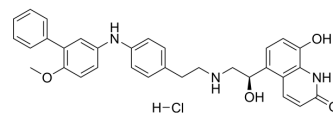


TD-5471 hydrochloride

Cat. No.:	HY-19942A
CAS No.:	530084-35-6
Molecular Formula:	C ₃₂ H ₃₂ ClN ₃ O ₄
Molecular Weight:	558.07
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TD-5471 hydrochloride is a potent and selective full agonist of the human β_2 -adrenoceptor.
IC₅₀ & Target	β adrenergic receptor
In Vitro	TD-5471 is potent, with slow onset in an in vitro guinea pig trachea model. TD-5471 has potency with pEC ₅₀ of 8.7 in the guinea pig tracheal ring assay. TD-5471 is structurally differentiated from Milveterol and its long duration of action is consistent with a correlation with hydrophobicity observed in other long-acting β_2 -agonist discovery programs ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TD-5471 shows a dose-dependent and long duration of action in an in vivo guinea pig model of bronchoprotection. In a guinea pig model of in vivo bronchoprotection, TD-5471 shows excellent potency (measured 1.5 h after nebulized dosing). Because a high dose of Salmeterol (300 μ g/mL) provides bronchoprotection lasting \geq 24 h in this model, a 72 h time point is selected to provide confidence that TD-5471 will be suitable for once-daily dosing in man. TD-5471-mediated bronchoprotection at 72 h is dose-dependent and is significantly greater than that of Salmeterol at nebulizer concentrations of \geq 30 μ g/mL ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Jacobsen JR, et al. Multivalent design of long-acting $\beta(2)$ -adrenoceptor agonists incorporating biarylamines. *Bioorg Med Chem Lett*. 2014 Jun 15;24(12):2625-30.

Caution: Product has not been fully validated for medical applications. For research use only.

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