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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

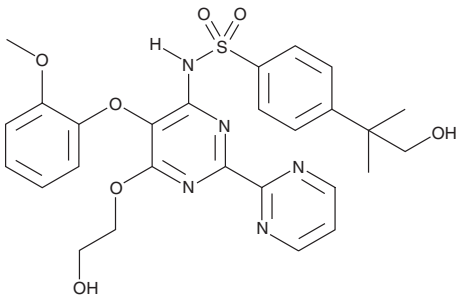
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Hydroxy Bosentan

Item No. 22366

CAS Registry No.: 253688-60-7
Formal Name: 4-(2-hydroxy-1,1-dimethylethyl)-N-[6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)[2,2'-bipyrimidin]-4-yl]-benzenesulfonamide
Synonyms: Ro 48-5033, Ro 48-8634
MF: $C_{27}H_{29}N_5O_7S$
FW: 567.6
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Hydroxy bosentan is supplied as a crystalline solid. A stock solution may be made by dissolving the hydroxy bosentan in the solvent of choice. Hydroxy bosentan is soluble in the organic solvent DMSO, which should be purged with an inert gas.

Description

Hydroxy bosentan is an active phase I metabolite of bosentan (Item No. 11731) produced by the cytochrome P450 isoforms CYP3A4 and CYP2C9.[1,2] Bosentan is an antagonist of the endothelin receptors type A (K_i = 6.5 nM) and type B (K_i = 340 nM).[3,4] Up to 20% of the activity of bosentan may be due to the action of hydroxy bosentan because even though it has a lower affinity for endothelin receptors, its concentration is 3-fold higher in plasma.[5]

References

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3. Jae, H.S., Winn, M., Dixon, D.B., *et al.* Pyrrolidine-3-carboxylic acids as endothelin antagonists. 2. Sulfonamide-based ETA/ETB mixed antagonists. *J. Med. Chem.* **40(20)**, 3217-3227 (1997).
4. Wu, C., Decker, E.R., Blok, H., *et al.* Discovery, modeling, and human pharmacokinetics of N-(2-acetyl-4,6-dimethylphenyl)-3-(3,4-dimethylisoxazol-5-ylsulfamoyl)-thiophene-2-carboxamide (TBC3711), a second generation, ETA selective, and orally bioavailable endothelin antagonist. *J. Med. Chem.* **47(8)**, 1969-1986 (2004).
5. Dingemanse, J. and van Giergsbergen, L.M. Clinical pharmacology of bosentan, a dual endothelin receptor antagonist. *Clin. Pharmacokinet.* **43(15)**, 1089-1115 (2004).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM