TABLE 19.3
Comparison of Initial Dissolution Rates of Drugs on Alteration of the Solid State

Drug	Solid Form	Relative Rate	Reference
Sulphathiazole	II	2.3	Lagas and Lerk (1981)
	I	1.6	
	III	1.0	
Tegafur	α	1.0	Uchida et al. (1993)
	β	1.2	
	γ	1.0	
Diflunisal	I	1.4	Martinez-Oharriz et al. (1994)
	II	1.4	
	III	1.3	
	IV	1.0	
Iopanoic acid	Amorphate	9.5	Stagner and Guillory (1979)
	II	1.6	
	I	1.0	

The data from Stagner and Guillory (1979) illustrate the larger increases that are often obtained with amorphous forms. The IDR plot for these iopanoic acid forms in pH 6.5 phosphate buffer at 37°C is shown in Figure 19.2. The consequence of this greater effect is the instability of amorphous forms to crystallization, so each system must be studied carefully to evaluate the development potential.

Dissolution rate improvement may be beneficial for producing readily dissolved solids for parenteral or oral administration of drugs subject to hydrolysis. For solid oral dosage forms, the initial rate increase can be sufficient to alter the amount of drug that enters the blood and improve the therapeutic potency. Unlike *in vitro* test systems, the concentration in the GI cavity may never approach

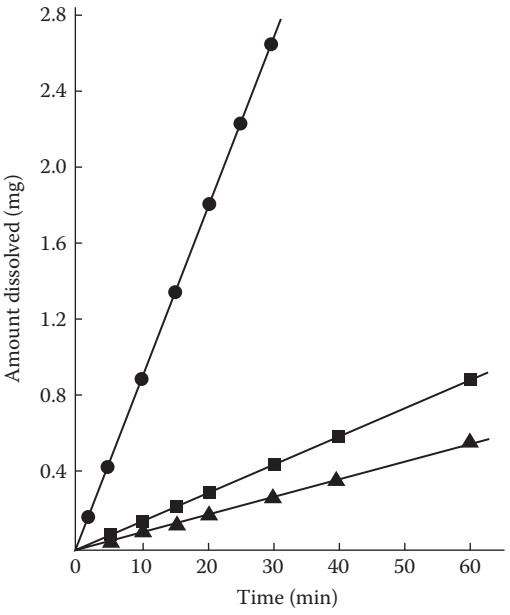

FIGURE 19.2 IDRs for form I (..t), form II (.), and amorphous (•) iopanoic acid in pH 6.5 phosphate buffer at 37°C. (Reproduced from Stagner, W. C. and Guillory, J. K., *J. Pharm. Sci.*, 68, 1005–1009, 1979. With permission from American Pharmaceutical Association.)