# REACTOINS OF 10-METHYL-4-PHENYL-6,7-DIHYDRO-*5H*-BENZO[6,7]CYCLOHEPTA[d]PYRIMIDINE-2-AMINE WITH CARBOXYLIC ANHYDRIDES.

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**Abstract**: 10-Methyl-4-phenyl-6,7-dihydro-5*H*-benzo[6,7]cyclohepta[d]pyrimidine-2-amine (**3**) reacts with several carboxylic anhydrides under different conditions and gives new amide derivatives. The structures of these compounds **4** & **5** are determined by analytical and spectroscopic methods. All the compounds have been evaluated for their antimicrobial activity.

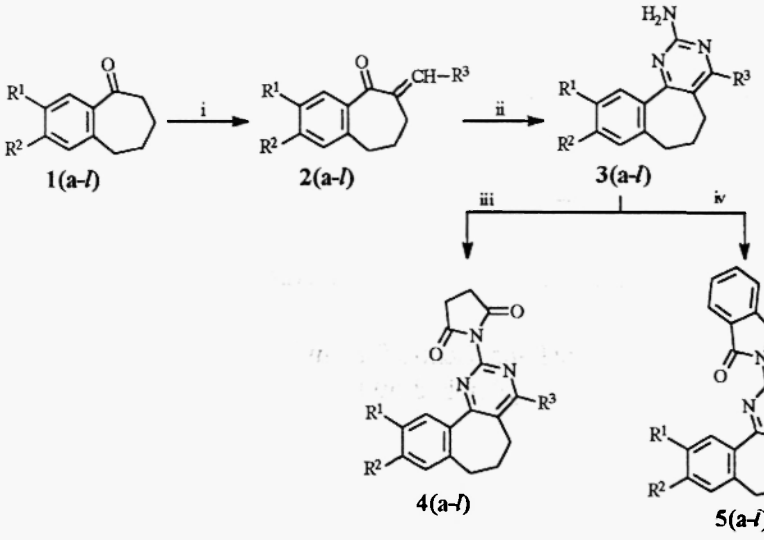
**Introduction**

Pyrimidines in general have been found to be of much interest for pharmacological properties. Some of these compounds have been shown to exhibit bactericide, fungicide, antiviral and herbicide properties.[1-4] Prompted by these observation and continuation of our programme on the synthesis of fused heterocycles,[5-7] we now wish to report the synthesis and characterization of new pyrimidine derivatives incorporating 2,5-pyrrole and 1,3-isoindoline diones **4a-l** & **5a-*l*** starting from 6-arylidine-3-methyl-6,7,8,9-tetrahydro-5*H*-benzo[a]cyclohepten-5-one (**2a**).

6-Arylidine-3-methyl-6,7,8,9-tetrahydro-5*H*-benzo[a]cyclohepten-5-one **2a** obtained by the condensation of 3-methyl-6,7,8,9-tetrahydro-5H-benzo[a]cyclohepten-5-one[8] **1a** with appropriate aromatic aldehydes, which on reaction with guanidine in alkaline medium, yielded corresponding 10-methyl-4-phenyl-6,7-dihydro-5*H*-benzo[6,7]cyclohepta [d]pyrimidine-2-amine **3a** in good yield. The formation of pyrimidine ring involving arylidine double bond and carbonyl group was evident by the absence of absorption bands due to either of these groups and shows the absorption band at 3310 $cm^{-1}$ due to $NH_2$ group in the IR spectrum of **3a**. $^1H$ NMR spectrum of **3a** showed the presence of a singlet a t δ 5.20 corresponding to -$NH_2$ proton in the new ring system. The $M^+$ peak in mass spectra at 301 further confirms the structure (Scheme-1).

