

# Physical Sciences Section

## Review Article

Pakistan J. Sci. Ind. Res., Vol. 31, No. 4, April 1988

### THE ALKALOIDS OF *DATURA*

Salimuzzaman Siddiqui\*, Naheed Sultana, S. Salman Ahmad and S. Imtiaz Haider

*H.E.J. Research Institute of Chemistry, University of Karachi, Karachi-32*

(Received April 3, 1988)

#### INTRODUCTION

The family Solanaceae comprises ca. 84 genera, represented in Pakistan by 14 genera and ca. 52 species of which 27 are native, 6 naturalised and the others exclusively cultivated or found occasionally as escapes [1]. *Datura* species are widely distributed in tropical and temperate regions of both hemispheres but chiefly in Mexico, Australia, Pakistan and India.

*Datura* (Solanaceae) bears an important place in the traditional systems of medicine for the treatment of a variety of human ailments. It finds particular reference in this context as a narcotic, anodyne and antispasmodic drug [2]. 1-Hyoscyamine and hyoscyne are the most commonly occurring alkaloids of the family Solanaceae, the isolation of which from *D. stramonium* was reported by E. Schmidt [3].

A number of alkaloids have so far been isolated from various species of *Datura* and identified through their physical and chemical studies [4-48]. These are listed in Table 1, alongwith their source of isolation, melting points, molecular formulae and specific rotations. Atropine sulphate was noted to be useful [49] for the treatment of allergic disorders such as continuous sneezing, hayfever, cold and swelling of mucous membranes. Peripheral vascular effects of atropine and methylatropine in the autoperfused hind limb of rats [50] and hypotensive response to atropine in hypertensive rats were also observed [51]. The most sensitive character of atropine, 1-hyoscyamine and 1-hyoscyne/scopolamine is their ability to produce mydriasis of the pupil of the eyes of young cats, dogs and rabbits [52]. Quaterization of atropine has long been known [53] to decrease stimulating activity of the central nervous system with simultaneous maintenance of the parasympathetic blocking activity.

Table 1. Alkaloids of *Datura*.

S. No.	Name of alkaloid	Mol. formula	M.P. °C	Specific rotation	Occurrence	References
1.	3 $\alpha$ -Acetoxytropane	C <sub>10</sub> H <sub>17</sub> NO <sub>2</sub>	-picrate 215-7	-	D.dis., D.san., D.sua.	4,5 6
2.	Apoatropine	C <sub>17</sub> H <sub>21</sub> NO <sub>2</sub>	60-2 -HCl 237-9	0.0°	D.inn., D.str., D.pru.	7 8
3.	Apo-hyoscyne	C <sub>17</sub> H <sub>19</sub> NO <sub>3</sub>	79-80 -picrate 216	0.0°	D.can., D.mete., D.pru., D.san., D.sua.	9 8,10 6
4.	Atropine	C <sub>17</sub> H <sub>23</sub> NO <sub>3</sub>	116-7 -picrate 175-6	0.0°	common	6-24
5.	Cuskhgrin	C <sub>13</sub> H <sub>24</sub> N <sub>2</sub> O	-dipicrate 216-7		common	4,6,8 12,13,18
6.	Datumetine	C <sub>16</sub> H <sub>21</sub> NO <sub>3</sub>	84 -HCl 234 237	0.0°	D.met.	25

(Continued . . . . .)

(Table 1, continued)

