Purpose: Intravenous drug-delivering formulations are designed to provide slow release of drugs so they can be administered at a single dose. The resulting stability due to depot effect suggests a constant availability of the drug. The study investigated the pharmacokinetics of DepoFoam bupivacaine (DB) in comparison to commercially available formulations of bupivacaine.

Methods: In a single study, 20 healthy male subjects were randomly assigned to receive either DB (300 mg) or bupivacaine HCl (50 mg). The solutions were administered as a single intravenous injection. The pharmacokinetic parameters including Tmax, t1/2, and Cmax of the subjects were analyzed. Results: The DB exhibited a single peak in plasma concentration due to the presence of extraliposomal bupivacaine, followed by a sustained release of the drug over a longer period of time. The Tmax, t1/2, and Cmax were 2 hours, 34.1 hours, and 935 ng/mL, respectively.

Conclusions: The DB exhibited a sustained release of bupivacaine, which is consistent with the sustained-release formulation. The Tmax, t1/2, and Cmax were significantly lower than those of bupivacaine HCl. DB is a potential candidate for the treatment of chronic pain.

Figure 1. Release Profile of Conventional Formulations

Figure 2. Release Profile of Sustained-Release Formulations

Table 1. Summary of PK Parameters for Bupivacaine After Administration of Single Doses of

CONCLUSIONS

- DepoFoam® Bupivacaine (DB; EXPAREL™; Bupivacaine Liposome Extended-Release Injectable Suspension) exhibits pharmacokinetic properties consistent with sustained-release characteristics.

REFERENCES