

Technical Information about DT-2

Inhibitor of cGMP-dependent protein kinase

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Sequence: Y-G-R-K-K-R-R-Q-R-R-R-P-P-L-R-K-K-K-K-H-amide (DT-2)

Formula: C₁₂₂H₂₂₃N₅₃O₂₃ · TFA₁₆

Molecular Weight: 2800.5 for free peptide

CAS No.: [pending]

BIOLOG Cat. No.: D 051

Description: DT-2 is a membrane-permeant peptide-based inhibitor of cyclic GMP-dependent protein kinase I α and I β with nanomolar K_i values (Dostmann et al. 2000). It displays selectivity for cyclic GMP-dependent protein kinase I α compared to cyclic AMP-dependent protein kinase with a ratio of approximately 1,300 fold (Dostmann et al. 2000).

Specification: Crystallized or lyophilized trifluoroacetate salt. Probably hygroscopic. Equal concentrations of DT-2 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-2 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 179 μ L water or buffer to a 0.5 mg vial yields a 1 mM solution.

Stability and Storage: DT-2 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-2:

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"

Nickl, C.K.; Raidas, S.K.; Zhao, H.; Sausbier, M.; Ruth, P.; Tegge, W.; Brayden, J.E.; Dostmann, W.R., *Biochim. Biophys. Acta*, **1804**, 524 - 532 (2010): "(D)-Amino Acid Analogues of DT-2 as Highly Selective and Superior Inhibitors of cGMP-dependent Protein Kinase I α "

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

Taylor, M.S.; Okwuchukwuasanya, C.; Nickl, C.K.; Tegge, W.; Brayden, J.E.; Dostmann, W.R.G., *Mol. Pharmacol.*, **65**, 1111 - 1119 (2004): "Inhibition of cGMP-dependent Protein Kinase by the Cell-permeable Peptide DT-2 Reveals a Novel Mechanism of Vasoregulation"

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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 I α Inhibit NO-induced Cerebral Dilation"