59

Repaglinide is a potent short-acting insulin secretagogue that closes ATP-sensitive potassium (KATP) channels in the plasma membrane of the pancreatic β-cell.[1] A derivative of carbamoylbenzoic acid, it is one of a new class of insulin secretagogues which have been developed for the treatment of type 2 diabetes. Its inhibitory effect was determined using recombinant wild type and mutant Kir6.2/SUR1 channels expressed in HEK293 cells. Repaglinide inhibited whole-cell Kir6.2/SUR1 currents, IC_{50} = 21 nmole/liter, and this inhibitory ability was unaffected by the mutation of serine^{1237} in SUR1 to tyrosine (Ser^{1237} Tyr), IC_{50} = nmole/liter.[2]

The specificity of repaglinide for three types of cloned (KATP) channels, Kir6.2/SUR1, Kir6.2/SUR2A, and Kir6.2/SUR2B, where the sulfonylurea receptors SUR1, SUR2A, and SUR2B correspond to the β-cell, cardiac, and smooth muscle types of KATP channel, respectively, was determined by whole-cell current recordings of KATP channels expressed either in *Xenopus* oocytes or mammalian cells (HEK293). Repaglinide interacted with a site common to all three types of receptor leading to inhibition of the KATP channel. MgADP potentiated this effect in the case of the β-cell, but not cardiac, type of channel.[1]

Precautions and Disclaimer

This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions

Repaglinide is soluble in DMSO (≥20 mg/ml).

Storage/Stability

Store the product at 2–8 °C.

References

1. Dabrowski, M., et al., Effect of repaglinide on cloned beta cell, cardiac and smooth muscle types of ATP-sensitive potassium channels. Diabetologia, **44**, 747-756 (2001).
2. Hansen, A.M., et al. Differential interactions of nateglinide and repaglinide on the human beta-cell sulphonylurea receptor 1. Diabetes, **51**, 2789-2795 (2002).

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