



**FIGURE 8.8** Overview of the different applications of imaging in the drug discovery and development process.

**TABLE 8.2**

**Selected PET Ligands Applied within the Drug Discovery and Development Process**

Drug	Applied Tracer	Measurement	Phase	Application
Cisplatin	FLT	Pharmacokinetics	Preclinical/clinical	Lung cancer
Fluorouracil	FLT	Method of action	Clinical	Colorectal cancer
Tamoxifen	[ <sup>18</sup> F]Tamoxifen	Pharmacokinetics	Clinical	Breast cancer
Gefitinib	FDG	Tumor metabolism	Preclinical/clinical	EGFR inhibitor
Lu AE92686	[ <sup>11</sup> C]Lu AE92686	Pharmacokinetics	Preclinical	PDE10A
Chemothera-peutica	FDG	Tumor metabolism	Clinical	Breast cancer
Raclopride	[ <sup>11</sup> C]raclopride	Occupancy	Preclinical/clinical	D <sub>2</sub> receptors
Herceptin	[ <sup>89</sup> Zr]Herceptin	Pharmacokinetics	Preclinical/clinical	Breast cancer
Selegiline	FDG	CNS metabolism	Clinical	Cocaine addiction
GR205171	[ <sup>11</sup> C]GR205171	Pharmacokinetics	Preclinical	NK1 receptor
Bevacizumab	FET	AA transport	Preclinical/clinical	Brain tumors
Bapineuzumab	PiB	Aβ plaques	Preclinical/clinical	Alzheimer's
MK-4232	[ <sup>11</sup> C]MK-4232	Target	Preclinical	CGRP-R

### 8.8.2 TARGET IDENTIFICATION

Recent findings indicated that calcitonin gene-related peptide receptors (CGRP-Rs) are involved in the pathogenesis of migraine and that the application of CGRP-R selective ligands result in pain relief. However, it was uncertain if central or peripheral CGRP-Rs mediate migraine attacks. A PET study with [<sup>11</sup>C]MK-4232 and the clinically effective drug telcagepant as a blocking agent revealed only low to moderate occupancies at central CGRP-Rs implying that peripheral CGRP-Rs are more