7.	(-)-6 $\beta$ , 7 $\beta$ -Dihydroxylittorine	C <sub>17</sub> H <sub>23</sub> NO <sub>5</sub>	-HBr 184	-6.0 <sup>o</sup> (H <sub>2</sub> O)	D.can.	26
8.	(-)-3 $\alpha$ -6 $\beta$ -Ditigloyloxytropane	C <sub>18</sub> H <sub>27</sub> NO <sub>4</sub>	-picrate 150-1	-21.5 <sup>o</sup> (EtOH)	D.cor., D.dis., D.fer., D.inn., D.lei., D.fas., D.mete., D.pru., D.san., D.str.	19,4 20,27 28,29 9,8 10,27
9.	3 $\alpha$ -6 $\beta$ -Ditigloyloxytropan-7 $\beta$ -ol	C <sub>18</sub> H <sub>27</sub> NO <sub>5</sub>	-picrate 184-5	0.0 <sup>o</sup>	common	4,6 8-10,17 19,20 29,32
10.	Fastusine	C <sub>15</sub> H <sub>19</sub> NO <sub>2</sub>	74-6 -picrate 209-11	+55 <sup>o</sup> (EtOH)	D.fas.	33
11.	Fastusine	C <sub>18</sub> H <sub>21</sub> NO <sub>5</sub>	-picrate 235-6	-	D.fas.	33
12.	(-)-6 $\beta$ -Hydroxyhyoscyamine	C <sub>17</sub> H <sub>23</sub> NO <sub>4</sub>	-HBr 156	-10.5 <sup>o</sup>	D.fer.	34
13.	(-)- $\alpha$ -Hydroxyscopolamine (anisodine)	C <sub>17</sub> H <sub>21</sub> NO <sub>5</sub>	-picrate 179-80	-18.5 (EtOH)	D.san.	35
14.	Hyoscine (scopolamine)	C <sub>17</sub> H <sub>21</sub> NO <sub>4</sub>	82-3 -picrate 173.5- 174.5	0.0 <sup>o</sup>	common	6,9,11 13-22, 24 29,36-39
15.	(-)-Hyoscine	C <sub>17</sub> H <sub>21</sub> NO <sub>4</sub>	-picrate 187-8	-18 <sup>o</sup> (EtOH)	D.met.	11
16.	Hyoscine N-oxide	C <sub>17</sub> H <sub>21</sub> NO <sub>5</sub>	-HCl 125-30 (decomp.)	-	D.str.	36
17.	(-)-Hyoscyamine (duboisine)	C <sub>17</sub> H <sub>23</sub> NO <sub>3</sub>	109-11 -picrate 165-6	-22 <sup>o</sup> (50% EtOH)	D.san.	40
18.	(-)-Hyoscyamine (equatorial N <sup>+</sup> -O <sup>-</sup> )	C <sub>17</sub> H <sub>23</sub> NO <sub>4</sub>	-HCl 165-70	-	D.str.	36
19.	(-)-Hyoscyamine (axial N <sup>+</sup> -O <sup>-</sup> )	C <sub>17</sub> H <sub>23</sub> NO <sub>4</sub>	-HCl 175-80	-	D.str.	36
20.	6-Isovaleryloxy-3 $\alpha$ - tigloyloxytropan-7 $\beta$ -ol	C <sub>18</sub> H <sub>29</sub> NO <sub>5</sub>	-picrate 155-7	-	D.san.	40
21.	Littorine	C <sub>17</sub> H <sub>23</sub> NO <sub>3</sub>	96-7 -picrate 157-8	-12.7 <sup>o</sup> (EtOH)	common	4,6,8 13,40

(Continued.....)

(Table 1, continued)

22.	Meteloidine	$C_{13}H_{21}NO_4$	141-2 -picrate 178.5- 179.5	$0.0^{\circ}$	D.can., D.dis., D.fer., D.inn., D.lei., D.mete., D.pru., D.san., D.str., D.sua.,	17,4 37,41,20 21, 31, 41, 42, 8, 40. 13,21,6
23.	(+)-6 $\beta$ -(2-methyl- butanoyloxy)- tropan-3 $\alpha$ -ol	$C_{13}H_{23}NO_3$	-picrate 118	$+5.5^{\circ}$	D.cer.	43
24.	( $\pm$ )-6 $\beta$ -( $\alpha$ -methylbu- tryloxy)-3 $\alpha$ -tigloyl- oxytropane	$C_{18}H_{29}NO_4$	-picrate 168-70	$0.0^{\circ}$	D.sua.	6
25.	Noratropine	$C_{16}H_{21}NO_3$	113-4 -picrate 227	$0.0^{\circ}$	D.can., D.cor., D.dis., D.met., D.mete., D.pru., D.tat.	17,19 4,44 9,8 6
26.	Norhyosine	$C_{16}H_{19}NO_4$	-picrate 245	$0.0^{\circ}$	D.inn., D.mete. D.san., D.sua.	29,9,45 8,6
27.	(-)-Norhyoscyamine	$C_{16}H_{21}NO_3$	140.5 -picrate 220	$-23^{\circ}$ (EtOH)	D.fas.	44
28.	6 $\beta$ Propanoyloxy- 3 $\alpha$ -tigloyloxytropane	$C_{16}H_{25}NO_4$	-picrate 163	-	D.inn.	46
29.	Scopine	$C_8H_{13}NO_2$	76 -picrate 231	-	D.arb.	47
30.	Scopoline (Oscine)	$C_8H_{13}NO_2$	108-9 -picrate 236	$0.0^{\circ}$	D.can., D.san.,	17,40
31.	Tigloidine	$C_{13}H_{21}NO_2$	-picrate 239	$0.0^{\circ}$	D.can., D.fer., D.inn., D.lei., D.fas., D.pru.	13 20,13 13,8
32.	(-)-3 $\alpha$ -Tigloyloxy-6 $\beta$ - -acetoxytropane	$C_{15}H_{23}NO_4$	-picrate 184- 185.5	$-11.5^{\circ}$ (EtOH)	D.dis., D.san.,	4,5
33.	3 $\alpha$ -Tigloyloxytropane	$C_{13}H_{21}NO_4$	181.5-183 -picrate 180	$0.0^{\circ}$	D.can., D.fer. D.lei., D.mete. D.pru., D.san.	17,20 31,13 8,40
34.	( $\pm$ )-6 $\beta$ -Tigloyloxy- tropan-3 $\alpha$ , 7 $\beta$ -diol	$C_{13}H_{21}NO_4$	157-9 -picrate 168-71	$0.0^{\circ}$	D.can., D.sua.	26,6
35.	(-)-6 $\beta$ -Tigloyloxytrop- -3 $\alpha$ -01	$C_{13}H_{21}NO_3$	-picrate 185	$-28.1^{\circ}$ (CHCl <sub>3</sub> )	D.cor.	48

