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Comparison of Frontline Non-steroidal Antiinflammatory Drugs

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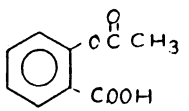
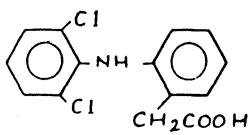
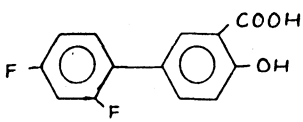
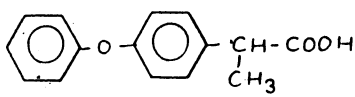
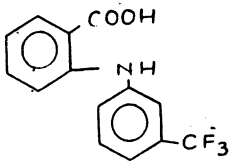
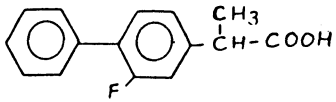
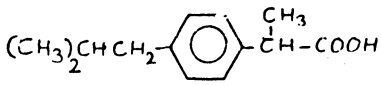
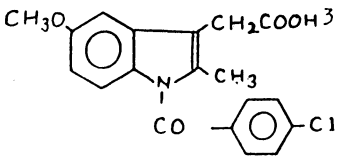
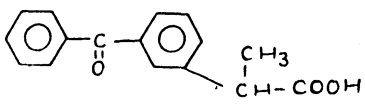
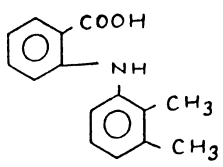
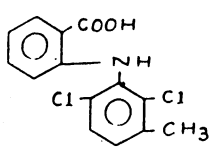
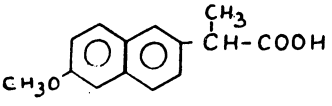
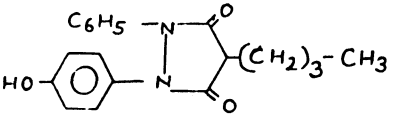
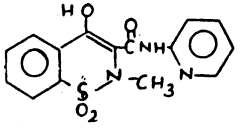
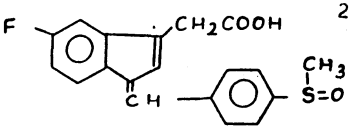
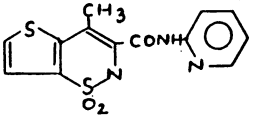
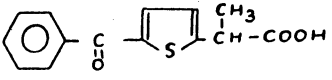
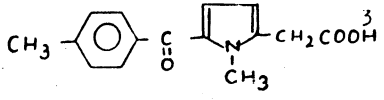
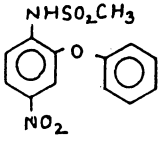
In the present work, the author describes the nature and other characteristic properties about non-steroidal antiinflammatory drugs.

The non-steroidal drugs antiinflammatory drugs (NSAID's) are a family of acidic compounds of diverse structure. The discovery of each new structural class has led to some improvement over previous therapy. All the NSAID's are effective to a lesser or greater extent but they only differ in potency, dose/day and half life (number of dose/day)[1, 2] as indicated in Table-1.

TABLE-1
COMPARISON OF SOME MAJOR ACIDIC NSAID's

Drug	Chemical Structure	Daily clinical doses	
		Number	mg
Acetylsalicylic acid		4	3000–4800
Diclofenac (Voltaren)		3–4	75–150
Diflunisal (Dolobid)		2–4	250–500
Fenoprofen (Naflox)		3–4	1800–2400

Drug	Chemical Structure	Daily clinical doses	
		Number	mg
Flufenamic acid (Archless)		3–4	400–600
Flurbiprofen (Froben)		3–4	150–300
Ibuprofen (Brufen)		3–4	1600–2400
Indomethacin (Indocid)		3	750
Ketoprofen (Alrheumat)		3	150
Mefenamic acid (Parkmed)		3–4	100
Meclfenamic acid			200

Drug	Chemical Structure	Daily clinical doses	
		Number	mg
Naproxen (Synflex)		2	500
Oxyphenyl-butazone (Tenderil)		3	100
Piroxicam (Feldene)		1–2	10
Suliindac (Clinoril)		2	300
Tenoxicam (Tilcotil)		1	20
Tiaprofenic acid (Surgam)			600–900
Tolmetin (Tolectin)		3	600–1800
Nimesulide		2	200–400

Out of number of NSAID's, aspirin, indomethacin and ibuprofen are considered better than others. Phenybutazone was also among the standard nonsteroidal antiinflammatory drugs but it was withdrawn due to its effect on blood. Naproxen is also a potent, long acting drug, effective in low doses. The oxicam derivatives like piroxicam, tendoxicam and droxicam have longer half life in comparison with others and so single dose of 20 mg/day is sufficient to maintain the effective therapeutic drug concentration in blood in various types of rheumatic diseases.

