

## Product Information

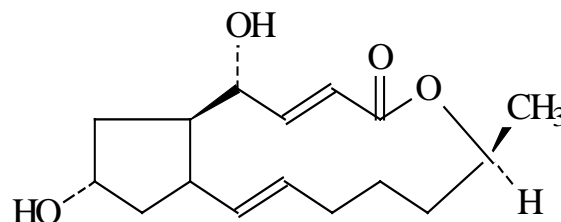
**BREFELDIN A**  
from *Penicillium brefeldianum*  
Sigma Prod. No. B7651

**CAS NUMBER:** 20350-15-6

**SYNONYMS:**  $\gamma$ ,4-Dihydroxy-2-[6-hydroxy-1-heptenyl]-4-cyclopentanecrotonic acid  $\lambda$ -lactone; cyanein; BFA

**PHYSICAL DESCRIPTION:**

Appearance: White powder  
Molecular formula: C<sub>16</sub>H<sub>24</sub>O<sub>4</sub>  
Molecular weight: 280.4  
E<sup>M</sup>(215nm) = 11,300 (ethanol)<sup>1,2</sup>  
Optical rotation: +96° ± 2 (methanol)<sup>1,2</sup>  
Melting point: 204°C ± 1°<sup>1,2</sup>



**STORAGE / STABILITY AS SUPPLIED:**

This product when stored sealed at 0-4°C showed no change by TLC in over 12 months.<sup>2</sup>

**SOLUBILITY / SOLUTION STABILITY:**

Sigma tests BFA for solubility in methanol at 10 mg/mL.<sup>2</sup> Stock solutions of Brefeldin A can be prepared in methanol (1 mg/mL)<sup>3</sup> or in ethanol (1 or 5 mg/mL)<sup>3,5</sup> and stored at -20°C.<sup>4,5</sup> Concentration can be verified by UV absorption ( $\lambda_{\max} = 215 \text{ nm}$ ,  $\log E^M = 4.05$ )<sup>1</sup>

**GENERAL REMARKS:**

Brefeldin A is a fungal metabolite (a macrocyclic lactone) which exhibits a wide range of antibiotic activity.<sup>1,3</sup> Brefeldin A (BFA) may be used to study cell processes which depend upon intracellular protein transport.

BFA reversibly inhibits the intracellular translocation of proteins in eukaryotes, e.g., during transport of proteins to the cell surface for secretion or expression.<sup>3</sup> Brefeldin A has been reported to block the response of cultured cells to cholera toxin.<sup>5</sup>

BFA inhibits protein synthesis in cultured cells<sup>6</sup> and inhibits the transport of secretory and lysosomal proteins at concentrations of 1-10  $\mu\text{g/mL}$ .<sup>7</sup> "In HepG2 cells, BFA induces two blocks in the secretory pathway; one at the level of the endoplasmic reticulum-Golgi juncture and the other in the trans-Golgi network.

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**GENERAL REMARKS:** (continued)

In contrast, transport from the Golgi complex to the lysosomes and from the plasma membrane to the lysosomes continued.<sup>7</sup> Vogel et al. also reported secretion blockage and redistribution of Golgi resident membrane proteins.<sup>8</sup>

Lippincott-Schwartz et al. reported on the effects of Brefeldin A (BFA) on the morphology and dynamics of endosomes, trans-Golgi network (TGN) and lysosomes. BFA treatment (at 5 µg/mL) induced changes in both the organization and distribution of the organellar components in all of these organellar systems.<sup>9</sup>

Brefeldin A was reported to enhance transcytosis of transferrin in cultured kidney cells.<sup>10</sup>

**SYNTHESIS:**

Total syntheses of (±) BFA and of (+) BFA have been reported.<sup>11-13</sup>

**REFERENCES:**

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