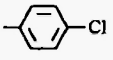
Compound (**3a**) when treated with succinic anhydride at 180°C for 1 hr. afforded 1-(10-methyl-4-phenyl-6,7-dihydro-5*H*-benzo[6,7]cyclohepta[d]pyrimidin-2-yl)tetrahydro-1*H*-2,5-pyrrole dione **4a**. The formation of **4a** is supported by the spectroscopic data, in particular the absence of amino group absorption in the IR spectra but the presence of carbonyl band at 1723 $cm^{-1}$. Also, the presence of pyrrole dione ring protons as a singlet at δ 2.95 in its $^1H$ NMR spectrum prove the formation of the pyrrole dione ring. Similarly the reaction of **3a** with phthalic anhydride at 190°C for 1 hr. gives 2-(10-methyl-4-phenyl-6,7-dihydro-5*H*-bezno[6,7]cyclohepta[d]pyrimidin-2-yl)-1,3-isoindoline dione **5a** as shown in Scheme-1. The structure of compound 5a is confirmed by spectral data..

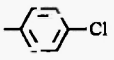
Analogues **3b-3*l***, **4b-4*l***, and **5b-5*l*** were similarly obtained by the same procedure. The structures of all the compounds were established on the basis of their IR, $^1H$ NMR, Mass spectra and elemental analysis.

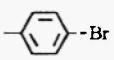


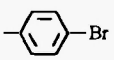

a) $R^1 = CH_3$, $R^2 = H$, $R^3 =$ 

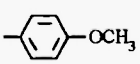
b) $R^1 = R^2 = CH_3$, $R^3 =$ 

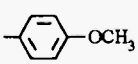
c) $R^1 = CH_3$, $R^2 = H$, $R^3 =$ 

d) $R^1 = R^2 = CH_3$, $R^3 =$ 

e) $R^1 = CH_3$, $R^2 = H$, $R^3 =$ 

f) $R^1 = R^2 = CH_3$, $R^3 =$ 

g) $R^1 = CH_3$, $R^2 = H$, $R^3 =$ 

h) $R^1 = R^2 = CH_3$, $R^3 =$ 

i) $R^1 = CH_3$, $R^2 = H$, $R^3 =$ 

j) $R^1 = R^2 = CH_3$, $R^3 =$ 

k) $R^1 = CH_3$, $R^2 = H$, $R^3 =$ 

*l*) $R^1 = R^2 = CH_3$, $R^3 =$ 

i) Aldehyde and Ethanolic KOH (5%)
ii) Guanidineand Ethanolic KOH (5%)
iii) Succinic anhydride
iv) Phthalic anhydride

**Scheme I**

**Biological Evaluation**

**a) Antimicrobial activity**

All the compounds were screened for their antimicrobial activity at a concentration of 40 μg/well in agar media[9] using Doxycyclin in antibacterial and Nalidixic acid in antifungal activity as reference compounds. Compounds **2e** and **2j** showed maximum activity (12 mm) as compared with Doxycyclin (20 mm) against gram +ve bacterium *Bacillus suffilis*, while all the compounds were found resistant towards gram -ve bacterium *Klebsiella* All compounds are ineffective against the fungas *Trichoderma species*.

**b) Analgesic and anti-inflammatory activities**

Analgesic and anti-inflammatory activities of the compounds were determined by Turner[10] writhing test[11] and rat – paw edema test[12]. The inhibition of the edema was recorded on a plethysmometer (UGO BASILE make) and

expressed as % inhibition. Compounds **3c, 3d, 3g, 3h, 3i, 3j,** 4a-l, 5a-l showed maximum inhibition 30-32% in rats while aspirin and phenylbutazone at the same dose (100 mg/kg, P.O.) produced 17% and 39% inhibition of 1% carrageenanan induced inflammation, respectively.

Compounds exhibited significant anti- inflammatory activity better than asprin but comparable with that of phenylbutazone. However, they were found to possess less analgesic with reference to aspiran.

