

Product Datasheet

Vazegepant

Catalog No. **BP-01869** · CAS **1337918-83-8** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-07**

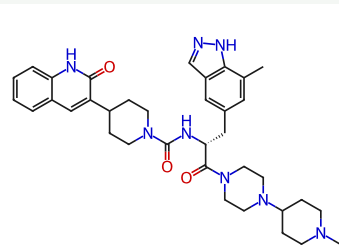
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Target CGRP Receptor	Research area Neurological Disease
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Molecular formula **C₃₆H₄₆N₈O₃**

Molecular weight **638.8022**

STRUCTURE



DESCRIPTION

Vazegepant is the first intranasal CGRP receptor antagonist for the study the acute research of migraine.

BIOLOGICAL ACTIVITY

In Vitro

Vazegepant (Zavegepant) exhibits fully competitive binding activity to the human CGRP receptor expressed endogenously in the SKN-MC cell membrane, with a K_i of 23 pM, which is more than 10,000 times more selective than the adrenal medullary receptor 1/2, calcitonin receptor, and amyloid receptor 1/3; and can strongly and completely reverse the vasodilatory effect induced by CGRP in isolated human intracranial arterial tissue, with an EC_{50} of 880 pM.

In Vivo

Vazegepant (Zavegepant) (0.03 mg/kg; subcutaneous administration; 15-105 min) significantly inhibited CGRP-induced facial blood flow elevation in a marmoset model.

Vazegepant exhibited low oral bioavailability in monkey ($F = 0.3\%$), mouse ($F = 1.4\%$), and rat ($F = 1.7\%$) animal models.

Vazegepant (nasal administration) was rapidly absorbed in a rabbit model, with a T_{max} of 15-20 min.

SOLUBILITY

Soluble in DMSO 50 mg/mL

REFERENCES

[1]. Leonard KA, et al. Discovery of a Gut-Restricted JAK Inhibitor for the Treatment of Inflammatory Bowel Disease. J Med Chem. 2020 Mar 26;63(6):2915-2929.

[2]. Gene M Dubowchik, Charles M Conway, Alison W Xin. Blocking the CGRP Pathway for Acute and Preventive Treatment of Migraine: The Evolution of Success.

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