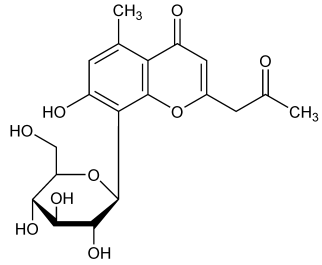
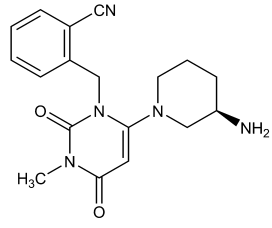
301. Aloesin. [30861-27-9] 8-β-D-glucopyranosyl-7-hydroxy-5-methyl-2-(2-oxopropyl)-4*H*-1-benzopyran-4-one; aloeresin B. $C_{19}H_{22}O_9$; mol wt 394.38. Major component of a family of naturally ocurring glycosides known as ***aloeresins***; isolated from the latex of the aloe plant. Isoln: L. J. Haynes *et al*., *J. Chem. Soc. C* **1970**, 2581. HPLC determn of aloeresins A and B: E. Graf, M. Alexa, *Arch. Pharm.* **313**, 285 (1980). Revised structure of A: P. Gramatica *et al*., *Tetrahedron Lett.* **23**, 2423 (1982). HPLC determn in plasma: M. Baek *et al*., *J. Chromatogr. B* **754**, 121 (2001); in commercial aloe preparations: M. Zahn *et al*., *Phytochem. Anal.* **19**, 122 (2008).



Pale yellow with blue fluorescence; sinters at 143-144°. $[\alpha]_D^{27}$ +57.9° (ethanol). uv max (ethanol): 216, 248, 254, 297 nm (log ε 4.31, 4.21, 4.23, 3.96). Sol in water, acetonitrile.

Aloeresin A. [74545-79-2] 7-Hydroxy-8-[2-*O*-[(2*E*)-3-(4-hydroxyphenyl)-1-oxo-2-propen-1-yl]-β-D-glucopyranosyl]-5-methyl-2-(2-oxopropyl)-4*H*-1-benzopyran-4-one. $C_{28}H_{28}O_{11}$; mol wt 540.52. mp 148-150°. uv max (methanol): 228, 252, 300 nm (ε 34250, 25000, 37960). Sol in methanol.

302. Alogliptin. [850649-61-5] 2-[[6-[(3*R*)-3-Amino-1-piperidinyl]-3,4-dihydro-3-methyl-2,4-dioxo-1(2*H*)-pyrimidinyl]-methyl]benzonitrile; 6-((*R*)-3-aminopiperidin-1-yl)-1-(2-cyanobenzyl)-3-methyl-1*H*-pyrimidine-2,4-dione; (*R*)-2-((6-(3-aminopiperidin-1-yl)-3-methyl-2,4-dioxo-3,4-dihydropyrimidin-1(2*H*)-yl)methyl)benzonitrile. $C_{18}H_{21}N_5O_2$; mol wt 339.40. C 63.70%, H 6.24%, N 20.63%, O 9.43%. Dipeptidyl peptidase IV (DPP-IV) inhibitor. Prepn: J. Feng *et al.*, **JP 05263780**; *eidem*, **US 050261271** (both 2005 to Takeda). Discovery and optimization: J. Feng *et al*., *J. Med. Chem.* **50**, 2297 (2007). Clinical pharmacokinetics: P. Covington *et al*., *Clin. Ther.* **30**, 499 (2008). Clinical experience in combination with metformin in type 2 diabetes: M. A. Nauck *et al*., *Int. J. Clin. Pract.* **63**, 46 (2009). Review of development and clinical experience: A. Glode, S. Abdelghany, *Formulary* **43**, 317-325 (2008); R. E. Pratley, *Expert Opin. Pharmacother.* **10**, 503-512 (2009).

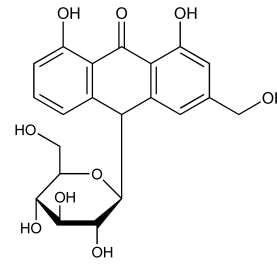


Sol in THF, dioxane, acetonitrile, ethyl acetate, dichloromethane.

Benzoate. [850649-62-6] SYR-322; Nesina. $C_{18}H_{21}N_5O_2.C_7H_6O_2$; mol wt 461.52.

THERAP CAT: Antidiabetic.

