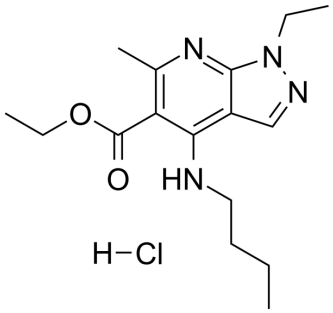
Tracazolate hydrochloride

Cat. No.:	HY-B1803A
CAS No.:	1135210-68-2
Molecular Formula:	$C_{16}H_{25}ClN_4O_2$
Molecular Weight:	340.85
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (366.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9338 mL	14.6692 mL	29.3384 mL
	5 mM	0.5868 mL	2.9338 mL	5.8677 mL
	10 mM	0.2934 mL	1.4669 mL	2.9338 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Tracazolate (ICI 136753) hydrochloride is a potent $GABA_A$ receptor modulator. Tracazolate hydrochloride has selectivity for β3 and potentiates α1β1γ2s (EC_{50}=13.2 μM), α1β3γ2 (EC_{50}=1.5 μM). Tracazolate hydrochloride has the potency (EC_{50}) determined by the nature of the third subunit (γ1-3, δ, ε) within the receptor complex. Tracazolate hydrochloride possesses anxiolytic and anticonvulsant activity[1][2].

IC_{50} & Target

$GABA_A$[1]

In Vitro

Tracazolate (ICI 136753) hydrochloride inhibits α1β1ε (EC_{50}=4.0 μM) and α1β3ε (EC_{50}=1.2 μM), α1β3 (EC_{50}=2.7 μM) and α6β3γ (EC_{50}=1.1 μM). Replacement of Ser265 within the β1 subunit with Asn (the β3 counterpart) increases the sensitivity of tracazolate, whereas the opposite mutation (Asnβ3 to Ser) decreases the sensitivity to Tracazolate. Tracazolate hydrochloride interacts with γ-aminobutyric acid $GABA_A$ receptors, adenosinereceptors, and phosphodiesterases[1]. Tracazolate hydrochloride (10 μM) increases the maximum current amplitude and enhances the sensitivity of α1β2δ-containing $GABA_A$ receptors in oocytes[2].

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

REFERENCES

[1]. Sally-Anne Thompson, et al. Tracazolate reveals a novel type of allosteric interaction with recombinant gamma-aminobutyric acid(A) receptors. Mol Pharmacol. 2002 Apr;61(4):861-9.

[2]. N Zheleznova, et al. alpha1beta2delta, a silent $GABA_A$ receptor: recruitment by tracazolate and neurosteroids. Br J Pharmacol. 2008 Mar;153(5):1062-71.

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