# Data Sheet

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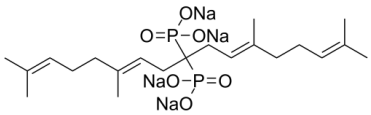
| **Product Name:** | Digeranyl bisphosphonate |
| **Cat. No.:** | CS-7184 |
| **CAS No.:** | 878143-03-4 |
| **Molecular Formula:** | $C_{21}H_{34}Na_4O_6P_2$ |
| **Molecular Weight:** | 536.40 |
| **Target:** | Ras |
| **Pathway:** | GPCR/G Protein |
| **Solubility:** | DMSO : 2 mg/mL (3.73 mM; Need ultrasonic); H2O : 2 mg/mL (3.73 mM; Need ultrasonic) |




## BIOLOGICAL ACTIVITY:

Digeranyl bisphosphonate (DGBP) is a potent geranylgeranylpyrophosphate (GGPP) synthase inhibitor, which inhibits geranylgeranylation of Rac1. IC50 & Target: Rac1[1] **In Vitro:** Digeranyl bisphosphonate (DGBP) impairs geranylgeranylation. To examine if Digeranyl bisphosphonate modulates Rac1 activity, cells are exposed to vehicle or Digeranyl bisphosphonate. Rac1 activation increases significantly after chrysotile exposure, whereas the activity in Digeranyl bisphosphonate -treated cells is reduced to control levels. Digeranyl bisphosphonate also decreases $H_2O_2$ generation in chrysotile-exposed macrophages[1]. **In Vivo:** To further evaluate the effect of Digeranyl bisphosphonate (DGBP; 0.2 mg/kg/day) in protecting mice from chrysotile-induced pulmonary fibrosis, the mice are administered vehicle or Digeranyl bisphosphonate subcutaneously in osmotic pumps, and exposed to saline or chrysotile the following day. Mice exposed to saline have normal lung architecture with vehicle and Digeranyl bisphosphonate treatment. Chrysotile-exposed mice that receive vehicle have significant architectural changes in their lung parenchyma and large amounts of collagen deposition, whereas the lungs of the Digeranyl bisphosphonate -treated mice are essentially normal. To investigate the effect of Digeranyl bisphosphonate in Bleomycin-induced fibrosis, osmotic pumps containing either vehicle or Digeranyl bisphosphonate are implanted subcutaneously in WT mice. Mice are exposed to saline or Bleomycin the following day. Digeranyl bisphophonate (0.2 mg/kg/day)-treated mice show significantly less hydroxyproline compared to vehicle-treated mice exposed to Bleomycin[1].

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

**Animal Administration:** [1]Mice[1]

**Wild-type C57Bl/6 mice** are used. After equilibration, osmotic pumps containing either vehicle (water) or **Digeranyl bisphosphonate (0.2 mg/kg/day) are implanted subcutaneously**. Rac1 null and Rac2 knockout mice are used. Briefly, Rac1 null mice are conditional and are generated using $LysM^{cre}$ to selectively delete Rac1 from cells of the granulocyte/monocyte lineage. The Rac2 knockout mice are generated using conventional gene targeting to delete the Rac2 gene as Rac2 is only expressed in cells of the granulocyte/monocyte lineage. Bleomycin (1.3-2.0 U/kg) or Chrysotile (100 μg) is administered intratracheally. Mice are euthanized and fibrosis determined.

## References:

[1]. Osborn-Heaford HL, et al. Targeting the isoprenoid pathway to abrogate progression of pulmonary fibrosis. Free Radic Biol Med. 2015 Sep;86:47-56.

## CAIndexNames:

Phosphonic acid, [(3E)-1-[(2E)-3,7-dimethyl-2,6-octadien-1-yl]-4,8-dimethyl-3,7-nonadien-1-ylidene]bis-, sodium salt (1:4)

## SMILES:

C/C(C)=C\CC/C(C)=C/CC(P(O[Na])(O[Na])=O)(P(O[Na])(O[Na])=O)C/C=C(C)/CC/C=C(C)\C



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