Product Data Sheet

Befetupitant

Cat. No.: HY-19670 CAS No.: 290296-68-3 Molecular Formula: $C_{29}H_{29}F_{6}N_{3}O_{2}$ Molecular Weight: 565.55

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description	Befetupitant is a high-affinity, nonpeptide, competitive tachykinin 1 receptor (NK1R) antagonist.
IC ₅₀ & Target	NK1
In Vivo	Befetupitant, a different, highly selective NK1R antagonist, is tested in the alkali burn model. Topical application of Befetupitant for 4 days is effective (P<0.05) in reducing hemangiogenesis and lymphangiogenesis at both concentrations (0.4 and 1.6 mg/mL). Befetupitant and its vehicle DMSO, however, induced corneal opacity even in healthy controls, as observed at slit-lamp examination. Moreover, fluorescein and hematoxylin-eosin staining showed epithelial damage and inflammatory cellular infiltration in the stroma, respectively, confirming DMSO toxicity. Topical application of Befetupitant reduces corneal neovascularization (CNV) in the alkali burn model but is toxic owing to the vehicle (DMSO); hence, Befetupitant is not tested in the suture model ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1] Mice^[1]

Female, 6- to 8-week-old, C57BL/6 mice are used for all experiments (total: 283 mice). A corneal alkali burn is created for the Lanepitant experiment. Animals are then randomized into three groups (n=6), receiving 10 µL Befetupitant 0.4 or 1.6 mg/mL in 100% DMSO or 10 μL vehicle (DMSO) as control, topically six times a day for 4 days. Topical Befetupitant and DMSO toxicity is evaluated in two groups of six healthy animals receiving in the left eye 10 µL topical Befetupitant 0.4 mg/mL or 100% DMSO, six times a day for 9 days.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bignami F, et al. NK1 receptor antagonists as a new treatment for corneal neovascularization. Invest Ophthalmol Vis Sci. 2014 Sep 16;55(10):6783-94.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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