Table-1 : Physical Data of Compounds **3, 4 & 5**

| Compd. | Yield (%) | M.P. (°C) | Mol. Formula | Found (Calcd) % | | |
|---|---|---|---|---|---|---|
| | | | | C | H | N |
| **3a** | 35 | 206-207 | $C_{20}H_{19}N_3$ | 79.01 (79.73) | 6.20 (6.31) | 13.87 (13.95) |
| **3b** | 32 | 218-219 | $C_{21}H_{21}N_3$ | 79.76 (80.00) | 6.34 (6.66) | 13.11 (13.33) |
| **3c** | 40 | 188-189 | $C_{20}H_{18}ClN_3$ | 71.40 (71.64) | 5.15 (5.37) | 12.42 (12.53) |
| **3d** | 38 | 208-209 | $C_{21}H_{20}ClN_3$ | 71.11(72.20) | 5.54 (5.73) | 11.83 (12.03) |
| **3e** | 40 | 182-183 | $C_{20}H_{18}BrN_3$ | 63.02 (63.15) | 4.60 (4.73) | 10.91 (11.05) |
| **3f** | 40 | 222-223 | $C_{21}H_{20}BrN_3$ | 63.82 (63.95) | 5.00 (5.07) | 10.54 (10.65) |
| **3g** | 38 | 202-203 | $C_{21}H_{21}N_3O$ | 76.00 (76.13) | 6.23 (6.34) | 12.55 (12.68) |
| **3h** | 36 | 236-237 | $C_{22}H_{23}N_3O$ | 76.05 (76.52) | 6.56 (6.66) | 12.08 (12.17) |
| **3i** | 35 | 172-173 | $C_{18}H_{17}N_3S$ | 70.23 (70.35) | 5.42 (5.53) | 13.55 (13.68) |
| **3j** | 34 | 162-163 | $C_{19}H_{19}N_3S$ | 71.00 (71.02) | 5.85 (5.91) | 13.02 (13.08) |
| **3k** | 40 | 166-167 | $C_{18}H_{17}N_3O$ | 74.14 (74.22) | 5.73 (5.84) | 14.31 (14.43) |
| ***3l*** | 38 | 184-185 | $C_{19}H_{19}N_3O$ | 74.62 (74.75) | 6.02 (6.22) | 13.62 (13.77) |
| **4a** | 64 | 206-207 | $C_{24}H_{21}N_3O_2$ | 75.08 (75.19) | 5.32 (5.48) | 10.84 (10.96) |
| **4b** | 64 | 336-337 | $C_{25}H_{23}N_3O_2$ | 75.48 (75.56) | 5.65 (5.79) | 10.42 (10.57) |
| **4c** | 62 | 216-217 | $C_{24}H_{20}ClN_3O_2$ | 68.93 (69.06) | 4.65 (4.79) | 10.00 (10.07) |
| **4d** | 62 | 249-250 | $C_{25}H_{22}ClN_3O_2$ | 69.51 (69.60) | 5.03 (5.10) | 9.63(9.74) |
| **4e** | 62 | 204-205 | $C_{24}H_{20}BrN_3O_2$ | 62.21 (62.33) | 4.26 (4.32) | 9.02 (9.09) |
| **4f** | 64 | 219-220 | $C_{25}H_{22}BrN_3O_2$ | 63.00 (63.02) | 4.51 (4.62) | 8.78 (8.82) |
| **4g** | 64 | 225-226 | $C_{25}H_{23}N_3O_3$ | 72.52 (72.63) | 5.44 (5.56) | 10.10 (10.16) |
| **4h** | 64 | 114-115 | $C_{26}H_{25}N_3O_3$ | 72.85 (73.06) | 5.09 (5.85) | 9.62 (9.83) |
| **4i** | 62 | 250 (decomp.) | $C_{22}H_{19}N_3O_2S$ | 67.72 (67.86) | 4.75 (4.88) | 10.67 (10.79) |
| **4j** | 62 | 264-265 | $C_{23}H_{21}N_3O_2S$ | 68.31 (68.48) | 5.14 (5.21) | 10.37 (10.42) |
| **4k** | 61 | 252-254 | $C_{22}H_{19}N_3O_3$ | 70.62 (70.77) | 5.02(5.09) | 11.13 (11.26) |
| **4l** | 68 | 232-231 | $C_{23}H_{21}N_3O_3$ | 71.24 (71.31) | 5.31 (5.42) | 10.70 (10.85) |
| **5a** | 56 | 141-142 | $C_{28}H_{21}N_3O_2$ | 77.82 (77.95) | 4.75 (4.87) | 9.63 (9.74) |
| **5b** | 56 | 234-235 | $C_{29}H_{23}N_3O_2$ | 77.92 (78.20) | 5.03 (5.16) | 9.37 (9.43) |
| **5c** | 54 | 220 (decomp.) | $C_{28}H_{20}ClN_3O_2$ | 72.40 (72.25) | 4.25 (4.30) | 8.87(9.03) |
| **5d** | 54 | 207 | $C_{29}H_{22}ClN_3O_2$ | 72.52 (72.65) | 4.43 (4.59) | 8.64 (8.76) |
| **5e** | 53 | 210-212 | $C_{28}H_{20}BrN_3O_2$ | 65.73 (65.88) | 3.84 (3.92) | 8.11 (8.23) |
| **5f** | 54 | 235-236 | $C_{29}H_{22}BrN_3O_2$ | 66.32 (66.41) | 3.94 (4.19) | 7.82 (8.01) |
| **5g** | 54 | 224-225 | $C_{29}H_{23}N_3O_3$ | 75.36 (75.48) | 4.86 (4.98) | 9.01 (9.11) |
| **5h** | 54 | 238-240 | $C_{30}H_{25}N_3O_3$ | 75.65 (75.78) | 5.13 (5.26) | 8.72 (8.84) |
| **5i** | 52 | 117-118 | $C_{26}H_{19}N_3O_2S$ | 71.20 (71.39) | 4.27 (4.34) | 9.56 (9.61) |
| **5j** | 53 | 156-157 | $C_{27}N_{21}N_3O_2S$ | 71.72 (71.84) | 4.51 (4.65) | 9.27 (9.31) |
| **5k** | 54 | 174-175 | $C_{26}H_{19}N_3O_3$ | 73.87 (74.10) | 4.42 (4.51) | 9.82 (9.97) |
| **5l** | 52 | 228-230 | $C_{27}H_{21}N_3O_3$ | 74.33 (74.48) | 4.73 (4.82) | 9.47 (9.65) |

