



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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- Expressversand

### SZABO-SCANDIC HandelsgmbH

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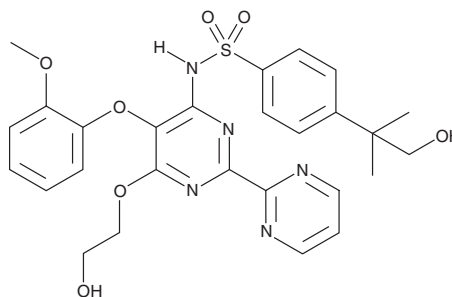
# PRODUCT INFORMATION



## 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<sub>27</sub>H<sub>29</sub>N<sub>5</sub>O<sub>7</sub>S  
**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.<sup>1,2</sup> Bosentan is an antagonist of the endothelin receptors type A ( $K_i = 6.5$  nM) and type B ( $K_i = 340$  nM).<sup>3,4</sup> 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.<sup>5</sup>

### References

1. Lepri, S., Goracci, L., Valeri, A., *et al.* Metabolism study and biological evaluation of bosentan derivatives. *Eur. J. Med. Chem.* **121**, 658-670 (2016).
2. Fahrmayr, C., König, J., Auge, D., *et al.* Phase I and II metabolism and MRP2-mediated export of bosentan in a MDCKII-OATP1B1-CYP3A4-UGT1A1-MRP2 quadruple-transfected cell line. *Br. J. Pharmacol.* **169**(1), 21-33 (2013).
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. Dingemans, J. and van Giersbergen, L.M. Clinical pharmacology of bosentan, a dual endothelin receptor antagonist. *Clin. Pharmacokinet.* **43**(15), 1089-1115 (2004).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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