

¹²³I lolopride

¹²³I-IBZM, ¹²³I-3-iodo-6-methoxybenzamide

1. Indications

¹²³I-lolopride enables imaging of cerebral dopamine D2 receptor availability. This can be used to determine the blocking of cerebral dopamine D2 receptors during treatment with neuroleptics. See also chapter "Dopamine transporters and receptor imaging".

2. Preparation

Approved product, see summary of product characteristics (SmPC).

3. Quality control

¹²³I-lolopride is not mentioned in the European Pharmacopeia.

Radionuclidic purity $\geq 99,9\%$

Radiochemical purity is assessed by Thin Layer Chromatography.

Plate	ITLC Silica plate
Mobile phase	Chloroform: Methanol = 90:10 (v/v)
Application	10 μ l
Identification of the spots	R _f = 0 ¹²³ I iodide R _f = 0,9 ¹²³ I lolopride
Drying	In air
Limits	¹²³ I lolopride $\geq 95\%$

4. Interactions

In general, drugs should be stopped for 5 half-lives.

Dopamine agonists

Dopaminergic drugs (Parkinson's disease) which exert their effect by binding to the dopamine D2 receptors may reduce ¹²³I lolopride uptake: apomorphine, bromocriptine, pergolide, pramipexol, ropinirol.

Antipsychotics

All antipsychotics that bind to dopamine D2 receptors block the uptake of ¹²³I-lolopride in the basal ganglia: amisulpiride, aripiprazol, broomperidol, chloorprotixene, clozapine, fluphenazine, flupentixole, fluspirilene, haloperidol, lurasidon, olanzapine, paliperidon, penfluridol, perphenazine, periciazine, pimozide, pipamperon, quetiapine, risperidone, sertindole, sulpiride, tiapride, zuclopentixole.

Drugs of abuse

Drugs that enhance the availability of endogenous dopamine may also cause reduced binding of ¹²³I-lolopride in the striatum. This is established for cocaine and amphetamine.

Anti-emetics

Metoclopramide.

Domperidone does not cross the blood brain barrier and therefore does not interfere.

Miscellaneous

The uptake of ¹²³I-lolopride in the basal ganglia is reduced by the calcium blockers cinnarizine and flunarizine.

Levodopa does not interfere with ¹²³I lolopride imaging.

5. Adverse reactions

No adverse reactions are reported.

6. Biodistribution & pharmacokinetics

Distribution

After administration, ¹²³I-IBZMI is bound to blood proteins for more than 75%. The uptake in the brain after 2 h is about 4% of the injected dose. The uptake of specifically bound ¹²³I-IBZM in the striatum reaches a plateau after about 40 min which remains stable up to 200 min after injection.

Metabolism

The metabolism of ¹²³I-IBZM has not been investigated thoroughly. It is probable that the circulating tracer will deiodinate. Metabolism in the target organ is minimal.

Elimination

Elimination of the injected radioactivity proceeds via excretion in the urine and the faeces. Over 40% of the injected dose is eliminated via the urine after 24 h, and over 60% after 48 h.

7. Stability

¹²³I-lolopride can be used for at least 12 h after calibration time mentioned on the etiquette. It has to be stored below 25°C, do not freeze.

8. Literature

- SmPC [123I]-IBZM Injection GE Healthcare, april 2006.
- KNMP kennisbank Jolopride I 123.
- Brücke T et al. D2 receptor blockade by flunarizine and cinnarizine explains extrapyramidal side effects. A SPECT study. J Cereb Blood Flow Metab. 1995 May;15(3):513-8.
- Schwarz J et al. Iodine- 123-Iodobenzamide Binding in Parkinsonism: Reduction by Dopamine Agonists but Not L-Dopa. J Nucl Med 1996;37:1112-5.