

## **Data Sheet**

Product Name: Kartogenin
Cat. No.: CS-3369
CAS No.: 4727-31-5
Molecular Formula: C20H15NO3
Molecular Weight: 317.34

Target: TGF-beta/Smad

Pathway: Stem Cell/Wnt; TGF-beta/Smad Solubility: DMSO :  $\geq$  42 mg/mL (132.35 mM)

## **BIOLOGICAL ACTIVITY:**

Kartogenin is an inducer of differentiation of human mesenchymal stem cells into chondrocytes. **In Vitro**: Kartogenin enhances cell proliferation in both cell types in a concentration-dependent manner and induces chondrogenic differentiation of stem cells, as demonstrated by high expression levels of chondrogenic markers aggrecan, collagen II and Sox-9. Besides, kartogenin induces the formation of cartilage-like tissues in cell cultures, as observed through the staining of abundant proteoglycans, collagen II and osteocalcin<sup>[1]</sup>. Kartogenin stimulates type-I collagen synthesis of fibroblasts at the mRNA and protein levels in a time-dependent manner without obvious influence on fibroblasts' apoptosis and viability. Smad4/smad5 of the TGF-β signaling pathway is activated by kartogenin while MAPK signaling pathway remains unchanged<sup>[2]</sup>. Kartogenin treatment enhances chondrocyte pericellular matrix assembly and retention in the presence of IL-1β. Kartogenin partially blocks the IL-1β-induced increased expression of ADAMTS-5. Additionally, kartogenin-treated articular chondrocytes exhibits a decrease in CD44 proteolytic fragmentation<sup>[3]</sup>. **In Vivo**: hen injected into intact rat patellar tendons, kartogenin induces cartilage-like tissue formation in the injected area. When injected into experimentally injured rat Achilles TBJs, wound healing in the TBJs is enhanced, as evidenced by the formation of extensive cartilage-like tissues<sup>[1]</sup>. Kartogenin stimulates collagen synthesis in the mouse dermis. Dermis in the kartogenin (100 nM)-treated group exhibits increased dermal thickness and intense blue staining, which represents more collagen composition in the dermis<sup>[2]</sup>.

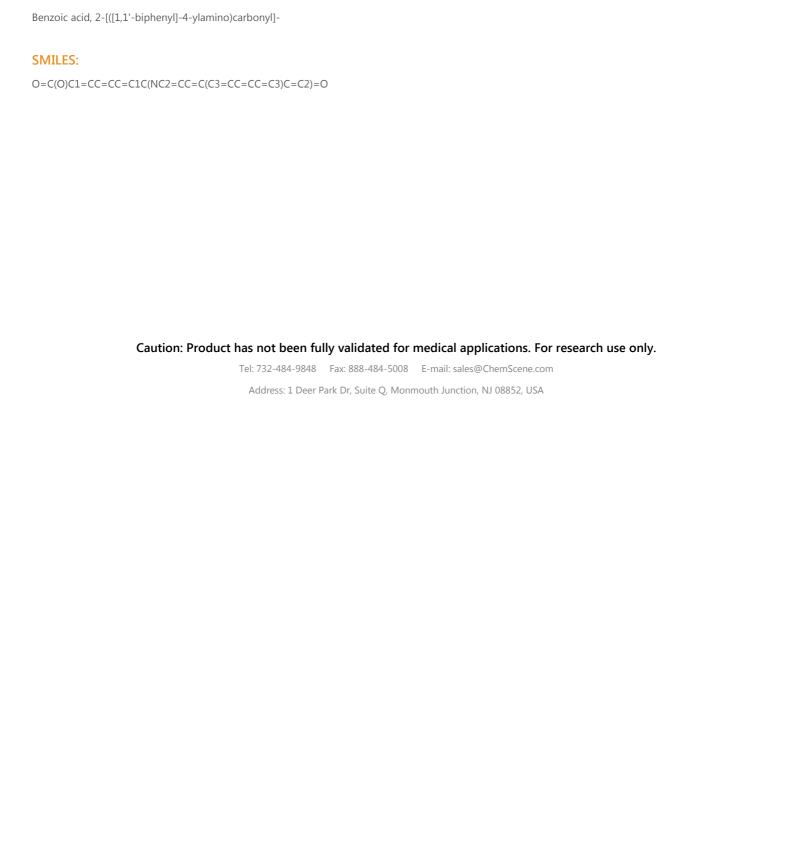
## PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: <sup>[1]</sup>Rabbit BMSCs or PTSCs are treated with various concentrations (1 nM to 5  $\mu$ M) of kartogenin. The medium is changed every 3 days and after 2 weeks, cell proliferation is measured by population doubling time<sup>[1]</sup>. Animal Administration: <sup>[1]</sup>Rat: Then rats are divided into two groups based on the injections received: six rats are given 10  $\mu$ L saline injections in each wound (wound-only group) and six rats receive 10  $\mu$ L of 100  $\mu$ M kartogenin solution each in the wounded areas (wound+kartogenin group). The injections are given immediately after wounding and repeated on days 2, 4, 7 and 12<sup>[1]</sup>.

## References:

- [1]. Zhang J, et al. Kartogenin induces cartilage-like tissue formation in tendon-bone junction. Bone Res. 2014;2. pii: 14008.
- [2]. Wang J, et al. A heterocyclic molecule kartogenin induces collagen synthesis of human dermal fibroblasts by activating the smad4/smad5 pathway. Biochem Biophys Res Commun. 2014 Jul 18;450(1):568-74.
- [3]. Ono Y, et al. Chondroprotective Effect of Kartogenin on CD44-Mediated Functions in Articular Cartilage and Chondrocytes. Cartilage. 2014 Jul;5(3):172-80.

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