The antiinflammatory activity of NSAID does not always correlate with analgesic activity. Indomethacin, diclofenac and piroxicam are the most potent compounds in both categories while naproxan and ibuprofen are of intermediate potency. Phenylbutazone ranks below these compounds while aspirin is the least potent in all categories[3]. Recently introduced, nimesulide appears to be a weak inhibitor of prostaglandin synthesis but this compound inhibits leukocyte function[7]. It has been used in rheumatic cases specially those who are hypersensitive to aspirin therapy or NSAID's.

Since the discovery of salicylates, a large number of NSAID's have been developed but none of these is found to be free from gastrointestinal side effects mainly[4–6]. The side effects in GI tract, kidney and liver are apparently dose related. The best drug is yet to be discovered as all the nonsteroidal antiinflammatory drugs cause gastric bleeding to a variable degree as shown in Table 2.

A major difficulty in the use of these drugs is that a drug which is effective in one case, may not be useful in the second case.

REFERENCES

1. R.O. Day, in P. Brooks and R. Day (Eds.), Non-steroidal Drugs Basis for Variability in Response, Birkhauser, Basel, p. 159 (1985).
2. J.P. Famey, in: A.J. Lewis and D.E. Furst (Eds.). Non-steroidal Antiinflammatory Drugs: Machanism and Clinical Use, Marcel Dekker, New York and Basel, Ch. 13, pp. 201–14 (1987).
3. William O. Foye, Thomas L. Lemka and David A. Williams, Principles of Medicinal Chemistry, B.J. Waverly Pvt. Ltd. New Delhi, p. 535
4. K.D. Rainsford and G.P. Velo (Eds.), Side Effects of Antiinflammatory/Analgesic Drugs, Raven Press, New York (1984).
5. K.D. Rainsford and G.P. Velo (Eds.), Side Effects of Antiinflammatory Drugs, Vols. I and II, MTP Press, Lancaster (1987).
6. K.D. Rainsford, *Rheumatol. Internat.*, **2**, 1 (1982).
7. Symposium (various authors), *Drugs*, **46** (Suppl. 1), 1 (1993).

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TABLE-2
COMPARISON OF SIDE EFFECTS OF SOME NSAID's

Drug	GI Ulceration and hemorrhage	Skin	CNS	Hemato-logical	Liver	Kidney
Aspirin	+++	+	+	+	+(SLE)	+(SLE)
Diclofenac	++	+	+	±	±	0
Diflunisal	++	+	+	?	0	0
Fenoprofen	+++	+	+++	0	0	+
Flufenamic acid	++	0	++	0	0	b
Flurbiprofen	++	0	++	+	0	0
Ibuprofen	++	+	+	+	0	0
Indomethacin	+++	+	++	+	0	+
Indoprofen	+	+++	–	–	–	–
Ketoprofen	++	+++	0	0	0	0
Meclofenamic acid	++	+++	–	–	–	–
Mefenamic acid	+	+++	0	0	–	–
Naproxen	+	+	++	0	0	–
Phenylbutazone and oxyphenbutazone	+	–	+++	+	+	–
Piroxicam	+++	+	+++	0	0	–
Sulindac	+	++	+	+	+	–
Tolmetin	+	+	–	–	–	–
Nimesulide	+	+	0	0	0	0

The incidence of the individual effects is graded on a scale according to approximate percentage namely 01.5% = +, 5 to 10% = ++, 10 to 15% = +++, 20 = ++++. A zero rating denotes that the best clinical evidence indicates that no appreciable number of cases have been recorded that would give a reliable statistical percentage to denote the frequency of the side effect. SLE = systmic lupus erythematus.