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Technical Information about DT-3

Inhibitor of cGMP-dependent protein kinase

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Sequence: R-Q-I-K-I-W-F-Q-N-R-R-M-K-W-K-K-L-R-K-K-K-K-K-H-amide (DT-3)

Formula: $C_{152}H_{259}N_{53}O_{27}S \cdot TFA_{16}$

Molecular Weight: 3293.1 for free peptide

CAS No.: [pending]

BIOLOG Cat. No.: D 052

Description: DT-3 is a membrane-permeant peptide-based inhibitor of cyclic GMP-dependent protein kinase Iα and Iβ with nanomolar K_i values (Dostmann et al. 2000). It displays high selectivity for cyclic GMP-dependent protein kinase Iα compared to cyclic AMP-dependent protein kinase with a ratio of approximately 20,000 fold (Dostmann et al. 2000).
BIOLOG also offers the peptide-based PKG Iα and Iβ inhibitors DT-2 (Cat. No. D 051) and (D)-DT-2 (Cat. No. D 110) as well as the control peptide W45 (Cat. No. W 001).

Specification: Crystallized or lyophilized trifluoroacetate salt. Probably hygroscopic. Equal concentrations of DT-3 can appear very different in volume.

Purity: Typical analysis is better than 95% (HPLC). The product is not sterile and has not been tested for endotoxins.

Solubility: DT-3 is soluble in water (at least 10 mM) or DMSO. Please rinse tube walls carefully and preferably use ultrasonic or vortex to achieve total and uniform mixing. When opening the tube please make sure that no substance is lost within the cap.

Preparation of Stock Solutions: Addition of 152 µL water or buffer to a 0.5 mg vial yields a 1 mM solution.

Stability and Storage: DT-3 is chemically rather stable. Nevertheless, we recommend that the compound should be stored in the freezer, for longer storage periods preferably in freeze-dried form.

Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism inhibition of protein kinase G could possibly interfere with many cell regulation processes *in vivo*. However, due to the rather small quantities to work with, no health hazards have been reported. Nevertheless please keep in mind, that the *in vivo* properties of this compound are not sufficiently characterized up to now. Avoid skin contact or ingestion and allow only trained personnel to handle the product.
Our products are designed, developed and sold for research purposes only! They are intended for *in vitro* and nonhuman *in vivo* laboratory applications. Any other use requires approval of health authorities.
Not for drug, household or related uses!

Selected References for DT-3:

Koika, V.; Zhou, Z.; Vasileidis, I.; Roussos, C.; Finetti, F.; Monti, M.; Morbidelli, L.; Papapetropoulos, A., *Vasc. Pharmacol.*, **53**, 215 - 222 (2010): "PKG-I Inhibition Attenuates Vascular Endothelial Growth Factor-stimulated Angiogenesis"

Lohmann, S.M.; Walter, U., *Frontiers Biosci.*, **10**, 1313 - 1328 (2005): “Tracking Functions of cGMP-dependent Protein Kinase”

Dostmann, W.R.G.; Tegge, W.; Frank, R.; Nickl, C.K.; Taylor, M.S.; Brayden, J.E., *Pharmacol. Ther.*, **93**, 203 - 215 (2002): "Exploring the Mechanisms of Vascular Smooth Muscle Tone with Highly Specific, Membrane-permeable Inhibitors of Cyclic GMP-dependent Protein Kinase I Alpha"

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Dostmann, W.R.G.; Taylor, M.S.; Nickl, C.K.; Brayden, J.E.; Frank, R.; Tegge, W., *Proc. Natl. Acad. Sci. USA*, **97**, 14772 - 14777 (2000): “Highly Specific, Membrane-permeant Peptide Blockers of cGMP-dependent Protein Kinase Iα Inhibit NO-induced Cerebral Dilation”

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