

# Fotemustine

Third-generation nitrosourea showing efficacy in melanoma, some haematological tumors, and gliomas.

Fotemustine (diethyl 1-{1-[3-(2-chloroethyl)-3-nitrosoureido] ethyl} phosphonate) is an alkylating <sup>1)</sup> cytotoxic agent, belonging to the group of nitrosourea.

It is characterized by elevated lipophilic properties and a low molecular weight that contribute to facilitation of its passage through the blood-brain barrier <sup>2)</sup>.

Moreover, fotemustine shows an important diffusion in neuronal cells and glia. The antitumor activity of fotemustine is related to its ability to alkylate DNA. After intravenous infusion, the plasma concentration reached the steady state in 45 minutes and the plasma concentration varied between 1 and 14 ug/mL disappearing in the blood within three hours <sup>3)</sup>.

Numerous phase II studies showed an important activity of fotemustine in high-grade gliomas, especially in glioblastoma, as first-line treatment or in recurrent disease <sup>4)</sup>.

Regarding its adverse events, the most important toxic events are thrombocytopenia, leukopenia, and anemia, while liver and kidney toxicity are moderate <sup>5)</sup>.

Fotemustine is a third-generation nitrosourea with an ideal pharmacological profile to treat primitive brain tumors showing efficacy in all types of glioma. Although no prospective and randomized studies were performed about the efficacy of fotemustine in malignant gliomas, results from numerous retrospective and prospective single-arm phase II clinical trials demonstrated its activity both as single agent and in combination with other cytotoxic drugs or new targeted drugs such as bevacizumab <sup>6)</sup>.

<sup>1)</sup> , <sup>3)</sup>

Tranchand B, Lucas C, Biron P, et al. Phase I pharmacokinetics study of high-dose fotemustine and its metabolite 2-chloroethanol in patients with high-grade gliomas. *Cancer Chemotherapy and Pharmacology*. 1993;32(1):46-52.

<sup>2)</sup>

De Rossi A, Rossi L, Laudisi A, et al. Focus on Fotemustine. *Journal of Experimental and Clinical Cancer Research*. 2006;25(4):461-468.

<sup>4)</sup>

Beauchesne P. Fotemustine: a third-generation nitrosourea for the treatment of recurrent malignant gliomas. *Cancers*. 2012;4(1):77-87.

<sup>5)</sup>

Laquerriere A, Raguenez-Viotte G, Paraire M, et al. Nitrosoureas lomustine, carmustine and fotemustine induced hepatotoxic perturbations in rats: biochemical, morphological and flow cytometry studies. *European Journal of Cancer*. 1991;27(5):630-638.

<sup>6)</sup>

Lombardi G, Farina P, Della Puppa A, Cecchin D, Pambuku A, Bellu L, Zagonel V. An Overview of Fotemustine in High-Grade Gliomas: From Single Agent to Association with Bevacizumab. *Biomed Res Int*. 2014;2014:698542. Epub 2014 Mar 31. Review. PubMed PMID: 24800248; PubMed Central PMCID: PMC3988896.

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