303. Aloin. [8015-61-0] 1,8-Dihydroxy-3-hydroxymethyl-10-(6-hydroxymethyl-3,4,5-trihydroxy-2-pyranyl)anthrone; 10-(1′,5′-anhydroglucosyl)-aloe-emodin-9-anthrone. $C_{21}H_{22}O_9$; mol wt 418.40. C 60.28%, H 5.30%, O 34.41%. Bitter, purgative principle of aloe, *q.v.* Mixture of diastereoisomeric *C*-glucosides, aloins A and B, derived from aloe-emodin, *q.v.*; isomers readily interconvert in the presence of bases. Isoln from various species of aloe: E. Groenewold, *Arch. Pharm.* **228**, 115 (1890); E. Léger, *Ann. Chim. Appl.* **6**, 318 (1916); H. Böhme, J. Bertram, *Arch. Pharm.* **288**, 510 (1955). Structural studies: H. Mühlemann, *Pharm. Acta Helv.* **27**, 17 (1952); J. E. Hay, L. J. Haynes, *J. Chem. Soc.* **1956**, 3141. Separation of diastereomers: H. Auterhoff *et al*., *Arch. Pharm.* **313**, 113 (1980); H.-W. Rauwald, *ibid.* **315**, 769 (1982). Crystal structure: *idem et al*., *Angew. Chem. Int. Ed.* **28**, 1528 (1989). NMR spectra and absolute configuration: P. Manitto *et al*., *J. Chem. Soc. Perkin Trans. 1* **1990**, 1297. Taxonomic distribution in aloe species: A. M. Viljoen *et al*., *Biochem. Syst. Ecol.* **29**, 53 (2001). TLC determn in commercial formulations: R. Ramírez Durón *et al*., *J. AOAC Int.* **91**, 1265 (2008).

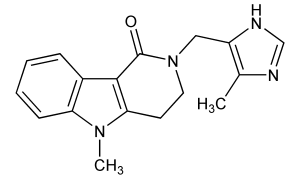


Lemon-yellow crystals, mp 148-149°. Soly at 18°: 57% in pyridine, 7.3% in glacial acetic acid, 5.4% in methanol, 3.2% in acetone, 2.8% in methyl acetate, 1.9% in ethanol, 1.8% in water, 1.6% in propanol, 0.78% in ethyl acetate, 0.27% in isopropanol.

Aloin A. [1415-73-2] (10*S*)-10-β-D-Glucopyranosyl-1,8-dihydroxy-3-(hydroxymethyl)-9(10*H*)-anthracenone; barbaloin. Crystals from methanol. mp 148°. $[\alpha]_D^{30}$ +10.2° (c = 0.5 in methanol).

Aloin B. [28371-16-6] (10*R*)-10-β-D-Glucopyranosyl-1,8-dihydroxy-3-(hydroxymethyl)-9(10*H*)-anthracenone; isobarbaloin. Yellow-brown, trigonal crystals from water/methanol. mp 138-140°. $[\alpha]_D^{30}$ −73.0° (c = 0.5 in methanol).

304. Alosetron. [122852-42-0] 2,3,4,5-Tetrahydro-5-methyl-2-[(4-methyl-1*H*-imidazol-5-yl)methyl]-1*H*-pyrido[4,3-*b*]indol-1-one; GR-68755. $C_{17}H_{18}N_4O$; mol wt 294.36. C 69.37%, H 6.16%, N 19.03%, O 5.44%. Serotonin $5HT_3$-receptor antagonist. Prepn: I. H. Coates *et al*., **EP 306323**; *eidem*, **US 5360800** (1989, 1994 both to Glaxo); of isotopically labelled compd: S. R. Prakash *et al*., *J. Labelled Compd. Radiopharm.* **36**, 993 (1995). HPLC determn in plasma: T. L. Lloyd *et al*., *J. Chromatogr. B* **678**, 261 (1996). Review of clinical pharmacology: M. D. Gunput, *Aliment. Pharmacol. Ther.* **13**, Suppl. 2, 70-76 (1999); of clinical studies: A. W. Mangel, A. R. Northcutt, *ibid.* 77-82. Clinical trial in irritable bowel syndrome: M. Camilleri *et al*., *Lancet* **355**, 1035 (2000).



Hydrochloride. [122852-69-1] GR-68755C; Lotronex. $C_{17}H_{18}N_4O.HCl$; mol wt 330.82. mp 288-291°.

THERAP CAT: In treatment of irritable bowel syndrome.

305. Aloxiprin. [9014-67-9] Polyoxyaluminum acetylsalicylate; Lyman; Palaprin; Rumatral. A polymeric condensation product of aluminum oxide and aspirin. Prepd from aluminum isopropoxide and aspirin: Cummings *et al*., *J. Pharm. Pharmacol.* **15**, 56 (1963).

White tasteless powder. Practically insol in water. Hyrolyzes rapidly in alkaline media.

THERAP CAT: Analgesic.

306. Alphaprodine. [77-20-3] *rel*-(3*R*,4*S*)-1,3-Dimethyl-4-phenyl-4-piperidinol 4-propanoate; (±)-α-1,3-dimethyl-4-phenyl-4-