

**NOTE****Parallel Route to Synthesis of Triphenyl Substituted Guanidine**

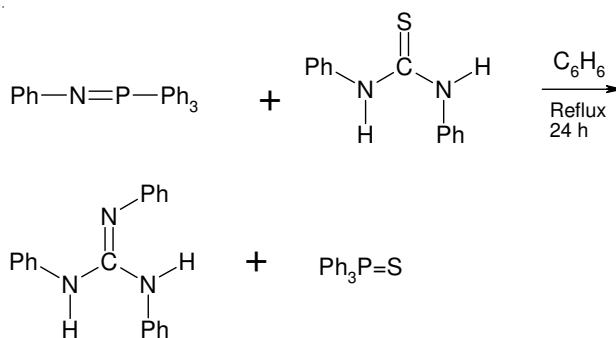
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This work presents a short and attractive method to synthesise a variety of NN'N''-triphenyl substituted guanidine in high yields.

**Key Words:** Synthesis, Guanidine.

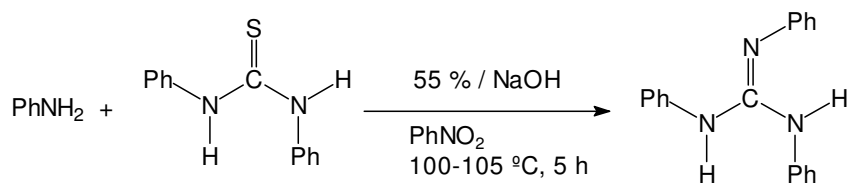
Guanidine is an important material for pharmacological activities<sup>1,2</sup> used to prevent many diseases. Considerable work have been done to synthesize and application of guanidine<sup>3</sup>. Triphenyl substituted guanidine have been synthesized now a days from thiourea using various catalyst *e.g.* HgCl<sub>2</sub>, Lactum sulphur<sup>4,6</sup>, *etc.* Guanidine is a strong base<sup>7</sup>. The three method for the synthesis of NN'N'-triphenyl guanidine are the following:

**Scheme-I:** The anilidine triphenyl phosphine (1 mol) mixed with NN'-diphenyl thiourea is refluxed it for 24 h in C<sub>6</sub>H<sub>6</sub>. The yield however by this method is very low<sup>8,9</sup>.

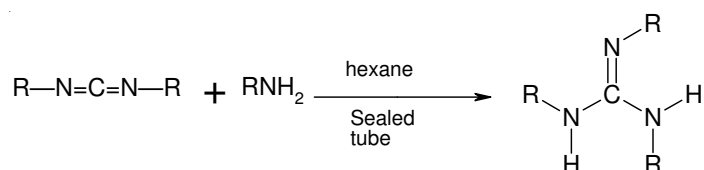


**Scheme-II:** For more yield another route was as follows:

The starting material diphenyl thiourea (0.1398 mol) (32 g) is treated with phenyl amine (0.1398 mol) (13.01 g) in the presence of 55 % NaOH and PhNO<sub>2</sub> (yield = 77 %).



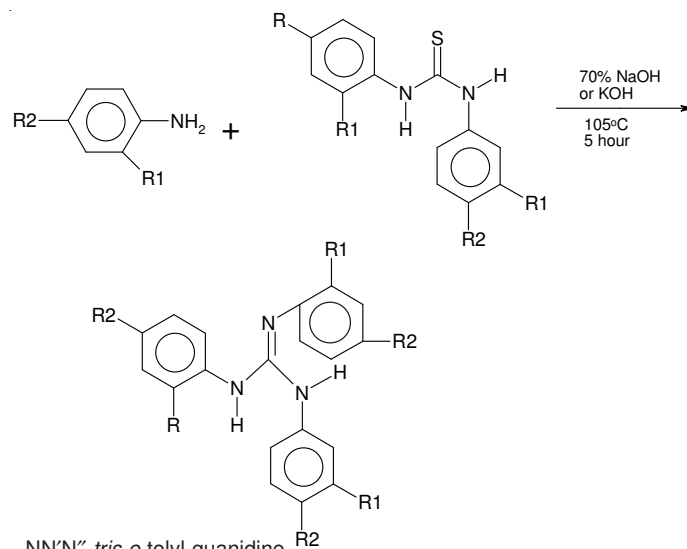
**Scheme-III:** (3) (a) Carbodiamide with amine in a sealed tube at high temp (145 °C) for long time, yields the symmetrical guanidine.



(b) Synthesis of *p*-tolyl-guanidines and *o*-tolyl guanidines by carbodiamide<sup>10,11</sup> as yellow *p*-tolyl thiourea (10 g; 0.0390 mol) treated with PbO (25 g) (0.1120 mol) using benzene as solvent, reflux at 70 °C for 12 h PbS removed, carbodiamide is formed by evaporation and dry in vaccum. (8 g, 0.03591 mol) is 95 % yields obtained<sup>12,13</sup>.

The *p*-tolyl carbodiamide 8 g was treated with *p*-toluidine 4 g (0.0373 mol), 5 % excess) and dried, yield obtained of *p*-tolyl guanidines found 80 %, 9.51 g (0.0288 mol) it m.p. = 188 °C<sup>14,15</sup>.

(c) It is versatile route using 35 to 50 % NaOH.



**R<sup>1</sup> and R<sup>2</sup>**

R <sup>1</sup>	R <sup>2</sup>	
CH <sub>3</sub>	H	NN'- <i>tris-o</i> -tolyl guanidine
H	CH <sub>3</sub>	NN'- <i>tris-p</i> -tolyl guanidine
CH <sub>3</sub>	CH <sub>3</sub>	NN'- <i>tris-2,4</i> -dimethylphenyl guanidine
OCH <sub>3</sub>	H	NN'- <i>tris</i> -methoxyphenyl guanidine

Guanidine [m.p. (°C)]	CHN Analysis Found (calcd.)	IR (cm <sup>-1</sup> ) (main band)	<sup>1</sup> H NMR	m/z mass	<sup>13</sup> C NMR
<i>o</i> -Tolyl guanidine [121-123]	C 79.87 (80.0) H 6.69 (8.70) N 12.42 (7.00)	3385	δ 2.2(CH <sub>3</sub> ) δ 5.28(NH) δ 7.1 (Ar)	330.9	δ 20.89(2CH <sub>3</sub> ) δ 31.03 (-CH) δ 76.86 (2C) δ 77.18(4C)
Tolyl-guanidine [188]	C 80.31 (80.6) H 6.98 (7.03) N 12.74 (12.75)	3317	δ 2.1 (CH <sub>3</sub> ) δ 5.6 (NH) δ 69 (Ar) δ 2.16 (CH)	329.3	δ 77.50 (2C) δ 121.20 (4C) δ 129.96(1C) δ 132.43(1C) δ 145 (C=N)
2,4-dimethyl phenyl-guanidine [186-188]	C 80.73 (80.82) H 7.85 (7.26) N 11.28 (11.31)	3395	δ 5.9 (NH) δ 6.95 (Ar)	372.10	δ 75.85 (2C) δ 30.89 (-CH) δ 148 (C=N)

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