(Continued.....)

(Table 1, continued)

36.	(±)-3α-Tigloyloxy-tropan-6β-ol	C <sub>13</sub> H <sub>21</sub> NO <sub>3</sub>	-picrate 169-70	0.0°	D.cor., D.sua.,	45,6
37.	(-)-Tropan-3α, 6β-diol	C <sub>8</sub> H <sub>15</sub> NO <sub>2</sub>	212 -picrate 253 (decomp.)	-25.0° (EtOH)	D.cor., D.mete.	19,48 9
38.	Tropine (3α-hydroxytropane)	C <sub>8</sub> H <sub>15</sub> NO	63-4 -picrate 290-5	0.0°	D.can., Dis., D.fer., D.inn., D.met., D.mete., D.pru., D.san., D.str., D.sua.	17,4 20 29,9 8,10 13,6
39.	Ψ-Tropine (3β-hydroxytropane)	C <sub>8</sub> H <sub>15</sub> NO	108-9 -HCl 280-2	0.0°	D.can., D.dis., D.fer., D.inn., D.met., D.mete., D.pru., D.san., D.str.	17,4 20 29,9 8,10 13

The abbreviations in the table stand for the following species of *Datura*:

1. D.alb. = *Datura alba* Nees
2. D.arb. = *Datura arborea* L.
3. D.ber. = *Datura bernhardtii* Lundstrom
4. D.can. = *Datura candida* (Persoon) Safford
5. D.cer. = *Datura ceratocaula* Jacq.
6. D.cor. = *Datura cornigera* Hook.
7. D.dis. = *Datura discolor* Bernh.
8. D.fer. = *Datura ferox* L.
9. D.inn. = *Datura innoxia* Miller
10. D.lei. = *Datura leichardtii* Muell ex Benth.
11. D.met. = *Datura metel* L.
12. D.fas. = *Datura metel* var. *fastuosa* (Bernh.) Dannert
13. D.mete. = *Datura meteloides* DC. ex Dun.
14. D.pru. = *Datura pruinosa* Greenm.
15. D.san. = *Datura sanguinea* R. and P.
16. D.str. = *Datura stramonium* L.
17. D.sua. = *Datura suaveolens* H. and B. ex Willd.
18. D.tat. = *Datura tatula* L.

## REFERENCES

1. E. Nasir and S.I. Ali, *Flora of Pakistan* No. 168, Pakistan Agriculture Research Council, Islamabad, p.1 (1985).
2. A.K. Nadkarni, *Indian Materia Medica* (Popular Prakashan Private Limited, Bombay, 1976), Vol. I, p.435.
3. E. Schmidt and W. Schutte, *Apoth.Ztg.*, 511 (1890).
4. W.C. Evans and A.O. Somanabandhu, *Phytochemistry*, 13, 304 (1974).
5. W.C. Evans and V.A. Major, *J.Chem.Soc.(C)*, 1621 (1966).
6. W.C. Evans and J.F. Lampard, *Phytochemistry*, 11, 3293 (1972).
7. A. Romeike, *Pharmazia*, 8, 729 (1953).
8. W.C. Evans and P.G. Treagust, *Phytochemistry*, 12, 2077 (1973).
9. W.C. Evans and J.G. Woolley, *J.Chem.Soc.*, 4936 (1965).
10. W.C. Evans, V.A. Major and M.Pe Than, *Planta Med.*, 13, 353 (1965).
11. F. Chemnitius, *J.Prakt.Chem.*, 120, 221 (1928).
12. Reinouts van Haga, *Nature*, 174, 833 (1954).
13. W.C. Evans, A. Ghani and V.A. Woolley, *Phytochemistry*, 11, 2527 (1972).
14. K. Kagei, K. Okazaki, H. Yammauchi and T. Chiba, *Japan Kokai*, 75, 49, 412 (1975); *Chem.Abst.*, 83, 65453h (1975).
15. K. Szepczynska, *Diss.Pharm.Pharmacol.*, 22, 35 (1970); *Chem.Abst.*, 73, 939v (1970).
16. K. Szepczynska, *Diss.Pharm.Pharmacol.*, 22, 333 (1970); *Chem.Abst.* 74, 1029 86w (1970).
17. M.L. Bristol, W.C. Evans and J.F. Lampard, *Lloydia*, 32, 123 (1969).
18. R. Zielinska-Sowicka and K.Szepczynska, *Diss.Pharm. Pharmacol.*, 20, 539 (1968); *Chem.Abst.*, 70, 17542w (1969).
19. W.C. Evans and M.Pe Than, *J.Pharm.Pharmacol.*, 14, 147 (1962).
20. W.C. Evans and M. Wellendorf, *J.Chem.Soc.*, 1406 (1959).