Table 2 : Spectroscopic data of Compounds **3, 4 & 5**

| Compd. | IR (KBr) $cm^{-1}$ | $^1H$ NMR ($CDCl_3$) δ, ppm | $M^+$ |
|---|---|---|---|
| **3a** | 3310 | 2.10-2.25 (2H, m, 6-$CH_2$), 2.30-2.40 (2H, t, 7-$CH_2$), 2.45 (3H, s, 10-$CH_3$), 2.60-2.70 (2H, t, 5-$CH_2$), 5.20(2H, s, $NH_2$), 7.00-7.60 (8H, m, Ar). | 301 |
| **3b** | 3281 | 2.18-2.25 (2H, m, 6-$CH_2$), 2.30-2.45 (8H, m, 7-$CH_2$, 9 & 10-$CH_3$), 2.65-2.70 (2H, t, 5-$CH_2$), 5.20 (2H, s, $NH_2$), 7.00-7.60 (7H, m, Ar). | 315 |
| **3c** | 3202 | 2.12-2.28 (2H, m, 6-$CH_2$), 2.30-2.40 (2H, t, 7-$CH_2$), 2.42 (3H, s, 10-$CH_3$) 2.65-2.75 (2H, t, 5-$CH_2$), 5.10 (2H, s, $NH_2$), 7.00-7.60 (7H, m, Ar). | 335 |
| **3d** | 3288 | 2.10-2.22 (2H, m, 6-$CH_2$), 2.28-2.40 (8H, m, 7-$CH_2$, 9&10-$CH_3$), 2.58-2.68 (2H, t, 5-$CH_2$), 5.00 (2H, s, $NH_2$), 7.00-7.60 (6H, m, Ar). | 349 |
| **3e** | 3288 | 2.15-2.25 (2H, m, 6-$CH_2$), 2.30-2.38 (2H, t, 7-$CH_2$), 2.45 (3H, s, 10-$CH_3$), 2.65-2.70 (2H, t, 5-$CH_2$), 5.10 (2H, s, $NH_2$), 7.10-7.85 (7H, m, Ar). | 380 |
| **3f** | 3285 | 2.10-2.20 (2H, m, 6-$CH_2$), 2.25-2.35 (2H, t, 7-$CH_2$), 2.45 (6H, s, 9&10-$CH_3$), 2.65-2.70 (2H, t, 5-$CH_2$), 5.10 (2H, s, $NH_2$), 7.10-7.85 (6H, m, Ar). | 394 |
| **3g** | 3269 | 2.15-2.25 (2H, m, 6-$CH_2$), 2.35-2.40 (2H, t, 7-$CH_2$), 2.45 (3H, s, 10-$CH_3$), 2.65-2.70 (2H, t, 5-$CH_2$), 3.88 (3H, s, $OCH_3$), 5.10 (2H, s, $NH_2$), 7.00-7.60 (7H, m, Ar). | 331 |
| **3h** | 3307 | 2.18-2.38 (2H, m, 6-$CH_2$), 2.30-2.40 (8H, m, 7-$CH_2$, 9&10-$CH_3$), 2.65-2.70 (2H, t, 5-$CH_2$),3.98 (3H, s, -$OCH_3$), 5.00 (2H, s, $NH_2$), 6.90-7.60 (6H, m, Ar). | 345 |
| **3i** | 3281 | 2.25-2.35 (2H, m, 6-$CH_2$), 2.42 (3H, s, 10-$CH_3$), 2.60-2.70 (4H, m, 5 & 7-$CH_2$), 5.00 (2H, s, $NH_2$), 7.00-7.60 (6H, m, Ar). | 307 |
