

Fact Sheet: Paliperidone Palmitate

Drug	Dosage	Tmax (Days)	T ½ (Days) Multiple Dosing	Loadable?
Optimal trough plasma level	28 - 112 ng/mL	13	25 - 49	Yes

- SGA D2 antagonist which is a good substrate of P-glycoprotein transporter in an aqueous base

IMPORTANTLY, failure to load paliperidone palmitate properly can lead to suboptimal outcomes:

- a need for a **prolonged course of oral** antipsychotic coverage
- inadequate plasma antipsychotic levels when the oral regimen is withdrawn with **possible destabilization** of the patient.

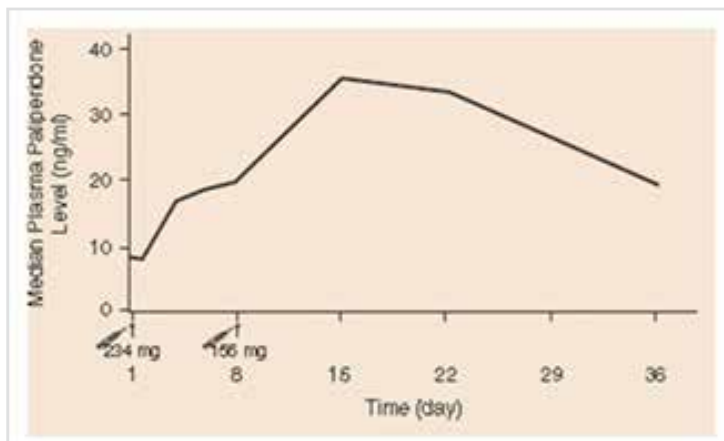
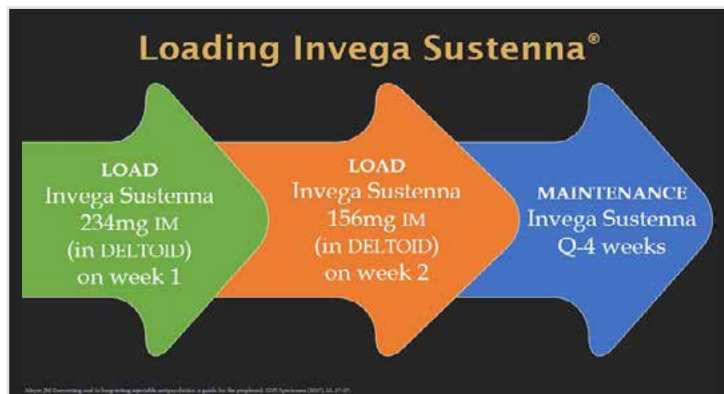


Figure 1. Nanomolecular crystals of the ester paliperidone palmitate have a 4-week dosing schedule, the ability to be loaded, and a 3-month injectable form (*Invega Trinza*®) and a 6-month injectable form (*Invega Hafyera*®) for those who have been on stable doses of Sustenna® for at least 4 months.



average expected plasma level = 4.09 x oral dose (mg/day)

Antipsychotic	Optimal trough plasma level
Paliperidone Palmitate	28 - 112 ng/mL

The **biggest limitation of paliperidone palmitate** is that even with the maximum dose of the depot formulation (234 mg), the plasma concentration of OH-risperidone would be no more than what you would get with 4 – 5 mg of PO risperidone daily.

References

- DSH Psychotropic Medication Operational Procedures, Chapter 9. Depot Antipsychotic Medications.
- Meyer JM Converting oral to long-acting injectable antipsychotics: a guide for the perplexed. CNS Spectrums (2017), 22, 17–27.

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