Purpose: Cabergoline is routinely used to treat Parkinson’s disease. Cabergoline is usually given at an oral dose lower than 1 mg/day. However, the blood half-time of cabergoline is 43 h. The extent to which cabergoline is transported into brain after dosing is unclear. We investigated the brain transport of cabergoline using in vivo rat brain microdialysis technique and compared with that of L-dopa.

Method: The doses were 1 mg/kg for cabergoline and 15 mg/kg for L-dopa, respectively. After the i.p. administration, brain dialysates were collected at every 1 h over 24 h. The blood was collected at 1 h and then the brain was removed. The same procedure was carried out at 4, 12 and 24 h. Each sample was analyzed by an online column switching liquid chromatography – tandem mass spectrometry.

Results and discussion: The cabergoline concentrations in brain dialysates were found to reach to the maximum (8.8 nM) at 11 h and then to decrease to 44% of its maximum value at 24 h. The ratio of the cabergoline level in brain vs that in plasma at 4 h was similar to that at 24 h. Cabergoline was kept in rat brain for the long time. However, L-dopa was rapidly disappeared from brain relative to cabergoline. This finding supports that L-dopa converts to dopamine in brain rapidly.