| **3j** | 3280 | 2.20-2.40 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.50-2.70 (4H, m, 5&7-$CH_2$), 5.00 (2H, s, $NH_2$), 7.00-7.60 (5H, m, Ar). | 321 |
| **3k** | 3328 | 2.24-2.30 (2H, m, 6-$CH_2$), 2.40 (3H, s, 10-$CH_3$), 2.60-2.70 (4H, m, 5&7-$CH_2$), 5.15 (2H, s, $NH_2$),6.50-7.70 (6H, m, Ar) | 291 |
| **3l** | 3311 | 2.20-2.40 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.50-2.60 (2H, t, 7-$CH_2$), 2.60-2.70 (2H, t, 5-$CH_2$), 5.10 (2H, s, $NH_2$), 6.50-7.60 (5H, m, Ar). | 305 |
| **4a** | 1723 | 2.32-2.42 (5H, m, 6-$CH_2$, & 10-$CH_3$), 2.52-2.58 (2H, t, 7-$CH_2$), 2.72-2.78 (2H, t, 5-$CH_2$), 2.95 (4H, s, $CH_2$), 7.20-7.70 (8H, m, Ar). | 383 |
| **4b** | 1723 | 2.34 (6H, s, 9&10-$CH_3$) , 2.35-2.40 (2H, m, 6-$CH_2$), 2.52-2.60 (2H, t, 7-$CH_2$), 2.70-2.75 (2H, t, 5-$CH_2$), 2.92 (4H, s, -$CH_2$), 7.00-7.70 (7H, m, Ar). | 397 |
| **4c** | 1722 | 2.32-2.38 (2H, m, 6-$CH_2$), 2.40 (3H, s, 10-$CH_3$), 2.50-2.58 (2H, t, 7-$CH_2$), 2.70-2.78 (2H, t, 5-$CH_2$), 7.20-7.70 (7H, m, Ar). | 417 |
| **4d** | 1725 | 2.32 (6H, s, 9&10-$CH_3$), 2.34-2.40 (2H, m, 6-$CH_2$), 2.48-2.55 (2H, t, 7-$CH_2$), 2.65-2.72 (2H, t, 5-$CH_2$), 2.95 (4H, s, -$CH_2$), 7.00-7.70 (6H, m, Ar). | 431 |
| **4e** | 1725 | 2.32-2.45 (5H, m, 6-$CH_2$ & 10-$CH_3$), 2.50-2.55 (2H, t, 7-$CH_2$), 2.68-2.75 (2H, t, 5-$CH_2$), 2.98 (4H, s, -$CH_2$), 7.15-7.70 (7H, m, Ar). | 462 |
| **4f** | 1725 | 2.32-2.40 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.52-2.58 (2H, t, 7-$CH_2$), 2.68-2.75 (2H, t, 5-$CH_2$), 2.95 (4H, s, -$CH_2$), 7.00-7.70 (6H, m, Ar) | 476 |
| **4g** | 1725 | 2.35-2.45 (8H, m, 6-$CH_2$ & 10-$CH_3$), 2.54-2.60 (2H, t, 7-$CH_2$), 2.70-2.78 (2H, t, 5-$CH_2$), 2.95 (4H, s, -$CH_2$), 3.90 (3H, s, $OCH_3$), 7.00-7.70 (7H, m, Ar). | 413 |

