Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **36** (4): 639-46 (2017)

Regular article
Received: November 11, 2016
Revised version: December 4, 2016
Accepted: December 7, 2016

Evaluating the *In Vitro* Release of Antipsychotic Paliperidone in OROS® Tablets by Dissolution Profile Investigation

Vanessa B. de CAMARGO [1], José P.E. CASSOL [2], Lidiane S. FARIAS [1], Luiz A. C. BATISTA [1], Everson W.F. CORDEIRO [1], Nathalie R. WINGERT [3], Cássia V. GARCIA[3] & Andreas S.L. MENDEZ [2,3] *

[1] *Laboratório de Pesquisa em Desenvolvimento e Controle de Qualidade de Medicamentos, Universidade Federal do Pampa (UNIPAMPA), BR 472 Km 585 Prédio Administrativo CEP 97500-970, Uruguaiana-RS, Brazil*
[2] *Programa de Pós-graduação em Ciências Farmacêuticas, Universidade Federal do Pampa (UNIPAMPA), BR 472 Km 585 Prédio Administrativo CEP 97500-970, Uruguaiana-RS, Brazil*
[3] *Programa de Pós-graduação em Ciências Farmacêuticas, Universidade Federal do Rio Grande do Sul (UFRGS), Avenida Ipiranga 2752, CEP 90610-000, Porto Alegre-RS, Brazil*

***SUMMARY*. The dissolution profile of paliperidone OROS® tablets was investigated in the present work. Three dissolution media were tested: simulated gastric fluid (SGF), acetate buffer pH 4.5 and phosphate buffer pH 6.8. Apparatus paddle at 50 rpm and volume of 500 mL were used. Drug analysis was conducted by HPLC method. Assuming sink condition and demonstrating drug stability, the dissolution conditions were able to evaluate the *in vitro* drug release performance. The dissolution profile was very similar in the three pH values. A continuous release occurs from 8 to 18 h, ranging 20 to 88 % of paliperidone amount. After 24 h, the drug was estimated in concentrations higher of 100.0%. Dissolution efficiency was 45.87% for SGF medium, and for all media studied dissolution kinetics followed zero-order model. Despite of absence of discriminative potential, the dissolution profile was considered satisfactory and the experimental conditions could be applied for quality control of paliperidone.**

RESUMEN. En el presente trabajo se investigó el perfil de disolución de los comprimidos de paliperidona OROS®. Se ensayaron tres medios de disolución: fluido gástrico simulado (SGF), tampón acetato pH 4,5 y tampón fosfato pH 6,8. Se utilizaron paletas a 50 rpm y un volumen de 500 mL. El análisis del fármaco se llevó a cabo mediante el método de HPLC. Asumiendo la condición de sumidero y demostrando la estabilidad del fármaco, las condiciones de disolución fueron capaces de evaluar el rendimiento de liberación del fármaco *in vitro*. El perfil de disolución fue muy similar a los tres valores de pH. Se produce una liberación continua de 8 a 18 h, variando de 20 a 88% la cantidad de paliperidona. Después de 24 h, el fármaco se estimó en concentraciones superiores al 100,0%. La eficiencia de disolución fue de 45,87% para el medio SGF, y para todos los medios estudiados la cinética de disolución siguió el modelo de orden cero. A pesar de la ausencia de potencial discriminativo, el perfil de disolución se consideró satisfactorio y las condiciones experimentales podrían aplicarse para el control de calidad de la paliperidona.

KEY WORDS: dissolution efficiency, HPLC, *in vitro* dissolution, OROS® tablets, paliperidone.

* Author to whom correspondence should be addressed. *E-mail:* andreas.mendez@ufrgs.br

ISSN 0326 2383 (printed ed.)
ISSN 2362-3853 (on line ed.)