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MJ 33

Prod. No. [M 3315](#)

Active Site-Directed Specific Phospholipase A₂ Inhibitor.

Bee venom and pancreatic phospholipase A₂ (PLA₂) share the same hydrolysis site of glycerophospholipids (sn-2-ester) and play a role in smooth muscle contraction, cell proliferation and oxidative stress. Function and structure studies of phospholipase A₂ have been hindered by the lack of specific and competitive inhibitors. MJ 33 specifically interacts with active sites on the interface of PLA₂ and inhibits this enzyme.

- Inhibits hydrolysis of the acidic, calcium-independent form of type 1B PLA₂, (pancreatic, bee venom, lung aiPLA₂) by binding to the dimeric active sites on the anionic lipid interface.
- Inhibitory potency of MJ 33 toward PLA₂ equals the sn-2 phosphonate or sn-amide analogs of sn-3 phospholipids.
- Crystal complexes of PLA₂ (bound to phosphate (P) or sulfate (S)) with MJ 33 provide definite proof that MJ 33 specifically inhibits Type B PLA₂ by binding to the active sites of this enzyme.
- 3 mol%¹ of MJ 33 inhibits 95% of pancreatic PLA₂.
- MJ 33 shows poor affinity for the type II human synovial PLA₂ and moderate affinity toward lysosomal PLA₂, isolated from macrophages (IC₅₀ = 15 mol%).

1. Mol % is defined as $([MJ33]/([MJ33] + [PG]) \times 100$

PG: concentration of phosphatidylglycerol, PLA₂ substrate

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