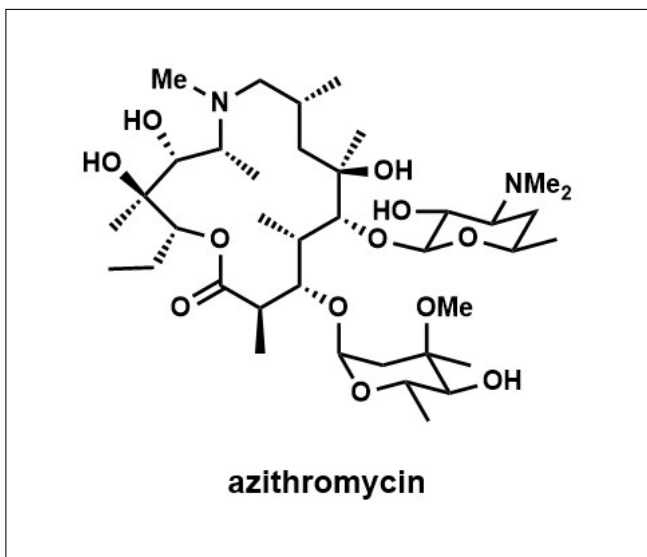


## Azithromycin



### Related reviews in Science of Synthesis

- 1,2-Diols
- Lactones
- Glycosyl Oxygen Compounds
- Reaction of Hydroxylamines with Alkylating Agents
- Reduction of Amine Oxides

**Synonyms:** Aritromicina

**ATC:** J01FA10; S01AA26

**Use:** macrolide antibiotic

**Chemical name:** [2*R*-(2*R*\*,3*S*\*,4*R*\*,5*R*\*,8*R*\*,10*R*\*,11*R*\*,12*S*\*,13*S*\*,14*R*\*)]-13-[(2,6-dideoxy-3-*C*-methyl-3-*O*-methyl- $\alpha$ -*L*-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptomethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -*D*-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadecan-15-one

**Formula:** C<sub>38</sub>H<sub>72</sub>N<sub>2</sub>O<sub>12</sub>

**MW:** 749.00 g/mol

**CAS-RN:** 83905-01-5

**InChI Key:** MQTOSJVFKKJCRP-FHZDSTMTSA-N

**InChI:** InChI=1S/C38H72N2O12/c1-15-27-38(10,46)31(42)24(6)40(13)19-20(2)17-36(8,45)33(52-35-29(41)26(39(11)12)16-21(3)48-35)22(4)30(23(5)34(44)50-27)51-28-18-37(9,47-14)32(43)25(7)49-28/h20-33,35,41-43,45-46H,15-19H2,1-14H3/t20-,21?,22+,23-,24-,25?,26?,27-,28?,29?,30+,31-,32?,33-,35?,36-,37?,38-/m1/s1

**LD50:** 1200 mg/kg (M, i.p.); 825 mg/kg (M, i.p.); 3 g/kg (M, p.o.); >2 g/kg (R, p.o.)

### Derivatives

#### monohydrochloride

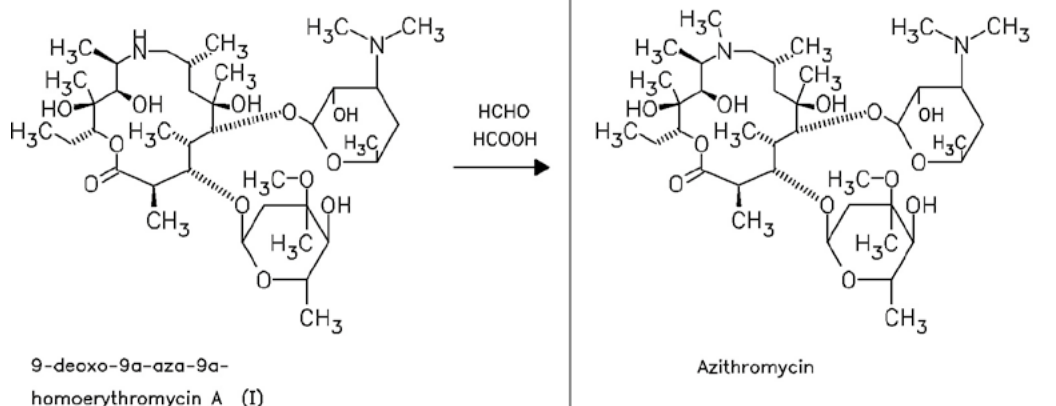
**Formula:** C<sub>38</sub>H<sub>72</sub>N<sub>2</sub>O<sub>12</sub> • HCl

