

73 - Depot antipsychotics summary of pharmacokinetics

Depot antipsychotics – summary of pharmacokinetics

Schizophrenia and related psychoses CHAPTER 1 Depot antipsychotics – summary of pharmacokinetics Table 1.9 provides a summary of the pharmacokinetics of depot antipsychotic medications. Table 1.9 Depot antipsychotics – summary of pharmacokinetics. Drug UK trade name Time to peak (days)* Plasma half-life (days) Time to steady state (weeks or months)**

Aripiprazole1-3 Abilify Maintena Deltoid: 4 ~20 weeks Gluteal: 5-7 Aripiprazole 2-monthly injection3-6 Abilify Maintena ~29 ~6 months Aripiprazole lauroxil2 Aristada in USA 44-50 ~54-57 ~4 months Aripiprazole lauroxil nanocrystal2,7† Aristada Initio in USA ~15-18 Flupentixol decanoate8,9 Depixol 4-7 8-17 ~8-12 weeks Fluphenazine decanoate2,10-12 Modecate 8-12d†† 7-10 ~8 weeks Haloperidol decanoate2 Haldol 3-9 ~14 weeks Olanzapine pamoate2,13 ZypAdhera 2-6 ~12 weeks Paliperidone palmitate2 (monthly) Xeplion 25-49 ~20 weeks Paliperidone palmitate14,15 (3-monthly) Trevicta Deltoid: 84-95 ~52 weeks Gluteal: 118-139 Paliperidone palmitate (6-monthly)16 Byannli 700mg: 29 700mg: 148 Not known 1000mg: 32 1000mg: 159 Pipotiazine palmitate17,18 Piportil 7-14 ~9 weeks RBP-70002,19 (risperidone SC monthly) Perseris in USA 1st peak ~1 ~8-9 ~8 weeks 2nd peak ~11 Risperidone extended-release injectable suspension20,21 Rykindo in USA 25mg: 14 50mg: 17 3-6 ~4 weeks Risperidone in situ microimplants (ISM)22,23 Okedi 1st peak: 1-2 7-9 ~4 weeks 2nd peak: 18-25 Risperidone microspheres2 Risperidal Consta ~28 3-6 ~8 weeks TV-4600024 (risperidone SC) Uzedy in USA 8-14 14-22 ~2 months Zuclopenthixol decanoate2,8,17,25 Clopixol 4-7 ~12 weeks

* Time to peak is not the same as time to reach therapeutic plasma concentration but both are dependent on dose. For large (loading) doses, therapeutic activity is often seen before attaining peak levels. For low (test) doses, the initial peak level may be subtherapeutic. ** Attainment of steady state (SS) follows logarithmic, not linear characteristics: nearly 90% of SS levels are achieved in three half-lives. Time to attain steady state is independent of dose and dosing frequency (i.e. you cannot hurry it up by giving more, more often). Loading doses can be used to produce prompt therapeutic plasma levels but time to SS remains the same. SS is not the same as the concentration required

for therapeutic effect. For most depots, SS concentrations during the dosing interval are some way above the concentration needed to give a therapeutic response. † Used to initiate treatment with Aristada, IM injection with one 30mg oral dose of aripiprazole; not designed for repeat dosing. †† Some estimates suggest peak concentrations after only a few hours.^{25,26} It is likely that fluphenazine decanoate produces two peaks – one on the day of injection and a second slightly higher peak a week or so later.

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