| | | | |
|---|---|---|---|
| **4h** | 1724 | 2.38-2.45 (8H, m, 6-$CH_2$, 9&10$CH_3$), 2.55-2.60 (2H, t, 7-$CH_2$), 2.70-2.76 (2H, t, 5-$CH_2$), 2.90 (4H, s, -$CH_2$), 3.90 (3H, s, $OCH_3$), 6.90-7.70 (6H, m, Ar). | 427 |
| **4i** | 1718 | 2.40-2.52 (5H, m, 6-$CH_2$ & 10-$CH_3$), 2.65-2.72 (2H, t, 7-$CH_2$), 2.75-2.85 (2H, t, 5-$CH_2$), 2.95 (4H, s, -$CH_2$), 7.10-7.70 (6H, m, Ar). | 389 |
| **4j** | 1716 | 2.40-2.50 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.60-2.68 (2H, t, 7-$CH_2$), 2.75-2.85 (2H, t, 5-$CH_2$), 2.90 (4H, s, -$CH_2$), 7.00-7.80 (5H, m, Ar). | 403 |
| **4k** | 1722 | 2.30-2.45 (5H, m, 6-$CH_2$ & 10-$CH_3$), 2.50-2.64 (2H, t, 7-$CH_2$), 2.78-2.90 (2H, t, 5-$CH_2$), 2.90 (4H, s, -$CH_2$), 6.50-7.60 (6H, m, Ar). | 373 |
| **4*l*** | 1720 | 2.38-2.48 (2H, m, 6-$CH_2$), 2.60-2.68 (2H, t, 7-$CH_2$), 2.30 (6H, s, 9&10-$CH_3$), 2.80-2.88 (2H, t, 5-$CH_2$), 2.92 (4H, s, -$CH_2$), 6.60-7.70 (5H, m, Ar). | 387 |
| **5a** | 1726 | 2.30-2.45 (5H, m, 6-$CH_2$, 10-$CH_3$), 2.55-2.60 (2H, t, 7-$CH_2$), 2.75-2.80 (2H, t, 5-$CH_2$), 7.10-8.00 (12H, m, Ar). | 431 |
| **5b** | 1731 | 2.35 (6H, s, 9&10-$CH_3$) ,2.38-2.48 (2H, m, 6-$CH_2$), 2.52-2.60 (2H, t, 7-$CH_2$), 2.70-2.78 (2H, t, 5-$CH_2$), 7.00-8.00 (11H, m, Ar). | 445 |
| **5c** | 1726 | 2.32-2.50 (5H, m, 6-$CH_2$, 10-$CH_3$), 2.55-2.65 (2H, t, 7-$CH_2$) , 2.72-2.80 (2H, t, 5-$CH_2$), 7.00-8.00 (11H, m, Ar). | 465 |
| **5d** | 1725 | 2.25-2.45 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.50-2.60 (2H, t, 7-$CH_2$) , 2.68-2.76 (2H, t, 5-$CH_2$), 7.00-8.00 (10H, m, Ar). | 479 |
| **5e** | 1726 | 2.30-2.42 (5H, m, 6-$CH_2$, 10-$CH_3$), 2.50-2.62 (2H, t, 7-$CH_2$), 2.70-2.80 (2H, t, 5-$CH_2$), 7.00-8.00 (11H, m, Ar). | 510 |
| **5f** | 1725 | 2.30-2.50 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.52-2.62 (2H, t, 7-$CH_2$), 2.70-2.78 (2H, t, 5-$CH_2$), and 7.00-8.00 (10H, m, Ar). | 524 |
| **5g** | 1728 | 2.32 (3H, s, 10-$CH_3$), 2.40-2.50 (2H, m, 6-$CH_2$), 2.62-2.70 (2H, t, 7-$CH_2$), 2.80-2.88 (2H, t, 5-$CH_2$), 3.90 (3H, s, -$OCH_3$) and 7.00-8.00 (11H, m, Ar). | 461 |
| **5h** | 1728 | 2.30 (6H, s, 9&10-$CH_3$), 2.40-2.48 (2H, m, 6-$CH_2$), 2.55-2.62 (2H, t, 7-$CH_2$), 2.70-2.78 (2H, t, 5-$CH_2$), 3.88 (3H, s, -$OCH_3$), 7.00-8.00 (10H, m, Ar). | 475 |
| **5i** | 1728 | 2.36-2.52 (5H, m, 6-$CH_2$, 10-$CH_3$), 2.70-2.78 (2H, t, 7-$CH_2$), 2.80-2.90 (2H, t, 5-$CH_2$), 7.00-8.00 (10H, m, Ar). | 437 |
| **5j** | 1728 | 2.30-2.50 (8H, m, 6-$CH_2$, 9&10-$CH_3$), 2.75-2.80 (2H, t, 7-$CH_2$), 2.85-2.95 (2H, t, 5-$CH_2$), 7.00-8.00 (9H, m, Ar). | 451 |
| **5k** | 1722 | 2.40-2.50 (5H, m, 6-$CH_2$ & 10-$CH_3$), 2.62-2.70 (2H, t, 7-$CH_2$) , 2.88-2.95 (2H, t, 5-$CH_2$), 6.50-8.00 (10H, m, Ar). | 421 |
| **5*l*** | 1720 | 2.32 (6H, s, 9&10-$CH_3$), 2.40-2.50 (2H, m, 6-$CH_2$), 2.60-2.70 (2H, t, 7-$CH_2$), 2.88-2.95 (2H, t, 5-$CH_2$), 6.60-8.00 (9H, m, Ar). | 435 |

