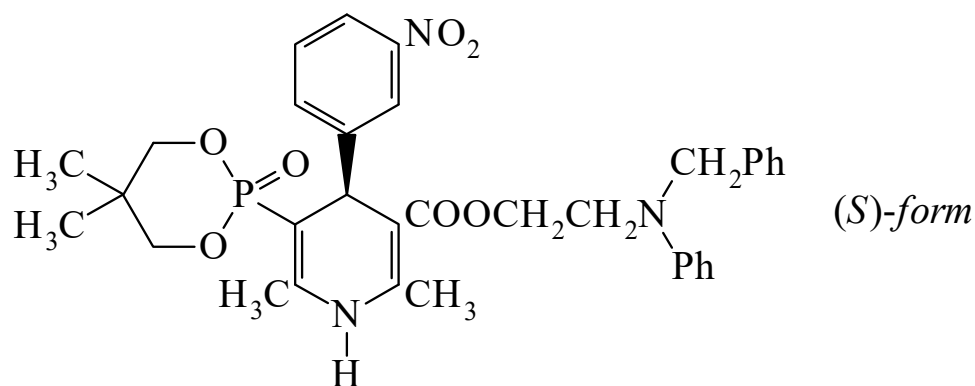




>>Entry Name: Efonidipine, INN

Synonym(s): 2-[Phenyl(phenylmethyl)amino]ethyl 5-(5,5-dimethyl-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3-pyridinecarboxylate *P*-oxide, 9CI. Serefodipine. Landel



CAS Registry Number: 111011-63-3

Related CAS Registry Numbers(s): 111011-53-1 128194-13-8 146345-51-9

Type of Compound Code(s): XA7000 XA1650 XA2620

Molecular Formula: C<sub>34</sub>H<sub>38</sub>N<sub>3</sub>O<sub>7</sub>P

Molecular Weight: 631.664

Accurate Mass: 631.244739

Percentage Composition: C 64.65%; H 6.06%; N 6.65%; O 17.73%; P 4.90%

Use/Importance: Calcium antagonist; antihypertensive and cerebral vasodilator

Development Status: Launched 1994 (Japan)

Calculated Log P Data: Log P 7.09 (uncertain value) (calc)

>>Variant: (S)-form

CAS Registry Number: 128194-12-7

Molecular Formula: C<sub>34</sub>H<sub>38</sub>N<sub>3</sub>O<sub>7</sub>P

Molecular Weight: 631.664

Accurate Mass: 631.244739

Percentage Composition: C 64.65%; H 6.06%; N 6.65%; O 17.73%; P 4.90%

Physical Description: Pale yellow cryst. (EtOH)

Melting Point: Mp 190-192°

Optical Rotation:  $[\alpha]_D^{25} +7$  (c, 0.50 in CHCl<sub>3</sub>)

Other Data: Pharmacol. active isomer

>>Variant: (±)-form

Molecular Formula: C<sub>34</sub>H<sub>38</sub>N<sub>3</sub>O<sub>7</sub>P

Molecular Weight: 631.664

Accurate Mass: 631.244739

Percentage Composition: C 64.65%; H 6.06%; N 6.65%; O 17.73%; P 4.90%

Physical Description: Yellow cryst. (EtOAc)

Melting Point: Mp 169-170°

>>Derivative: Hydrochloride

Hazard & Toxicity: LD<sub>50</sub> (rat, ipr) 161 mg/kg. Exp. reprod. and teratogenic effects

>>Derivative: Hydrochloride; compd. with EtOH (1:1)

Synonym(s): NZ 105

CAS Registry Number: 111011-76-8

Physical Description: Yellow cryst.

Melting Point: Mp 151 dec.°

#### References:

- Eur. Pat.*, 1987, *Nissan*, 230 944; *CA*, **107**, 237009a, (*synth, pharmacol*)  
Masuda, Y. *et al.*, *Arch. Int. Pharmacodyn. Ther.*, 1990, **304**, 247; 1991, **314**, 57, (*pharmacol*)  
Tamura, T. *et al.*, *Naunyn-Schmiedeberg's Arch. Pharmacol.*, 1991, **343**, 405, (*pharmacol*)  
Sakoda, R. *et al.*, *Chem. Pharm. Bull.*, 1992, **40**, 2362; 2377, (*synth, cryst struct, pmr, pharmacol*)  
Shudo, C. *et al.*, *J. Pharm. Pharmacol.*, 1993, **45**, 525; 530, (*pharmacol*)  
Shudo, C. *et al.*, *Gen. Pharmacol.*, 1994, **25**, 1567, (*pharmacol*)  
Kato, T. *et al.*, *Chem. Pharm. Bull.*, 1996, **44**, 1132, (*synth*)