21. A. Romeike, *Flora*, **143**, 67 (1956).
22. Steinegger and Gessler, *Pharm.Acta Helv.*, **30**, 279 (1955).
23. E. Schmidt and I.C. Kircher, *Arch.Pharm.*, **243**, 309 (1905).
24. J. Feldhaus, *Arch.Pharm.Ber.*, **243**, 228 (1905).
25. S. Siddiqui, N. Sultana, S.S. Ahmad and S.I. Haider, *Lloydia*, **49**, 511 (1986).
26. W.J. Griffen, *Aust.J.Chem.*, **29**, 2329 (1976).
27. W.C. Evans and M. Wellendorf, *J.Chem.Soc.*, 1991 (1958).
28. N.A. Stevenson, Ph.D. Thesis, University College, Dublin (1967).
29. C.S. Shah and P.N. Khanna, *Lloydia*, **28**, 71. (1965).
30. M.S. Karawya and S.I. Balbaa, *Bull.Fac.Pharm.Cairo Univ.*, **6**, 9 (1967); *Chem.Abst.*, **73**, 77448s (1970).
31. W.C. Evans and N.A. Stevenson, *J.Pharm.Pharmacol.*, **14**, 107T (1962).
32. W.C. Evans and M.W. Partridge, *J.Chem.Soc.*, 1102 (1957).
33. A. Khaleque, Nirmolendu Roy, M.A. Wahed Maib and Sadrul Amin, *Sci. Res. (Dacca, Pakistan)* **2**(4), 147 (1955); *Chem.Abst.*, **65**, 12246h (1966).
34. A. Romeike, *Naturwissenschaften*, **49**, 281 (1962).
35. C.F. Moorhoff, *Planta Med.*, **28**, 106 (1975).
36. J. David Philipson and S.S. Handa, *Phytochemistry*, **14**, 999 (1975).
37. W.C. Evans and M.W. Partridge, *Nature*, **171**, 656 (1953).
38. P.H. Kalemkarian and O.H. Miller, *J.Am.Pharm. Assoc.*, **46**, 393 (1957).
39. A. Romeike, *Flora*, **148**, 306 (1959); *Chem.Abst.*, **54**, 25073e (1960).
40. W.C. Evans and V.A. Major, *J.Chem.Soc.*, 2775 (1968).
41. W.C. Evans and M.W. Partridge, *Quart. J.Pharm.Pharmacol.*, **21**, 126 (1948).
42. F.L. Pyman and W.C. Reynolds, *J.Chem.Soc.*, **93**, 2077 (1908).
43. P.J. Beresford and J.G. Woolley, *Phytochemistry*, **13**, 2511 (1974).
44. F.H. Carr and W.C. Reynolds, *J.Chem.Soc.*, **101**, 946 (1912).
45. W.C. Evans and W.J. Griffen, *J.Pharm.Pharmacol.*, **16**, 337 (1964).
46. P.J. Beresford and J.G. Woolley, *Phytochemistry*, **13**, 1249 (1974).
47. A. Romeike, *Flora*, **148**, 306 (1959); *Chem.Abst.*, **54**, 25073c (1960).
48. W.C. Evans and W.J. Griffen, *J.Chem.Soc.*, 4348 (1963).
49. J. Meyer, *Ger.Offen.DE*, **3**, 129, 982 (1983), *Chem. Abst.*, **98**, 155214 (1983).
50. B.A. Merrick and T.H. Holcslaw, *J.Pharmacol.Exp. Ther.*, **218**, 771 (1981).
51. S. Abraham, E.H. Cantor and S. Spector, *J.Pharmacol.Exp.Ther.*, **218**, 662 (1981).
52. F.H. Carr, *Allen's Organic Analysis*, ed.5, Vol. VII, p.819.
53. H.A.D. Jowett and F.L. Pyman, *J.Chem.Soc.*, **95**, 1020 (1909).