**MW:** 785.46 g/mol

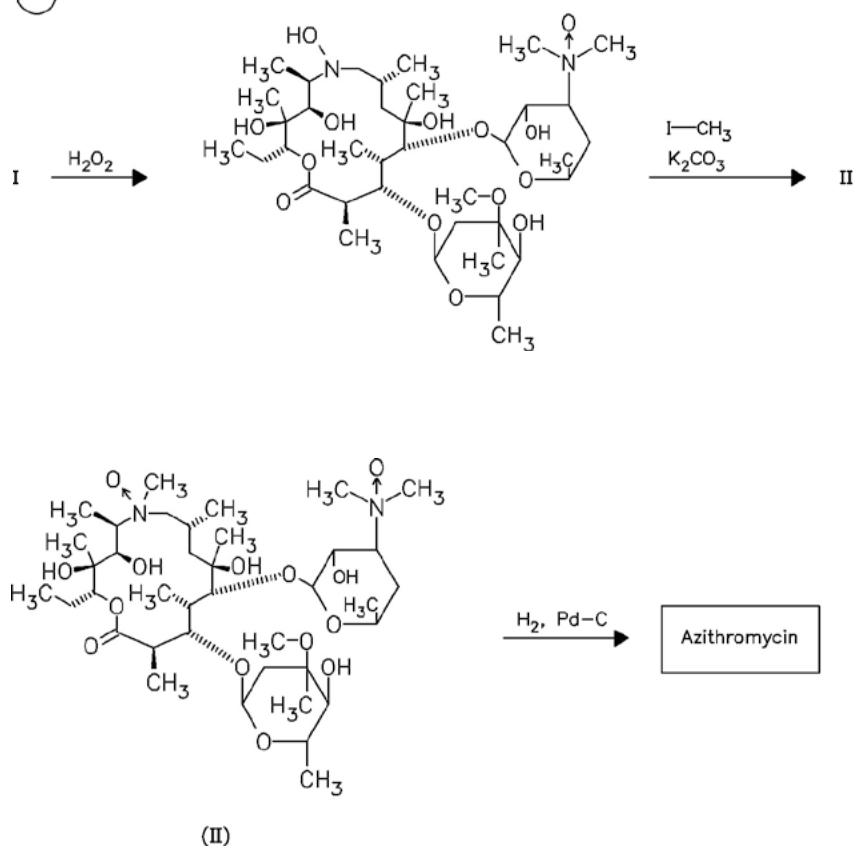
**CAS-RN:** 90581-30-9

Synthesis Path

(a)



(b)



## Substances Referenced in Synthesis Path

CAS-RN	Formula	Chemical Name	CAS Index Name
76801-85-9	C <sub>37</sub> H <sub>70</sub> N <sub>2</sub> O <sub>12</sub>	2-deoxo-9a-aza-9a-homoerythromycin A	1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-, [2R-(2R*,3S*,4R*,5R*,8R*,10R*,11R*,12S*,13S*,14R*)]-
90503-04-1	C <sub>37</sub> H <sub>70</sub> N <sub>2</sub> O <sub>14</sub>	[2R-(2R*,3S*,4R*,5R*,8R*,10R*,11R*,12S*,13S*,14R*)]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,6,10-tetrahydroxy-3,5,8,10,12,14-hexamethyl-13-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadecan-15-one	1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,6,10-tetrahydroxy-3,5,8,10,12,14-hexamethyl-13-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-, [2R-(2R*,3S*,4R*,5R*,8R*,10R*,11R*,12S*,13S*,14R*)]-
90503-05-2	C <sub>38</sub> H <sub>72</sub> N <sub>2</sub> O <sub>14</sub>	[2R-(2R*,3S*,4R*,5R*,8R*,10R*,11R*,12S*,13S*,14R*)]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadecan-15-one 6-oxide	1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-, 6-oxide, [2R-(2R*,3S*,4R*,5R*,8R*,10R*,11R*,12S*,13S*,14R*)]-
50-00-0	CH <sub>2</sub> O	formaldehyde	Formaldehyde
74-88-4	CH <sub>3</sub> I	methyl iodide	Methane, iodo-

## Trade Names

Country	Trade Name	Vendor	Annotation
D	Ultrreon	Pfizer	
	Zithromax	Pfizer Pharma/Gödecke/Parke-Davis	
	numerous generic preparations		
F	Azadose	Pfizer	
	Monodose	Pfizer	
	Zithromax	Pfizer	
GB	Zithromax	Pfizer	
I	Azitrocin	Bioindustria	
	Ribotrex	Pierre Fabre	
	Trocozina	Sigma-Tau	
	Zithromax	Pfizer	
J	Zithromac	Pfizer	
USA	Azasite	InSite Vision	
	Zithromax	Pfizer	as dihydrate

## Formulations

cps. 100 mg, 250 mg; Gran. 10%; susp. 200 mg (as dihydrate); tabl. 250 mg

## References

Djokic, S. et al.: J. Antibiot. (JANTAJ) **40**, 1006 (1987).

- a DOS 3 140 449 (Pliva; appl. 12.10.1981; YU-prior. 6.3.1981).  
US 4 517 359 (Pliva; 14.5.1985; appl. 22.9.1981; YU-prior. 6.3.1981).
- b EP 101 186 (Pliva; appl. 14.7.1983; USA-prior. 19.7.1982, 15.11.1982).  
US 4 474 768 (Pfizer; 2.10.1984; prior. 19.7.1982, 15.11.1982).

**educt by ring expansion of erythromycin A oxime by Beckmann rearrangement:**

Djokic, S. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1986**, 1881-1890.

Bright, G.M. et al.: J. Antibiot. (JANTAJ) **41**, 1029 (1988). US 4 328 334 (Pliva; 4.5.1982; YU-prior. 2.4.1979).

**stable, non-hygroscopic dihydrate:** EP 298 650 (Pfizer; appl. 28.6.1988).

**medical use for treatment of protozoal infections:**

US 4 963 531 (Pfizer; 16.10.1990; prior. 16.8.1988, 10.9.1987).