**Experimental**

Melting points were determined using Gallankamp apparatus and are uncorrected. IR spectra are recorded on a FT-IR 1605 PerkinElmer. $^1H$ NMR in $CDCl_3$ on a Varian FT-80A spectrometer with TMS as internal standard Mass spectra were taken on a VG-micromass 7070 H mass spectrometer. Tlc was run on silica gel G coated plates and iodine vapour as visulising agent.

**10-Methyl-4-phenyl-6,7-dihydro-5*H*-benzo[6,7]cyclohepta [d] pyrimidine-2-amine 3a : General procedure :** A mixture of 6-arylidine-6,7,8,9-tetrahydrobenzocyclohepten-5-one(**2a**) (0.262 g, 1 mmol) and guanidine hydrochloride

(0.095 g, 1 mmol) were refluxed together in 5% ethanolic potassium hydroxide (8 ml) for 1-3 hr. progress of the reaction was monitored by tlc. The exccess of solvent was removed in vacuuo, extracted with chloroform and washed with water. The combined organic layers were dried over anhydrous sodium sulfate, filtered and distilled under reduced pressure to give a gummy product which was purified by preparative tlc using 20% ethyl acetate and benzene as eluant gave the product **3a**.

**1-(10-methyl-4-phenyl-6,7-dihydro-5*H*-benzo[6,7] cyclohepta [d]pyrimidin-2-yl)tetrahydro-1*H*-2,5-pyrrole dione 4a : General procedure :** 10-methyl-4-Phenyl--6,7-dihydro-5*H*-benzo[6,7]cyclohepta[d]pyrimidin-2-amine **3a** (0.301 g, 1 mmol) and a large excess of succinic anhydride (2.20 g, 22 mmol) were homogeneously mixed. The mixture was heated at 180°C for 1 hr. in a 50 ml round bottomed flask equipped with a calcium chloride guard tube. After cooling the residue was treated with dry ether and the crude product was purified by preparative tlc using 20% ethyl acetate and benzene as eluant to afford **4a.**

**2-(10-methyl-4-phenyl-6,7-dihydro-5*H*-benzo [6,7]cyclohepta [d]pyrimidin-2-yl)-1,3-isoindolinedione 5a : General procedure :** 10-methyl-4-Phenyl-6,7-dihydro-*5H*-benzo [6,7] cyclohepta[d]pyrimidin-2-amine **3a** (0.301 g, 1 mmol) and phthalic anhydride (4.73 g, 32 mmol) were heated at 190°C for 1hr. After the usual work-up, the residue was purified by preparative tlc using 10% ethyl acetate and benzene to afford **5a.**

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