

Solubility Screen Procedure for Captisol Solid or 400 mg/mL Captisol Solution

- Prepare a 400 mg/mL (40% w/v) Captisol solution:
 - Captisol Solid - Dissolve 400 mg of Captisol powder (corrected for water content) with water to a total volume of 1 mL (Solution #1).
 - Captisol 400 mg/mL Solution - Transfer 1 mL of solution to appropriate vial (Solution #1).
- Serially dilute Solution #1 as indicated in the table below to create solutions #2-6.
- To six vials, add the sufficient material candidate as indicated below.
- To each vial, add ½ mL of the corresponding Captisol solution, cap the vials, sonicate and place on a tumbling apparatus at room temperature.
- Allow the vials to agitate for up to 24 hours or whatever the material stability will allow.
- Centrifuge or filter the suspensions to obtain clear solutions.
- Analyze the solutions for material content.

Captisol Solubility Screen Using Captisol Solid

#	Captisol Solution Preparation	Captisol Concentration		Milligrams of material added to ½ mL solution ^{1,2}	
		% w/v	Molar ³	Minimum	Typical ⁴
1	400 mg Captisol in 1 mL Water	40	0.185	46 + S/2	50
2	½ mL Solution 1 + ½ mL Water	20	0.0925	23 + S/2	25
3	½ mL Solution 12 + ½ mL Water	10	0.0462	12 + S/2	25
4	½ mL Solution 3 + ½ mL Water	5	0.0231	6 + S/2	25
5	½ mL Solution 4 + ½ mL Water	2.5	0.0116	3 + S/2	10
6	Water	0	0	S/2	10
Total Captisol & Material Required (mg)		400		90 + 3S	145

¹S is the intrinsic solubility of the material in water.

²Assumes a material molecular weight of 500 and maximum solubility < [Captisol, M].

³Based on a Captisol molecular weight of 2163 (DS = 6.5).

⁴More practical amounts are typically used in order to facilitate weighing and handling. The stated amounts are sufficient when the intrinsic water solubility is quite low.